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**EVERYTHING FOR
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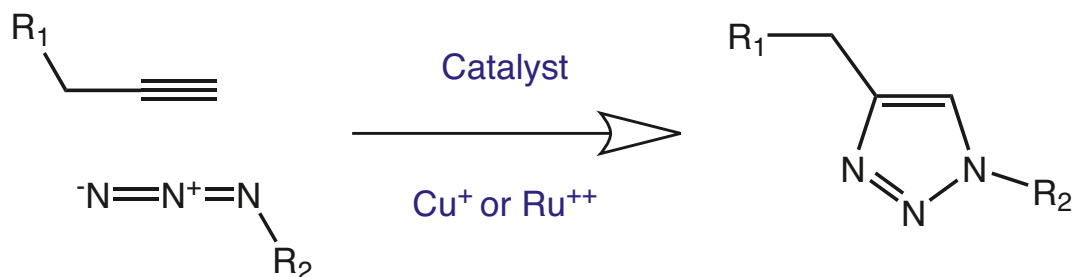
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1. The Click Reaction



Azido and alkyne functions can cyclise by an intramolecular CuI or Cu₀ catalyzed azide-alkyne 1,3-dipolar cycloaddition (CuAAC). This so-called Click Reaction, developed by K. Barry Sharpless and Morton Meldal, has meanwhile grown to a widely used type of reaction orthogonal to many other types of reactions in different kinds of applications. Both residues R₁ and R₂ can be used either as conjugation partners or as substrates. Due to its high thermodynamic driving force, usually greater than 20 kcal/mol, the click reaction normally proceeds rapidly to completion and also tends to be highly selective for a single product.

A variety of azido and alkyne building blocks is available, where some can be incorporated into biomolecules by recombinant syntheses, in particular by non natural protein translation using the amber-suppression-based orthogonal system or by chemical reactions, for example by solid phase synthesis. Then the conjugation with a second molecule carrying the appropriate other function can be done.

Tris(benzyltriazolylmethyl)amine (TBTA; RL-2010; see p. 72) is stabilizing copper(I) towards oxidation in solution by forming a complex and catalyzes effectively quantitative, regioselective Huisgen 1,3-dipolar cycloadditions between alkynes and azides (the so called 'click' cycloaddition reaction), in a variety of aqueous and organic solvents. In the literature, it has been gaining widespread use as a biochemical tool for the tagging of proteins and enzymes.

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a) Catalyst-free Click Reaction

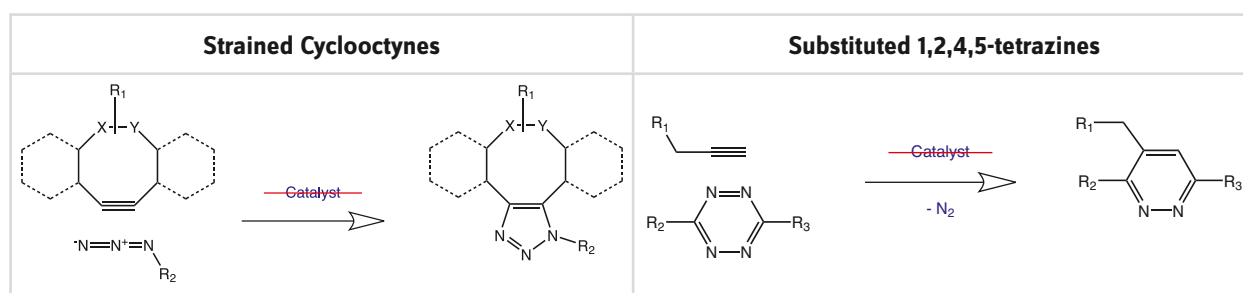
Cycloaddition reactions such as the [3+2] azide-alkyne and the [4+2] Diels-Alder reaction, are becoming common conjugation techniques. Applications range from imaging, drug design and development of sensors, thereby spanning the fields of chemical biology, material science, surface and polymer chemistry as well as many other fields.

Introduced in 2002, the copper-catalyzed variant of the azide-alkyne cycloaddition (CuAAC) reaction has found broad applicability in the aforementioned field and as such is currently the most widely used conjugation technique. The presence of copper, however, limits the in vivo application of this reaction for several reasons:

- ▶ High cell toxicity
- ▶ Undesired oxidation of proteins and
- ▶ Inhibition of luminescence properties of nanocrystals

To allow fast and efficient in vivo conjugation, new methodologies have emerged that do not require the use of a metal catalysts, while still making use of the bio-orthogonal functional groups, i.e. azides and alkynes. The most commonly used approaches can be classified into two categories:

- ▶ Strained cyclooctyne systems that react rapidly with azides.
- ▶ Substituted 1,2,4,5-tetrazines for fast reactions with (un)strained alkenes/alkynes.



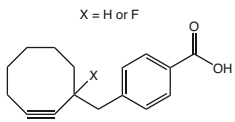
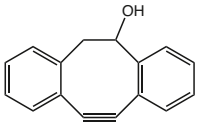
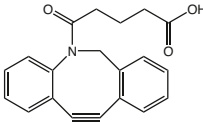
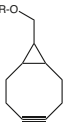
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In the table below various strained cyclooctynes are depicted with their related reactivities, as determined by reaction with benzyl azide as model azide. In general, the reactivity increases through the presence of atoms with high electronegativity next to the alkyne function, i.e. good σ -acceptors.

				
2 nd order reaction rate constant k [$\times 10^{-3} \text{ M}^{-1} \text{ s}^{-1}$]	1.2 (R = H) 4.3 (R = F)	57	310	110

Unlike other cyclooctynes, BCN generates a single regioisomer after reaction with an azide component. It has been shown that BCN also rapidly reacts with other 1,3-dipoles such as nitril oxides and nitrones, as well as substituted 1,2,4,5-tetrazines. In terms of polarity BCN is a highly suitable reactive partner in strain-promoted

The reactivity will also increase with increasing ring strain, as is the case for dibenzo-fused cyclooctynes (DiBO and DiBAC) and bicyclo[6.1.0]non-4-yne (BCN), whereby the cyclopropane ring is contributing to the additional strain in the latter.

cycloaddition reactions in aqueous media, as the number of functional groups or phenyl rings is reduced to a minimum, hence allowing efficient bioconjugations in biologically relevant systems.

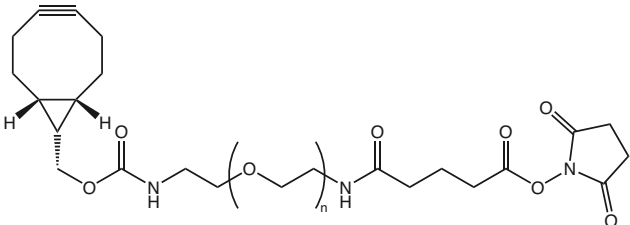
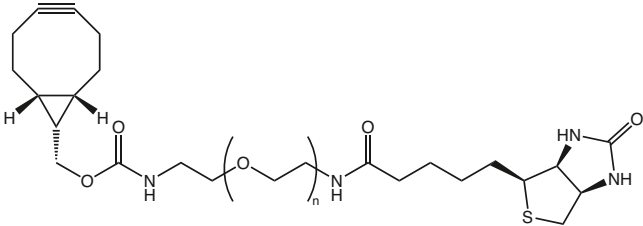
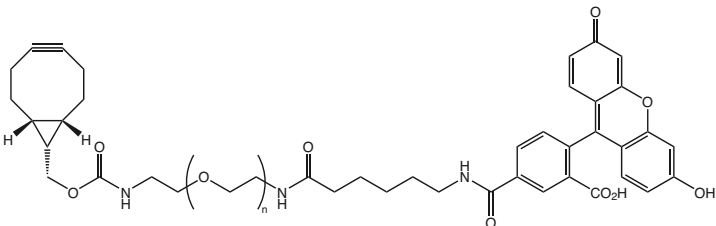
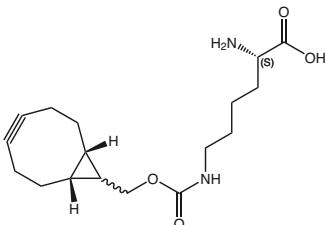
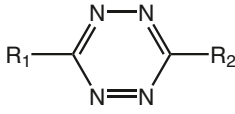
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b) Custom Synthesis of BCN Derivatives and Conjugations

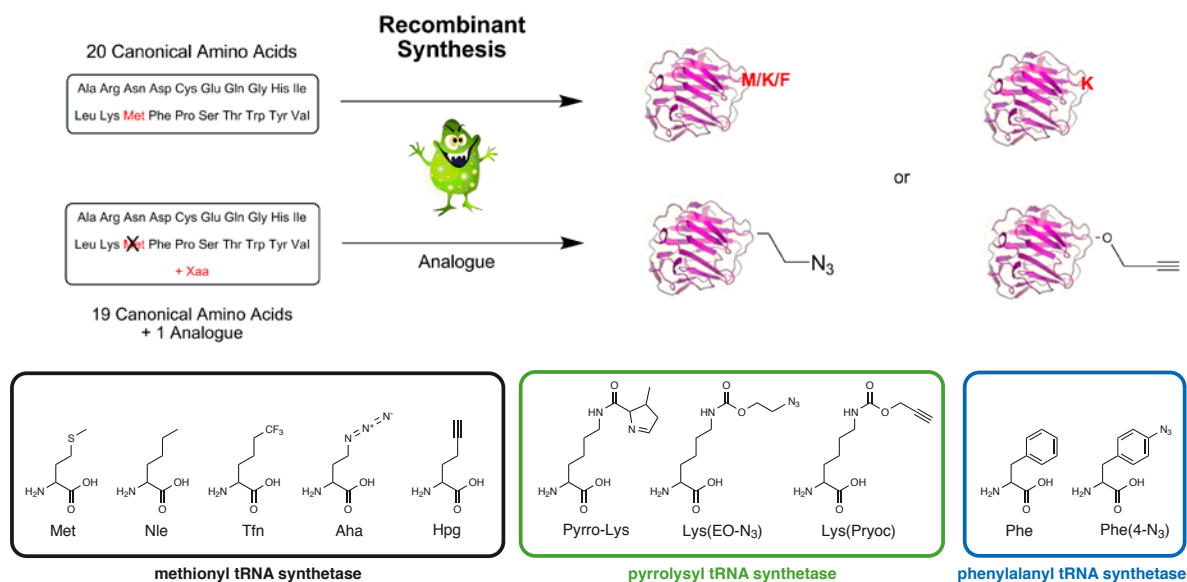
Use the advantages of BCN for your own application!

We offer custom synthesis of BCN and tetrazine derivatives and related conjugations.

<p><u>BCN-PEG Derivatives:</u> BCN-PEG-NHS BCN-PEG-NH₂ BCN-PEG-OMe With short & monodisperse or long & polydisperse PEG spacers.</p>	 <p>The structure shows a bicyclic BCN core (bicyclo[6.1.0]non-5-ene) with a methylene group at the 2-position. This is linked via an ester bond to a PEG chain (-(OCH₂CH₂)_n-) which is further connected to an NHS-activated ester group.</p>
<p><u>BCN-PEG-Biotin:</u> With short & monodisperse or long & polydisperse PEG spacers; With hydrophobic spacers.</p>	 <p>The structure shows a bicyclic BCN core with a methylene group at the 2-position. This is linked via an ester bond to a PEG chain (-(OCH₂CH₂)_n-), which is then connected to a hydrophobic spacer and finally to a biotin moiety.</p>
<p><u>BCN-PEG-Dye:</u> With short & monodisperse or long & polydisperse PEG spacers; With different dyes of your choice.</p>	 <p>The structure shows a bicyclic BCN core with a methylene group at the 2-position. This is linked via an ester bond to a PEG chain (-(OCH₂CH₂)_n-), which is then connected to a hydrophobic spacer and finally to a complex dye molecule.</p>
<p><u>BCN-Building Block Conjugates:</u> BCN analogues with Lys, Orn and other amino acids; With carbohydrates; And with any organic compound of your choice.</p>	 <p>The structure shows a bicyclic BCN core with a methylene group at the 2-position. This is linked via an ester bond to a hydrophobic spacer, which is then connected to an amino acid residue (Lysine or Ornithine) with a free amino group and a carboxylic acid group.</p>
<p><u>Tetrazine Analogues:</u> Please specify your residues!</p>	 <p>The structure shows a 1,2,3,4,5-tetrazine ring with two substituents, R₁ and R₂, at the 4 and 5 positions.</p>

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2. Applications of Amino Acids with Click Chemistry



a) Recombinant Incorporation of Amino Acids into Proteins

Mutant or modified aminoacyl tRNA synthetases (aaRS) have been used to charge non-natural amino acids to the corresponding tRNA, which incorporates them into polypeptides or proteins during recombinant synthesis. As azido homoalanine (Aha) is a structure analogue of methionine (Met), Met has been replaced effectively by Aha in proteins by the native methionyl tRNA synthetase of *E. coli*. A modified yeast phenylalanyl-tRNA synthetase was prepared by introduction of a T415G or T415A mutation in its α -subunit; specific residues in tryptophanyl-tRNA synthetase and methionyl-tRNA synthetase are also identified, where mutagenesis results in specificity for non-natural aminoacyl-tRNA molecules. tRNA can be loaded with azido and propargyl analogues of lysine by pyrrolysyl-tRNA synthetase enabling the biochemist to introduce site specifically an azido or alkyne group into a protein for further click conjugation. Further developments and inventions have been done to specifically incorporate a vast number of Click components into practically any protein.

Once the azido function has been built into the protein sequence, conjugation with a large number of diverse partners opens a wide field of possibilities. Many different applications from therapeutics to diagnostics can be addressed through conjugates with PEG-polymers, dyes, cofactors, antibodies, small molecules, toxins, additional proteins, and peptides.

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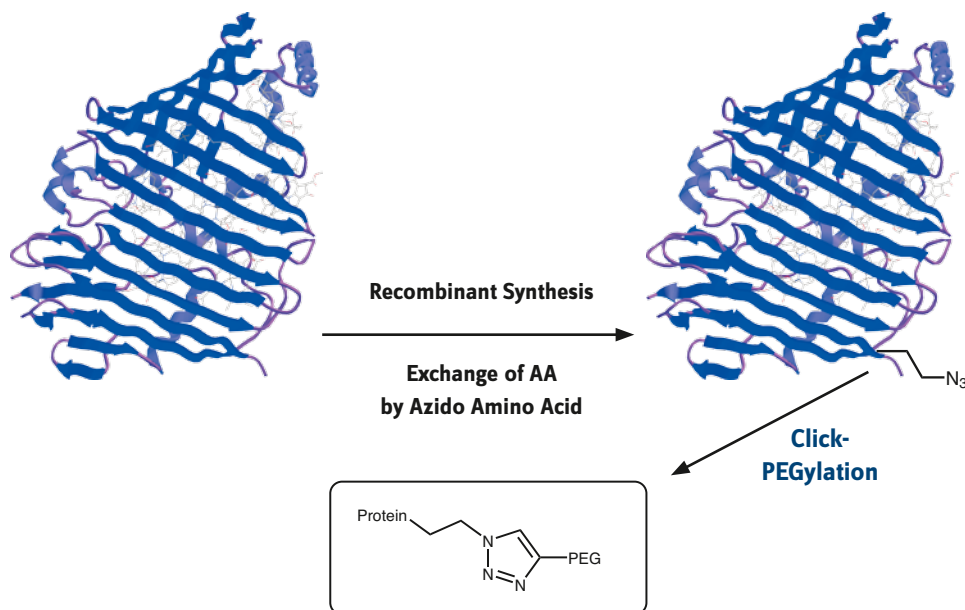
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Protocol for Protein Labelling by Cycloaddition:

1. Dilute the desired proteome sample to a 2 mg/ml solution in PBS.
2. Add 500 µl of diluted 2 mg/ml proteome solution to an Eppendorf tube.
3. Add 1–20 µM of the desired alkyne probe from a concentrated DMSO stock: do not exceed 10 µl of DMSO in this 500 µl reaction. After addition of the probe, vortex and leave at room temperature for 1 h.
4. Prepare a fresh solution of 50 µM TCEP (LS-3405) in water (14.4 mg/ml) and a 1.7 mM stock of ligand in DMSO:tBuOH 1:4 (0.9 mg/ml). Store TCEP at 4°C under argon. Stock solution in water should always be prepared freshly.
5. Add 11.6 µl of the tag (6mM stock solution in DMSO) and vortex.
6. Add 11.6 µl of fresh TCEP solution without vortexing.
7. Add 35 µl of ligand solution and vortex.
8. Add 11.6 µl of copper (II) sulfate (50 mM stock in water (12.5 mg/ml)) and vortex.
9. Leave the tubes at room temperature for 1 h (vortex after 30 min). At this stage, the proteins will start to precipitate and the solution will turn cloudy.
10. Centrifuge for 4 min at 6,500g and 4°C. A protein pellet will form.
11. Remove the supernatant and add 500 µl of cold MeOH. Sonicate for 3–4 s. (Ensure that the MeOH used for washing the protein pellet is cooled on ice before use. The use of warm MeOH results in loss of protein from the pellet.)
12. Rotate at 4°C for 10 min and centrifuge for 4 min at 6,500g and 4°C.
13. Remove the supernatant and repeat the wash with 500 µl of cold MeOH (step 11 and 12).
14. Remove the supernatant, add 1 ml of 1.2% SDS/PBS, sonicate for 3–4 s and heat to 80–90°C for 5 min.
15. Transfer the solution to a 15 ml conical tube and dilute to 0.2% SDS with 5 ml of PBS.
16. Samples can be stored at -80°C for several days.

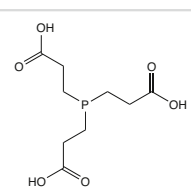
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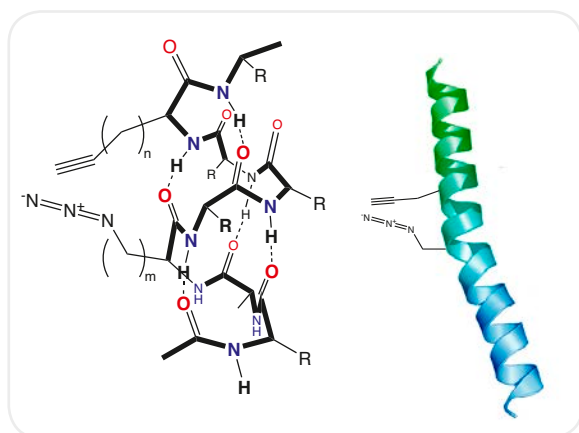
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LS-3405.0025	25 g	€ 200,00
LS-3405.0100	100 g	€ 550,00

LS-3405 TCEP*HCl
 Tris-(2-carboxyethyl)phosphine hydrochloride salt
 CAS-NO: 51805-45-9
 FORMULA: C₉H₁₅O₆P*HCl
 MOLECULAR WEIGHT: 250,19*36,45 g/mole



Prices are in EUR, net, exw Germany

b) Peptide Synthesis with Azido and Alkyne Amino Acids

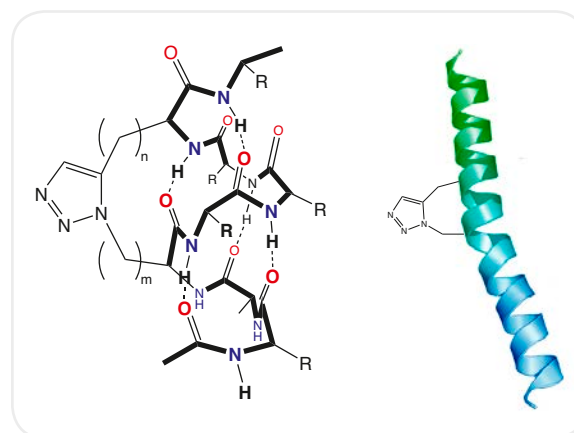


$m+n = 4$ or 7 :

→ either non-canonical or disordered structure

As Boc and Fmoc protected derivatives of both azido and alkyne amino acids are available, they can be introduced into peptide sequences through standard SPPS protocols, for example. In an α -helical secondary structure amino acids at positions i and $i+4$ are above each other. When they carry alkyne and azido function respectively, these groups are close to each other and can undergo cycloaddition forming the corresponding 1,2,3-triazol moiety and stabilizing the secondary structure in the α -helical conformation. It has been demonstrated with nonapeptides [1,2] that whenever the 1,2,3-triazol bridge carries 5 or 6 methylene groups, the peptide shows a nice regular and ordered secondary structure. However, if the ring size is smaller ($m+n=4$) or larger ($m+n=7$) the secondary structure is rather disordered.

Stabilization of the secondary structure also can be achieved by side chain lactam formation, for example with Lys and Asp at the appropriate positions. Azido and alkyne amino acids have, however, from synthetic and



$m+n = 5$ or 6 :

→ ordered and regular secondary structure

cost points of view some advantages. Using Lys and Asp orthogonal protecting groups have to be selected, which can result in a more complex process and more expensive building blocks. Azido and alkyne functions react highly specific to the 1,2,3-triazol ring. Educts and products are stable and inert at normal peptide synthesis conditions. No additional protection and related deprotection steps are required and the 1,2,3-triazol shows proteolytic stability, which is of high importance, whenever built into biological or pharmacological products.

Protocol for click reaction in peptide synthesis:

Successful protocols have been published applying to 3 μ mol peptide in 4 ml tBuOH/H₂O (1:2) with excess of ascorbic acid (40 μ mol) and CuSO₄·5H₂O (40 μ mol) generating Cu(I) in situ. Stirring at room temperature over night is followed by appropriate chromatographic work up. [3]

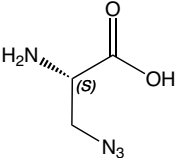
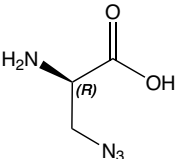
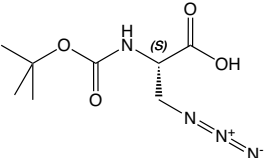
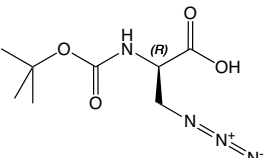
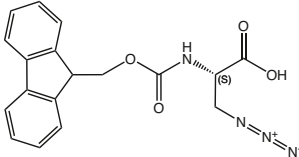
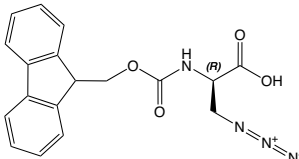
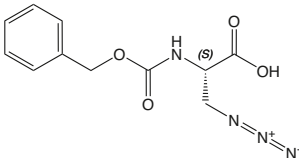
References:

- ▶ [1] Cu^I-Catalyzed Azide-Alkyne Intramolecular i -to-($i+4$) Side-Chain-to-Side-Chain Cyclization Promotes the Formation of Helix-Like Secondary Structures; Mario Scrima, Alexandra Le Chevalier-Isaad, Paolo Rovero, Anna Maria Papini, Michael Chorev, and Anna Maria D'Ursi; *Eur. J. Org. Chem.* 2010; 446-457; DOI: 10.1002/ejoc.200901157.
- ▶ [2] Synthesis and Conformational Analysis of a Cyclic Peptide Obtained via i to $i+4$ Intramolecular Side-Chain to Side-Chain Azide-Alkyne 1,3-Dipolar Cycloaddition; Sonia Cantel, Alexandra Le Chevalier Isaad, Mario Scrima, Jay J. Levy, Richard D. DiMarchi, Paolo Rovero, Jose A. Halperin, Anna Maria D'Ursi, Anna Maria Papini, and Michael Chorev; *J. Org. Chem.* 2008; **73**: 5663-5674; DOI: 10.1021/jo800142s.
- ▶ [3] Side chain-to-side chain cyclization by click reaction; Alexandra Le Chevalier Isaad, Anna Maria Papini, Michael Chorev Paolo Rovero; *J. Pept. Sci.* 2009; **15**: 451-454; DOI 10.1002/psc.1141
- ▶ [4] "Click" cyclized gallium-68 labeled peptides for molecular imaging and therapy: Synthesis and preliminary in vitro and in vivo evaluation in a melanoma model system; Molly E. Martin, M. Sue O'Dorisio, Whitney M. Leverich, Kyle C. Kloeping, and Michael K. Schultz; in: *A Pathway to Personalized Diagnosis and Treatment Series: Recent Results in Cancer Research*, 2012; 194; Richard P. Baum; Frank Rösch (Editors) 2012 ISBN 978-3-642-27993-5.
- ▶ [5] Improved synthesis and biological evaluation of chelator-modified α -MSH analogs prepared by copper-free click chemistry; Nicholas J. Baumhover, Molly E. Martin, Sharavathi G. Parameswara, Kyle C. Kloeping, M. Sue O'Dorisio, F. Christopher Pigge, Michael K. Schultz; *Bioorg. Med. Chem. Lett.* 2011; **21**(19): 5757-61; DOI:10.1016/j.bmcl.2011.08.017.

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c) Azido Amino Acids

Azido-Alanine

		Article No.	Quantity	Price
HAA1880 H-L-Aza-OH*HCl (S)-2-Amino-3-azidopropanoic acid hydrochloride CAS-NO: 105661-40-3 net FORMULA: $C_3H_6N_4O_2 \cdot HCl$ MOLECULAR WEIGHT: 130,11*36,45 g/mole		HAA1880.0001	1 g	€ 190,00
		HAA1880.0005	5 g	€ 750,00
		HAA1880.0025	25 g	€ 3000,00
HAA1885 H-D-Aza-OH*HCl (R)-2-Amino-3-azidopropanoic acid hydrochloride CAS-NO: 105928-88-9 net FORMULA: $C_3H_6N_4O_2 \cdot HCl$ MOLECULAR WEIGHT: 130,11*36,45 g/mole		HAA1885.0001	1 g	€ 275,00
		HAA1885.0005	5 g	€ 950,00
BAA1820 Boc-L-Aza-OH*CHA (S)-2-t-Butyloxycarbonylamino-3-azidopropanoic acid cyclohexylamine CAS-NO: 122225-54-1 net FORMULA: $C_8H_{14}N_4O_4 \cdot C_6H_{13}N$ MOLECULAR WEIGHT: 230,22*99,18 g/mole		BAA1820.0001	1 g	€ 200,00
		BAA1820.0005	5 g	€ 750,00
		BAA1820.0025	25 g	€ 3000,00
BAA1825 Boc-D-Aza-OH*CHA Boc-D-Aza-OH, Boc-D-beta-azidoalanine, N-alpha-t-Butyloxycarbonyl-3-azido-D-alanine, (R)-2-t-Butyloxycarbonylamino-3-azidopropanoic acid cyclohexylamine CAS-NO: 225780-77-8 net FORMULA: $C_8H_{14}N_4O_4 \cdot C_6H_{13}N$ MOLECULAR WEIGHT: 230,22*99,18 g/mole		BAA1825.0001	1 g	€ 225,00
		BAA1825.0005	5 g	€ 900,00
		BAA1825.0025	25 g	€ 3600,00
FAA1820 Fmoc-L-Aza-OH (solv.) (S)-2-(9-Fluorenylmethyloxycarbonylamino)-3-azidopropanoic acid, solvate with DIPE CAS-NO: 684270-46-0 FORMULA: $C_{18}H_{16}N_4O_4$ MOLECULAR WEIGHT: 352,34 g/mole		FAA1820.0001	1 g	€ 160,00
		FAA1820.0005	5 g	€ 600,00
		FAA1820.0025	25 g	€ 2400,00
FAA6870 Fmoc-D-Aza-OH (R)-2-(9-Fluorenylmethyloxycarbonylamino)-3-azidopropanoic acid CAS-NO: 1016163-79-3 FORMULA: $C_{18}H_{16}N_4O_4$ MOLECULAR WEIGHT: 352,34 g/mole		FAA6870.0001	1 g	€ 200,00
		FAA6870.0005	5 g	€ 700,00
		FAA6870.0025	25 g	€ 2800,00
ZAA1280 Z-L-Dap(N₃)-OH*CHA (S)-2-Benzoyloxycarbonylamino-3-azidopropanoic acid cyclohexylamine CAS-NO: 684270-44-8 net FORMULA: $C_{11}H_{12}N_4O_4 \cdot C_6H_{13}N$ MOLECULAR WEIGHT: 264,24*99,18 g/mole		ZAA1280.0001	1 g	€ 200,00
		ZAA1280.0005	5 g	€ 800,00
		ZAA1280.0025	25 g	€ 3250,00

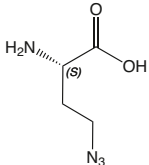
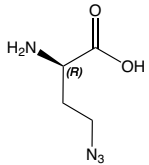
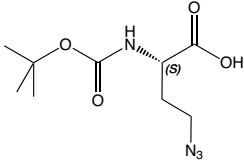
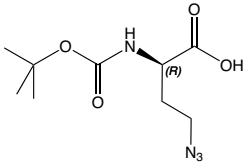
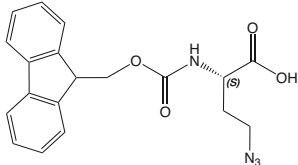
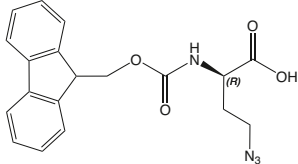
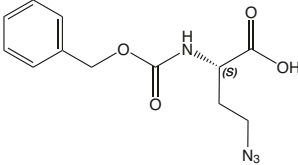
Literature with Aza:

- ▶ Mimicking of Disulfide Bonds by Triazoles; Kai Holland-Nell and Morten Meldal; in: Proceedings of the 31st European Peptide Symposium; Michal Lebl, Morten Meldal, Knud J. Jensen, Thomas Hoeg-Jensen (Editors), *European Peptide Society*, 2010; 146
- ▶ Peptide Tertiary Structure Nucleation by Side-Chain Crosslinking with Metal Complexation and Double "Click" Cycloaddition; Oscar Torres, Deniz Y'ksel, Matt Bernardina, Krishna Kumar, and Dennis Bong; *ChemBioChem* 2008; **9**: 1701-1705; DOI: 10.1002/cbic.200800040.
- ▶ "Click to Chelate": Synthesis and Installation of Metal Chelates into Biomolecules in a Single Step; Thomas L. Mindt, Harriet Struthers, Luc Brans, Todor Anguelov, Christian Schweinsberg, Veronique Maes, Dirk Tourwé, and Roger Schibli; *J. Aa. Chem. Soc.* 2006; **128**: 15096-15097; DOI: 10.1021/ja066779f.

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- ▶ Design, synthesis, and biological activity of novel triazole amino acids used to probe binding interactions between ligand and neutral amino acid transport protein SN1; Mariusz Gajewski, Ben Seaver and C. Sean Esslinger; *Bioorg. Med. Chem. Lett.* 2007; **17**: 4163-4166; DOI:10.1016/j.bmcl.2007.05.061
- ▶ Azidoalanine mutagenicity in Salmonella: effect of homologation and α -methyl substitution; Mangold, James B.; Mischke, Mark R.; LaVelle, James M.; *Mutation Research, Environmental Mutagenesis and Related Subjects* 1989; **216(1)**: 27-33.

Azido-Homoalanine

		Article No.	Quantity	Price
HAA5730 H-L-Aha-OH*HCl 4-Azido-L-homoalanine, (S)-2-Amino-4-azidobutanoic acid hydrochloride CAS-NO: 942518-29-8 FORMULA: $C_4H_8N_4O_2 \cdot HCl$ MOLECULAR WEIGHT: 144,13*36,45 g/mole		HAA5730.0001	1 g	€ 190,00
		HAA5730.0005	5 g	€ 750,00
HAA1630 H-D-Aha-OH*HCl 4-Azido-D-homoalanine, (R)-2-Amino-4-azidobutanoic acid hydrochloride FORMULA: $C_4H_8N_4O_2 \cdot hcl$ MOLECULAR WEIGHT: 144,13*36.45 g/mole		HAA1630.0001	1 g	€ 250,00
		HAA1630.0005	5 g	€ 1000,00
BAA1800 Boc-L-Aha-OH*CHA Boc-L-Dab(N_3)-OH, N-alpha-t-Butyloxycarbonyl-4-azido-L-homoalanine, (S)-2-t-Butyloxycarbonylamino-4-azidobutanoic acid cyclohexylamine CAS-NO: 120042-08-2net FORMULA: $C_9H_{16}N_4O_4 \cdot C_6H_{11}N$ MOLECULAR WEIGHT: 244,25*99,18 g/mole		BAA1800.0001	1 g	€ 175,00
		BAA1800.0005	5 g	€ 700,00
		BAA1800.0025	25 g	€ 2800,00
BAA1805 Boc-D-Aha-OH*CHA Boc-D-Dab(N_3)-OH, N-alpha-t-Butyloxycarbonyl-4-azido-D-homoalanine, (R)-2-t-Butyloxycarbonylamino-4-azidobutanoic acid cyclohexylamine FORMULA: $C_9H_{16}N_4O_4 \cdot C_6H_{11}N$ MOLECULAR WEIGHT: 244,25*99,18 g/mole		BAA1805.0001	1 g	€ 225,00
		BAA1805.0005	5 g	€ 900,00
		BAA1805.0025	25 g	€ 3600,00
FAA6620 Fmoc-L-Aha-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-homoalanine, (S)-2-(9-Fluorenylmethyloxycarbonylamino)-4-azidobutanoic acid CAS-NO: 942518-20-9 FORMULA: $C_{19}H_{18}N_4O_4$ MOLECULAR WEIGHT: 366,41 g/mole		FAA6620.0001	1 g	€ 145,00
		FAA6620.0005	5 g	€ 550,00
		FAA6620.0025	25 g	€ 2200,00
FAA6810 Fmoc-D-Aha-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-D-homoalanine, (R)-2-(9-Fluorenylmethyloxycarbonylamino)-4-azidobutanoic acid CAS-NO: 1263047-53-5 FORMULA: $C_{19}H_{18}N_4O_4$ MOLECULAR WEIGHT: 366,41 g/mole		FAA6810.0001	1 g	€ 225,00
		FAA6810.0005	5 g	€ 750,00
		FAA6810.0025	25 g	€ 3000,00
ZAA5700 Z-L-Aha-OH*DCHA Z-L-Dab(N_3)-OH, N-alpha-Benzoyloxycarbonyl-4-azido-L-homoalanine, (S)-2-Benzoyloxycarbonylamino-4-azidobutanoic acid dicyclohexylamine CAS-NO: 1263047-43-3 net FORMULA: $C_{12}H_{14}N_4O_4 \cdot C_{12}H_{23}N$ MOLECULAR WEIGHT: 278,26*181,34 g/mole		ZAA5700.0001	1 g	€ 200,00
		ZAA5700.0005	5 g	€ 800,00

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Patents with Aha:

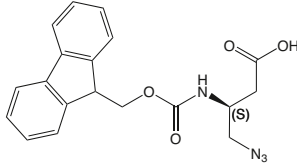
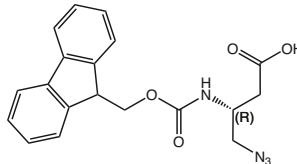
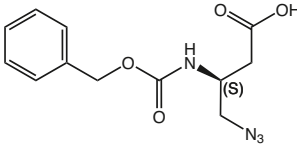
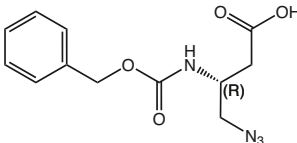
- ▶ EP2190856 (A2), US2008096819 (A1), WO2009026393 (A2), WO2009026393 (A3), WO2006079364

Literature with Aha:

- ▶ Mild and Chemoselective Peptide-Bond Cleavage of Peptides and Proteins at Azido Homoalanine; Jaap Willem Back, Olivier David, Gertjan Kramer, Géraldine Masson, Piotr T. Kasper, Leo J. de Koning, Luitzen de Jong, Jan H. van Maarseveen, and Chris G. de Koster; *Angew. Chem. Int. Ed.* 2005; **44**: 7946-7950; DOI: 10.1002/anie.200502431.
- ▶ „Clickable“ elastins: elastin-like polypeptides functionalized with azide or alkyne groups; Rosalie L. M. Teeuwen; Sander S. van Berkel; Tim H. H. van Dulmen; Sanne Schoffelen; Silvie A. Meeuwissen; Han Zuilhof; Frits A. de Wolf; Jan C. M. van Hest; *Chemical Communications* 2009; **27**: 4022-4024.
- ▶ Selective Enrichment of Azide-Containing Peptides from Complex Mixtures; Merel A. Nessen; Gertjan Kramer; Jaap Willem Back; Jeremy M. Baskin; Linde E. J. Smeenk; Leo J. de Koning; Jan H. van Maarseveen; Luitzen de Jong; Carolyn R. Bertozzi; Henk Hiemstra *et al.*; *Journal of Proteome Research* 2009; **8(7)**: 3702-3711.
- ▶ Site-Specific Modification of *Candida antarctica* Lipase B via Residue-Specific Incorporation of a Non-Canonical Amino Acid; Sanne Schoffelen; Mark H. L. Lambermon; Mark B. van Eldijk; Jan C. M. van Hest; *Bioconjugate Chemistry* 2008; **19(6)**: 1127-1131.

- ▶ Unnatural Amino Acid Incorporation into Virus-Like Particles; Erica Strable; Duane E. Prasuhn; Andrew K. Udit; Steven Brown; A. James Link; John T. Ngo; Gabriel Lander; Joel Quispe; Clinton S. Potter; Bridget Carragher *et al.*; *Bioconjugate Chemistry* 2008; **19(4)**: 866-875.
- ▶ Preparation of the functionalizable methionine surrogate azido-homoalanine via copper-catalyzed diazo transfer; A. James Link; Mandy K. S. Vink; David A. Tirrell; *Nature Protocols* 2007; **2(8)**: 1879-1883.
- ▶ Synthesis of the functionalizable methionine surrogate azidohomoalanine using Boc-homoserine as precursor; A. James Link; Mandy K. S. Vink; David A. Tirrell; *Nature Protocols* 2007; **2(8)**: 1884-1887.
- ▶ Presentation and detection of azide functionality in bacterial cell surface proteins; A. James Link; Mandy K. S. Vink; David A. Tirrell; *J. Am. Chem. Soc.* 2004; **126(34)**: 10598-10602.
- ▶ Expanding the diversity of chemical protein modification allows post-translational mimicry; Sander I. van Kasteren, Holger B. Kramer, Henrik H. Jensen, Sandra J. Campbell, Joanna Kirkpatrick, Neil J. Oldham, Daniel C. Anthony, Benjamin G. Davis; *Nature* 2007; **446(26)**: 1105-1109; DOI:10.1038/nature05757.

Azido-beta-Homoalanine

		Article No.	Quantity	Price
FAA2035 Fmoc-L-Dbu(N₃)-OH (S)-3-(9-Fluorenylmethyloxycarbonyl)amino-4-azido-butanoic acid CAS-NO: 934502-72-4 FORMULA: C ₁₉ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 366,37 g/mole		FAA2035.0001	1 g	€ 200,00
		FAA2035.0005	5 g	€ 750,00
		FAA2035.0025	25 g	€ 3000,00
FAA3650 Fmoc-D-Dbu(N₃)-OH (R)-3-(9-Fluorenylmethyloxycarbonyl)amino-4-azido-butanoic acid FORMULA: C ₁₉ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 366,37 g/mole		FAA3650.0001	1 g	€ 275,00
		FAA3650.0005	5 g	€ 1000,00
ZAA1290 Z-L-Dbu(N₃)-OH (S)-3-(Benzyloxycarbonyl)amino-4-azido-butanoic acid FORMULA: C ₁₂ H ₁₄ N ₄ O ₄ MOLECULAR WEIGHT: 278,26 g/mole		ZAA1290.0001	1 g	€ 350,00
		ZAA1290.0005	5 g	€ 1400,00
ZAA1285 Z-D-Dbu(N₃)-OH (R)-3-(Benzyloxycarbonyl)amino-4-azido-butanoic acid FORMULA: C ₁₂ H ₁₄ N ₄ O ₄ MOLECULAR WEIGHT: 278,26 g/mole		ZAA1285.0001	1 g	€ 300,00
		ZAA1285.0005	5 g	€ 1200,00

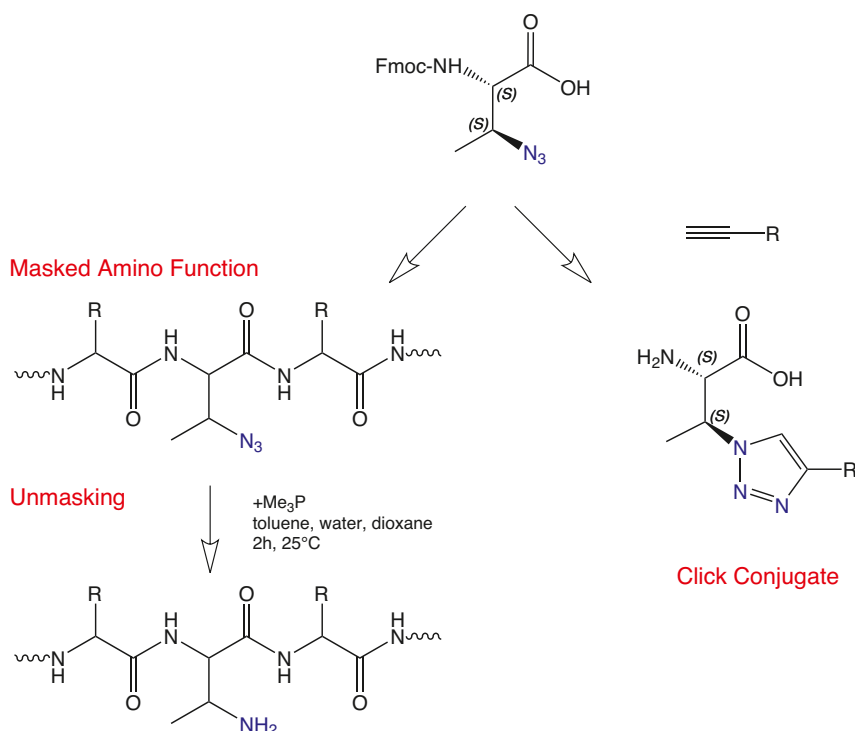
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2-Amino-3-Azido-Butanoic Acid

			Article No.	Quantity	Price
FAA2040 Fmoc-Abu(3-N₃)-OH (2S,3S) (2S,3S)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid CAS-NO: 131669-42-6 FORMULA: C ₁₉ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 366,37 g/mole		FAA2040.0001	1 g	€ 240,00	
		FAA2040.0005	5 g	€ 900,00	
		FAA2040.0025	25 g	€ 3000,00	
FAA3200 Fmoc-Abu(3-N₃)-OH (2S,3R) (2S,3R)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid CAS-NO: 146306-79-8 FORMULA: C ₁₉ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 366,37 g/mole		FAA3200.0250	250 mg	€ 200,00	
		FAA3200.1000	1 g	€ 550,00	
		FAA3200.5000	5 g	€ 2200,00	
FAA2095 Fmoc-Abu(3-N₃)-OH (2R,3R) (2R,3R)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid CAS-NO: 1229394-75-5 FORMULA: C ₁₉ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 366,37 g/mole		FAA2095.0001	1 g	€ 250,00	
		FAA2095.0005	5 g	€ 1000,00	
FAA3540 Fmoc-Abu(3-N₃)-OH (2R,3S) (2R,3S)-2-(9-Fluorenylmethyloxycarbonyl)amino-3-azido-butanoic acid FORMULA: C ₁₉ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 366,37 g/mole		FAA3540.0250	250 mg	€ 350,00	
		FAA3540.1000	1 g	€ 1000,00	
		FAA3540.5000	5 g	€ 4000,00	

Azido-Masked Amino Function

The azido function in 2-amino-3-azidobutanoic acid can be used for different applications:



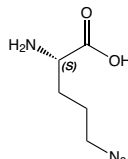
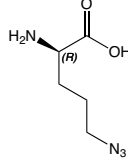
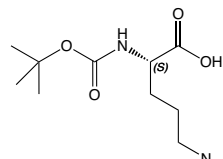
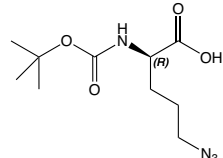
Prices are in EUR, net, exw Germany

1. The azido group can be reduced to an amino function and hereby serve as masked amino group. It is of particular use if additional orthogonalities are needed. Azido is stable towards treatment with piperidine (Fmoc deprotection), Pd(0) (Alloc removal) and acidic treatment (cleavage of Mtt, Trt or other acid sensitive groups). However, as it is a pseudohalogenide, care has to be taken during coupling steps, as HATU will cause high racemization. This can be avoided using collidine or other non-nucleophilic bases instead of DIPEA.
2. Certainly 2-amino-3-azidobutanoic acid can be used for any type of click conjugation with any available alkynyl residue forming Diels-Alder conjugates of peptides or any other organic molecule.
3. Chiral α,β -diamines and diamino acids have become an increasing targeted functional motif in organic synthesis owing to their ubiquity in natural products and medicinal agents. For example, it is found in biotin, penicillins, and antiinfluenza neuraminidase inhibitor Tamiflu. Chiral vicinal diamines and their metal complexes have been employed in stereoselective organic synthesis, in particular, as chiral auxiliaries and ligands in catalytic asymmetric synthesis.

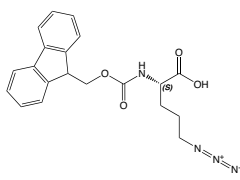
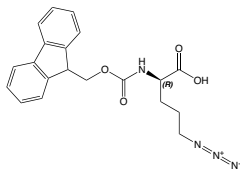
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- ▶ Efficient Synthesis of orthogonally protected anti-2,3-diamino acids; Stefania Capone, Annalisa Guaregna, Giovanni Palumbo, Silvana Pedatella; *Tetrahedron* 2005; **61**: 6575-6579.

Azido-Ornithine

		Article No.	Quantity	Price
HAA1620 H-L-Orn(N₃)-OH*HCl delta-Azido-L-ornithine hydrochloride, delta-Azido-L-norvaline hydrochloride, (S)-2-Amino-5-azidopentanoic acid hydrochloride CAS-NO: 156463-09-1net FORMULA: C ₅ H ₁₀ N ₄ O ₂ *HCl MOLECULAR WEIGHT: 158,16*36,45 g/mole		HAA1620.0001	1 g	€ 225,00
		HAA1620.0005	5 g	€ 900,00
		HAA1620.0025	25 g	€ 3600,00
HAA1895 H-D-Orn(N₃)-OH*HCl N-delta-Azido-D-ornithine, N-delta-Azido-D-norvaline, (R)-2-Amino-5-azidopentanoic acid hydrochloride FORMULA: C ₅ H ₁₀ N ₄ O ₂ *HCl MOLECULAR WEIGHT: 158,16*36,45 g/mole		HAA1895.0001	1 g	€ 250,00
		HAA1895.0005	5 g	€ 1000,00
BAA1830 Boc-L-Orn(N₃)-OH*CHA N-alpha-t-Butyloxycarbonyl-delta-azido-L-ornithine, N-alpha-t-Butyloxycarbonyl-delta-azido-L-norvaline, (S)-2-t-Butyloxycarbonyl-amino-5-azidopentanoic acid CAS-NO: 763139-35-1net FORMULA: C ₁₀ H ₁₈ N ₄ O ₄ *C ₆ H ₁₃ N MOLECULAR WEIGHT: 258,27*99,18 g/mole		BAA1830.0001	1 g	€ 175,00
		BAA1830.0005	5 g	€ 600,00
		BAA1830.0025	25 g	€ 2400,00
BAA1835 Boc-D-Orn(N₃)-OH*CHA N-alpha-t-Butyloxycarbonyl-delta-azido-D-ornithine, N-alpha-t-Butyloxycarbonyl-delta-azido-D-norvaline, (R)-2-t-Butyloxycarbonylamino-5-azidopentanoic acid FORMULA: C ₁₀ H ₁₈ N ₄ O ₄ *C ₆ H ₁₃ N MOLECULAR WEIGHT: 258,27*99,18 g/mole		BAA1835.0001	1 g	€ 225,00
		BAA1835.0005	5 g	€ 900,00
		BAA1835.0025	25 g	€ 3600,00

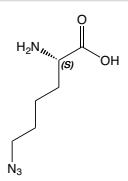
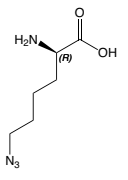
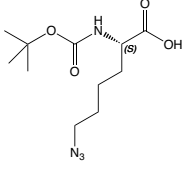
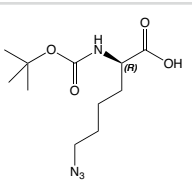
Prices are in EUR, net, exw Germany

		Article No.	Quantity	Price
FAA6880 Fmoc-L-Orn(N₃)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-delta-azido-L-ornithine, N-alpha-(9-Fluorenylmethyloxycarbonyl)-delta-azido-L-norvaline, (S)-2-(9-Fluorenylmethyloxycarbonylamino)-5-azidopentanoic acid CAS-NO: 1097192-04-5 FORMULA: C ₂₀ H ₂₀ N ₄ O ₄ MOLECULAR WEIGHT: 380,4 g/mole		FAA6880.0001	1 g	€ 160,00
		FAA6880.0005	5 g	€ 600,00
		FAA6880.0025	25 g	€ 2400,00
FAA6890 Fmoc-D-Orn(N₃)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-delta-azido-D-ornithine, N-alpha-(9-Fluorenylmethyloxycarbonyl)-delta-azido-D-norvaline, (R)-2-(9-Fluorenylmethyloxycarbonylamino)-5-azidopentanoic acid CAS-NO: 1176270-25-9 FORMULA: C ₂₀ H ₂₀ N ₄ O ₄ MOLECULAR WEIGHT: 380,4 g/mole		FAA6890.0001	1 g	€ 225,00
		FAA6890.0005	5 g	€ 750,00
		FAA6890.0025	25 g	€ 3000,00

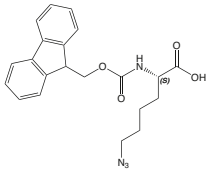
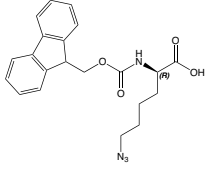
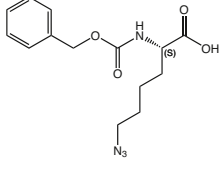
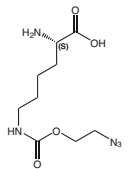
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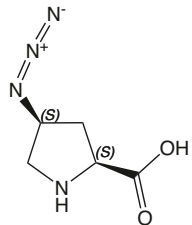
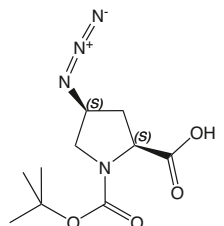
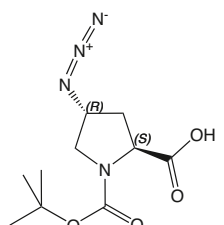
Azido-Lysine

HAA1625 H-L-Lys(N₃)-OH*HCl N-epsilon-Azido-L-lysine, N-epsilon-Azido-L-norleucine, (S)-2-Amino-6-azidohexanoic acid hydrochloride CAS-NO: 159610-92-1net FORMULA: C ₆ H ₁₂ N ₄ O ₂ *HCl MOLECULAR WEIGHT: 172,19*36,45 g/mole		HAA1625.0001	1 g	€ 190,00
		HAA1625.0005	5 g	€ 750,00
HAA1890 H-D-Lys(N₃)-OH*HCl N-epsilon-Azido-D-lysine, N-epsilon-Azido-D-norleucine, (R)-2-Amino-6-azidohexanoic acid hydrochloride CAS-NO: 1159610-92-1, net FORMULA: C ₆ H ₁₂ N ₄ O ₂ *HCl MOLECULAR WEIGHT: 172,19*36,45 g/mole		HAA1890.0001	1 g	€ 250,00
		HAA1890.0005	5 g	€ 1000,00
BAA1810 Boc-L-Lys(N₃)-OH*CHA N-alpha-t-Butyloxycarbonyl-epsilon-azido-L-lysine, N-alpha-t-Butyloxycarbonyl-epsilon-azido-L-norleucine, (S)-2-t-Butyloxycarbonylamino-6-azidohexanoic acid cyclohexylamine CAS-NO: 846549-33-5net FORMULA: C ₁₁ H ₂₀ N ₄ O ₄ *C ₆ H ₁₁ N MOLECULAR WEIGHT: 272,30*99,18 g/mole		BAA1810.0001	1 g	€ 110,00
		BAA1810.0005	5 g	€ 450,00
		BAA1810.0025	25 g	€ 1800,00
BAA1815 Boc-D-Lys(N₃)-OH*CHA N-alpha-t-Butyloxycarbonyl-epsilon-azido-D-lysine, N-alpha-t-Butyloxycarbonyl-epsilon-azido-D-norleucine, (R)-2-t-Butyloxycarbonylamino-6-azidohexanoic acid cyclohexylamine FORMULA: C ₁₁ H ₂₀ N ₄ O ₄ *C ₆ H ₁₁ N MOLECULAR WEIGHT: 272,30*99,18 g/mole		BAA1815.0001	1 g	€ 225,00
		BAA1815.0005	5 g	€ 800,00
		BAA1815.0025	25 g	€ 3200,00

Prices are in EUR, net, exw Germany

		Article No.	Quantity	Price
FAA1793 Fmoc-L-Lys(N₃)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-epsilon-azido-L-lysine, N-alpha-(9-Fluorenylmethyloxycarbonyl)-epsilon-azido-L-norleucine, (S)-2-(9-Fluorenylmethyloxycarbonylamino)-6-azidohexanoic acid CAS-NO: 159610-89-6 FORMULA: C ₂₁ H ₂₂ N ₄ O ₄ MOLECULAR WEIGHT: 394,42 g/mole		FAA1793.0001	1 g	€ 145,00
		FAA1793.0005	5 g	€ 550,00
		FAA1793.0025	25 g	€ 2200,00
FAA1835 Fmoc-D-Lys(N₃)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-epsilon-azido-D-lysine, N-alpha-(9-Fluorenylmethyloxycarbonyl)-epsilon-azido-D-norleucine, (R)-2-(9-Fluorenylmethyloxycarbonylamino)-6-azidohexanoic acid CAS-NO: 1198791-53-5 FORMULA: C ₂₁ H ₂₂ N ₄ O ₄ MOLECULAR WEIGHT: 394,42 g/mole		FAA1835.0001	1 g	€ 200,00
		FAA1835.0005	5 g	€ 700,00
		FAA1835.0025	25 g	€ 2800,00
ZAA1295 Z-L-Lys(N₃)-OH N-alpha-Benzoyloxycarbonyl-epsilon-azido-L-lysine FORMULA: C ₁₄ H ₁₈ N ₄ O ₄ *C ₆ H ₅ N MOLECULAR WEIGHT: 306,32*99,18 g/mole		ZAA1295.0001	1 g	€ 125,00
		ZAA1295.0005	5 g	€ 350,00
		ZAA1295.0025	25 g	€ 1400,00
HAA2080 H-L-Lys(EO-N₃)-OH (S)-2-amino-6-((2-azidoethoxy)carbonylamino)hexanoic acid FORMULA: C ₇ H ₁₇ N ₅ O ₄ MOLECULAR WEIGHT: 259,26 g/mole		HAA2080.0001	1 g	€ 525,00
		HAA2080.0005	5 g	€ 725,00
		HAA2080.0025	25 g	€ 1025,00

Azido-Proline

HAA2125 H-L-Pro(4-N₃)-OH*HCl (2S,4S) (2S,4S)-4-Azido-2-carboxylic acid hydrochloride CAS-NO: 892128-58-4 FORMULA: C ₅ H ₈ N ₄ O ₂ *HCl MOLECULAR WEIGHT: 156,14*36,45 g/mole		HAA2125.0001	1 g	€ 250,00
		HAA2125.0005	5 g	€ 900,00
BAA1905 Boc-L-Pro(4-N₃)-OH (2S,4S) cis-N-alpha-(t-Butyloxycarbonyl)-4-azido-L-proline CAS-NO: 132622-65-2 FORMULA: C ₁₀ H ₁₆ N ₄ O ₄ MOLECULAR WEIGHT: 256,26 g/mole		BAA1905.0001	1 g	€ 200,00
		BAA1905.0005	5 g	€ 700,00
		BAA1905.0025	25 g	€ 2800,00
BAA1930 Boc-L-Pro(4-N₃)-OH*DCHA (2S,4R) trans-N-alpha-(t-Butyloxycarbonyl)-4-azido-L-proline dicyclohexyl-amine CAS-NO: 132622-68-5 net FORMULA: C ₁₀ H ₁₆ N ₄ O ₄ *C ₁₂ H ₂₃ N MOLECULAR WEIGHT: 256,26*181,32 g/mole		BAA1930.0001	1 g	€ 225,00
		BAA1930.0005	5 g	€ 800,00
		BAA1930.0025	25 g	€ 3200,00

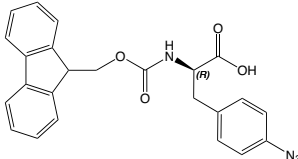
Prices are in EUR, net, exw Germany

		Article No.	Quantity	Price
FAA2050 Fmoc-L-Pro(4-N₃)-OH (2S,4S) cis-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-proline CAS-NO: 263847-08-1 FORMULA: C ₂₀ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 378,38 g/mole		FAA2050.0001	1 g	€ 250,00
		FAA2050.0005	5 g	€ 900,00
FAA3000 Fmoc-L-Pro(4-N₃)-OH (2S,4R) trans-N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-proline CAS-NO: 702679-55-8 FORMULA: C ₂₀ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 378,38 g/mole		FAA3000.0001	1 g	€ 300,00
		FAA3000.0005	5 g	€ 1200,00

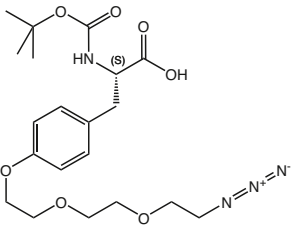
Azido-Phenylalanine

HAA1850 H-L-Phe(4-N₃)-OH 4-Azido-L-phenylalanine CAS-NO: 33173-53-4 FORMULA: C ₉ H ₁₀ N ₄ O ₂ MOLECULAR WEIGHT: 206,20 g/mole		HAA1850.0001	1 g	€ 300,00
		HAA1850.0005	5 g	€ 1200,00
HAA1855 H-D-Phe(4-N₃)-OH 4-Azido-D-phenylalanine FORMULA: C ₉ H ₁₀ N ₄ O ₂ MOLECULAR WEIGHT: 206,20 g/mole		HAA1855.0001	1 g	€ 425,00
		HAA1855.0005	5 g	€ 1600,00
BAA1850 Boc-L-Phe(4-N₃)-OH N-alpha-t-Butyloxycarbonyl-4-azido-L-phenylalanine CAS-NO: 33173-55-6 FORMULA: C ₁₄ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 306,32 g/mole		BAA1850.0001	1 g	€ 275,00
		BAA1850.0005	5 g	€ 950,00
BAA1855 Boc-D-Phe(4-N₃)-OH N-alpha-t-Butyloxycarbonyl-4-azido-D-phenylalanine CAS-NO: 214630-05-4 FORMULA: C ₁₄ H ₁₈ N ₄ O ₄ MOLECULAR WEIGHT: 306,32 g/mole		BAA1855.0001	1 g	€ 375,00
		BAA1855.0005	5 g	€ 1300,00
FAA1905 Fmoc-L-Phe(4-N₃)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-L-phenylalanine CAS-NO: 163217-43-4 FORMULA: C ₂₄ H ₂₀ N ₄ O ₄ MOLECULAR WEIGHT: 428,44 g/mole		FAA1905.0001	1 g	€ 300,00
		FAA1905.0005	5 g	€ 975,00

Prices are in EUR, net, exw Germany

		Article No.	Quantity	Price	
FAA1910	Fmoc-D-Phe(4-N₃)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-4-azido-D-phenylalanine FORMULA: C ₂₄ H ₂₀ N ₄ O ₄ MOLECULAR WEIGHT: 428,44 g/mole		FAA1910.0001	1 g	€ 400,00
			FAA1910.0005	5 g	€ 1400,00

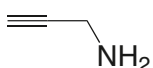
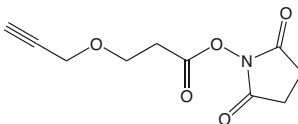
Azido-Tyrosine

BAA2235	Boc-L-Tyr(PEG(3)-N₃)-OH*DCHA N-alpha-t-Butyloxycarbonyl-O-(2-(2-(2-azidoethoxy)ethoxy)ethyl)-L-tyrosine dicyclohexylamine FORMULA: C ₂₀ H ₃₀ N ₄ O ₇ *C ₁₂ H ₂₃ N MOLECULAR WEIGHT: 438,47*181,32 g/mole		BAA2235.0001	1 g	€ 250,00
			BAA2235.0005	5 g	€ 1000,00

Literature using different Fmoc-Aaa(N₃)-OH for peptide synthesis:

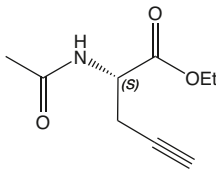
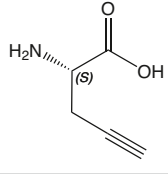
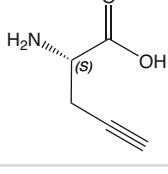
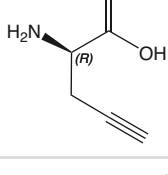
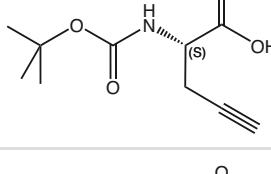
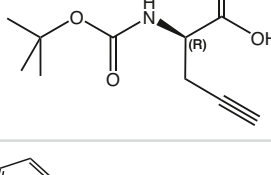
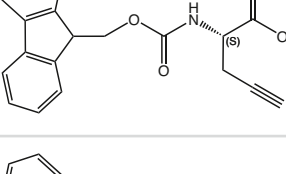
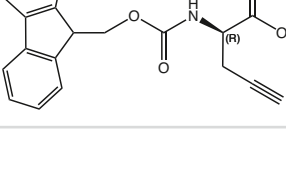
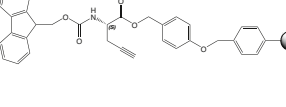
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- ▶ Azide reduction during peptide cleavage from solid-support - the choice of thio scavenger? P.E. Schneggenburger, B. Worbs, U. Diedrichsen; *J. Pept. Sci.* 2010; **16(1)**: 10-14.
- ▶ Patent Publ. No. WO/2005/116643; Identification of compounds modifying a cellular response; Morton Meldal *et al.* (2005).
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- ▶ An efficient peptide ligation using azido-protected peptides via the thioester method; Hidekazu Katayama, Hironobu Hojo, Tsuyoshi Ohira, Yoshiaki Nakahara; *Tetrahedron Letters* 2008; **49(38)**: 5492-5494.

d) Alkyne Amino Acids and Related Derivatives

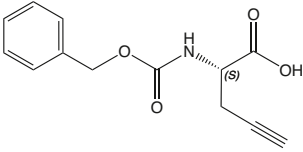
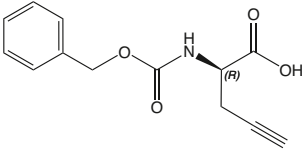
		Article No.	Quantity	Price	
PEG2755	Propargyl amine Propargyl amine CAS-NO: 2450-71-7 FORMULA: C ₃ H ₃ N MOLECULAR WEIGHT: 55,08 g/mole		PEG2755.0005	5 g	€ 175,00
			PEG2755.0025	25 g	€ 350,00
PEG1935	Propargyl-NHS 3-(Prop-2-ynylloxy)propanoic acid succinimidyl ester CAS-NO: 1174157-65-3 FORMULA: C ₁₀ H ₁₁ NO ₅ MOLECULAR WEIGHT: 225,2 g/mole		PEG1935.0100	100 mg	€ 150,00
			PEG1935.0001	1 g	€ 490,00

Prices are in EUR, net, exw Germany

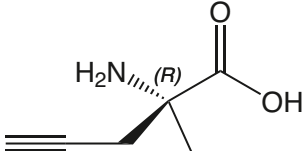
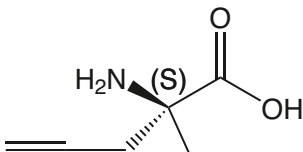
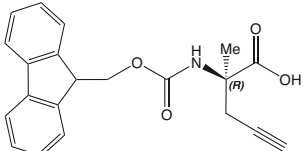
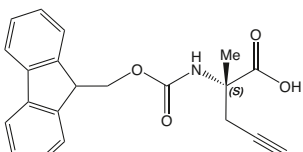
Propargylglycine

<p>AAA1937 Ac-L-Pra-OEt</p> <p>N-alpha-Acetyl-L-propargylglycine ethyl ester</p> <p>FORMULA: $C_9H_{13}NO_3$</p> <p>MOLECULAR WEIGHT: 183,2 g/mole</p>		<p>AAA1937.0001 1 g € 240,00</p> <p>AAA1937.0005 5 g € 675,00</p>
<p>HAA7151 H-L-Pra-OH</p> <p>L-Propargylglycine</p> <p>CAS-NO: 23235-01-0</p> <p>FORMULA: $C_5H_7NO_2$</p> <p>MOLECULAR WEIGHT: 113,11 g/mole</p>		<p>HAA7151.0001 1 g € 250,00</p> <p>HAA7151.0005 5 g € 800,00</p>
<p>HAA7150 H-L-Pra-OH*HCl</p> <p>L-Propargylglycine hydrochloride</p> <p>CAS-NO: 23235-01-Onet</p> <p>FORMULA: $C_5H_7NO_2 \cdot HCl$</p> <p>MOLECULAR WEIGHT: 113,11*36,45 g/mole</p>		<p>HAA7150.0001 1 g € 225,00</p> <p>HAA7150.0005 5 g € 800,00</p>
<p>HAA6490 H-D-Pra-OH*HCl</p> <p>D-Propargylglycine hydrochloride</p> <p>CAS-NO: 23235-03-2</p> <p>FORMULA: $C_5H_8NO_2 \cdot HCl$</p> <p>MOLECULAR WEIGHT: 113,11*36,45 g/mole</p>		<p>HAA6490.0001 1 g € 375,00</p> <p>HAA6490.0005 5 g € 900,00</p>
<p>BAA1434 Boc-L-Pra-OH*DCHA</p> <p>N-alpha-(t-Butyloxycarbonyl)-L-propargylglycine dicyclohexylamine</p> <p>CAS-NO: 63039-49-6</p> <p>FORMULA: $C_{10}H_{15}NO_4 \cdot C_{12}H_{23}N$</p> <p>MOLECULAR WEIGHT: 213,23*181,32 g/mole</p>		<p>BAA1434.0001 1 g € 175,00</p> <p>BAA1434.0005 5 g € 550,00</p>
<p>BAA1377 Boc-D-Pra-OH*DCHA</p> <p>N-alpha-t-Butyloxycarbonyl-D-propargylglycine dicyclohexylamine</p> <p>CAS-NO: 63039-47-4</p> <p>FORMULA: $C_{10}H_{15}NO_4 \cdot C_{12}H_{23}N$</p> <p>MOLECULAR WEIGHT: 213,23*181,32 g/mole</p>		<p>BAA1377.0001 1 g € 175,00</p> <p>BAA1377.0005 5 g € 550,00</p>
<p>FAA1589 Fmoc-L-Pra-OH</p> <p>N-alpha-(9-Fluorenylmethyloxycarbonyl)-L-propargylglycine, (S)-2-(Fmoc-amino)-4-pentynoic acid</p> <p>CAS-NO: 198561-07-8</p> <p>FORMULA: $C_{20}H_{17}NO_4$</p> <p>MOLECULAR WEIGHT: 335,35 g/mole</p>		<p>FAA1589.0001 1 g € 200,00</p> <p>FAA1589.0005 5 g € 750,00</p>
<p>FAA1690 Fmoc-D-Pra-OH</p> <p>N-alpha-(9-Fluorenylmethyloxycarbonyl)-D-propargylglycine</p> <p>CAS-NO: 220497-98-3</p> <p>FORMULA: $C_{20}H_{17}NO_4$</p> <p>MOLECULAR WEIGHT: 335,36 g/mole</p>		<p>FAA1690.0001 1 g € 200,00</p> <p>FAA1690.0005 5 g € 750,00</p>
<p>WAA6025 Fmoc-L-Pra-Wang Resin</p> <p>Fmoc-L-Propargylglycine-Wang Resin (100-200 mesh, 1% DVB)</p>		<p>WAA6025.0001 1 g € 175,00</p> <p>WAA6025.0005 5 g € 600,00</p>

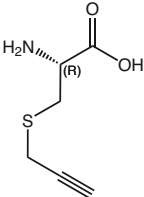
Prices are in EUR, net, exw Germany

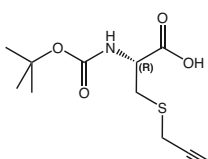
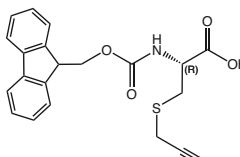
		Article No.	Quantity	Price
ZAA1240 Z-L-Pra-OH N-alpha-Benzoyloxycarbonyl-L-propargylglycine FORMULA: $C_{13}H_{13}NO_4$ MOLECULAR WEIGHT: 246,96 g/mole		ZAA1240.0001	1 g	€ 250,00
		ZAA1240.0005	5 g	€ 750,00
ZAA1210 Z-D-Pra-OH*DCHA N-alpha-Benzoyloxycarbonyl-D-propargylglycine dicyclohexylamine FORMULA: $C_{13}H_{13}NO_4 \cdot C_{12}H_{23}N$ MOLECULAR WEIGHT: 246,96*181,32 g/mole		ZAA1210.0001	1 g	€ 220,00
		ZAA1210.0005	5 g	€ 650,00

Propargylalanine

HAA5500 H-alpha-Prg-L-Ala-OH (R)-a-Propargylalanine, (R)-2-Amino-2-methyl-4-pentynoic acid (>98%, >98%ee) CAS-NO: 403519-98-2 FORMULA: $C_6H_9NO_2$ MOLECULAR WEIGHT: 127,14 g/mole		HAA5500.0001	1 g	€ 750,00
		HAA5500.0005	5 g	€ 2800,00
HAA5510 H-alpha-Prg-D-Ala-OH (S)-a-Propargylalanine, (S)-2-Amino-2-methyl-4-pentynoic acid (>98%, >98%ee) CAS-NO: 1231709-27-5 FORMULA: $C_6H_9NO_2$ MOLECULAR WEIGHT: 127,14 g/mole		HAA5510.0001	1 g	€ 750,00
		HAA5510.0005	5 g	€ 2800,00
FAA2070 Fmoc-alpha-Prg-L-Ala-OH N-alpha-(9-Fluorenylmethoxycarbonyl)-alpha-propargyl-L-alanine, solvate with 20 to 50% MTBE (98%, 98%ee) CAS-NO: 1198791-65-9 FORMULA: $C_{21}H_{19}NO_4$ MOLECULAR WEIGHT: 349,38 g/mole		FAA2070.0001	1 g	€ 750,00
		FAA2070.0005	5 g	€ 2750,00
FAA2080 Fmoc-alpha-Prg-D-Ala-OH (S)-2-[(9-Fluorenylmethoxycarbonyl)amino]-2-methyl-4-pentynoic acid, solvate with 20 to 50% MTBE (98%, 98%ee) CAS-NO: 1198791-58-0 FORMULA: $C_{21}H_{19}NO_4$ MOLECULAR WEIGHT: 349,38 g/mole		FAA2080.0001	1 g	€ 750,00
		FAA2080.0005	5 g	€ 2750,00

Propargylcysteine

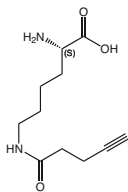
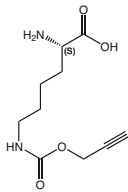
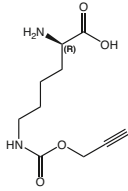
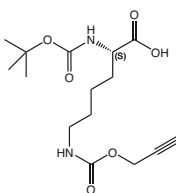
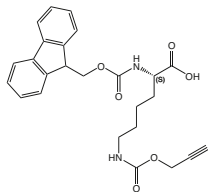
HAA2350 H-L-Cys(Propargyl)-OH*HCl S-Propargyl-L-cysteine hydrochloride FORMULA: $C_6H_9NO_2S \cdot HCl$ MOLECULAR WEIGHT: 159,21*36,45 g/mole		HAA2350.0001	1 g	€ 235,00
		HAA2350.0005	5 g	€ 800,00

		Article No.	Quantity	Price
BAA2250 Boc-L-Cys(Propargyl)-OH*DCHA N-alpha-t-Butyloxycarbonyl-S-propargyl-L-cysteine dicyclohexylamine FORMULA: C ₁₁ H ₁₇ NO ₄ S*C ₁₂ H ₂₃ N MOLECULAR WEIGHT: 259,32*181,32 g/mole		BAA2250.0001	1 g	€ 145,00
		BAA2250.0005	5 g	€ 500,00
		BAA2250.0025	25 g	€ 2000,00
FAA3810 Fmoc-L-Cys(Propargyl)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-S-propargyl-L-cysteine FORMULA: C ₂₁ H ₁₉ NO ₄ S MOLECULAR WEIGHT: 381,44 g/mole		FAA3810.0001	1 g	€ 145,00
		FAA3810.0005	5 g	€ 475,00
		FAA3810.0025	25 g	€ 1900,00

Applications using Boc-L-Cys(propargyl)-OH have been published in:

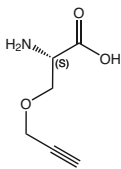
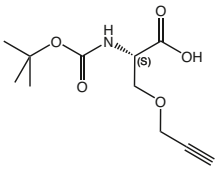
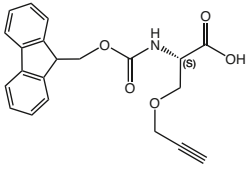
- ▶ Photoinduced Addition of Glycosyl Thiols to Alkynyl Peptides: Use of Free-Radical Thiol-Yne Coupling for Post-Translational Double-Glycosylation of Peptides; Mauro Lo Conte, Salvatore Pacifico, Angela Chambery, Alberto Marra and Alessandro Dondoni, *J. Org. Chem.* 2010; **75**: 4644.
- ▶ Neoglycopeptides through direct functionalization of cysteine; Christine Vala, Françoise Chrétien, Eva Balentova, Sandrine Lamandé-Langle and Yves Chapleur; *Tetrahedron Lett.* 2011; **52(1)**: 17-21

Propargyllysine

HAA2085 H-L-Lys(Pentynoyl)-OH (S)-2-Amino-6-(pent-4-ynamido)hexanoic acid FORMULA: C ₁₁ H ₁₈ N ₂ O ₃ MOLECULAR WEIGHT: 226,27 g/mole		HAA2085.0001	1 g	€ 425,00
		HAA2085.0005	5 g	€ 775,00
		HAA2085.0025	25 g	€ 1475,00
HAA2090 H-L-Lys(Pryoc)-OH*HCl (S)-Amino-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid hydrochloride FORMULA: C ₁₀ H ₁₆ N ₂ O ₄ *HCl MOLECULAR WEIGHT: 228,25*36,45 g/mole		HAA2090.0001	1 g	€ 400,00
		HAA2090.0005	5 g	€ 600,00
		HAA2090.0025	25 g	€ 1000,00
HAA2095 H-D-Lys(Pryoc)-OH*HCl (R)-Amino-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid hydrochloride FORMULA: C ₁₀ H ₁₆ N ₂ O ₄ *HCl MOLECULAR WEIGHT: 228,25*36,45 g/mole		HAA2095.0500	500 mg	€ 275,00
		HAA2095.0001	1 g	€ 400,00
		HAA2095.0005	5 g	€ 600,00
		HAA2095.0025	25 g	€ 1100,00
BAA1960 Boc-L-Lys(Pryoc)-OH (S)-2-(t-Butyloxycarbonylamino)-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid FORMULA: C ₁₅ H ₂₄ N ₂ O ₆ MOLECULAR WEIGHT: 328,36 g/mole		BAA1960.0001	1 g	€ 425,00
		BAA1960.0005	5 g	€ 675,00
		BAA1960.0025	25 g	€ 1025,00
FAA3150 Fmoc-L-Lys(Pryoc)-OH (S)-2-((9-Fluorenylmethyloxy)amino)-6-((prop-2-ynyloxy)carbonylamino)hexanoic acid FORMULA: C ₂₅ H ₂₆ N ₂ O ₆ MOLECULAR WEIGHT: 450,48 g/mole		FAA3150.0001	1 g	€ 425,00
		FAA3150.0005	5 g	€ 750,00
		FAA3150.0025	25 g	€ 1200,00

Prices are in EUR, net, exw Germany

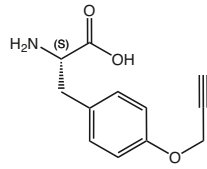
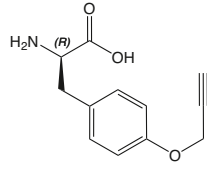
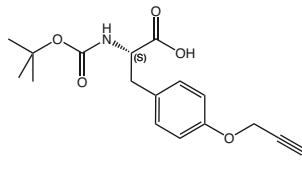
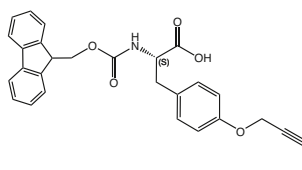
Propargylserine

		Article No.	Quantity	Price
HAA2355 H-L-Ser(Propargyl)-OH*HCl O-Propargyl-L-serine hydrochloride FORMULA: $C_6H_9NO_3 \cdot HCl$ MOLECULAR WEIGHT: 143,14*36,45 g/mole		HAA2355.0001	1 g	€ 200,00
		HAA2355.0005	5 g	€ 700,00
BAA2260 Boc-L-Ser(Propargyl)-OH*DCHA N-alpha-t-Butyloxycarbonyl-O-propargyl-L-serine dicyclohexylamine FORMULA: $C_{11}H_{17}NO_5 \cdot C_{12}H_{23}N$ MOLECULAR WEIGHT: 243,26*181,32 g/mole		BAA2260.0001	1 g	€ 200,00
		BAA2260.0005	5 g	€ 700,00
		BAA2260.0025	25 g	€ 2700,00
FAA3820 Fmoc-L-Ser(Propargyl)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-O-propargyl-L-serine FORMULA: $C_{21}H_{19}NO_5$ MOLECULAR WEIGHT: 365,38 g/mole		FAA3820.0001	1 g	€ 200,00
		FAA3820.0005	5 g	€ 700,00
		FAA3820.0025	25 g	€ 2700,00

Applications using Boc-L-Ser(propargyl)-OH have been published in:

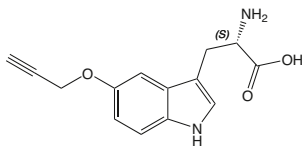
- ▶ Isoxazolyl-Serine-Based Agonists of Peroxisome Proliferator-Activated Receptor: Design, Synthesis, and Effects on Cardiomyocyte Differentiation; Zhi-Liang Wei *et al.*; *J. Am. Chem. Soc.* 2004; **126**: 16714.
- ▶ Lacosamide Isothiocyanate-based Agents: Novel Agents to Target and Identify Lacosamide Receptors; Ki Duk Park *et al.*; *J. Med. Chem.* 2009; **52**: 6897.

Propargyltyrosine

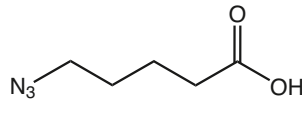
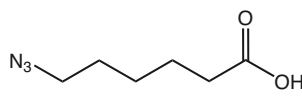
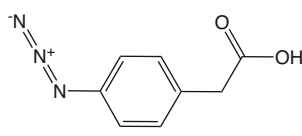
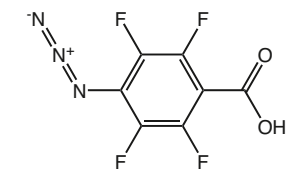
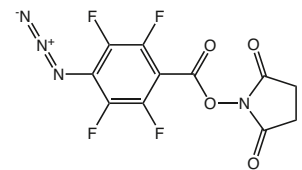
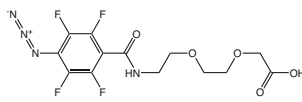
		Article No.	Quantity	Price
HAA1971 H-L-Tyr(Propargyl)-OH*HCl O-Propargyl-L-tyrosine hydrochloride CAS-NO: 610794-20-2 net FORMULA: $C_{12}H_{13}NO_3 \cdot HCl$ MOLECULAR WEIGHT: 219,24*36,45 g/mole		HAA1971.0001	1 g	€ 250,00
		HAA1971.0005	5 g	€ 900,00
HAA2020 H-D-Tyr(Propargyl)-OH O-Propargyl-D-tyrosine CAS-NO: 1170674-20-0 FORMULA: $C_{12}H_{13}NO_3$ MOLECULAR WEIGHT: 219,24 g/mole		please inquire!		
BAA2265 Boc-L-Tyr(Propargyl)-OH*DCHA N-alpha-t-Butyloxycarbonyl-O-propargyl-L-tyrosine dicyclohexylamine FORMULA: $C_{17}H_{21}NO_5$ MOLECULAR WEIGHT: 319,35 g/mole		BAA2265.0001	1 g	€ 145,00
		BAA2265.0005	5 g	€ 500,00
		BAA2265.0025	25 g	€ 2000,00
FAA3830 Fmoc-L-Tyr(Propargyl)-OH N-alpha-(9-Fluorenylmethyloxycarbonyl)-O-propargyl-L-tyrosine FORMULA: $C_{27}H_{23}NO_5$ MOLECULAR WEIGHT: 441,48 g/mole		FAA3830.0001	1 g	€ 225,00
		FAA3830.0005	5 g	€ 850,00
		FAA3830.0025	25 g	€ 3400,00

Prices are in EUR, net, exw Germany

Propargyltryptophane

		Article No.	Quantity	Price
HAA2010	H-L-Trp(5-OPropargyl)-OH			please inquire!
5-Propargyloxy-L-tryptophane FORMULA: C ₁₄ H ₁₄ N ₂ O ₃ MOLECULAR WEIGHT: 258,27 g/mole				

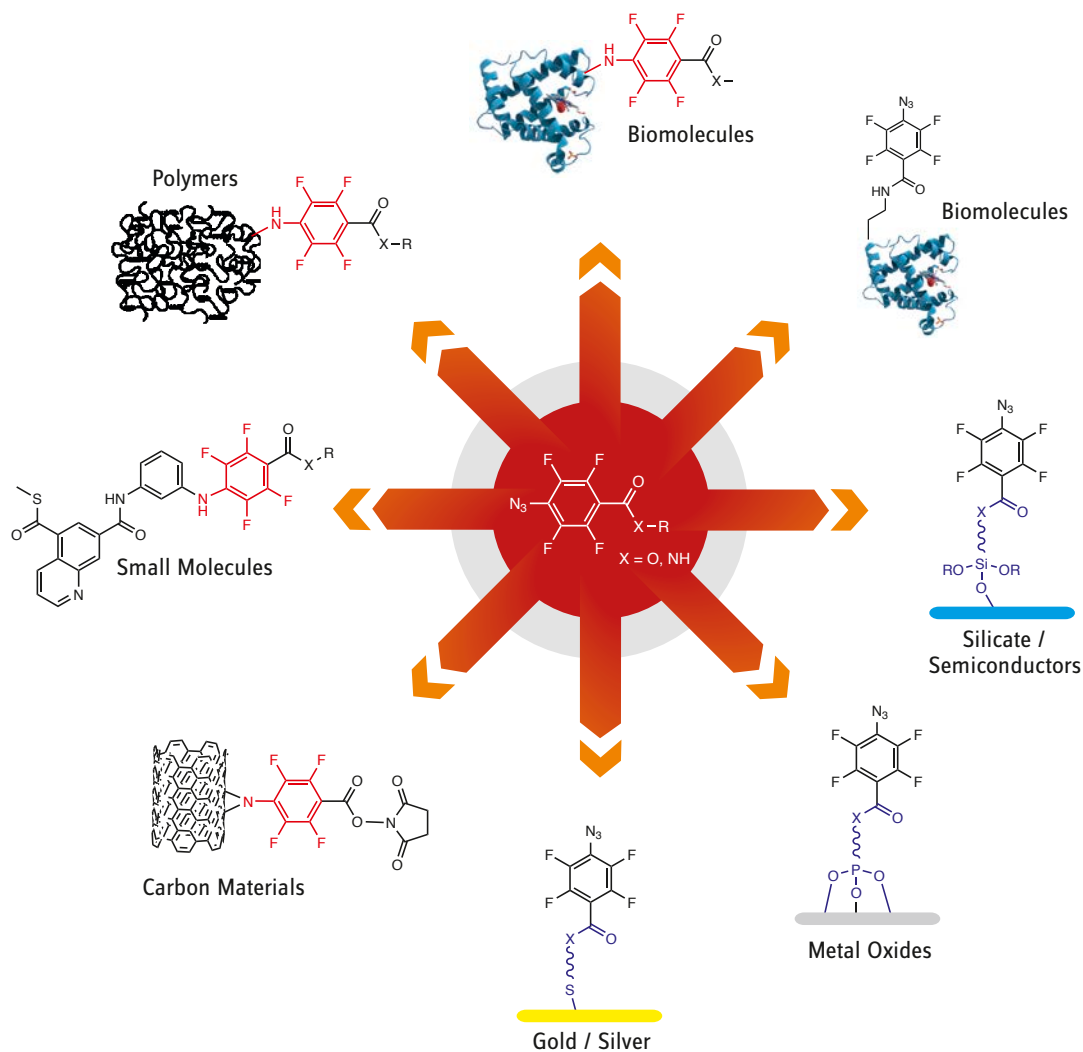
e) Azido & Alkyne Acids for Click Chemistry

AAA1970	N₃-Pen-OH				
5-Azido-pentanoic acid CAS-NO: 79583-98-5 FORMULA: C ₅ H ₉ N ₃ O ₂ MOLECULAR WEIGHT: 143,14 g/mole					
		AAA1970.0001	1 g	€	120,00
		AAA1970.0005	5 g	€	450,00
AAA1960	N₃-Hx-OH				
6-Azido-hexanoic acid CAS-NO: 79598-53-1 FORMULA: C ₆ H ₁₁ N ₃ O ₂ MOLECULAR WEIGHT: 157,17 g/mole					
		AAA1960.0001	1 g	€	120,00
		AAA1960.0005	5 g	€	450,00
HAA2245	N₃-PhAc-OH				
(4-Azidophenyl)acetic acid CAS-NO: 62893-37-2 FORMULA: C ₈ H ₇ N ₃ O ₂ MOLECULAR WEIGHT: 177,16 g/mole					
		HAA2245.0001	1 g	€	125,00
		HAA2245.0005	5 g	€	450,00
		HAA2245.0025	25 g	€	1800,00
RL-2035	N₃-TFBA				
4-Azido-2,3,5,6-tetrafluorobenzoic acid CAS-NO: 122590-77-6 FORMULA: C ₇ HF ₄ N ₃ O ₂ MOLECULAR WEIGHT: 235,1 g/mole					
		RL-2035.0001	1 g	€	250,00
		RL-2035.0005	5 g	€	1000,00
RL-2045	N₃-TFBA-NHS				
N-Succinimidyl 4-azido-2,3,5,6-tetrafluorobenzoate CAS-NO: 126695-58-7 FORMULA: C ₁₁ H ₄ F ₄ N ₄ O ₄ MOLECULAR WEIGHT: 332,17 g/mole					
		RL-2045.0500	500 mg	€	250,00
		RL-2045.1000	1 g	€	400,00
		RL-2045.5000	5 g	€	1600,00
PEG5000	N₃-TFBA-O2Oc				
{2-[2-(4-Azido-2,3,5,6-tetrafluorobenzoyl-amino)ethoxy]ethoxy}acetic acid FORMULA: C ₁₃ H ₁₂ F ₄ O ₅ MOLECULAR WEIGHT: 380,25 g/mole					
		PEG5000.0250	250 mg	€	225,00
		PEG5000.1000	1 g	€	500,00
		PEG5000.5000	5 g	€	2000,00

Prices are in EUR, net, exw Germany

New Photo Cross-Linker

New Photolabeling and Cross-Linking Methods with Perfluorophenyl Azides

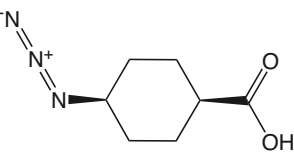
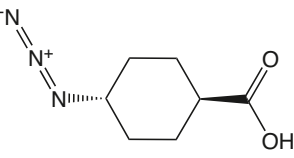
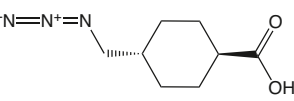
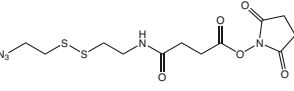
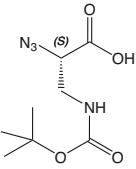
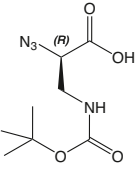
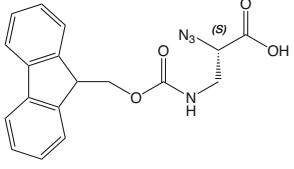
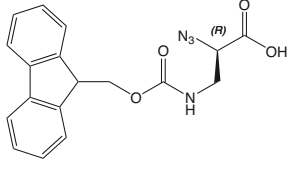
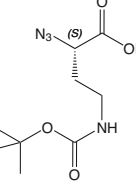


Aryl azides are well-known precursors of nitrenes and have been introduced by Fleet *et al.* as versatile photoaffinity labeling agents to probe biological receptors. Upon photolysis, N_2 is liberated and a highly unstable singlet Phenylnitrene is being formed in situ, which reacts with neighboring molecules in a variety of reactions. Perfluorophenyl azides, however, form highly stabilized nitrene intermediates that undergo insertion and addition reactions in moderate to good yields rather than intermolecular rearrangements. This type of compounds has been used as photo cross-linker ($\lambda_{max} = 258\text{nm}$) in estrogen receptor studies and for direct surface coating of carbon and organic based polymers.

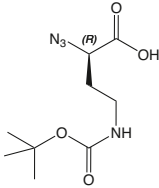
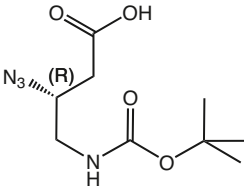
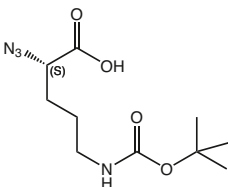
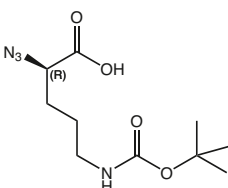
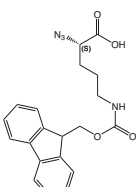
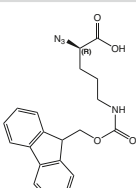
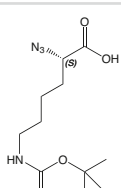
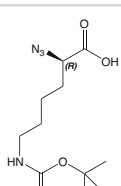
The field of applications is rather wide, as these compounds can be used for conventional click chemistry applications and also as photo activated linkers for conjugation of small compounds and large biomolecules, as well as for surface functionalization and nanomaterial synthesis.

References:

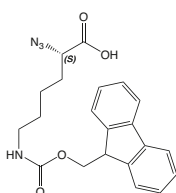
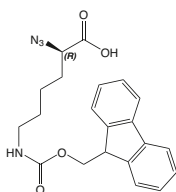
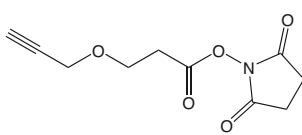
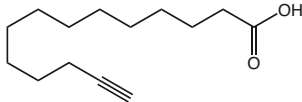
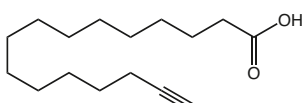
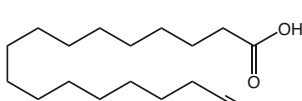
- ▶ Affinity of Antibodies with Aryl Nitrenes as Reactive Group; G.W.J. Fleet, R.R. Porter, J.R. Knowles; *Nature* 1969; **224**: 511-512.
- ▶ Perfluorophenyl Azides: New Applications in Surface Functionalization and Nanomaterial Synthesis; Li-Hong Liu, Mingdi Yan; *Accounts of Chemical Research* 2011; **43(11)**: 1434-1443. DOI 10.1021/ar100066t.

		Article No.	Quantity	Price
HAA2230 N₃-1,4-cis-CHC-OH cis-4-Azidocyclohexanecarboxylic acid CAS-NO: 863222-21-3 FORMULA: C ₇ H ₁₁ N ₃ O MOLECULAR WEIGHT: 169,18 g/mole		HAA2230.0001	1 g	€ 125,00
		HAA2230.0005	5 g	€ 450,00
		HAA2230.0025	25 g	€ 1800,00
HAA2235 N₃-1,4-trans-CHC-OH trans-4-Azidocyclohexanecarboxylic acid FORMULA: C ₇ H ₁₁ N ₃ O ₂ MOLECULAR WEIGHT: 169,18 g/mole		HAA2235.0001	1 g	€ 125,00
		HAA2235.0005	5 g	€ 450,00
		HAA2235.0025	25 g	€ 1800,00
HAA2240 N₃-trans-MCHC-OH trans-4-(Azidomethyl)cyclohexanecarboxylic acid FORMULA: C ₈ H ₁₃ N ₃ O ₂ MOLECULAR WEIGHT: 183,21 g/mole		HAA2240.0001	1 g	€ 110,00
		HAA2240.0005	5 g	€ 375,00
		HAA2240.0025	25 g	€ 1500,00
HAA2255 N₃-Cystamine-Suc-OSu 4-((2-(2-Azidoethyl)disulfanyl)ethylamino)-4-oxobutanoic acid succinimidyl ester FORMULA: C ₁₂ H ₁₇ N ₅ O ₅ S ₂ MOLECULAR WEIGHT: 375,42 g/mole		HAA2255.0100	100 mg	€ 200,00
		HAA2255.0250	250 mg	€ 400,00
		HAA2255.0500	500 mg	€ 750,00
		HAA2255.1000	1 g	€ 1200,00
HAA2130 N₃-L-Dap(Boc)-OH (S)-2-Azido-3-((t-butylloxycarbonyl)amino)propanoic acid FORMULA: C ₈ H ₁₄ N ₄ O ₄ MOLECULAR WEIGHT: 230,22 g/mole		HAA2130.0001	1 g	€ 175,00
		HAA2130.0005	5 g	€ 600,00
		HAA2130.0025	25 g	€ 2400,00
HAA2135 N₃-D-Dap(Boc)-OH (R)-2-Azido-3-((t-butylloxycarbonyl)amino)propanoic acid FORMULA: C ₈ H ₁₄ N ₄ O ₄ MOLECULAR WEIGHT: 230,22 g/mole		HAA2135.0001	1 g	€ 200,00
		HAA2135.0005	5 g	€ 700,00
		HAA2135.0025	25 g	€ 2800,00
HAA2140 N₃-L-Dap(Fmoc)-OH (S)-2-Azido-3-[(9-fluorenylmethyloxycarbonyl)amino]propanoic acid CAS-NO: 880637-82-1 FORMULA: C ₁₈ H ₁₆ N ₄ O ₄ MOLECULAR WEIGHT: 352,34 g/mole		HAA2140.0001	1 g	€ 200,00
		HAA2140.0005	5 g	€ 700,00
		HAA2140.0025	25 g	€ 2800,00
HAA2145 N₃-D-Dap(Fmoc)-OH (R)-2-Azido-3-[(9-fluorenylmethyloxycarbonyl)amino]propanoic acid FORMULA: C ₁₈ H ₁₆ N ₄ O ₄ MOLECULAR WEIGHT: 352,34 g/mole		HAA2145.0001	1 g	€ 225,00
		HAA2145.0005	5 g	€ 850,00
		HAA2145.0025	25 g	€ 3400,00
HAA2150 N₃-L-Dab(Boc)-OH (S)-2-Azido-4-((t-butylloxycarbonyl)amino)butanoic acid FORMULA: C ₉ H ₁₆ N ₄ O ₄ MOLECULAR WEIGHT: 244,25 g/mole		HAA2150.0001	1 g	€ 150,00
		HAA2150.0005	5 g	€ 500,00
		HAA2150.0025	25 g	€ 2000,00

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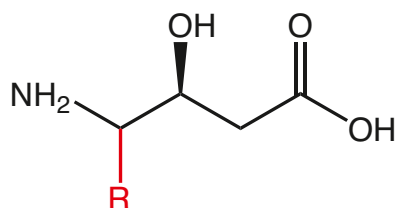
		Article No.	Quantity	Price
HAA2155 N₃-D-Dab(Boc)-OH (R)-2-Azido-4-[(t-butyloxycarbonyl)amino]butanoic acid FORMULA: C ₉ H ₁₆ N ₄ O ₄ MOLECULAR WEIGHT: 244,25 g/mole		HAA2155.0001	1 g	€ 225,00
		HAA2155.0005	5 g	€ 800,00
		HAA2155.0025	25 g	€ 3000,00
HAA2280 N₃-L-Dbu(Boc)-OH (R)-3-Azido-4-[(t-butyloxycarbonyl)amino]butanoic acid FORMULA: C ₉ H ₁₆ N ₄ O ₄ MOLECULAR WEIGHT: 244,25 g/mole		HAA2280.0250	250 mg	€ 175,00
		HAA2280.1000	1 g	€ 450,00
		HAA2280.5000	5 g	€ 1800,00
HAA2220 N₃-L-Orn(Boc)-OH*CHA (S)-2-Azido-5-[(t-butyloxycarbonyl)amino]pentanoic acid cyclohexylamine FORMULA: C ₁₀ H ₁₈ N ₄ O ₄ *C ₆ H ₁₁ N MOLECULAR WEIGHT: 258,27*99,18 g/mole		HAA2220.0001	1 g	€ 150,00
		HAA2220.0005	5 g	€ 450,00
		HAA2220.0025	25 g	€ 1800,00
HAA2210 N₃-D-Orn(Boc)-OH*CHA (R)-2-Azido-5-[(t-butyloxycarbonyl)amino]pentanoic acid cyclohexylamine FORMULA: C ₁₀ H ₁₈ N ₄ O ₄ *C ₆ H ₁₁ N MOLECULAR WEIGHT: 258,27*99,18 g/mole		HAA2210.0001	1 g	€ 200,00
		HAA2210.0005	5 g	€ 650,00
		HAA2210.0025	25 g	€ 2600,00
HAA2225 N₃-L-Orn(Fmoc)-OH (S)-2-Azido-5-[(9-fluorenylmethyloxycarbonyl)amino]pentanoic acid FORMULA: C ₂₀ H ₂₀ N ₄ O ₄ MOLECULAR WEIGHT: 380,4 g/mole		HAA2225.0001	1 g	€ 185,00
		HAA2225.0005	5 g	€ 550,00
		HAA2225.0025	25 g	€ 2200,00
HAA2215 N₃-D-Orn(Fmoc)-OH (R)-2-Azido-5-[(9-fluorenylmethyloxycarbonyl)amino]pentanoic acid FORMULA: C ₂₀ H ₂₀ N ₄ O ₄ MOLECULAR WEIGHT: 380,4 g/mole		HAA2215.0001	1 g	€ 210,00
		HAA2215.0005	5 g	€ 700,00
		HAA2215.0025	25 g	€ 2800,00
HAA2170 N₃-L-Lys(Boc)-OH (S)-2-Azido-6-[(t-butyloxycarbonyl)amino]hexanoic acid CAS-NO: 333366-32-8 FORMULA: C ₁₁ H ₂₀ N ₄ O ₄ MOLECULAR WEIGHT: 272,3 g/mole		HAA2170.0001	1 g	€ 145,00
		HAA2170.0005	5 g	€ 450,00
		HAA2170.0025	25 g	€ 1800,00
HAA2175 N₃-D-Lys(Boc)-OH (R)-2-Azido-6-[(t-butyloxycarbonyl)amino]hexanoic acid CAS-NO: 1178899-92-7 FORMULA: C ₁₁ H ₂₀ N ₄ O ₄ MOLECULAR WEIGHT: 272,3 g/mole		HAA2175.0001	1 g	€ 190,00
		HAA2175.0005	5 g	€ 650,00
		HAA2175.0025	25 g	€ 1900,00

Prices are in EUR, net, exw Germany

		Article No.	Quantity	Price
HAA2160 N₃-L-Lys(Fmoc)-OH (S)-2-Azido-6-[(9-fluorenylmethyloxycarbonyl)amino]hexanoic acid CAS-NO: 473430-12-5 FORMULA: C ₂₁ H ₂₂ N ₄ O ₄ MOLECULAR WEIGHT: 394,42 g/mole		HAA2160.0001	1 g	€ 150,00
		HAA2160.0005	5 g	€ 500,00
		HAA2160.0025	25 g	€ 2000,00
HAA2165 N₃-D-Lys(Fmoc)-OH (R)-2-Azido-3-[(9-fluorenylmethyloxycarbonyl)amino]propanoic acid FORMULA: C ₂₁ H ₂₂ N ₄ O ₄ MOLECULAR WEIGHT: 394,42 g/mole		HAA2165.0001	1 g	€ 200,00
		HAA2165.0005	5 g	€ 700,00
		HAA2165.0025	25 g	€ 2800,00
PEG1935 Propargyl-NHS 3-(Prop-2-ynyloxy)propanoic acid succinimidyl ester CAS-NO: 1174157-65-3 FORMULA: C ₁₀ H ₁₁ NO ₅ MOLECULAR WEIGHT: 225,2 g/mole		PEG1935.0001	1 g	€ 490,00
		PEG1935.0100	100 mg	€ 150,00
RL-2055 Alkyne-myristic acid 13-Tetradecynoic acid CAS-NO: 82909-47-5 FORMULA: C ₁₄ H ₂₄ O ₂ MOLECULAR WEIGHT: 224.34 g/mole		RL-2055.0100	100 mg	€ 250,00
		RL-2055.0500	500 mg	€ 950,00
		RL-2055.1000	1 g	€ 1750,00
RL-2060 Alkyne-palmitic acid 15-Hexadecynoic acid CAS-NO: 99208-90-9 FORMULA: C ₁₆ H ₂₈ O ₂ MOLECULAR WEIGHT: 252.39 g/mole		RL-2060.0100	100 mg	€ 300,00
		RL-2060.0500	500 mg	€ 1200,00
		RL-2060.1000	1 g	€ 2100,00
RL-2065 Alkyne-stearic acid 17-Octadecynoic acid CAS-NO: 34450-18-5 FORMULA: C ₁₈ H ₃₂ O ₂ MOLECULAR WEIGHT: 280.45 g/mole		RL-2065.0100	100 mg	€ 275,00
		RL-2065.0500	500 mg	€ 1100,00
		RL-2065.1000	1 g	€ 2000,00

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3. Spermines and Amines for Click Chemistry

Spermines and other Biogenic Polyamines Interact with DNA!

Polyamines stabilise nucleic acids against chemical and enzymatic degradation, facilitate the formation of secondary and tertiary structures and enhance cellular uptake.

- ▶ Andrew J. Marsh, David M. Williams and Jane A. Grasby; *Organic & Biomolecular Chemistry* 2004; **2**: 2103 - 2112.

Spermine participates in oxidative damage of guanosine and 8-oxoguanosine leading to deoxyribosylurea formation.

- ▶ M.E. Hosford, J.G. Muller, C.J. Burrows; *J. Am. Chem. Soc.* 2004; **126**: 9540-9541.

Small molecules bind to DNA quadruplexes [d(G3T4G3)]₂ and spermine has a stronger affinity for folded DNA quadruplexes over duplex DNA and linear quadruplexes.

- ▶ M. A. Keniry; Quadruplex structures in nucleic acids. *Biopolymers* 2001; **56**: 123-146.

Structural analysis of DNA interactions with biogenic polyamines and Cobalt(III)hexamine studied by fourier transform infrared and capillary electrophoresis; biogenic polyamines, such as putrescine and spermidine are involved in numerous diverse biological processes. Due to their binding to DNA and RNA they play an important role in nucleic acid function and cause DNA condensation and aggregation inducing DNA conformational changes.

- ▶ Amin Ahmed Ouameur and Heidar-Ali Tajmir-Riahi; *J. Biol. Chem.* 2004; **279**(40): 42041-42054.

	Article No.	Quantity	Price
SNN1170 Spermine(HHHN₃)*3HCl N ₁ -(3-Aminopropyl)-N ₄ -(3-azidopropyl)butane-1,4-diamine trihydrochloride CAS-NO: 1190203-77-0net FORMULA: C ₁₀ H ₂₄ N ₆ *3HCl MOLECULAR WEIGHT: 228,34*109,38 g/mole	SNN1170.0250	250 mg	€ 245,00
	SNN1170.1000	1 g	€ 800,00
	SNN1170.5000	5 g	€ 3200,00
SNN1180 Spermine(N₃BBPalm) N ₁ -Azido-N ₂ ,N ₃ -bis-(t-butyloxycarbonyl)-N ₄ -palmitoyl-1,5,10,14-tetra-aza-quatodecan FORMULA: C ₃₆ H ₇₀ N ₆ O ₅ MOLECULAR WEIGHT: 666,98 g/mole	SNN1180.0100	100 mg	€ 500,00
	SNN1180.0500	500 mg	€ 1200,00
	SNN1180.1000	1 g	€ 3250,00
SNN1150 Spermine(HHHSuc-OH) 1,5,10,14-Tetra-aza-quatodecan-N ₄ -succinamic acid FORMULA: C ₁₄ H ₃₀ N ₄ O ₃ MOLECULAR WEIGHT: 302,42 g/mole	SNN1150.0500	500 mg	€ 750,00
	SNN1150.0001	1 g	€ 1200,00
SNN1190 Spermine(BBBB-CO₂H) (S) (S)-N ₁ ,N ₅ ,N ₁₀ ,N ₁₄ -Tetrakis-(t-butyloxycarbonyl)-1,5,10,14-tetraazatetradecan-9-carboxylic acid CAS-NO: 119798-08-2 FORMULA: C ₃₁ H ₅₈ N ₄ O ₁₀ MOLECULAR WEIGHT: 646,81 g/mole	SNN1190.0001	1 g	€ 300,00
	SNN1190.0005	5 g	€ 1200,00
SNN1100 Spermine(FBBPalm) N ₂ ,N ₃ -Bis-(t-butyloxycarbonyl)-N ₁ -(9-fluorenylmethyloxycarbonyl)-N ₄ -palmitoyl-1,5,10,14-tetra-aza-quatodecan FORMULA: C ₅₁ H ₈₂ N ₄ O ₇ MOLECULAR WEIGHT: 863,24 g/mole	SNN1100.0500	500 mg	€ 750,00
	SNN1100.0001	1 g	€ 1200,00

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4. Click Reagents for Drug Delivery

a) Principles of Polymer Therapeutics

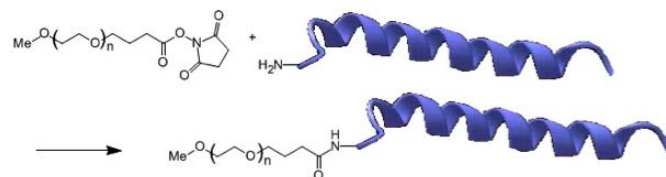
Proteins and other Biopharmaceuticals have a high potential as drugs due to their specificity and efficacy, but show poor pharmacokinetic properties. Attaching polymers which are tolerated by the physiologic system, such as **PGA** (poly(glutamic acid)), **PEG** (poly(ethylene glycol)) or **PAS** (random polypeptide of proline, alanine and serine) improves drastically their bioavailability and biodistribution and turns sensitive biomolecules into robust drugs.



PEGylated Enzyme

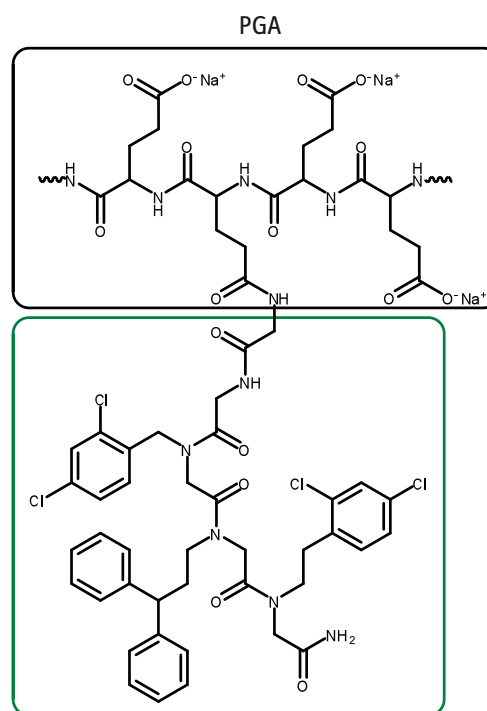
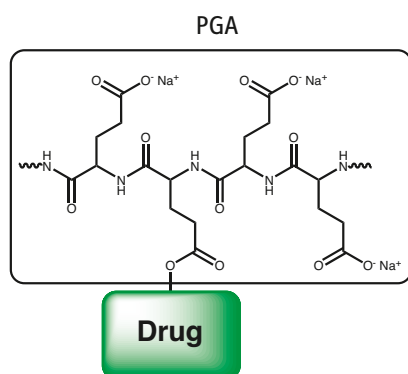
In 2016 the market of modern biopharmaceuticals is expected to reach a volume of \$200 billion per year worldwide (IMS Health, Inc.). The big advantage of proteins, antibodies, siRNA, and other natural products in their usage as drugs is their high specificity in combination with their low side effects. Normally they interact with the dedicated target only and thus do not show unwanted activities and side effects at any other place in the body. A current focus is the study of modern drug carrier systems where biotolerable linkers are connecting a recognition part with a drug-active part. Conjugations can reach the size of a nanoparticle. The recognition part can be a peptide or hormone which binds specifically to the surface of a certain cell. After internalization of the whole nanoparticle the active part (DNA or siRNA, for example) is released. Inhibition or activation of certain enzymes or the nucleus follows with the consequence to repair the sick cell, to shut it down by initiating apoptosis or other mechanisms. Is the recognition part somehow specific to a certain individual, the drug system becomes personalized ("**Personalized Medicine**"). In conjugation with hydrophobic compounds forming amphiphilic and biodegradable block-copolymers like PEG-PLA (polylactic acid) and PEG-PLGA (co-polylactic acid-glycolic acid) sophisticated micelles are formed, where drug molecules can be masked and protected against attacks by the immune system.

Modern biopharmaceuticals are ideal drugs. However, their significant drawback is their low stability under physiological conditions. Due to the fact that they are similar to biological components, they are also easily attacked by the immune system of the body, i.e. by antibodies and proteolytic degradation enzymes. Many efforts have been made by highly sophisticated formulation techniques, special application methods (depots) and chemical modifications to improve their pharmacokinetic properties. One approach, which shows much better results than other methods tried in the past, is Polymer Therapeutics, i.e. attaching polymers to the active component. One possibility is attaching a monofunctional PEG chain to a protein, antibody or small drug molecule. Using bifunctional PEGs, a linkage between two compounds can be formed in order to build dimers or more complex conjugates.



PEGylated Peptide

There has been a permanent search for new types of polymers going on, in order to enlarge the field of *Polymer Therapeutics* to new classes of drug molecules. One interesting group are poly amino acids consisting of one amino acid as monomer. Typical examples are polylysine and poly(glutamic acid) - polymers, that don't exist in nature, but show good physiological properties due to their similarity to natural proteins. **PGA - poly(glutamic acid)** has been identified as suitable carrier system. PGA shows the ability to conjugate with partners on N- and C-terminus, analogue to alpha and omega derivatisation of a PEG - poly(ethylene glycol). Additionally – and in fact the way mostly used so far – the glutamic acid side chains are used for forming any carbonyl function, like esters and amides. The number of side chains is very high compared to only one N- and C-terminus in one chain. Therefore a multiple loading to the polymer chain is possible. Therefore, with PGA the polymer therapeutics effect can also be applied to small drug molecules. It is theoretically also possible to PEGylate a small molecule. However, inactivation of the small drug molecule is often the consequence. **PGA therefore is an ideal carrier in particular for APIs with low molecular weight.**



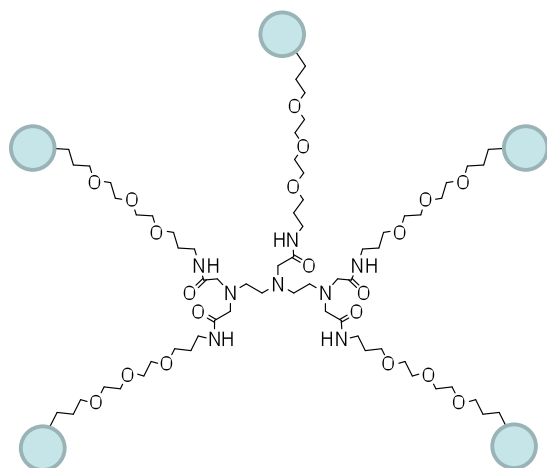
PGA Peptide Conjugate

References:

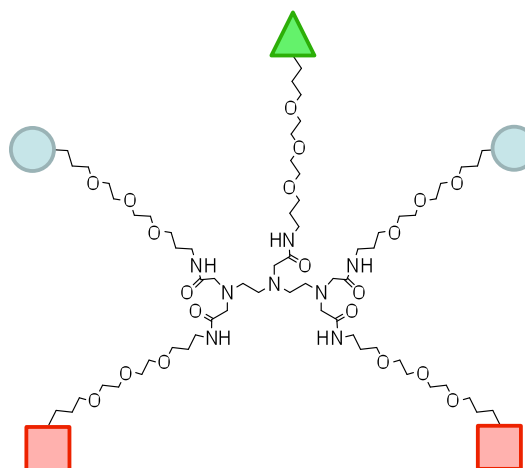
- ▶ Modulation of Cellular Apoptosis with Apoptotic Protease-Activation Factor 1 (Apaf-1) Inhibitors; L. Mondragón, M. Orzáez, G. Sanclimens, A. Moure, A. Armiñán, P. Sepúlveda, A. Messeguer, M. J. Vicent, E. Pérez-Payá; *J. Med. Chem.* 2008; **51**: 521-529.
- ▶ Integrin-assisted drug delivery of nano-scaled polymer therapeutics bearing paclitaxel; Anat Eldar-Boock, Keren Miller, Joaquin Sanchis, Ruth Lupu, Maria J. Vicent, Ronit Satchi-Fainaro; *Biomaterials* 2011; **32**: 3862-3874.

PGA, PEG and PAS are polymers lining up each of their monomers in one row. Since long there have been made efforts to design large molecules with building blocks of higher valency (trivalent and higher), in order to build up a three-dimensional highly branched construct. Polylysine, as a typical example, has already been used for immunogenic applications since decades. These so called dendrimers and dendrons are monodisperse and usually highly symmetric, spherical compounds. Their properties are dominated by the functional groups on their outer shell. Dendrimers are classified by generation, which refers to the number of repeated branching cycles that are performed during their synthesis.

Applications of dendrimers typically involve conjugating other chemical species to the dendrimer surface that can function as detecting agents (such as a dye molecule) in diagnostic applications, affinity ligands, targeting components, radioligands, imaging agents, or pharmaceutically active compounds. Dendrimers have very strong potential, because their structure leads to multivalent systems. In other words, one dendrimer molecule has many of possible sites to couple to an active species. The majority of drugs available in pharmaceutical industry are hydrophobic in nature and this property in particular creates major formulation problems. This drawback of drugs can be overcome by hydrophilic dendrimeric scaffolding.

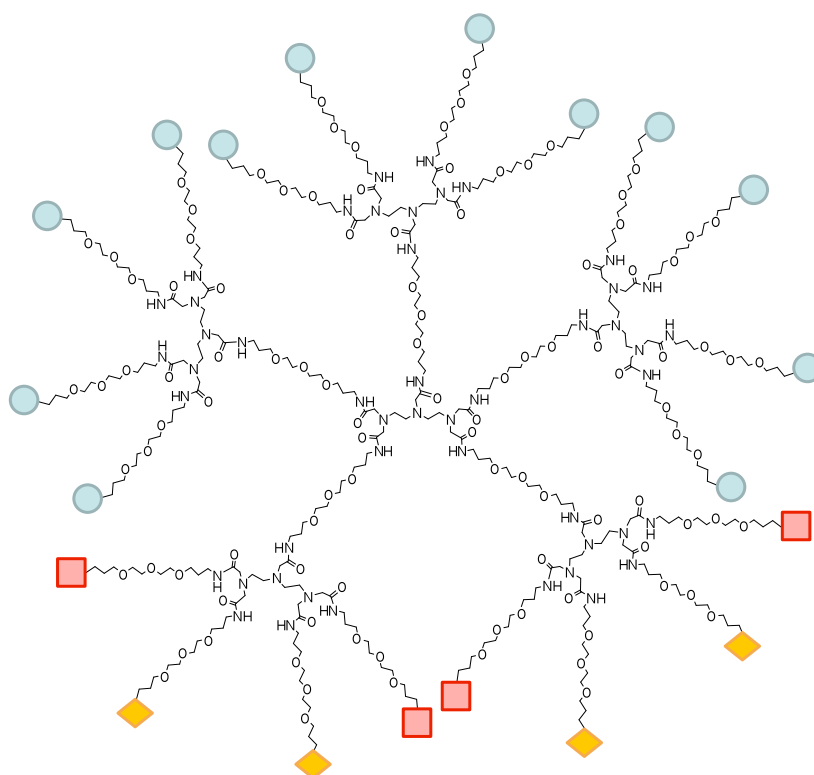


First generation pentavalent PEG based dendrimer with five identical reactive groups.



First generation pentavalent PEG based dendrimer with three different reactive groups, for example Click reactive, carboxylic acid (amine reactive) and protected amine (nucleophilic).

Prices are in EUR, net, exw Germany



Second generation dendrimer based on a pentavalent PEG based building block for sophisticated applications in drug delivery, diagnostics, combination therapy or personalized medicine.

Examples in drug delivery applications combine dye molecules and a strand of DNA on the same dendrimer. Approaches for delivering unaltered natural products using polymeric carriers are of widespread interest. Dendrimers have been explored for the encapsulation of hydrophobic compounds and for the delivery of anticancer drugs. The physical characteristics of dendrimers, including their monodispersity, water solubility, encapsulation ability, and large number of functionalizable peripheral groups, make these macromolecules appropriate candidates for evaluation as drug delivery vehicles. Dendrimers have been explored for controlled delivery of antiretroviral bioactives. The inherent antiretroviral activity of dendrimers enhances their efficacy as carriers for antiretroviral drugs. These encouraging results of current examples provide further impetus to design, synthesize, and evaluate new dendritic polymers for use in basic drug delivery studies and eventually in the clinic.

References:

- ▶ Targeting Potential and Anti HIV activity of mannosylated fifth generation poly (propyleneimine) Dendrimers; Tathagata Dutta and N.K. Jain; *Biochimica et Biophysica Acta* 2007; **1770**: 681-686.
- ▶ Targeting of Efavirenz Loaded Tuftsin Conjugated Poly(propyleneimine) dendrimers to HIV infected macrophages in vitro; Tathagata Dutta, Minakshi Garg, Narendra K. Jain; *Eur. J. Pharm. Sci.* 2008; **34(2-3)**: 181-189.
- ▶ Poly (propyleneimine) dendrimer based nanocontainers for targeting of efavirenz to human monocytes/macrophages in vitro; Tathagata Dutta, Hrushikesh B. Agashe, Minakshi Garg, Prahlad Balakrishnan, Madhulika Kabra, Narendra K. Jain; *Journal of Drug Targeting.* 2007; **15(1)**: 84-96.
- ▶ External Electrostatic Interaction versus Internal Encapsulation between Cationic Dendrimers and Negatively Charged Drugs: Which Contributes More to Solubility Enhancement of the Drugs? Cheng, Yiyun; Qinglin Wu, Yiwen Li, Tongwen Xu; *Journal of Physical Chemistry B* 2008; **112(30)**: 8884-8890. doi:10.1021/jp801742t. PMID 18605754.

Find more detailed information on Polymer Therapeutics and many more compounds from this field in our brochure "Comprehensive Drug Delivery Survey":

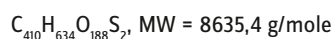
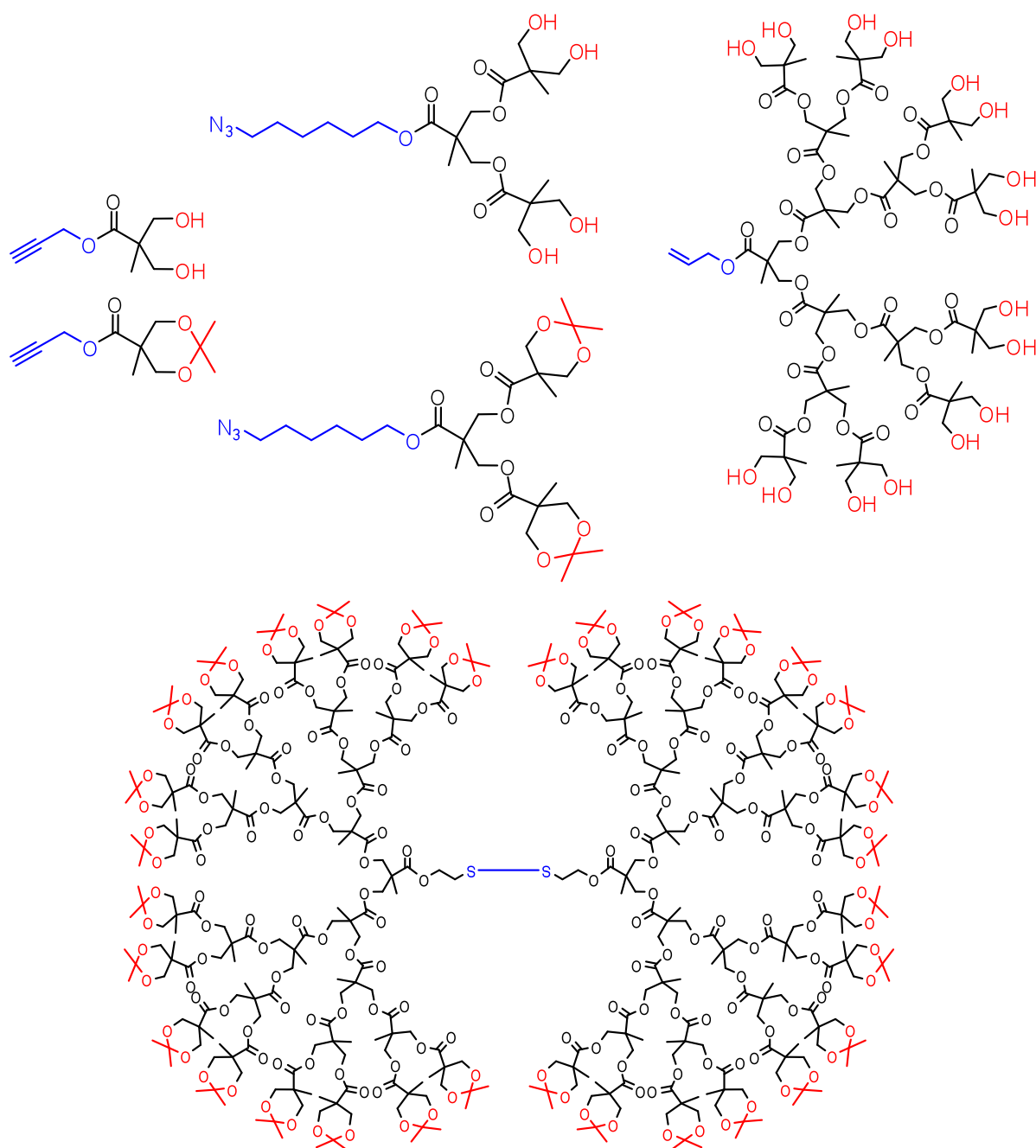


Prices are in EUR, net, exw Germany

Applications with Dendrons

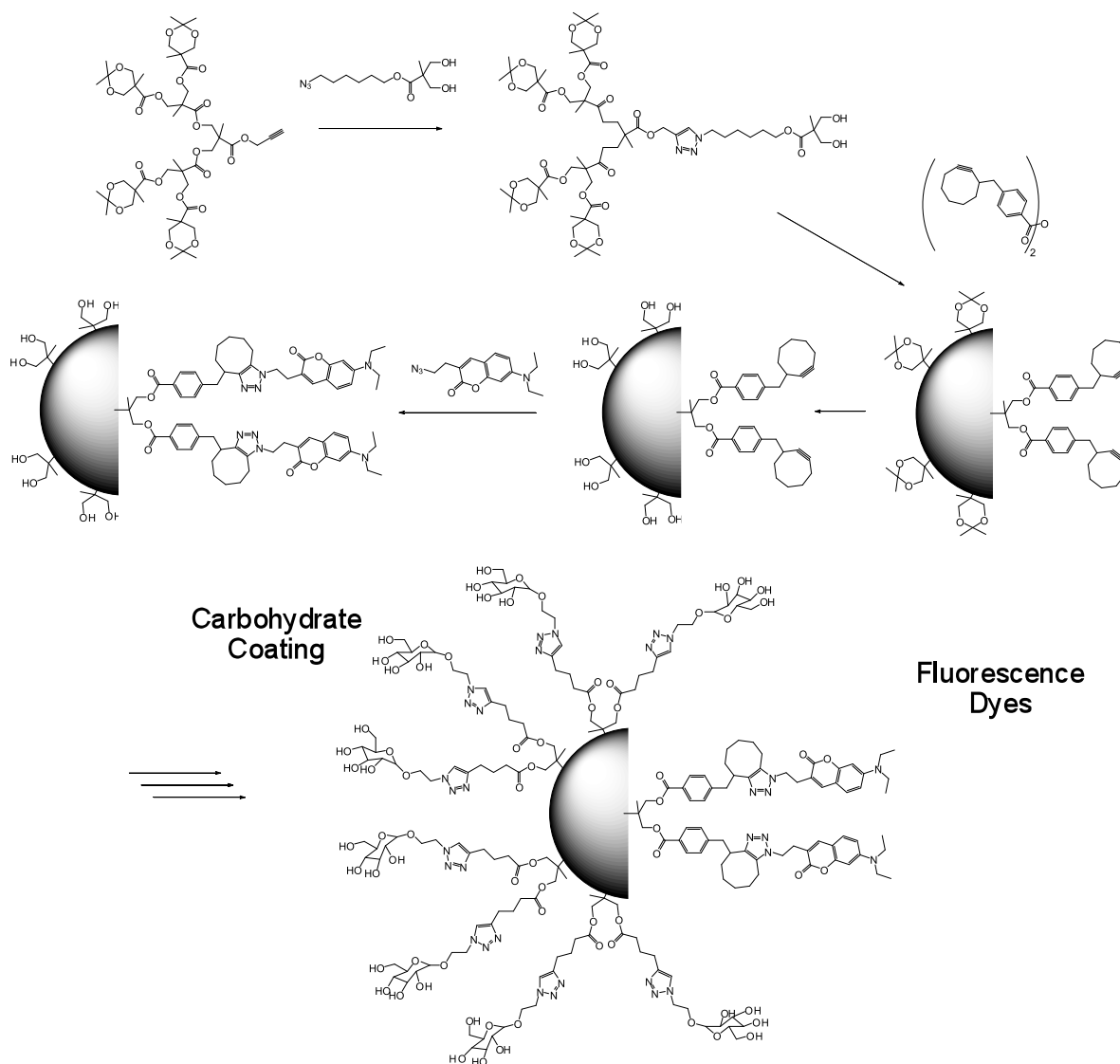
Dendrimers offer a new possibility to derivatize small molecules, surfaces or biopharmaceuticals with a mono-disperse macromolecule and alter in this way properties like solubility and hydrophilicity. Immunogenicity and pharmacokinetics of pharmaceuticals will be improved.

The synthetic approach for designing these dendrons of different generations is based on 2,2-bis(hydroxymethyl) propionic acid, which is a non-toxic and biocompatible building block.



The size can be designed from 250 g/mole for 1st generation dendrons to over 4400 g/mole with 5th generation compounds. Dendrimers can be designed reaching even a molecular weight of some 10.000 Da. As focal point alkyne and azido functions are used with appropriate counterparts in any type of click chemistry reaction.

Olefinic functions offer the possibility for addition reaction or conjugation by metathesis reactions or photo reactions with the aid of UV light. For the modification of gold surfaces sulphur bearing dendrons can be used. They also conjugate with biologicals via disulfide bridge formation.



Hydroxyl and acetonide functions are available as terminal groups. Thus the surface can be designed as either hydrophilic or hydrophobic. In particular the hydrophobic acetonide variations offer through their amphiphilic nature interesting properties, as the centre is still polar and hydrophilic. This improves solubility in biological liquids. The hydrophobic surface helps to interact with lipids, cell surfaces, and hydrophobic enzymes like lipases. Furthermore, the acetonides offer another advantages for chemical diversity. They can be removed and thus be a temporary protecting group for further derivatization of the dendritic surface.

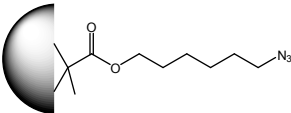
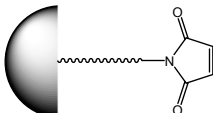
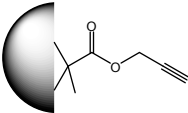
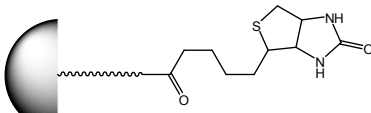
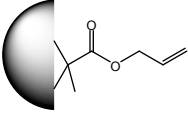
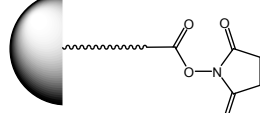
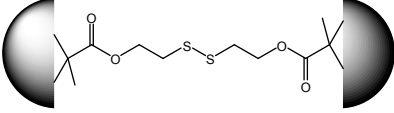
Literature:

- ▶ Multivalent, bifunctional dendrimers prepared by click chemistry; P. Wu, M. Malkoch, J.N. Hunt *et al.*; *Chem. Commun.* 2005; **46**: 5775-5777. DOI: 10.1039/b512021g
- ▶ Europium confined cyclen dendrimers with photophysically active triazoles; P. Antoni, M. Malkoch, G. Vamvounis *et al.*; *J. Mater. Chem.* 2008; **18(22)**: 2545-2554.
- ▶ E. R. Gillies and J. M. J. Fréchet, *Drug Discovery Today* 2005; **10**: 35.
- ▶ Dual Labeling of Biomolecules by Click Chemistry: a Sequential Approach; P. Kele, G. Mezö, D. Achatz, O.S. Wolfbeis; *Angew. Chem.* 2009; **121**: 350-353; *Angew. Chem. Intl. Ed.* 2009; **48**: 344-347. DOI: 10.1002/ange.200804514.

Properties of Dendrons

- ▶ Monodisperse macromolecules from 250 g/mole to 4400 g/mole.
- ▶ The dendron surface can be amphiphilic, hydrophilic or hydrophobic.
- ▶ Easy post modification.
- ▶ Different anchoring possibilities through a variety of available chemical functions of the focal point.

Chemical variations of the focal point

On stock available: azido, alkyne, allyl, disulfide	Conjugation with	On custom basis available: Maleinimides, biotinyl, NHS esters	Conjugation with
	Alkynes via Click reaction		SH from proteins
	Azides via Click reaction		Streptavidin
	Olefines via metathesis Other partners with UV		NH ₂ of Proteins, dyes, probes and other reaction partners
	Gold surfaces SH of proteins		

On stock available:

With Azido, Alkyne, Allyl and Disulfide function at the focal point there are four functional groups available, which offer a wide variety of reactions.

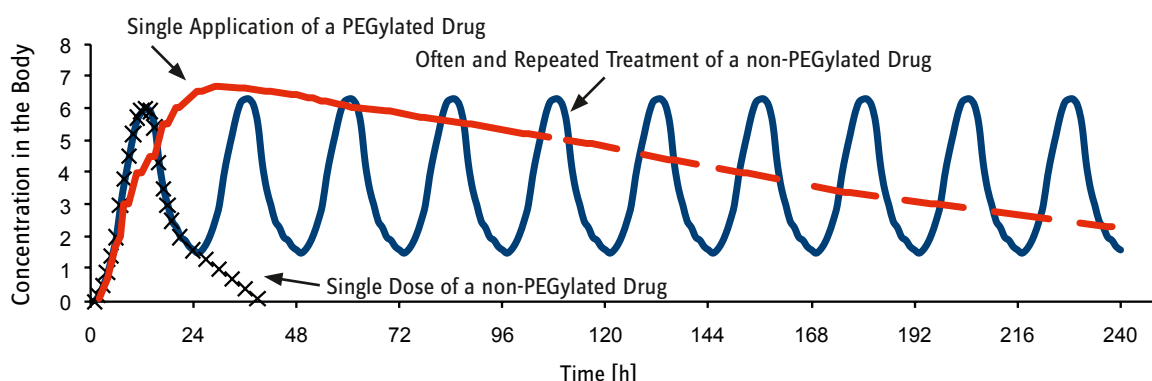
On custom basis:

Maleinimides, Biotinyl and NHS esters are available options, which can be supplied on request.

Possible Applications of Dendrons

- ▶ Interesting versatile building block for further chemical derivatization;
- ▶ Improve drug delivery and pharmacokinetics of small molecules and biopharmaceuticals;
- ▶ Functionalization of surfaces;
- ▶ Conjugation with biopharmaceuticals, antibodies and other biologicals via disulfide bridge;
- ▶ Coupling with proteins to improve their stability towards immunogenic degradation;
- ▶ Altering enzymes to increase their chemical resistance and thermostability;
- ▶ Conjugation with dyes, fluorescence labels, spin markers and other chemical probes.

Please inquire for any other option or larger quantities!



Pharmacokinetic properties of a PEGylated drug in comparison to a non PEGylated drug

The Reasons, why PEGylation Improves Drug Delivery and Pharmacokinetics

Small drug molecules and also large biomolecules like proteins or antibodies suffer a rapid clearance in human body. The concentration of the drug compound drops rapidly as it is removed from the body. Application has to be repeated in order to keep the concentration over a certain threshold. Otherwise immunogenic reactions start. PEGylated drugs show suppressed renal clearance and reduced immunogenic reaction, the concentration is being reduced slowly over the time of treatment. In the ideal case only a single application is required over the time of treatment. This is due to the following mechanisms:

1) Preventing Degradation and Reducing Immunogenicity:

The PEG chains cover the surface of a biopharmaceutical and thus shield effectively against attacks by the immune system. The PEG shield has characteristics rather like a solvent than a protein. This prevents uptake by cells of the retinal endothelial system (macrophage system). Therefore recognition by the immune system (antibodies, proteases and other degradation enzymes etc.) is significantly reduced. The drug stays intact and is not destroyed (degraded or metabolized) during its presence in and journey through the body.

2) Preventing Excretion:

PEG is naturally very hygroscopic and surrounded by a large solvating sphere with water. Thus the overall so-called "hydrodynamic radius" of the PEGylated biopharmaceutical compound has increased to an order of magnitude, which is larger than the diameter of the glomerular capillaries (6 to 12 nm). Therefore the drug is not excreted through the kidneys and simply stays longer in the body.

References:

- ▶ PEGylation - The Magic Wand - Turning Proteins and other Biopharmaceuticals into Super Performing Block Busters; Th. Bruckdorfer; *PharManufacturing* 2007; 34-41.
- ▶ Cancer siRNA therapy by tumor selective delivery with ligand-targeted sterically stabilized nanoparticle; R.M. Schiffelers; A. Ansari; J. Xu; Q. Zhou; Q. Tang; G. Storm; G. Molema; P.V. Scaria; M.C. Woodle; *Nucleic Acid Research* 2004; **43(19)**: 149.
- ▶ Tumor-targeted gene therapy: strategies for the preparation of ligand-polyethylene glycolpolyethyleneimine-DNA complexes; M. Ogris; G. Walker; Th. Blessing; R. Kircheis; E. Wagner; *Journal of Controlled Release* 2003; **91**: 173-181.
- ▶ Novel polymeric micelles for hydrophobic drug delivery based on biodegradable poly(hexyl-substitute lactides); Th. Trimaille; K. Mondon; R. Gurny; M. Möller; *International Journal of Pharmaceutics* 319 2006; **319**: 147-154.
- ▶ PEGylated antibodies and antibody fragments for improved therapy: a review; A.P. Chapman; *Advanced Drug Delivery Reviews* 2002; **54**: 531-545.
- ▶ P.C. Painter; M.M. Coleman; *Fundamentals of Polymer Science*, ISBN 1-56676-559-5, CRC Press, 1997; 22.

Chemical/Physical Properties and Quality Parameters of PEGs, Polydispersity

Depending, whether the polymer is consisting of one single molecular weight (only one n existing) or of a range of compounds with an average mass and a distribution of n around a mean value, PEG polymers are referred to as monodisperse or polydisperse. If the polymer is polydisperse it shows a mass spectrum as shown in the figure. In order to quantify the distribution of the molecular weight, the Polydispersity D is defined as the ratio between the weight average molecular weight M_w° and the number average molecular weight M_n° . The weight average M_w does not "count" species just by their number, but takes into account the total weight of each species and is therefore a much more realistic indicator of the gross mechanical property. For a homogeneous sample, where the polymer chains have all the same length, M_w° is equal to M_n° , the polydispersity D is then equal to 1 and the sample is referred to be monodisperse.

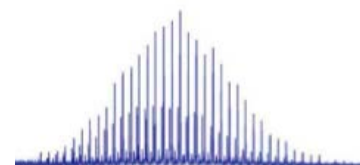
Whenever there is a distribution of molecular weights, the weight average M_w° is always greater than the number average M_n° and the polydispersity D is greater than 1. The polydispersity D of PEGs typically used in PEGylation is between 1.05 and 1.50. Though, whenever a PEGylated new drug compound needs to be approved by EMEA, FDA and other authorities, it is easier and faster if this compound shows only one signal in the mass spectrum and not a distribution pattern. Therefore the need for large but monodisperse compounds is increasing.

Review Articles and additional References:

- ▶ Peptide and protein PEGylation III: advances in chemistry and clinical applications; Francesco M. Veronese; J. Milton Harris (Editors); *Advanced Drug Delivery Reviews* 2008; **60**: 1-97.
- ▶ Chemistry for peptide and protein PEGylation; M.J. Roberts; M.D. Bentley; J.M. Harris; *Advanced Drug Delivery Reviews* 2002; **54**: 459-476.
- ▶ Peptide and protein PEGylation: a review of problems and solutions; Francesco M. Veronese; *Biomaterials* 2001; **22**: 405-417.
- ▶ A. Bückmann *et al.*; *Makromol. Chem.* 1981; **182**: 1379.
- ▶ V.N. Pillaia *et al.*; *J. Org. Chem.* 1980; **45**: 5364.
- ▶ J.M. Harris *et al.*; *J. Polym. Sci. Polym. Chem. Edn.* 1984; **22**: 341.
- ▶ S. Zalipski *et al.*; *Eur. Polym. J.* 1983; **19**: 1177.
- ▶ A. Abuchowski *et al.*; *J. Biol. Chem.* 1977; **252**: 3578.
- ▶ A. Cordes *et al.*; *J. Chromat.* 1986; **376**: 375.
- ▶ P. Wirth *et al.*; *Bioorg. Chem.* 1991; **19**: 133.
- ▶ T.P. Kogan; *Synthetic Comm.* 1992; **22**: 2417.



Poly(ethylene glycol) is a polymeric linear structure with repeating polyethylene oxide units.



Mass spectrum of a polyethylene glycol showing the typical signals with a difference of $m/z = 44$

$$D = \frac{M_w^\circ}{M_n^\circ} \geq 1 \text{ with } M_w^\circ = \frac{\sum N_x M_x^2}{\sum N_x M_x} \text{ and } M_n^\circ = \frac{\sum N_x M_x}{\sum N_x}$$

Whenever there is a distribution of molecular weights, the weight average M_w° is always greater than the number average M_n° and the polydispersity D is greater than 1.

Summary of Chemical and Physical Properties of PEGs:

- ▶ Good solubility in BOTH hydrophilic AND hydrophobic solvents as: Water, Toluene, Methylene chloride, and many other organic solvents.
- ▶ Insoluble in: Diethyl ether, Hexane, Ethylene glycol.
- ▶ Insoluble in Water at elevated temperature.
- ▶ The solubility is influenced by forming derivatives.
- ▶ Highly mobile in water with high exclusion volume; large hydrodynamic radius.
- ▶ Form complexes with metal cations.
- ▶ Can be used to precipitate proteins and nucleic acids.
- ▶ Form two-phase system with aqueous solutions of other polymers.
- ▶ Non-toxic, FDA approved for internal consumption.

PEGylating Biopharmaceuticals and Small Molecules has the Following Effects:

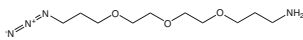
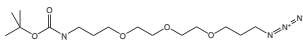
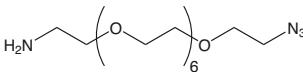
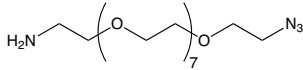
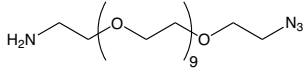
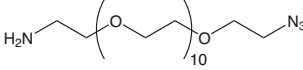
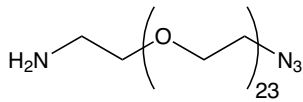
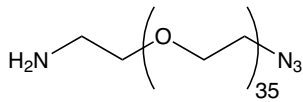
- ▶ Improves solubility of conjugated molecules.
- ▶ Renders proteins non immunogenic and tolerogenic.
- ▶ Reduces the rate of renal clearance through the kidney and alters pharmacokinetics.
- ▶ Renders surface protein rejection.
- ▶ Alters electroosmotic flow.
- ▶ Moves molecules across cell membranes.

Prices are in EUR, net, exw Germany

b) Azido-PEG Derivatives for Click Chemistry

		Article No.	Quantity	Price
PEG2065 Biotin-TEG-ATFBA	<p>Biotin-triethylenglycol-(p-azido-tetrafluorobenzamide), 4-Azido-2,3,5,6-tetrafluoro-N-(15-oxo-19-(2-oxohexahydro-1H-thieno[3,4-d]imidazol-4-yl)-4,7,10-trioxa-14-azanonadecyl)benzamide</p> <p>CAS-NO: 1264662-85-2</p> <p>FORMULA: C₂₇H₃₇F₄N₇O₆S</p> <p>MOLECULAR WEIGHT: 663,68 g/mole</p>	PEG2065.0025	25 mg	€ 175,00
		PEG2065.0100	100 mg	€ 300,00
PEG4940 Biotin-PEG(3)-N₃	<p>11-[D(+)-Biotinylamino]-1-azido-3,6,9-trioxaundecane</p> <p>FORMULA: C₁₈H₃₂N₆O₅S</p> <p>MOLECULAR WEIGHT: 444,55 g/mole</p>	PEG4940.0250	250 mg	€ 200,00
		PEG4940.0001	1 g	€ 650,00
PEG4330 Biotin-dPEG™(7)-N₃	<p>alpha-Biotin-omega-azido hepta(ethylene glycol)</p> <p>CAS-NO: 1334172-75-6</p> <p>FORMULA: C₂₆H₄₈N₆O₉S</p> <p>MOLECULAR WEIGHT: 620,76 g/mole</p>	PEG4330.0100	100 mg	€ 225,00
		PEG4330.1000	1 g	€ 1450,00
PEG4350 Biotin-dPEG™(23)-N₃	<p>alpha-Biotin-omega-azido 23(ethylene glycol)</p> <p>CAS-NO: 956494-20-5</p> <p>FORMULA: C₅₈H₁₁₂N₆O₂₅S</p> <p>MOLECULAR WEIGHT: 1325,6 g/mole</p>	PEG4350.0100	100 mg	€ 330,00
		PEG4350.1000	1 g	€ 1720,00
PEG3460 HS-dPEG™(20)-COOH	<p>alpha-Thio-omega-(propionic acid) 20(ethylene glycol)</p> <p>CAS-NO: 1032347-93-5</p> <p>FORMULA: C₄₃H₈₆O₂₂S</p> <p>MOLECULAR WEIGHT: 987,19 g/mole</p>	PEG3460.0100	100 mg	€ 425,00
		PEG3460.1000	1 g	€ 1450,00
PEG4980 H₂N-PEG(2)-N₃*TosOH	<p>2-[2-(2-Azidoethoxy)ethoxy]ethanaminium tosylat</p> <p>CAS-NO: 166388-57-4 net</p> <p>FORMULA: C₆H₁₄N₄O₂*C₆H₈O₃S</p> <p>MOLECULAR WEIGHT: 174,20*172,20 g/mole</p>	PEG4980.0001	1 g	€ 125,00
		PEG4980.0005	5 g	€ 450,00
		PEG4980.0025	25 g	€ 1800,00
PEG4960 Boc-NH-PEG(2)-N₃	<p>1-(t-Butyloxycarbonyl-amino)-3,6-dioxa-8-octaneazide</p> <p>CAS-NO: 950683-55-3</p> <p>FORMULA: C₁₁H₂₂N₄O₄</p> <p>MOLECULAR WEIGHT: 274,32 g/mole</p>	PEG4960.0001	1 g	€ 125,00
		PEG4960.0005	5 g	€ 450,00
		PEG4960.0025	25 g	€ 1800,00
PEG3060 H₂N-PEG(3)-N₃	<p>1-Amino-11-azido-3,6,9-trioxaundecane, 2-[2-(2-Azidoethoxy)ethoxy]ethoxy]ethylamine, O-(2-Aminoethyl)-O'-(2-azidoethyl) diethylene glycol</p> <p>CAS-NO: 134179-38-7</p> <p>FORMULA: C₈H₁₈N₄O₃</p> <p>MOLECULAR WEIGHT: 218,25 g/mole</p>	PEG3060.0100	100 mg	€ 150,00
		PEG3060.0001	1 g	€ 430,00

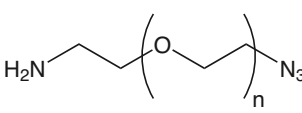
Prices are in EUR, net, exw Germany

		Article No.	Quantity	Price
BNN1150 N₃-TOTA 1-Azido-4,7,10-trioxa-13-tridecanamine CAS-NO: 1162336-72-2 FORMULA: C ₁₀ H ₂₂ N ₄ O ₃ MOLECULAR WEIGHT: 246,31 g/mole		BNN1150.0001	1 g	€ 150,00
		BNN1150.0005	5 g	€ 500,00
		BNN1150.0025	25 g	€ 2000,00
BNN1140 Boc-TOTA-N₃ 1-(t-Butyloxycarbonyl-amino)-4,7,10-trioxa-13-tridecanazide CAS-NO: 1162070-33-8 FORMULA: C ₁₅ H ₃₀ N ₄ O ₅ MOLECULAR WEIGHT: 346,42 g/mole		BNN1140.0001	1 g	€ 140,00
		BNN1140.0005	5 g	€ 450,00
		BNN1140.0025	25 g	€ 1800,00
PEG2350 H₂N-PEG(7)-N₃ alpha-Amino-omega-azido hepta(ethylene glycol) CAS-NO: 1333154-77-0 FORMULA: C ₁₆ H ₃₄ N ₄ O ₇ MOLECULAR WEIGHT: 394,46 g/mole		PEG2350.0100	100 mg	€ 225,00
		PEG2350.0001	1 g	€ 540,00
PEG3050 H₂N-PEG(9)-N₃ alpha-Amino-omega-azido nona(ethylene glycol) FORMULA: C ₁₈ H ₃₈ N ₄ O ₈ MOLECULAR WEIGHT: 438,52 g/mole		PEG3050.0001	1 g	€ 275,00
		PEG3050.0005	5 g	€ 975,00
PEG3040 H₂N-PEG(10)-N₃ alpha-Amino-omega-azido deca(ethylene glycol) FORMULA: C ₂₂ H ₄₆ N ₄ O ₁₀ MOLECULAR WEIGHT: 526,62 g/mole		PEG3040.0001	1 g	€ 325,00
		PEG3040.0005	5 g	€ 1100,00
PEG1081 H₂N-PEG(11)-N₃ alpha-Amino-omega-azido undecae(ethylene glycol) CAS-NO: 749244-38-0 FORMULA: C ₂₄ H ₅₀ N ₄ O ₁₁ MOLECULAR WEIGHT: 570,69 g/mole		PEG1081.0001	1 g	€ 350,00
		PEG1081.0005	5 g	€ 1200,00
		PEG1081.0025	25 g	€ 4250,00
PEG3070 H₂N-PEG(23)-N₃ alpha-Azido-omega-amino 23(ethylene glycol) CAS-NO: 749244-38-0 FORMULA: C ₄₈ H ₉₈ N ₄ O ₂₃ MOLECULAR WEIGHT: 1099,3 g/mole		PEG3070.0100	100 mg	€ 330,00
		PEG3070.0001	1 g	€ 1340,00
PEG3080 H₂N-PEG(35)-N₃ alpha-Azido-omega-amino 35(ethylene glycol) CAS-NO: 749244-38-0 FORMULA: C ₇₂ H ₁₄₆ N ₄ O ₃₅ MOLECULAR WEIGHT: 1627,94 g/mole		PEG3080.0100	100 mg	€ 380,00
		PEG3080.0001	1 g	€ 1450,00

Inquire for any other derivative. We supply **PEGylating reagents** on custom basis.

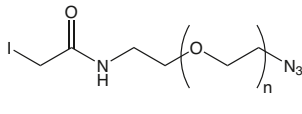
Need PEG compounds produced according to cGMP? **Please inquire!**

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		Article No.	Quantity	Price
PEG3010 H_2N -PEG- N_3 alpha-Amino-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 3000 Da		PEG3010.0500	500 mg	€ 370,00
		PEG3010.0001	1 g	€ 610,00
PEG3030 H_2N -PEG- N_3 alpha-Amino-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG3030.0500	500 mg	€ 370,00
		PEG3030.0001	1 g	€ 610,00
PEG3000 H_2N -PEG- N_3 alpha-Amino-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG3000.0500	500 mg	€ 400,00
		PEG3000.0001	1 g	€ 675,00
PEG3020 H_2N -PEG- N_3 alpha-Amino-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG3020.0500	500 mg	€ 400,00
		PEG3020.0001	1 g	€ 675,00

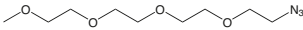
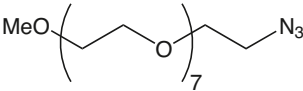
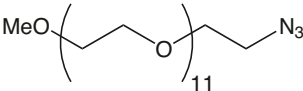
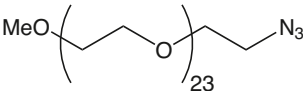
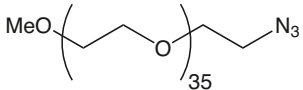
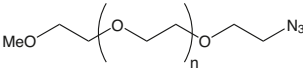
Whenever thiol groups from Cysteine or other SH carrying moieties are used for conjugation, maleinimides are normally used, which react also with other acidic proton carrying functions, for example COOH, OH or NH_2 and

give appropriate unwanted impurities. The iodo group reacts much more specifically with thiol resulting in much cleaner conjugates.

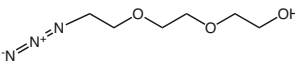
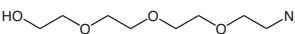
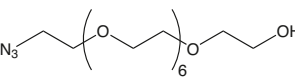
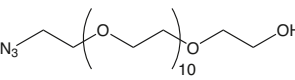
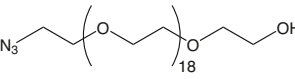
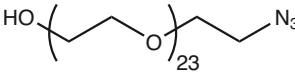
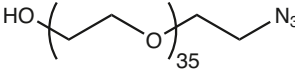
PEG3150 I-PEG- N_3 alpha-Iodo-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 3000 Da		PEG3150.0100	100 mg	€ 225,00
		PEG3150.0500	500 mg	€ 625,00
PEG3160 I-PEG- N_3 alpha-Iodo-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG3160.0100	100 mg	€ 225,00
		PEG3160.0500	500 mg	€ 625,00
PEG3130 I-PEG- N_3 alpha-Iodo-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG3130.0100	100 mg	€ 250,00
		PEG3130.0500	500 mg	€ 675,00
PEG3140 I-PEG- N_3 alpha-Iodo-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG3140.0100	100 mg	€ 250,00
		PEG3140.0500	500 mg	€ 675,00

- Quantitative reactivity profiling predicts functional cysteines in proteomes; K. Weerapana, C. Wang, G.M. Simon, F. Richter, S. Khare, M.B.D. Dillon, D.A. Bachovichin, K. Mowen, D. Baker, B.J. Cravatt; *Nature* 2010; **468**: 790-795.

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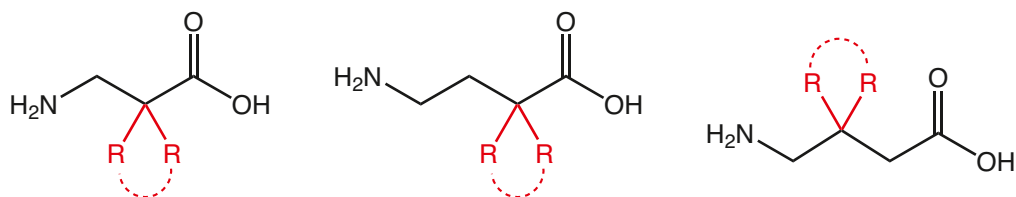
		Article No.	Quantity	Price	
PEG1690 MeO-dPEG(4)-N₃ 13-Azido-2,5,8,11-tetraoxa-tridecane CAS-NO: 606130-90-9 MOLECULAR WEIGHT: 233,26 g/mole		PEG1690.0100	100 mg	€	175,00
		PEG1690.0001	1 g	€	540,00
PEG1705 MeO-dPEG(8)-N₃ 2,5,8,11,14,17,20,23-Octaoxapentacosan-25-amine CAS-NO: 869718-80-9 MOLECULAR WEIGHT: 409,48 g/mole		PEG1705.0100	100 mg	€	200,00
		PEG1705.0001	1 g	€	650,00
PEG1660 MeO-dPEG(12)-N₃ 37-Azido-2,5,8,11,14,17,20,23,26,29,32,35-dodecaoxaheptatriacontane CAS-NO: 89485-61-0 MOLECULAR WEIGHT: 585,69 g/mole		PEG1660.0100	100 mg	€	250,00
		PEG1660.0001	1 g	€	750,00
PEG1710 MeO-dPEG(24)-N₃ alpha-Methoxy-omega-azido-24(ethylene glycol) CAS-NO: 89485-61-0 MOLECULAR WEIGHT: 1114,34 g/mole		PEG1710.0100	100 mg	€	300,00
		PEG1710.0001	1 g	€	860,00
PEG3430 MeO-dPEG™(36)-N₃ alpha-Methoxy-omega-azido-36(ethylene glycol) CAS-NO: 89485-61-0 MOLECULAR WEIGHT: 1642,95 g/mole		PEG3430.0100	100 mg	€	330,00
		PEG3430.1000	1 g	€	1070,00
PEG1219 MeO-PEG-N₃ alpha-Methoxy-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 750 Da		PEG1219.0500	500 mg	€	230,00
		PEG1219.0001	1 g	€	400,00
PEG1225 MeO-PEG-N₃ alpha-Methoxy-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 2000 Da		PEG1225.0500	500 mg	€	110,00
		PEG1225.0001	1 g	€	200,00
PEG2040 MeO-PEG-N₃ alpha-Methoxy-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG2040.0500	500 mg	€	110,00
		PEG2040.0001	1 g	€	200,00
PEG2045 MeO-PEG-N₃ alpha-Methoxy-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG2045.0500	500 mg	€	140,00
		PEG2045.0001	1 g	€	225,00
PEG2050 MeO-PEG-N₃ alpha-Methoxy-omega-azido poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG2050.0500	500 mg	€	140,00
		PEG2050.0001	1 g	€	225,00

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		Article No.	Quantity	Price
PEG4900	N₃-EEEt-OH	PEG4900.0001	1 g	€ 125,00
2-[2-(2-Azidoethoxy)ethoxy]ethanol CAS-NO: 86520-52-7 MOLECULAR WEIGHT: 175,19 g/mole			PEG4900.0005	5 g € 350,00
			PEG4900.0025	25 g € 1400,00
PEG3760	N₃-dPEG™(4)-OH	PEG3760.1000	1 g	€ 225,00
alpha-Azido-omega-hydroxy tetra(ethylene glycol) CAS-NO: 86770-67-4 MOLECULAR WEIGHT: 219,24 g/mole				
PEG1088	N₃-PEG(8)-OH	PEG1088.0001	1 g	€ 300,00
alpha-Azido-omega-hydroxy octa(ethylene glycol) CAS-NO: 352439-36-2 MOLECULAR WEIGHT: 395,45 g/mole			PEG1088.0005	5 g € 900,00
PEG1390	N₃-dPEG(12)-OH	PEG1390.0100	100 mg	€ 250,00
35-Azido-3,6,9,12,15,18,21,24,27,30,33-undecaoxapentatriacontan-1-ol CAS-NO: 73342-16-2 MOLECULAR WEIGHT: 571,66 g/mole			PEG1390.0001	1 g € 650,00
PEG1220	N₃-PEG(20)-OH	PEG1220.0001	1 g	€ 325,00
alpha-Azido-omega-hydroxy icos(ethylene glycol) MOLECULAR WEIGHT: 924,1 g/mole			PEG1220.0005	5 g € 975,00
PEG3770	N₃-dPEG™(24)-OH	PEG3770.0100	100 mg	€ 350,00
alpha-Azido-omega-hydroxy 24(ethylene glycol) CAS-NO: 73342-16-2 MOLECULAR WEIGHT: 1100,29 g/mole			PEG3770.1000	1 g € 1070,00
PEG3780	N₃-dPEG™(36)-OH	PEG3780.0100	100 mg	€ 380,00
alpha-Azido-omega-hydroxy 36(ethylene glycol) CAS-NO: 73342-16-2 MOLECULAR WEIGHT: 1628,92 g/mole			PEG3780.1000	1 g € 1180,00

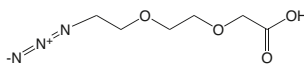
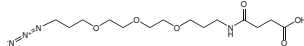
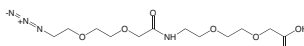
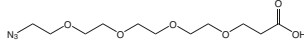
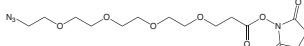
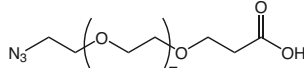
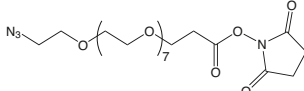
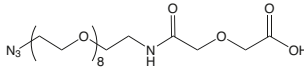
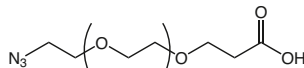
Inquire for any other derivative. We supply **PEGylating reagents** on custom basis.

Need PEG compounds produced according to cGMP? **Please inquire!**

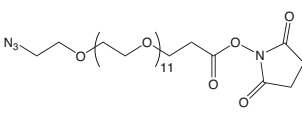
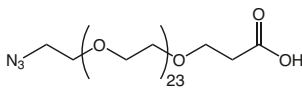
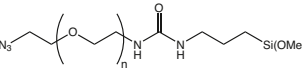


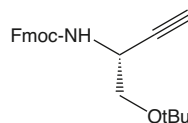
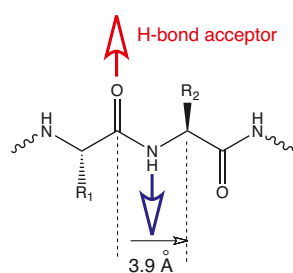
Custom synthesis of 2,2- and 3,3-disubstituted homo and gamma amino acids.

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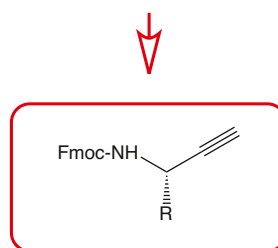
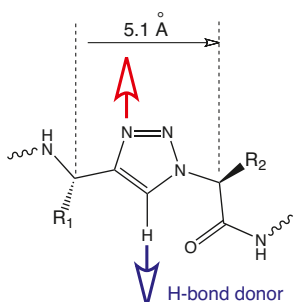
		Article No.	Quantity	Price
PEG2780 N₃-O2Oc-OH*CHA 8-Azido-3,6-dioxaoctanoic acid cyclohexylamine, 2-(2-Azidoethoxy)-ethoxyacetic acid cyclohexylamine CAS-NO: 88518-90-3 net MOLECULAR WEIGHT: 189.17*99.17 g/mole		PEG2780.0001	1 g	€ 150,00
		PEG2780.0005	5 g	€ 500,00
		PEG2780.0025	25 g	€ 2000,00
PEG5170 N₃-TOTA-Suc 1-Azido-4,7,10-trioxa-13-tridecaneamine succinamic acid MOLECULAR WEIGHT: 346,38 g/mole		PEG5170.0001	1 g	€ 190,00
		PEG5170.0005	5 g	€ 750,00
		PEG5170.0025	25 g	€ 3000,00
PEG2790 N₃-O2Oc-O2Oc-OH 8-(8-Azido-3,6-dioxaoctanoylamido)-3,6-dioxaoctanoic acid MOLECULAR WEIGHT: 334.33 g/mole		PEG2790.0001	1 g	€ 400,00
		PEG2790.0005	5 g	€ 1600,00
PEG2345 N₃-PEG(4)-COOH 15-Azido-4,7,10,13-tetraoxa-pentadecanoic acid CAS-NO: 1257063-35-6 MOLECULAR WEIGHT: 291,3 g/mole		PEG2345.0100	100 mg	€ 110,00
		PEG2345.0001	1 g	€ 350,00
		PEG2345.0005	5 g	€ 1400,00
PEG1400 N₃-dPEG(4)-NHS 15-Azido-4,7,10,13-tetraoxa-pentadecanoic acid succinimidyl ester CAS-NO: 944251-24-5 MOLECULAR WEIGHT: 388,37 g/mole		PEG1400.0100	100 mg	€ 200,00
		PEG1400.0001	1 g	€ 970,00
PEG4170 N₃-dPEG™(8)-COOH alpha-Azido-omega-(propionic acid) octa(ethylene glycol) CAS-NO: 1214319-92-2 MOLECULAR WEIGHT: 467,51 g/mole		PEG4170.0100	100 mg	€ 250,00
		PEG4170.1000	1 g	€ 1180,00
PEG1405 N₃-dPEG(8)-NHS 1-Azido-3,6,9,12,15,18,21,24-octa-oxaheptacosan-27-ic acid succinimidyl ester CAS-NO: 1204834-00-3 MOLECULAR WEIGHT: 564,58 g/mole		PEG1405.0100	100 mg	€ 225,00
		PEG1405.0001	1 g	€ 1130,00
PEG2015 N₃-PEG(9)-COOH 14-azido-5-oxo-3,9,12-trioxa-6-azatetradecan-1-ic acid MOLECULAR WEIGHT: 554,59 g/mole		PEG2015.0001	1 g	€ 400,00
		PEG2015.0005	5 g	€ 1350,00
PEG4180 N₃-dPEG™(12)-COOH alpha-Azido-omega-(propionic acid) dodeca(ethylene glycol) CAS-NO: 1167575-20-3 MOLECULAR WEIGHT: 643,72 g/mole		PEG4180.0100	100 mg	€ 270,00
		PEG4180.1000	1 g	€ 1230,00

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		Article No.	Quantity	Price
PEG1395 N₃-dPEG(12)-NHS 1-Azido-3,6,9,12,15,18,21,24,27,30,33,36-dodecaoxanonatriacontan-39-oic acid succinimidyl ester CAS-NO: 1108750-59-9 MOLECULAR WEIGHT: 740,79 g/mole		PEG1395.0100	100 mg	€ 250,00
		PEG1395.0001	1 g	€ 1210,00
PEG4190 N₃-dPEG™(24)-COOH alpha-Azido-omega-(propionic acid) 24(ethylene glycol) CAS-NO: 1167575-20-3 MOLECULAR WEIGHT: 1172,35 g/mole		PEG4190.0100	100 mg	€ 300,00
		PEG4190.1000	1 g	€ 1290,00
PEG4830 Azido-PEG-Si(OMe)₃ alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol) MOLECULAR WEIGHT: 3000 Da		PEG4830.0500	500 mg	€ 500,00
		PEG4830.1000	1 g	€ 900,00
PEG4835 Azido-PEG-Si(OMe)₃ alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG4835.0500	500 mg	€ 500,00
		PEG4835.1000	1 g	€ 900,00
PEG4840 Azido-PEG-Si(OMe)₃ alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG4840.0500	500 mg	€ 500,00
		PEG4840.1000	1 g	€ 900,00
PEG4845 Azido-PEG-Si(OMe)₃ alpha-Azido-omega-trimethoxysilyl poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG4845.0500	500 mg	€ 500,00
		PEG4845.1000	1 g	€ 900,00



Fmoc-Ser(tBu)-OH Click Analogue

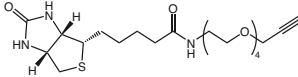
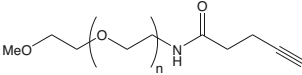
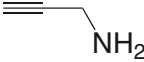
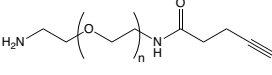


Ala, Arg(Pbf), Asn(Trt) Trp(Boc), Tyr(tBu), Val

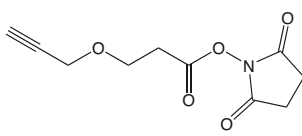
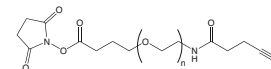
Custom synthesis of various alkyne amino acids analogues.

Prices are in EUR, net, exw Germany

c) Alkyne-PEG Derivatives for Click Chemistry

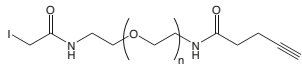
		Article No.	Quantity	Price
PEG4950 Biotin-PEG(4)-alkyne 15-[D(+)-Biotinylamino]-4,7,10,13-tetraoxapentadec-1-yne MOLECULAR WEIGHT: 457,58 g/mole		PEG4950.0250	250 mg	€ 200,00
		PEG4950.0001	1 g	€ 650,00
PEG2840 MeO-PEG-alkyne alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 750 Da		PEG2840.0500	500 mg	€ 230,00
		PEG2840.0001	1 g	€ 400,00
PEG2810 MeO-PEG-alkyne alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 2000 Da		PEG2810.0500	500 mg	€ 110,00
		PEG2810.0001	1 g	€ 200,00
PEG2830 MeO-PEG-alkyne alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG2830.0500	500 mg	€ 110,00
		PEG2830.0001	1 g	€ 200,00
PEG2800 MeO-PEG-alkyne alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG2800.0500	500 mg	€ 140,00
		PEG2800.0001	1 g	€ 225,00
PEG2820 MeO-PEG-alkyne alpha-Methoxy-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG2820.0500	500 mg	€ 140,00
		PEG2820.0001	1 g	€ 225,00
PEG2755 Propargyl amine Propargyl amine CAS-NO: 2450-71-7 MOLECULAR WEIGHT: 55,08 g/mole		PEG2755.0005	5 g	€ 175,00
		PEG2755.0025	25 g	€ 350,00
PEG2960 H₂N-PEG-alkyne alpha-Amino-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 3000 Da		PEG2960.0500	500 mg	€ 370,00
		PEG2960.0001	1 g	€ 610,00
PEG2980 H₂N-PEG-alkyne alpha-Amino-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG2980.0500	500 mg	€ 370,00
		PEG2980.0001	1 g	€ 610,00
PEG2950 H₂N-PEG-alkyne alpha-Amino-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG2950.0500	500 mg	€ 400,00
		PEG2950.0001	1 g	€ 675,00
PEG2970 H₂N-PEG-alkyne alpha-Amino-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG2970.0500	500 mg	€ 400,00
		PEG2970.0001	1 g	€ 675,00

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		Article No.	Quantity	Price
PEG1935 Propargyl-NHS 3-(Prop-2-ynyloxy)propanoic acid succinimidyl ester CAS-NO: 1174157-65-3 MOLECULAR WEIGHT: 225,2 g/mole		PEG1935.0100	100 mg	€ 150,00
		PEG1935.0001	1 g	€ 490,00
PEG2860 NHS-PEG-alkyne alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 3000 Da		PEG2860.0500	500 mg	€ 475,00
		PEG2860.0001	1 g	€ 825,00
PEG2880 NHS-PEG-alkyne alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG2880.0500	500 mg	€ 475,00
		PEG2880.0001	1 g	€ 825,00
PEG2850 NHS-PEG-alkyne alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG2850.0500	500 mg	€ 500,00
		PEG2850.0001	1 g	€ 880,00
PEG2870 NHS-PEG-alkyne alpha-Succinimidyl ester-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG2870.0500	500 mg	€ 500,00
		PEG2870.0001	1 g	€ 880,00

In conjugation techniques with thiol groups from Cysteine or other SH carrying moieties normally maleinimides are used, which react also with other acid protons like for

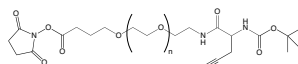
example from OH or NH₂ and give appropriate unwanted impurities. The iodo group reacts much more specifically with thiol resulting in much cleaner conjugates.

PEG3110 I-PEG-alkyne alpha-Iodo-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 3000 Da		PEG3110.0100	100 mg	€ 225,00
		PEG3110.0500	500 mg	€ 625,00
PEG3120 I-PEG-alkyne alpha-Iodo-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG3120.0100	100 mg	€ 225,00
		PEG3120.0500	500 mg	€ 625,00
PEG3090 I-PEG-alkyne alpha-Iodo-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG3090.0100	100 mg	€ 250,00
		PEG3090.0500	500 mg	€ 675,00
PEG3100 I-PEG-alkyne alpha-Iodo-omega-propargylacetamido poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG3100.0100	100 mg	€ 250,00
		PEG3100.0500	500 mg	€ 675,00

Reference:

- ▶ Quantitative reactivity profiling predicts functional cysteines in proteomes; K. Weerapana, C. Wang, G.M. Simon, F. Richter, S. Khare, M.B.D. Dillon, D.A. Bachovichin, K. Mowen, D. Baker, B.J. Cravatt; *Nature* 2010; **468**: 790-795.

		Article No.	Quantity	Price
PEG2910 NHS-PEG(NH-Boc)-alkyne alpha-Succinimidyl ester-omega-(N-t-Butyloxycarbonyl-L-propargyl-glycyl) poly(ethylene glycol) MOLECULAR WEIGHT: 3000 Da		PEG2910.0500	500 mg	€ 500,00
		PEG2910.0001	1 g	€ 880,00
PEG2930 NHS-PEG(NH-Boc)-alkyne alpha-Succinimidyl ester-omega-(N-t-Butyloxycarbonyl-L-propargyl-glycyl) poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG2930.0500	500 mg	€ 500,00
		PEG2930.0001	1 g	€ 880,00
PEG2900 NHS-PEG(NH-Boc)-alkyne alpha-Succinimidyl ester-omega-(N-t-Butyloxycarbonyl-L-propargyl-glycyl) poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG2900.0500	500 mg	€ 550,00
		PEG2900.0001	1 g	€ 960,00
PEG2920 NHS-PEG(NH-Boc)-alkyne alpha-Succinimidyl ester-omega-(N-t-Butyloxycarbonyl-L-propargyl-glycyl) poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG2920.0500	500 mg	€ 550,00
		PEG2920.0001	1 g	€ 960,00

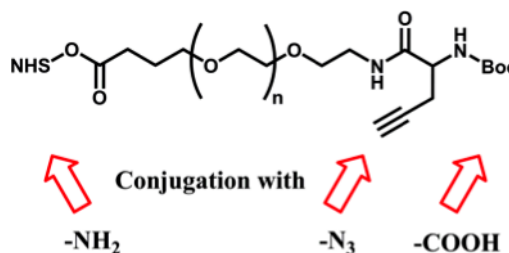


Tri-functional PEG carrying three orthogonal reactive groups:

1. The NHS group can react with nucleophiles like amines or alcohols.
2. At the Boc-Amino position the free amino group (after removal of the Boc protecting group) can form a stable amide bond with activated esters.
3. Finally the alkyne function is still free for the Click reaction with a third partner.

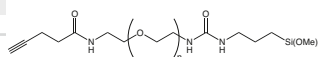
Two interacting partners like protein and receptor can be conjugated in this way and the interaction monitored by attaching a dye, fluorescence dye, NMR or spin label for highly sophisticated experiments.

Tri-functional PEGylating Reagent



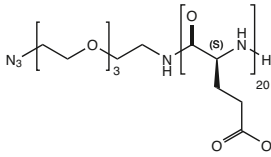
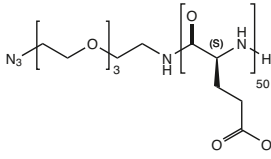
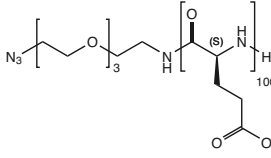
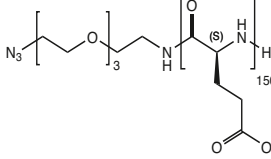
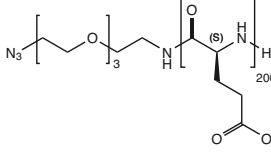
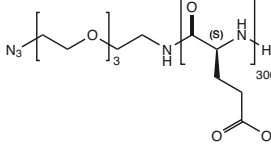
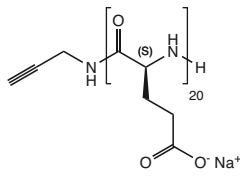
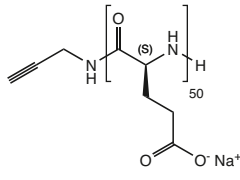
α-Succinimidyl ester-ω-(N-t-Butyloxycarbonyl-L-propargyl-glycyl) poly(ethylene glycol)

PEG4810 Alkyne-PEG-Si(OMe)₃ alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol) MOLECULAR WEIGHT: 3000 Da		PEG4810.0500	500 mg	€ 500,00
		PEG4810.1000	1 g	€ 900,00
PEG4815 Alkyne-PEG-Si(OMe)₃ alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol) MOLECULAR WEIGHT: 5000 Da		PEG4815.0500	500 mg	€ 500,00
		PEG4815.1000	1 g	€ 900,00
PEG4820 Alkyne-PEG-Si(OMe)₃ alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol) MOLECULAR WEIGHT: 10000 Da		PEG4820.0500	500 mg	€ 500,00
		PEG4820.1000	1 g	€ 900,00
PEG4825 Alkyne-PEG-Si(OMe)₃ alpha-Propargylacetamido-omega-trimethoxysilyl poly(ethylene glycol) MOLECULAR WEIGHT: 20000 Da		PEG4825.0500	500 mg	€ 500,00
		PEG4825.1000	1 g	€ 900,00

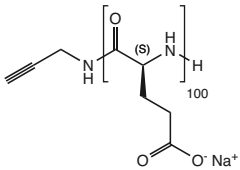
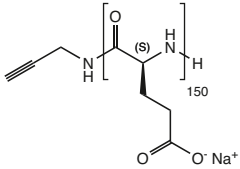
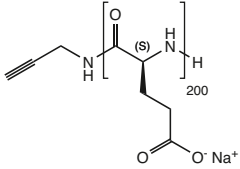
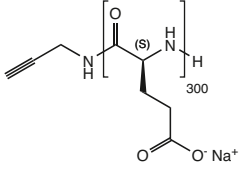
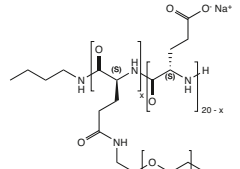
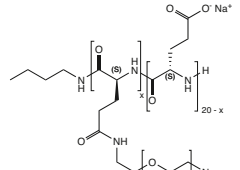
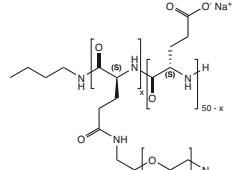
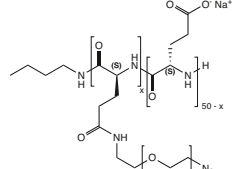


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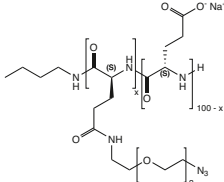
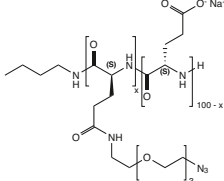
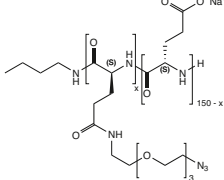
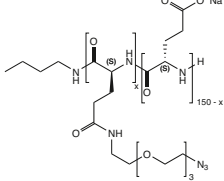
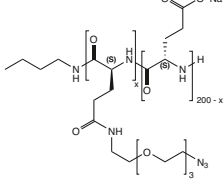
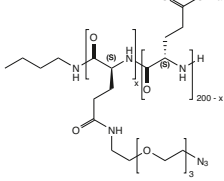
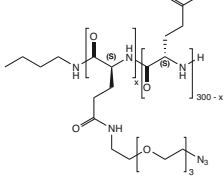
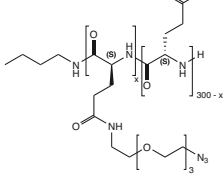
d) Poly(Amino Acids) for Click Chemistry

		Article No.	Quantity	Price
PGA1125 N₃-PGA(20)Na Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt (MW 3000Da) MOLECULAR WEIGHT: 3000 Da		PGA1125.0100	100 mg	€ 145,00
		PGA1125.0500	500 mg	€ 280,00
		PGA1125.1000	1 g	€ 500,00
		PGA1125.5000	5 g	€ 2100,00
PGA1130 N₃-PGA(50)Na Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt (MW 7500Da) MOLECULAR WEIGHT: 7500 Da		PGA1130.0100	100 mg	€ 145,00
		PGA1130.0500	500 mg	€ 280,00
		PGA1130.1000	1 g	€ 500,00
		PGA1130.5000	5 g	€ 2100,00
PGA1135 N₃-PGA(100)Na Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt (MW 15000Da) MOLECULAR WEIGHT: 15000 Da		PGA1135.0100	100 mg	€ 145,00
		PGA1135.0500	500 mg	€ 280,00
		PGA1135.0001	1 g	€ 500,00
		PGA1135.0005	5 g	€ 2100,00
PGA1137 N₃-PGA(150)Na Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt (MW 22700Da) MOLECULAR WEIGHT: 22700 Da		PGA1137.0100	100 mg	€ 145,00
		PGA1137.0500	500 mg	€ 280,00
		PGA1137.1000	1 g	€ 500,00
		PGA1137.5000	5 g	€ 2100,00
PGA1140 N₃-PGA(200)Na Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt (MW 30000Da) MOLECULAR WEIGHT: 30000 Da		PGA1140.0100	100 mg	€ 145,00
		PGA1140.0500	500 mg	€ 280,00
		PGA1140.1000	1 g	€ 500,00
		PGA1140.5000	5 g	€ 2100,00
PGA1145 N₃-PGA(300)Na Azido-ethyltri(ethylene glycol)-poly(L-glutamic acid) sodium salt (MW 45000Da) MOLECULAR WEIGHT: 45000 Da		PGA1145.0100	100 mg	€ 145,00
		PGA1145.0500	500 mg	€ 280,00
		PGA1145.1000	1 g	€ 500,00
		PGA1145.5000	5 g	€ 2100,00
PGA1085 Prg-PGA(20)Na Propargyl-poly(L-glutamic acid) sodium salt (MW 3000Da) MOLECULAR WEIGHT: 3000 Da		PGA1085.0100	100 mg	€ 145,00
		PGA1085.0500	500 mg	€ 260,00
		PGA1085.1000	1 g	€ 450,00
		PGA1085.5000	5 g	€ 1850,00
		PGA1085.9001	10 g	€ 3200,00
PGA1090 Prg-PGA(50)Na Propargyl-poly(L-glutamic acid) sodium salt (MW 7600Da) MOLECULAR WEIGHT: 7600 Da		PGA1090.0100	100 mg	€ 145,00
		PGA1090.0500	500 mg	€ 260,00
		PGA1090.1000	1 g	€ 450,00
		PGA1090.5000	5 g	€ 1850,00
		PGA1090.9001	10 g	€ 3200,00

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		Article No.	Quantity	Price
PGA1095 Prg-PGA(100)Na Propargyl-poly(L-glutamic acid) sodium salt (MW 15100Da) MOLECULAR WEIGHT: 15100 Da		PGA1095.0100	100 mg	€ 145,00
		PGA1095.0500	500 mg	€ 260,00
		PGA1095.0001	1 g	€ 450,00
		PGA1095.0005	5 g	€ 1850,00
		PGA1095.0010	10 g	€ 3200,00
PGA1097 Prg-PGA(150)Na Propargyl-poly(L-glutamic acid) sodium salt (MW 22700Da) MOLECULAR WEIGHT: 22700 Da		PGA1097.0100	100 mg	€ 145,00
		PGA1097.0500	500 mg	€ 260,00
		PGA1097.1000	1 g	€ 450,00
		PGA1097.5000	5 g	€ 1850,00
		PGA1097.9001	10 g	€ 3200,00
PGA1100 Prg-PGA(200)Na Propargyl-poly(L-glutamic acid) sodium salt (MW 30200Da) MOLECULAR WEIGHT: 30200 Da		PGA1100.0100	100 mg	€ 145,00
		PGA1100.0500	500 mg	€ 260,00
		PGA1100.1000	1 g	€ 450,00
		PGA1100.5000	5 g	€ 1850,00
		PGA1100.9001	10 g	€ 3200,00
PGA1105 Prg-PGA(300)Na Propargyl-poly(L-glutamic acid) sodium salt (MW 45300Da) MOLECULAR WEIGHT: 45300 Da		PGA1105.0100	100 mg	€ 145,00
		PGA1105.0500	500 mg	€ 260,00
		PGA1105.1000	1 g	€ 450,00
		PGA1105.5000	5 g	€ 1850,00
		PGA1105.9001	10 g	€ 3200,00
PGA1265 nBu-PGA(20)[Azid(10)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (5-10 mol% azido substitution, MW 3100Da) MOLECULAR WEIGHT: 3100 Da		PGA1265.0100	100 mg	€ 375,00
		PGA1265.0500	500 mg	€ 750,00
		PGA1265.1000	1 g	€ 1275,00
PGA1290 nBu-PGA(20)[Azid(20)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20 mol% azido substitution, MW 3300Da) MOLECULAR WEIGHT: 3300 Da		PGA1290.0100	100 mg	€ 525,00
		PGA1290.0500	500 mg	€ 975,00
		PGA1290.1000	1 g	€ 1450,00
PGA1270 nBu-PGA(50)[Azid(10)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (5-10 mol% azido substitution, MW 7700Da) MOLECULAR WEIGHT: 7700 Da		PGA1270.0100	100 mg	€ 375,00
		PGA1270.0500	500 mg	€ 750,00
		PGA1270.1000	1 g	€ 1275,00
PGA1295 nBu-PGA(50)[Azid(20)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20 mol% azido substitution, MW 8300Da) MOLECULAR WEIGHT: 8300 Da		PGA1295.0100	100 mg	€ 525,00
		PGA1295.0500	500 mg	€ 975,00
		PGA1295.1000	1 g	€ 1450,00

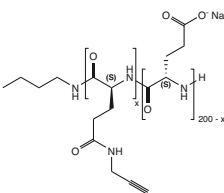
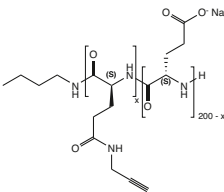
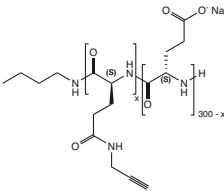
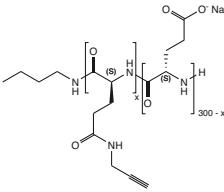
Prices are in EUR, net, exw Germany

		Article No.	Quantity	Price
PGA1275 nBu-PGA(100)[Azid(10)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (5-10 mol% azido substitution, MW 15300Da) MOLECULAR WEIGHT: 15300 Da		PGA1275.0100	100 mg	€ 375,00
		PGA1275.0500	500 mg	€ 750,00
		PGA1275.1000	1 g	€ 1275,00
PGA1300 nBu-PGA(100)[Azid(20)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20 mol% azido substitution, MW 16600Da) MOLECULAR WEIGHT: 16600 Da		PGA1300.0100	100 mg	€ 525,00
		PGA1300.0500	500 mg	€ 975,00
		PGA1300.1000	1 g	€ 1450,00
PGA1277 nBu-PGA(150)[Azid(10)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (5-10 mol% azido substitution, MW 23000Da) MOLECULAR WEIGHT: 23000 Da		PGA1277.0100	100 mg	€ 375,00
		PGA1277.0500	500 mg	€ 750,00
		PGA1277.1000	1 g	€ 1275,00
PGA1302 nBu-PGA(150)[Azid(20)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20 mol% azido substitution, MW 24800Da) MOLECULAR WEIGHT: 24800 Da		PGA1302.0100	100 mg	€ 525,00
		PGA1302.0500	500 mg	€ 975,00
		PGA1302.1000	1 g	€ 1450,00
PGA1280 nBu-PGA(200)[Azid(10)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (5-10 mol% azido substitution, MW 30600Da) MOLECULAR WEIGHT: 30600 Da		PGA1280.0100	100 mg	€ 375,00
		PGA1280.0500	500 mg	€ 750,00
		PGA1280.1000	1 g	€ 1275,00
PGA1305 nBu-PGA(200)[Azid(20)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20 mol% azido substitution, MW 33100Da) MOLECULAR WEIGHT: 33100 Da		PGA1305.0100	100 mg	€ 525,00
		PGA1305.0500	500 mg	€ 975,00
		PGA1305.1000	1 g	€ 1450,00
PGA1282 nBu-PGA(300)[Azid(10)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (5-10 mol% azido substitution, MW 45900Da) MOLECULAR WEIGHT: 45900 Da		PGA1282.0100	100 mg	€ 375,00
		PGA1282.0500	500 mg	€ 750,00
		PGA1282.1000	1 g	€ 1275,00
PGA1307 nBu-PGA(300)[Azid(20)] n-Butyl-poly(L-glutamic acid gamma-azido-ethyltri(ethylene glycol) amide) sodium salt (10-20 mol% azido substitution, MW 49700Da) MOLECULAR WEIGHT: 49700 Da		PGA1307.0100	100 mg	€ 525,00
		PGA1307.0500	500 mg	€ 975,00
		PGA1307.1000	1 g	€ 1450,00

Prices are in EUR, net, exw Germany

		Article No.	Quantity	Price
PGA1165 nBu-PGA(20)[Prg(10)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (5-10 mol% propargyl substitution, MW 3000Da) MOLECULAR WEIGHT: 3000 Da		PGA1165.0100	100 mg	€ 275,00
		PGA1165.0500	500 mg	€ 675,00
		PGA1165.1000	1 g	€ 925,00
		PGA1165.5000	5 g	€ 3200,00
PGA1190 nBu-PGA(20)[Prg(20)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20 mol% propargyl substitution, MW 3000Da) MOLECULAR WEIGHT: 3000 Da		PGA1190.0100	100 mg	€ 325,00
		PGA1190.0500	500 mg	€ 775,00
		PGA1190.1000	1 g	€ 1100,00
		PGA1190.5000	5 g	€ 3200,00
PGA1170 nBu-PGA(50)[Prg(10)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (5-10 mol% propargyl substitution, MW 7500Da) MOLECULAR WEIGHT: 7500 Da		PGA1170.0100	100 mg	€ 275,00
		PGA1170.0500	500 mg	€ 675,00
		PGA1170.1000	1 g	€ 925,00
		PGA1170.5000	5 g	€ 3200,00
PGA1195 nBu-PGA(50)[Prg(20)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20 mol% propargyl substitution, MW 7500Da) MOLECULAR WEIGHT: 7500 Da		PGA1195.0100	100 mg	€ 325,00
		PGA1195.0500	500 mg	€ 775,00
		PGA1195.1000	1 g	€ 1100,00
		PGA1195.5000	5 g	€ 3200,00
PGA1175 nBu-PGA(100)[Prg(10)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (5-10 mol% propargyl substitution, MW 15000Da) MOLECULAR WEIGHT: 15000 Da		PGA1175.0100	100 mg	€ 275,00
		PGA1175.0500	500 mg	€ 675,00
		PGA1175.1000	1 g	€ 925,00
		PGA1175.5000	5 g	€ 3200,00
PGA1200 nBu-PGA(100)[Prg(20)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20 mol% propargyl substitution, MW 15000Da) MOLECULAR WEIGHT: 15000 Da		PGA1200.0100	100 mg	€ 325,00
		PGA1200.0500	500 mg	€ 775,00
		PGA1200.1000	1 g	€ 1100,00
		PGA1200.5000	5 g	€ 3200,00
PGA1177 nBu-PGA(150)[Prg(10)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (5-10 mol% propargyl substitution, MW 23000Da) MOLECULAR WEIGHT: 23000 Da		PGA1177.0100	100 mg	€ 275,00
		PGA1177.0500	500 mg	€ 675,00
		PGA1177.1000	1 g	€ 925,00
		PGA1177.5000	5 g	€ 3200,00
PGA1202 nBu-PGA(150)[Prg(20)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20 mol% propargyl substitution, MW 24800Da) MOLECULAR WEIGHT: 24800 Da		PGA1202.0100	100 mg	€ 325,00
		PGA1202.0500	500 mg	€ 775,00
		PGA1202.1000	1 g	€ 1100,00
		PGA1202.5000	5 g	€ 3200,00

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			Article No.	Quantity	Price
PGA1180 nBu-PGA(200)[Prg(10)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (5-10 mol% propargyl substitution, MW 30000Da) MOLECULAR WEIGHT: 30000 Da		PGA1180.0100	100 mg	€ 275,00	
		PGA1180.0500	500 mg	€ 675,00	
		PGA1180.1000	1 g	€ 925,00	
		PGA1180.5000	5 g	€ 3200,00	
PGA1205 nBu-PGA(200)[Prg(20)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20 mol% propargyl substitution, MW 30000Da) MOLECULAR WEIGHT: 30000 Da		PGA1205.0100	100 mg	€ 325,00	
		PGA1205.0500	500 mg	€ 775,00	
		PGA1205.1000	1 g	€ 1100,00	
		PGA1205.5000	5 g	€ 3200,00	
PGA1182 nBu-PGA(300)[Prg(10)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (5-10 mol% propargyl substitution, MW 45900Da) MOLECULAR WEIGHT: 45900 Da		PGA1182.0100	100 mg	€ 275,00	
		PGA1182.0500	500 mg	€ 675,00	
		PGA1182.1000	1 g	€ 925,00	
		PGA1182.5000	5 g	€ 3200,00	
PGA1207 nBu-PGA(300)[Prg(20)]Na n-Butyl-poly(L-glutamic acid gamma-propargyl amide) sodium salt (10-20 mol% propargyl substitution, MW 49700Da) MOLECULAR WEIGHT: 49700 Da		PGA1207.0100	100 mg	€ 325,00	
		PGA1207.0500	500 mg	€ 775,00	
		PGA1207.1000	1 g	€ 1100,00	
		PGA1207.5000	5 g	€ 3200,00	

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Poly-Arg, Glu, Orn

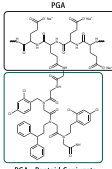
Modern, Versatile Polymers

for Drug Delivery, Tissue Engineering, Sensing, Catalysis, NanoMedicine

- Biocompatible and Biodegradable.
- Multifunctional through Post Polymerization Modification.
- Enhanced Degree of Polymerization from 1kDa to over 100kDa!
- Combined with Superior Polydispersity!

- ✓ Bringing the Advantage of Polymer Therapy also to Small Molecules.
- ✓ Enables and Increases Water Solubility.
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- ✓ Alters Pharmacokinetic Properties (increased activity at longer time).

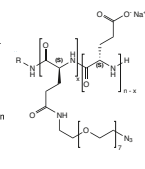
Published Application:
PGA-Peptoid conjugate used to improve poor solubility and low membrane permeability of a hydrophobic peptoid drug compound.



PGA - Peptoid Conjugate

Structural versatility and well defined synthesis of block copolymers.

Azido: Conjugation via Click Chemistry
Glu: Conjugation via Carbonyl Condensation



From Grams to Multi-Ton Lots

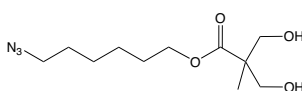
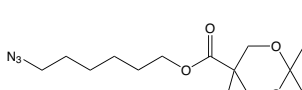
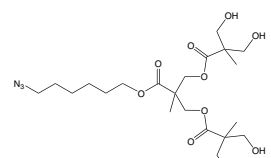
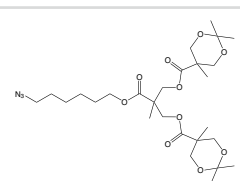
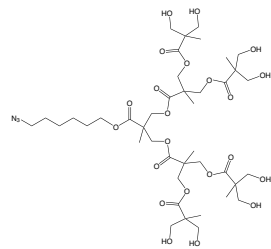
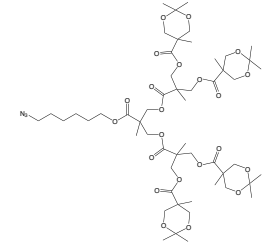
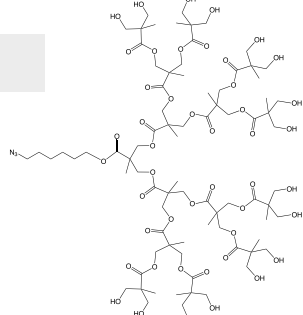
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e) PEG-based Dendrimers for Click Chemistry

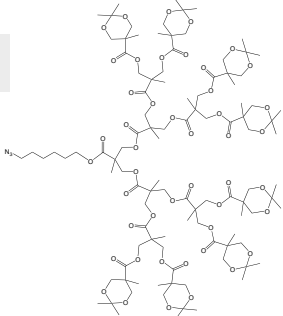
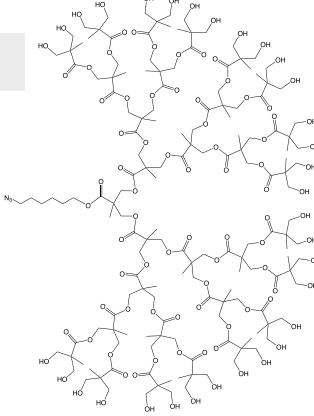
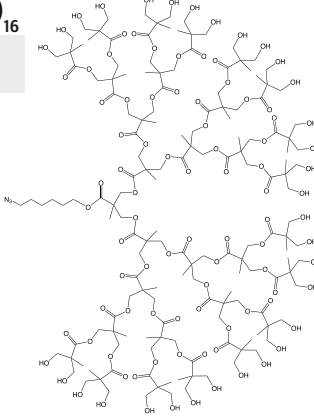
		Article No.	Quantity	Price
DDN2070 PEG(2)-Pentramer-G1-(NH₂+4xN₃)*4HCl Pentavalent PEG(2) based Dendrimer-Generation-1 with one amino and four azido functions, tetrahydrochloride MOLECULAR WEIGHT: 1148,28*145,81 g/mole		DDN2070.0250	250 mg	€ 350,00
		DDN2070.0001	1 g	€ 990,00
		DDN2070.0005	5 g	€ 2275,00
DDN2050 PEG(3)-Pentramer-G1-(NH₂+4xN₃)*4HCl Pentavalent PEG(3) based Dendrimer-Generation-1 with one amino and four azido functions, tetrahydrochloride MOLECULAR WEIGHT: 1508,81*145,81 g/mole		DDN2050.0250	250 mg	€ 350,00
		DDN2050.0001	1 g	€ 990,00
DDN2060 PEG(3)-Pentramer-G1-(Boc-NH+4xN₃) Pentavalent PEG based Dendrimer-Generation-1 with one t-butyloxycarbonylamino and four azido functions MOLECULAR WEIGHT: 1608,92 g/mole		DDN2060.0250	250 mg	€ 350,00
		DDN2060.0001	1 g	€ 990,00
DDN2040 PEG(3)-Pentramer-G1-(N₃+4xNH₂)*4HCl Pentavalent PEG based Dendrimer-Generation-1 with one azido and four amino functions, tetrahydrochloride MOLECULAR WEIGHT: 1430,81*145,1 g/mole		DDN2040.0250	250 mg	€ 325,00
		DDN2040.0001	1 g	€ 875,00
DDN2030 PEG(3)-Pentramer-G1-(N₃+4xBoc-NH) Pentavalent PEG based Dendrimer-Generation-1 with one azido and four t-butyloxycarbonylamino functions MOLECULAR WEIGHT: 1831,28 g/mole		DDN2030.0250	250 mg	€ 325,00
		DDN2030.0001	1 g	€ 875,00

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f) Azido Dendrons for Click Chemistry

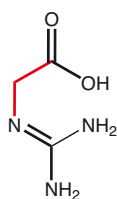
		Article No.	Quantity	Price
DDN1000 Azido-Dendron-G1-(OH)₂ Azidohexyl-Dendron-Generation-1-dihydroxide, 6-Azidohexyl 3-hydroxy-2-(hydroxymethyl)-2-methylpropanoate MOLECULAR WEIGHT: 259,3 g/mole		DDN1000.0500	500 mg	€ 510,00
		DDN1000.1000	1 g	€ 790,00
DDN1050 Azido-Dendron-G1-Acetonide Azidohexyl-Dendron-Generation-1-acetonide, 6-Azidohexyl 2,2,5-trimethyl-1,3-dioxane-5-carboxylate MOLECULAR WEIGHT: 299,37 g/mole		DDN1050.0500	500 mg	€ 460,00
		DDN1050.1000	1 g	€ 700,00
DDN1010 Azido-Dendron-G2-(OH)₄ Azidohexyl-Dendron-Generation-2-tetrahydroxide, 2-((6-azido-hexyloxy)carbonyl)-2-methylpropane-1,3-diyl bis(3-hydroxy-2-(hydroxymethyl)-2-methylpropanoate) MOLECULAR WEIGHT: 491,53 g/mole		DDN1010.0500	500 mg	€ 630,00
		DDN1010.1000	1 g	€ 990,00
DDN1060 Azido-Dendron-G2-(Acetonide)₂ Azidohexyl-Dendron-Generation-2-diacetonide, 2-((6-azido-hexyloxy)carbonyl)-2-methylpropane-1,3-diyl bis(2,2,5-trimethyl-1,3-dioxane-5-carboxylate) MOLECULAR WEIGHT: 571,66 g/mole		DDN1060.0500	500 mg	€ 560,00
		DDN1060.1000	1 g	€ 870,00
DDN1020 Azido-Dendron-G3-(OH)₈ Azidohexyl-Dendron-Generation-3-octahydroxide CAS-NO: 105928-88-9 net MOLECULAR WEIGHT: 955,99 g/mole		DDN1020.0500	500 mg	€ 800,00
		DDN1020.1000	1 g	€ 1290,00
DDN1070 Azido-Dendron-G3-(Acetonide)₄ Azidohexyl-Dendron-Generation-3-tetraacetonide MOLECULAR WEIGHT: 1116,25 g/mole		DDN1070.0500	500 mg	€ 710,00
		DDN1070.1000	1 g	€ 1130,00
DDN1030 Azido-Dendron-G4-(OH)₁₆ Azidohexyl-Dendron-Generation-4-hexadecihydroxide MOLECULAR WEIGHT: 1884,91 g/mole		DDN1030.0250	250 mg	€ 720,00
		DDN1030.0500	500 mg	€ 1100,00
		DDN1030.1000	1 g	€ 1810,00

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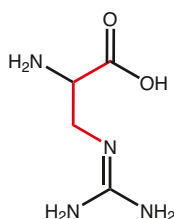
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DDN1080 Azido-Dendron-G4-(Acetonide)₈ Azidohexyl-Dendron-Generation-4-octaacetone MOLECULAR WEIGHT: 2205,43 g/mole		DDN1080.0250	250 mg	€ 640,00
		DDN1080.0500	500 mg	€ 970,00
		DDN1080.1000	1 g	€ 1580,00
DDN1040 Azido-Dendron-G5-(OH)₃₂ Azidohexyl-Dendron-Generation-5-dotriacontahydroxide MOLECULAR WEIGHT: 3742,76 g/mole		DDN1040.0250	250 mg	€ 1020,00
		DDN1040.0500	500 mg	€ 1580,00
		DDN1040.1000	1 g	€ 2650,00
DDN1090 Azido-Dendron-G5-(Acetonide)₁₆ Azidohexyl-Dendron-Generation-5-hexadeciacetonide MOLECULAR WEIGHT: 4383,78 g/mole		DDN1090.0250	250 mg	€ 900,00
		DDN1090.0500	500 mg	€ 1380,00
		DDN1090.1000	1 g	€ 2310,00

Amino acids analogues for peptidomimetics and medicinal chemistry.

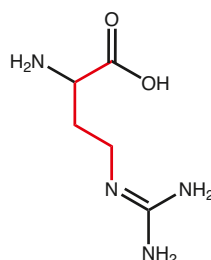
Amidino-Glycine
BAA6380



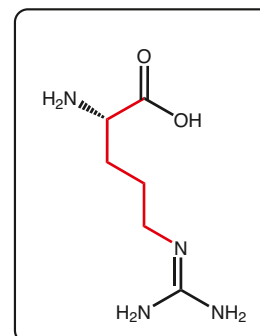
Amino-guanidino propionic acid
FAA1772



Amino-guanidino butyric acid
FAA6160

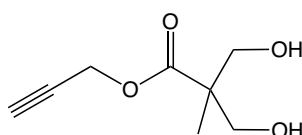
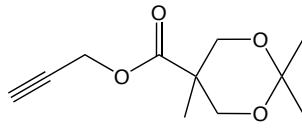
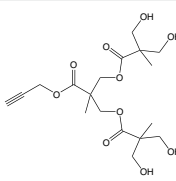
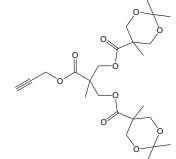
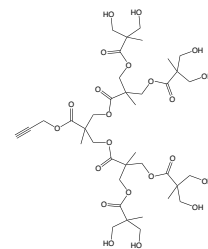
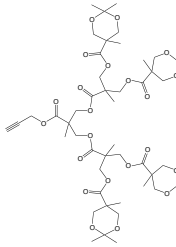
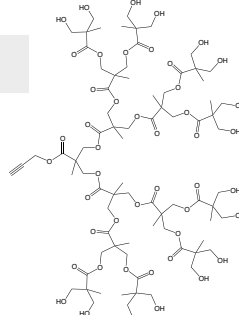


Arginine

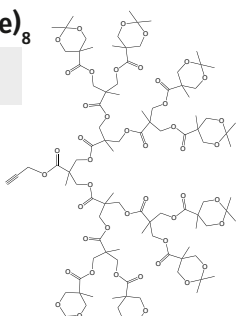
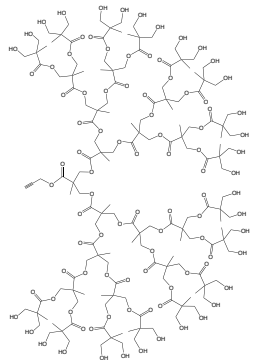
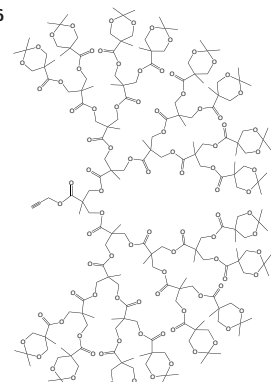


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g) Alkyne Dendrons for Click Chemistry

		Article No.	Quantity	Price
DDN1100 Alkynyl-Dendron-G1-(OH)₂ Propynyl-Dendron-Generation-1-dihydroxide, Prop-2-ynyl 3-hydroxy-2-(hydroxymethyl)-2-methylpropanoate MOLECULAR WEIGHT: 172,18 g/mole		DDN1100.0500	500 mg	€ 420,00
		DDN1100.1000	1 g	€ 620,00
DDN1150 Alkynyl-Dendron-G1-Acetonide Propynyl-Dendron-Generation-1-acetonide, Prop-2-ynyl 2,2,5-trimethyl-1,3-dioxane-5-carboxylate MOLECULAR WEIGHT: 212,24 g/mole		DDN1150.0500	500 mg	€ 390,00
		DDN1150.1000	1 g	€ 560,00
DDN1110 Alkynyl-Dendron-G2-(OH)₄ Propynyl-Dendron-Generation-2-tetrahydroxide, 2-Methyl-2-((prop-2-ynyloxy)carbonyl)propane-1,3-diyl bis(3-hydroxy-2-(hydroxymethyl)-2-methylpropanoate) MOLECULAR WEIGHT: 404,41 g/mole		DDN1110.0500	500 mg	€ 500,00
		DDN1110.1000	1 g	€ 770,00
DDN1160 Alkynyl-Dendron-G2-(Acetonide)₂ Propynyl-Dendron-Generation-2-diacetonide, 2-Methyl-2-((prop-2-ynyloxy)carbonyl)propane-1,3-diyl bis(2,2,5-trimethyl-1,3-dioxane-5-carboxylate) MOLECULAR WEIGHT: 484,54 g/mole		DDN1160.0500	500 mg	€ 460,00
		DDN1160.1000	1 g	€ 690,00
DDN1120 Alkynyl-Dendron-G3-(OH)₈ Propynyl-Dendron-Generation-3-octahydroxide MOLECULAR WEIGHT: 868,87 g/mole		DDN1120.0500	500 mg	€ 630,00
		DDN1120.1000	1 g	€ 990,00
DDN1170 Alkynyl-Dendron-G3-(Acetonide)₄ Propynyl-Dendron-Generation-3-tetraacetonide MOLECULAR WEIGHT: 1029,13 g/mole		DDN1170.0500	500 mg	€ 570,00
		DDN1170.1000	1 g	€ 890,00
DDN1130 Alkynyl-Dendron-G4-(OH)₁₆ Propynyl-Dendron-Generation-4-hexadecihydroxide MOLECULAR WEIGHT: 1797,79 g/mole		DDN1130.0250	250 mg	€ 570,00
		DDN1130.0500	500 mg	€ 850,00
		DDN1130.1000	1 g	€ 1370,00

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		Article No.	Quantity	Price
DDN1180 Alkynyl-Dendron-G4-(Acetonide)₈ Propynyl-Dendron-Generation-4-octaacetone MOLECULAR WEIGHT: 2118,3 g/mole		DDN1180.0250	250 mg	€ 520,00
		DDN1180.0500	500 mg	€ 760,00
		DDN1180.1000	1 g	€ 1230,00
DDN1140 Alkynyl-Dendron-G5-(OH)₃₂ Propynyl-Dendron-Generation-5-dotriacontahydroxide MOLECULAR WEIGHT: 3655,64 g/mole		DDN1140.0250	250 mg	€ 790,00
		DDN1140.0500	500 mg	€ 1200,00
		DDN1140.1000	1 g	€ 1990,00
DDN1190 Alkynyl-Dendron-G5-(Acetonide)₁₆ Propynyl-Dendron-Generation-5-hexadeciacetone MOLECULAR WEIGHT: 4296,66 g/mole		DDN1190.0250	250 mg	€ 710,00
		DDN1190.0500	500 mg	€ 1080,00
		DDN1190.1000	1 g	€ 1770,00

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 "Comprehensive Drug Delivery Survey":



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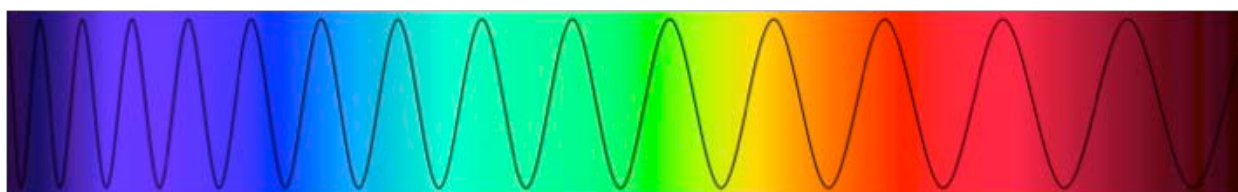
5. Dyes and Fluorescence Labels for Click Chemistry

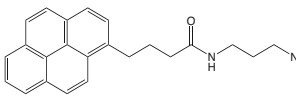
Dyes and other labels which are non-native, modifying living systems, should be bioorthogonal, not alter the biological activity of the labelled component and be inert to physiological conditions. Among other tagging reactions the click reaction is highly valuable. It is superior to other labelling techniques because of the inertness of the conjugation triazol linkage and the selective and efficient reaction between the labelling target and the label. Therefore this technique has found successful applications beside the traditional active ester and maleimide techniques in the field of labelling proteins and particularly DNA.

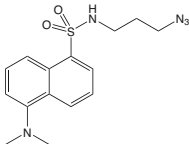
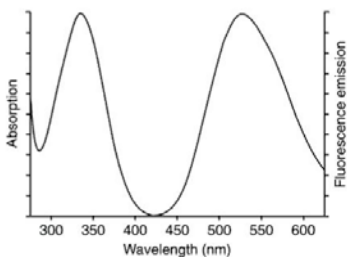
Find in the following section dyes suitable for Click Chemistry.

References:

- ▶ A stepwise Huisgen cycloaddition process: copper (I)-catalyzed regioselective ligation of azides and terminal alkynes; V.V. Rostovtsev, L.G. Green, K.B. Sharpless; *Angew. Chem. Int. Ed.* 2002; **41**: 2596-2599.
- ▶ Peptidotriazoles on solid phase: [1,2,3]-triazoles by regioselective copper(I)-catalyzed 1,3-dipolar cycloaddition of terminal alkynes to azides; C.W. Tornøe, C. Christensen; M. Meldal; *J.Org.Chem.* 2002; **67**: 3057-3064.
- ▶ Surface-Modified Upconverting Microparticles and Nanoparticles for Use in Click Chemistries. H. S. Mader, M. Link, D. E. Achatz, K. Uhlmann, X. Li, O. S. Wolfbeis; *Chem. - Eur. J.* 2010; **16**: 5416-5424. DOI: 10.1002/chem.201000117.
- ▶ Probing the Activity of Matrix Metalloproteinase II Using a Sequentially Click-Labeled Silica Nanoparticle FRET Probe. D. E. Achatz, G. Mezö, P. Kele, O. S. Wolfbeis; *ChemBioChem* 2009; **10**: 2316-1320. DOI: 10.1002/cbic.200900261.
- ▶ Clickable Fluorophores for Biological Labeling - With or Without Copper. P. Kele, X. Li, M. Link, K. Nagy, A. Herner, K. Lörinz, S. Beni, O. S. Wolfbeis; *Org. Biomol. Chem.* 2009; **7**: 3486-3490. DOI: 10.1039/b907741c.
- ▶ Dual Labeling of Biomolecules by Click Chemistry: a Sequential Approach. P. Kele, G. Mezö, D. Achatz, O. S. Wolfbeis; *Angew. Chem.* 2009; **121**: 350-353; *Angew. Chem. Intl. Ed.* 2009; **48**: 344-347. DOI: 10.1002/ange.200804514.



	Article No.	Quantity	Price
DYB1190 Pyrene-Azide Pyrene-Azide N-(3-Azidopropyl)-4-(pyren-1-yl)butanamide FORMULA: C ₂₃ H ₂₂ N ₄ O MOLECULAR WEIGHT: 370,45 g/mole		DYB1190.0001	1 mg € 175,00
		DYB1190.0005	5 mg € 450,00
Spectral and other Properties: <ul style="list-style-type: none"> ▶ Absorption 340 nm ▶ Emission 376 nm ▶ Extinction coefficient 43.000 l/(mol*cm) ▶ soluble in DMSO, DMF, MeCN 			

DYB1180 Dansyl-Azide Dansyl-Azide N-(3-Azidopropyl)-5-(dimethylamino)naphthalene-1-sulfonamide FORMULA: C ₁₅ H ₁₉ N ₅ O ₂ S MOLECULAR WEIGHT: 333,41 g/mole		DYB1180.0001	1 mg € 175,00
		DYB1180.0005	5 mg € 350,00
Spectral and other Properties: <ul style="list-style-type: none"> ▶ Absorption 340 nm ▶ Emission 520 nm ▶ Extinction coefficient 4.200 l/(mol*cm) ▶ soluble in DMSO, DMF, MeOH 			
			

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Excitation UV-Violet (< 420nm)

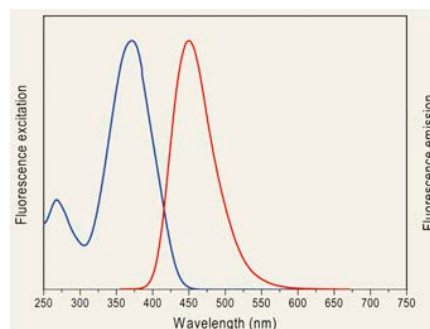
Article No.	Quantity	Price
DYB1050.0005	5 mg	€ 200,00
DYB1050.0010	10 mg	€ 375,00

DYB1050 Eterneon-350/455-Azide

Eterneon-350/455-Azide
MOLECULAR WEIGHT: 456,6 g/mole

Spectral and other Properties:

- ▶ Absorption 350 nm
- ▶ Emission 455 nm
- ▶ Extinction coefficient 27.300 l/(mol*cm)
- ▶ Quantum Yield 74%
- ▶ soluble in DMSO, DMF, DCM, Water/Tween, PBS



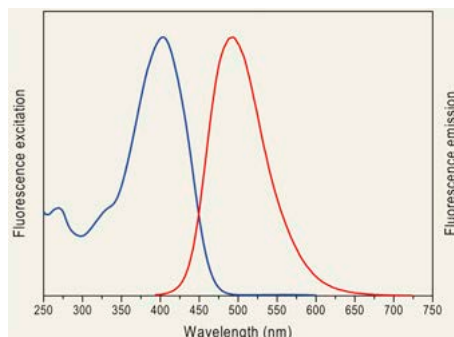
DYB1060.0005	5 mg	€ 200,00
DYB1060.0010	10 mg	€ 375,00

DYB1060 Eterneon-384/480-Azide

Eterneon-384/480-Azide
MOLECULAR WEIGHT: 538,73 g/mole

Spectral and other Properties:

- ▶ Absorption 384 nm
- ▶ Emission 480 nm
- ▶ Extinction coefficient 18.000 l/(mol*cm)
- ▶ Quantum Yield 42%
- ▶ soluble in DMSO, DMF, DCM, Water/Tween, PBS



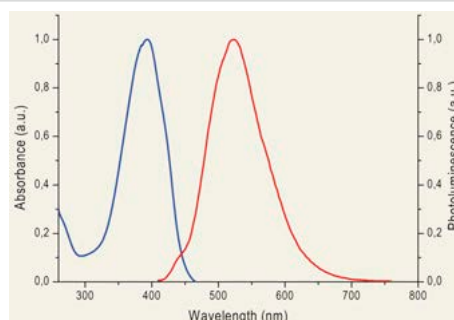
DYB1070.0005	5 mg	€ 200,00
DYB1070.0010	10 mg	€ 375,00

DYB1070 Eterneon-393/523-Azide

Eterneon-393/523-Azide
MOLECULAR WEIGHT: 582,78 g/mole

Spectral and other Properties:

- ▶ Absorption 393 nm
- ▶ Emission 523 nm
- ▶ Extinction coefficient 27.680 l/(mol*cm)
- ▶ Quantum Yield 75%
- ▶ soluble in DMSO, DMF, DCM, Water/Tween, PBS



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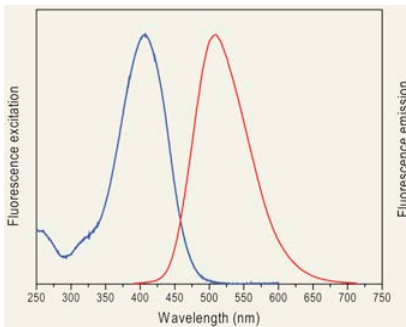

Excitation UV-Violet (< 420nm)

		Article No.	Quantity	Price
DYB1080 Eterneon-394/507-Azide	DYB1080.0005	5 mg	€ 200,00	
	DYB1080.0010	10 mg	€ 375,00	

Eterneon-394/507-Azide
MOLECULAR WEIGHT: 538,73 g/mole

Spectral and other Properties:

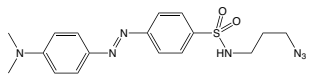
- ▶ Absorption 394 nm
- ▶ Emission 507 nm
- ▶ Extinction coefficient 30.000 l/(mol*cm)
- ▶ Quantum Yield 37%
- ▶ soluble in DMSO, DMF, DCM, Water/Tween, PBS



Excitation Blue-Green (420nm - 560nm)

		Article No.	Quantity	Price
DYB1170 Dabsyl-Azide	DYB1170.0001	1 mg	€ 175,00	
	DYB1170.0005	5 mg	€ 480,00	

Dabsyl-Azide N-(3-Azidopropyl)-4-((4-(dimethylamino)phenyl)diazonyl)benzenesulfonamide
FORMULA: C₁₇H₂₁N₇O₂S
MOLECULAR WEIGHT: 387,46 g/mole

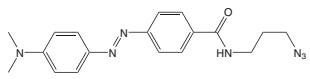


Spectral and other Properties:

- ▶ Absorption: 436 nm
- ▶ Soluble in DMSO, DMF, MeCN, AcOEt

DYB1160 Dabcyl-Azide	DYB1160.0001	1 mg	€ 175,00
	DYB1160.0005	5 mg	€ 600,00
	DYB1160.0100	100 mg	€ 2850,00

Dabcyl-Azide N-(3-azidopropyl)-4-((4-(dimethylamino)phenyl)diazonyl)benzamide
FORMULA: C₁₈H₂₁N₇O
MOLECULAR WEIGHT: 351,41 g/mole



Spectral and other Properties:

- ▶ Absorption 478 nm
- ▶ Extinction coefficient 32.000 l/(mol*cm)
- ▶ soluble in DMSO, DMF, MeCN, AcOEt



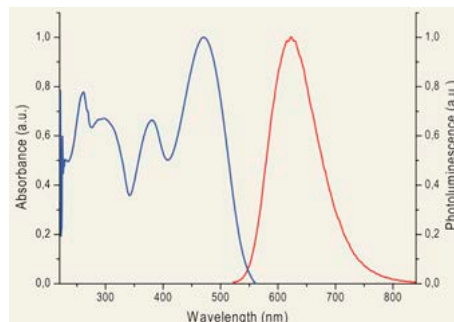
Excitation Blue-Green (420nm - 560nm)

Article No.	Quantity	Price
DYB1090	Eterneon-480/635-Azide	
DYB1090.0005	5 mg	€ 225,00
DYB1090.0010	10 mg	€ 425,00

Eterneon-480/635-Azide
MOLECULAR WEIGHT: 629,79 g/mole

Spectral and other Properties:

- ▶ Absorption 480 nm
- ▶ Emission 635 nm
- ▶ Extinction coefficient 29.900 l/(mol*cm)
- ▶ Quantum Yield 34%
- ▶ soluble in DMSO, DMF, DCM, Water/Tween, PBS

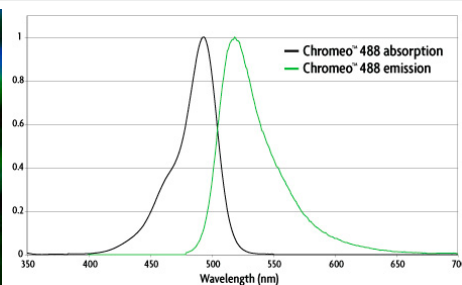
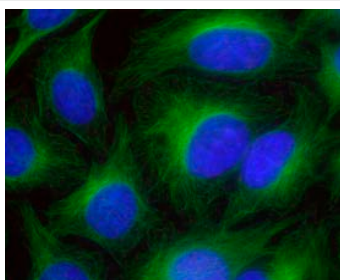


DYC1030	Chromeo 488-Azide	DYC1030.0005	5 mg	€ 975,00
Chromeo 488 Azide MOLECULAR WEIGHT: 599,75 g/mole				

DYC1040	Chromeo 488-Alkyne	DYC1040.0005	5 mg	€ 975,00
Chromeo 488 Alkyne MOLECULAR WEIGHT: 554,6 g/mole				

Spectral and other Properties:

- ▶ Absorption 488 nm
- ▶ Emission 517 nm
- ▶ Extinction coefficient 73.000 l/(mol*cm)
- ▶ Quantum Yield 38%



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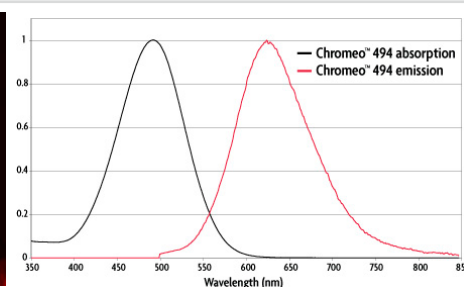
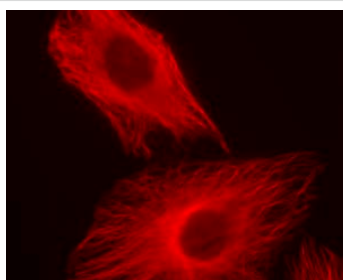

Excitation Blue-Green (420nm - 560nm)

	Article No.	Quantity	Price
DYC2030 Chromeo 494-Azide	DYC2030.0005	5 mg	€ 975,00
Chromeo 494 Azide MOLECULAR WEIGHT: 597,62 g/mole			

DYC2040 Chromeo 494-Alkyne	DYC2040.0005	5 mg	€ 975,00
Chromeo 494 Alkyne MOLECULAR WEIGHT: 472,6 g/mole			

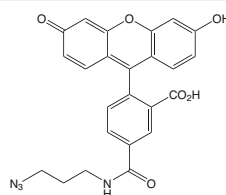
Spectral and other Properties:

- ▶ Absorption 494 nm
- ▶ Emission 628 nm
- ▶ Extinction coefficient 55.000 L/(mol*cm)
- ▶ Quantum Yield 25%


DYB1010 5-Carboxyfluoresceine-Azide

5-(3-Azidopropylcarbamoyl)-2-(6-hydroxy-3-oxo-3H-xanthen-9-yl) benzoic acid

FORMULA: C₂₄H₁₈N₄O₆
 MOLECULAR WEIGHT: 458,43 g/mole

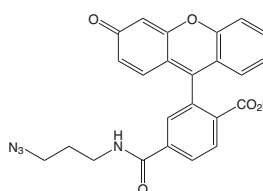


DYB1010.0001	1 mg	€ 200,00
DYB1010.0005	5 mg	€ 600,00

DYB1000 6-Carboxyfluoresceine-Azide

6-(3-Azidopropylcarbamoyl)-2-(6-hydroxy-3-oxo-3H-xanthen-9-yl) benzoic acid

FORMULA: C₂₄H₁₈N₄O₆
 MOLECULAR WEIGHT: 458,43 g/mole

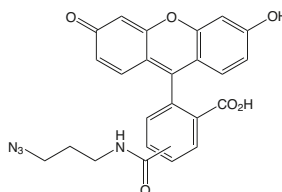


DYB1000.0001	1 mg	€ 150,00
DYB1000.0010	10 mg	€ 200,00
DYB1000.0100	100 mg	€ 600,00

DYB1020 5/6-Carboxyfluoresceine-Azide

5/6-(3-Azidopropylcarbamoyl)-2-(6-hydroxy-3-oxo-3H-xanthen-9-yl) benzoic acid

FORMULA: C₂₄H₁₈N₄O₆
 MOLECULAR WEIGHT: 458,43 g/mole



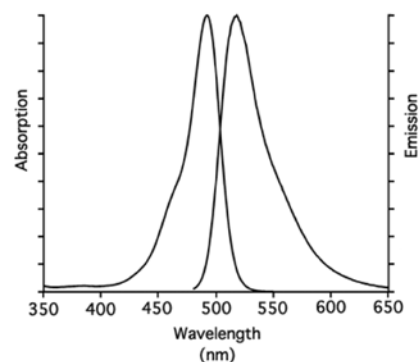
DYB1020.0001	1 mg	€ 175,00
DYB1020.0005	5 mg	€ 450,00

Spectral and other Properties:

- ▶ Absorption 496 nm
- ▶ Emission 519 nm
- ▶ Soluble in: DMSO, DMF, MeOH

References:

- ▶ *Angew. Chem. Int. Ed.* 2008; **47**: 3442 -3444;
- ▶ *Angew. Chem. Int. Ed.* 2008; **47**: 8350-8358;
- ▶ *Tetrahedron Lett.* 2005; **46**: 1691-1695.



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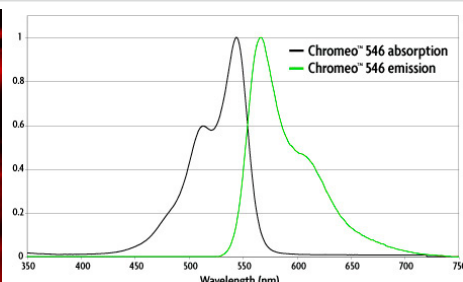
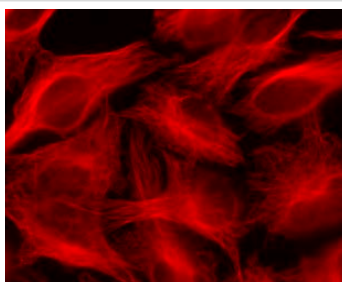
Excitation Blue-Green (420nm - 560nm)

Article No.	Quantity	Price
DYC3030 Chromeo 546-Azide	DYC3030.0005 5 mg	€ 975,00
Chromeo 546 Azide FORMULA: $C_{38}H_{54}N_6O_4P^*Br$ MOLECULAR WEIGHT: 689,95 g/mole		

DYC3040 Chromeo 546-Alkyne	DYC3040.0005 5 mg	€ 975,00
Chromeo 546 Alkyne FORMULA: $C_{38}H_{51}N_6O_4P$ MOLECULAR WEIGHT: 644,8 g/mole		

Spectral and other Properties:

- ▶ Absorption 545 nm
- ▶ Emission 561 nm
- ▶ Extinction coefficient 98.800 L/(mol*cm)
- ▶ Quantum Yield 10%



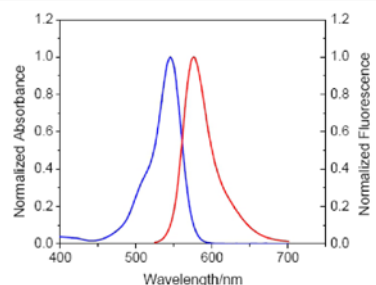
DYB1030 5-TAMRA-Azide	DYB1030.0001 1 mg	€ 150,00
5-Carboxytetramethylrhodamine-Azide FORMULA: $C_{28}H_{28}N_6O_4$ MOLECULAR WEIGHT: 512,56 g/mole		
	DYB1030.0010 10 mg	€ 200,00
	DYB1030.0100 100 mg	€ 600,00

Spectral and other Properties:

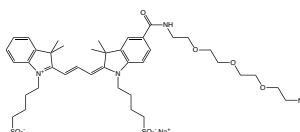
- ▶ Absorption 546 nm
- ▶ Emission 579 nm
- ▶ Extinction coefficient 91.000 l/(mol*cm)
- ▶ soluble in DMSO, DMF, MeOH

References:

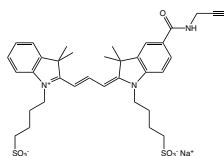
- ▶ *Angew. Chem. Int. Ed.* 2008; **47**: 3442-3444.
- ▶ *Angew. Chem. Int. Ed.* 2008; **47**: 8350-8358.



DYM1250 MiDye550-Azide	DYM1250.0005 5 mg	€ 550,00
MiDye ₅₅₀ amido(ethoxy(ethoxy(ethylazide))) FORMULA: $C_{40}H_{55}N_6O_5S_2^*Na$ MOLECULAR WEIGHT: 867,02 g/mole		
	DYM1250.0010 10 mg	€ 950,00

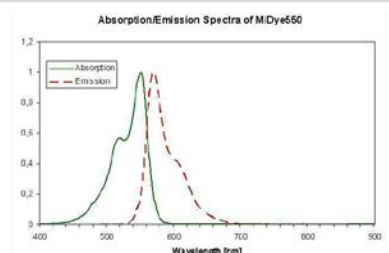


DYM1040 MiDye550 Propargylamide	DYM1040.0005 5 mg	€ 550,00
MiDye ₅₅₀ Propargylamide FORMULA: $C_{35}H_{42}N_6NaO_5S_2$ MOLECULAR WEIGHT: 703,84 g/mole		
	DYM1040.0010 10 mg	€ 950,00



Spectral and other Properties:

- ▶ Absorption 550 nm
- ▶ Emission 580 nm
- ▶ Extinction coefficient 150.000 l/(mol*cm)
- ▶ Quantum Yield 15%



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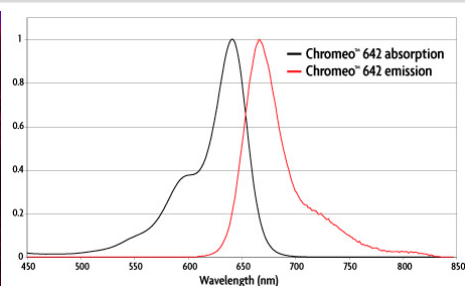
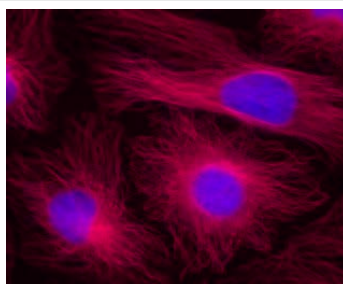
Excitation Red - NIR (> 630nm)

	Article No.	Quantity	Price
DYC4030 Chromeo 642-Azide	DYC4030.0005	5 mg	€ 975,00
Chromeo 642 Azide MOLECULAR WEIGHT: 767,83 g/mole			

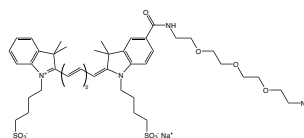
DYC4040 Chromeo 642-Alkyne	DYC4040.0005	5 mg	€ 975,00
Chromeo 642 Alkyne MOLECULAR WEIGHT: 641,8 g/mole			

Spectral and other Properties:

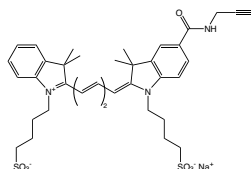
- ▶ Absorption 642 nm
- ▶ Emission 660 nm
- ▶ Extinction coefficient 180.000 L/(mol*cm)
- ▶ Quantum Yield 15%



DYM1260 MiDye650-Azide	DYM1260.0005	5 mg	€ 550,00
MiDye ₆₅₀ amido(ethoxy(ethoxy(ethylazide))) MOLECULAR WEIGHT: 893,06 g/mole			
	DYM1260.0010	10 mg	€ 950,00



DYM1140 MiDye650 Propargylamide	DYM1140.0005	5 mg	€ 550,00
MiDye ₆₅₀ Propargylamide MOLECULAR WEIGHT: 729,88 g/mole			
	DYM1140.0010	10 mg	€ 950,00

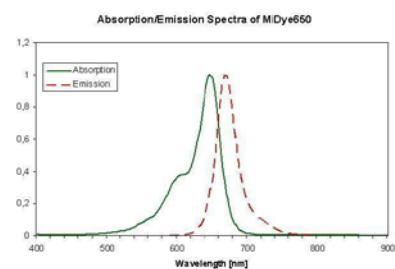


Spectral and other Properties :

- ▶ Absorption 647 nm
- ▶ Emission 673 nm
- ▶ Extinction coefficient 255.000 l/(mol*cm)
- ▶ Quantum Yield 30%

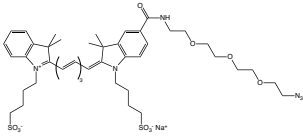
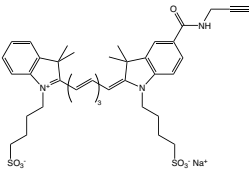
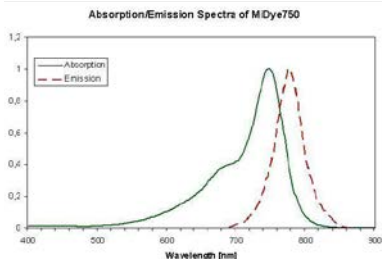
Properties of the MiDye Chromophore System:

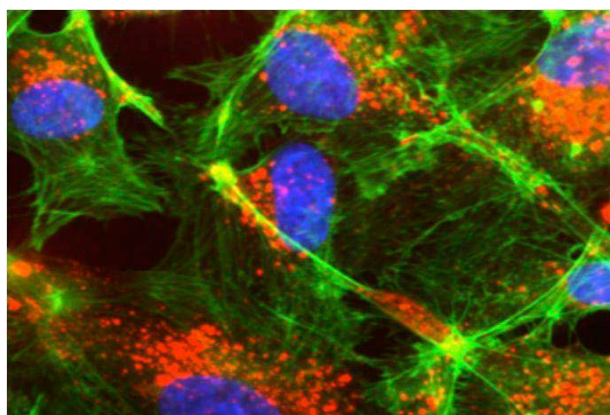
- ▶ Readily applicable to biolabelling, Click conjugation protocols and peptide synthesis
- ▶ Stable under buffer conditions (pH 4-8) and in solid phase peptide synthesis
- ▶ Broadly applied in cell and animal imaging, tested in FRET systems
- ▶ Available in larger quantities compared to e.g. Alexa, Cy-Dyes etc.
- ▶ Purities > 85%, supplied as freeze-dried solid powder





Excitation Red - NIR (> 630nm)

		Article No.	Quantity	Price
DYM1270 MiDye750-Azide MiDye ₇₅₀ amido(ethoxy(ethoxy(ethoxy(ethylazide)))) MOLECULAR WEIGHT: 919,09 g/mole		DYM1270.0005	5 mg	€ 550,00
		DYM1270.0010	10 mg	€ 950,00
DYM1240 MiDye750 Propargylamide MiDye ₇₅₀ Propargylamide MOLECULAR WEIGHT: 755,92 g/mole		DYM1240.0005	5 mg	€ 550,00
		DYM1240.0010	10 mg	€ 950,00
Spectral and other Properties : <ul style="list-style-type: none"> ▶ Absorption 747 nm ▶ Emission 782 nm ▶ Extinction coefficient 190.000 l/(mol*cm) ▶ Quantum Yield 9% 				
				



Properties of the MiDye Chromophore System:

- ▶ Readily applicable to biolabelling, Click conjugation protocols and peptide synthesis.
- ▶ Stable under buffer conditions (pH 4-8) and in solid phase peptide synthesis.
- ▶ Broadly applied in cell and animal imaging, tested in FRET systems.
- ▶ Available in larger quantities compared to e.g. Alexa, Cy-Dyes etc.
- ▶ Purities > 85%, supplied as freeze-dried solid powder.

Find more details about MiDye properties and applications in literature:

- ▶ Biocompatible Functionalized Polyglycerol Microgels with Cell Penetrating Properties; Adam L. Sisson, Dirk Steinhilber, Torsten Rossow, Pia Welker, Kai Licha, and Rainer Haag; *Angew. Chem. Int. Ed.* 2009; **48**: 7540-7545; DOI: 10.1002/anie.200901583.
- ▶ Synthesis, Characterization, and Biological Properties of Cyanine-Labeled Somatostatin Analogues as Receptor-Targeted Fluorescent Probes; Kai Licha, Carsten Hennesius, Andreas Becker, Peter Henklein, Michael Bauer, Stefan Wisniewski, Bertram Wiedenmann, and Wolfhard Semmler; *Bioconjugate Chem.* 2001; **12**: 44-50.
- ▶ Optical molecular imaging of lymph nodes using a targeted vascular contrast agent; Kai Licha, Niels Debus, Sonja Emig-Vollmer, Birte Hofmann, Michael Hasbach, Dietger Stibenz, Sabine Sydow, Michael Schirner, Bernd Ebert, Diethard Petzelt, Christoph Bührer, Wolfhard Semmler, Rudolf Tauber; *Journal of Biomedical Optics* 2005; **10(4)**: 041205.
- ▶ A complete substitutional analysis of VIP for better tumor imaging properties; Sarah Bhargava, Kai Licha, Tobias Knaute, Bernd Ebert, Andreas Becker, Carsten Grötzinger, Carsten Hennesius, Bertram Wiedenmann, Jens Schneider-Mergener and Rudolf Volkmer-Engert; *J. Mol. Recognit.* 2002; **15**: 145-153; DOI:10.1002/jmr.565.
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- ▶ Receptor-targeted optical imaging of tumors with near-infrared fluorescent ligands; Andreas Becker, Carsten Hennesius, Kai Licha, Bernd Ebert, Uwe Sukowski, Wolfhard Semmler, Bertram Wiedenmann, and Carsten Grötzinger; *nature biotechnology* 2001; **19**: 327-331.

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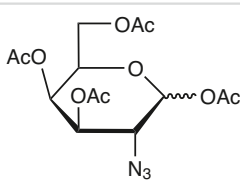
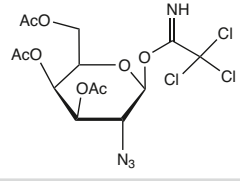
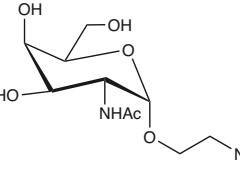
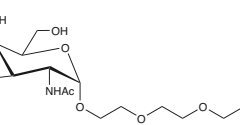
6. Carbohydrates for Click Chemistry

Protein and lipid glycosylation is a life-governing and omnipresent process. Glycoconjugates display a multitude of biological effects from protein folding and stabilization, energy storage, cell surface interaction through molecular recognition motifs for cell-cell communication, and structural support and protection. Defective metabolic pathways in pathological processes, inflammation and microbial virulence, neurodegenerative conditions or tumour metastasis are only a few key words indicating the many possible application fields. The smooth and selective reaction conditions of the Click reaction open so far impossible molecule design for drug development and vaccine development based on carbohydrate conjugation.

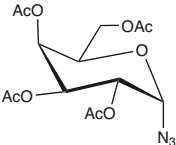
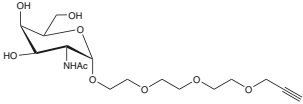
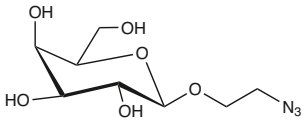
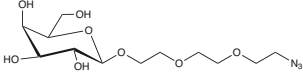
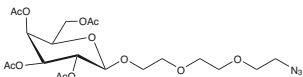
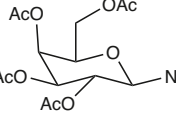
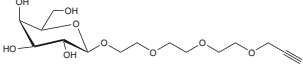
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- ▶ Glycosylation in cellular mechanisms of health and disease; K. Ohtsubo and J. D. Marth; *Cell* 2006; **126**, 855-67.
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- ▶ Glycomics: a pathway to a class of new and improved therapeutics; Z. Shriver, S. Raguram and R. Sasisekharan; *Nat Rev Drug Discov* 2004; **3**, 863-73.
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- ▶ A chemoenzymatic approach to glycopeptide antibiotics; H. Lin and C. T. Walsh; *J Am Chem Soc* 2004; **126**, 13998-4003.

a) Galactose Derivatives

		Article No.	Quantity	Price
GBB1207 D-Gal(N₃, Ac₃)-OAc 2-Azido-2-deoxy-1,3,4,6-tetra-O-acetyl-D-galactopyranose CAS-NO: 84278-00-2 MOLECULAR WEIGHT: 373,32 g/mole		GBB1207.0002	2 g	€ 725,00
		GBB1207.0005	5 g	€ 1200,00
		GBB1207.0010	10 g	€ 2100,00
GBB1226 beta-D-Gal(N₃, Ac₃)-TCAI O-(2-Azido-3,4,6-tri-O-Ac-beta-D-Gal)-trichloroacetimidate MOLECULAR WEIGHT: 475,67 g/mole		GBB1226.0050	50 mg	€ 250,00
		GBB1226.0100	100 mg	€ 375,00
		GBB1226.0250	250 mg	€ 575,00
GBB1445 alpha-GalNAc-N₃ 1-O-(2-Azidoethoxy)-2-acetamido-2-deoxy-alpha-D-galactopyranoside MOLECULAR WEIGHT: 290,27 g/mole		GBB1445.0050	50 mg	€ 675,00
		GBB1445.0100	100 mg	€ 950,00
		GBB1445.0250	250 mg	€ 1900,00
		GBB1445.0500	500 mg	€ 2950,00
GBB1370 alpha-GalNAc-TEG-N₃ 1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-2-acetamido-2-deoxy-alpha-D-galactopyranoside MOLECULAR WEIGHT: 378,38 g/mole		please inquire!		

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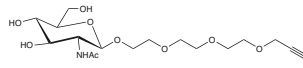
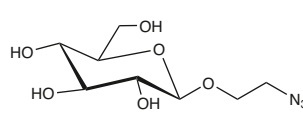
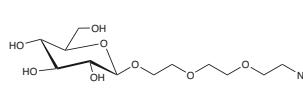
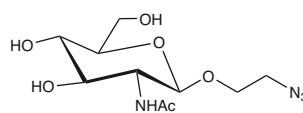
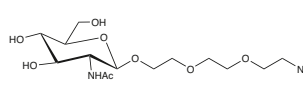
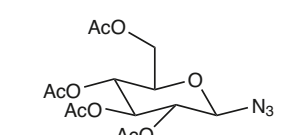
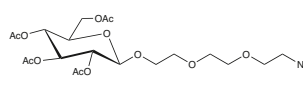
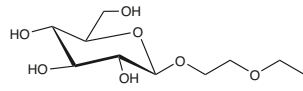
		Article No.	Quantity	Price
GBB1470 Azido-alpha-Gal(Ac₄) 2,3,4,6-Tetra-O-acetyl-alpha-D-galactopyranosyl azide CAS-NO: 94427-00-6 MOLECULAR WEIGHT: 373,32 g/mole		GBB1470.0002	2 g	€ 325,00
		GBB1470.0005	5 g	€ 625,00
GBB1375 alpha-GalNAc-TEG-Alkyne 1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-2-acetamido-2-deoxy-alpha-D-galactopyranoside MOLECULAR WEIGHT: 391,41 g/mole		GBB1375.0100	100 mg	€ 900,00
		GBB1375.0250	250 mg	€ 1850,00
		GBB1375.0500	500 mg	€ 3150,00
		GBB1375.1000	1 g	€ 5250,00
GBB1430 beta-Gal-N₃ 1-(2-Azidoethoxy)-beta-D-galactopyranose MOLECULAR WEIGHT: 249,22 g/mole		GBB1430.0100	100 mg	€ 475,00
		GBB1430.0250	250 mg	€ 800,00
		GBB1430.0500	500 mg	€ 1200,00
		GBB1430.1000	1 g	€ 1750,00
GBB1380 beta-Gal-TEG-N₃ 1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-beta-D-galactopyranoside MOLECULAR WEIGHT: 337,33 g/mole		please inquire!		
GBB1485 D-Gal(Ac₄)-beta-PEG(3)-N₃ 2,3,4,6-Tetra-O-acetyl-beta-D-galactopyranosyl PEG(3)-azide MOLECULAR WEIGHT: 505,47 g/mole		GBB1485.0001	1 g	€ 275,00
		GBB1485.0002	2 g	€ 375,00
		GBB1485.0005	5 g	€ 725,00
GBB1460 Azido-beta-Gal(Ac₄) 2,3,4,6-Tetra-O-acetyl-beta-D-galactopyranosyl azide CAS-NO: 13992-26-2 MOLECULAR WEIGHT: 373,32 g/mole		GBB1460.0001	1 g	€ 225,00
		GBB1460.0002	2 g	€ 350,00
		GBB1460.0005	5 g	€ 675,00
GBB1385 beta-Gal-TEG-Alkyne 1-O-(2-(2-(2-(Prop-2-ynyloxy)ethoxy)ethoxy)ethoxy)-beta-D-galactopyranoside MOLECULAR WEIGHT: 350,36 g/mole		please inquire!		

Find many Carbohydrate based enzyme substrates in our brochure "Diagnostic Tools":



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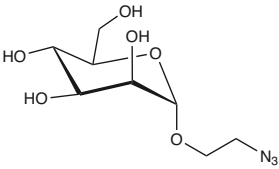
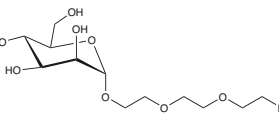
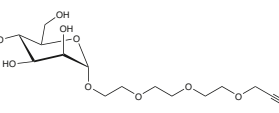
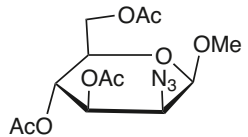
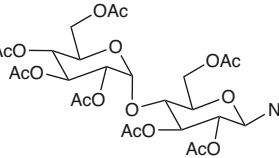
b) Glucose Derivatives

		Article No.	Quantity	Price
GBB1405 beta-GlcNAc-TEG-Alkyne 1-O-(2-(2-(2-(Prop-2-ynloxy)ethoxy)ethoxy)ethoxy)-2-acetamido-2-deoxy-beta-D-glucopyranoside MOLECULAR WEIGHT: 391,41 g/mole		GBB1405.0250	250 mg	€ 1850,00
		GBB1405.0500	500 mg	€ 3150,00
		GBB1405.1000	1 g	€ 5250,00
GBB1435 beta-Glc-N₃ 1-(2-Azidoethoxy)-beta-D-glucopyranose MOLECULAR WEIGHT: 249,22 g/mole		please inquire!		
GBB1390 beta-Glc-TEG-N₃ 1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-beta-D-glucopyranoside MOLECULAR WEIGHT: 337,33 g/mole		please inquire!		
GBB1450 beta-GlcNAc-N₃ 1-(2-Azidoethoxy)-2-acetamido-2-deoxy-beta-D-galactopyranose MOLECULAR WEIGHT: 290,27 g/mole		please inquire!		
GBB1400 beta-GlcNAc-TEG-N₃ 1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-2-acetamido-2-deoxy-beta-D-glucopyranoside MOLECULAR WEIGHT: 378,73 g/mole		GBB1400.0100	100 mg	€ 1000,00
		GBB1400.0250	250 mg	€ 1900,00
		GBB1400.0500	500 mg	€ 3250,00
GBB1465 Azido-beta-Glc(Ac₄) 2,3,4,6-Tetra-O-acetyl-beta-D-glucopyranosyl azide CAS-NO: 13992-25-1 MOLECULAR WEIGHT: 373,32 g/mole		GBB1465.0001	1 g	€ 200,00
		GBB1465.0002	2 g	€ 275,00
		GBB1465.0005	5 g	€ 500,00
		GBB1465.0025	25 g	€ 1250,00
GBB1475 D-Glc(Ac₄)-beta-PEG(3)-N₃ 2,3,4,6-Tetra-O-acetyl-beta-D-glucopyranosyl PEG(3)-azide MOLECULAR WEIGHT: 505,47 g/mole		GBB1475.0001	1 g	€ 275,00
		GBB1475.0002	2 g	€ 375,00
		GBB1475.0005	5 g	€ 725,00
GBB1395 beta-Glc-TEG-Alkyne 1-O-(2-(2-(2-(Prop-2-ynloxy)ethoxy)ethoxy)ethoxy)-beta-D-glucopyranoside MOLECULAR WEIGHT: 350,36 g/mole		please inquire!		

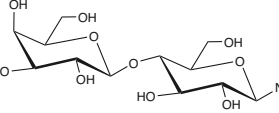
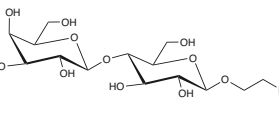
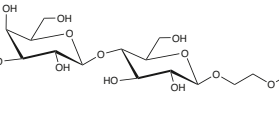
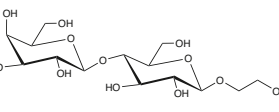
Inquire for any other derivatives!

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c) Mannose Derivatives

		Article No.	Quantity	Price
GBB1440 alpha-Man-N₃ 1-(2-Azidoethoxy)-alpha-D-mannopyranose MOLECULAR WEIGHT: 249,22 g/mole		GBB1440.0100	100 mg	€ 550,00
		GBB1440.0250	250 mg	€ 800,00
		GBB1440.0500	500 mg	€ 1400,00
		GBB1440.1000	1 g	€ 2100,00
GBB1420 alpha-Man-TEG-N₃ 1-O-(2-(2-(2-Azidoethoxy)ethoxy)ethoxy)-alpha-D-mannopyranoside MOLECULAR WEIGHT: 337,33 g/mole		GBB1420.0100	100 mg	€ 950,00
		GBB1420.0250	250 mg	€ 1575,00
GBB1425 alpha-Man-TEG-Alkyne 1-O-(2-(2-(2-(Prop-2-ynoxy)ethoxy)ethoxy)ethoxy)-alpha-D-mannopyranoside MOLECULAR WEIGHT: 350,36 g/mole		GBB1425.0100	100 mg	€ 750,00
		GBB1425.0250	250 mg	€ 1350,00
		GBB1425.0500	500 mg	€ 1900,00
GBB1222 beta-D-Man(N₃, Ac₃)-OMe Methyl 2-azido-2-deoxy-3,4,6-tri-O-acetyl-beta-D-mannose MOLECULAR WEIGHT: 345,34 g/mole		GBB1222.0050	50 mg	€ 175,00
		GBB1222.0100	100 mg	€ 250,00
		GBB1222.0250	250 mg	€ 400,00
GBB1490 Mal(Ac₇)-beta-N₃ 2,3,4,6,2',3',6'-Hepta-O-acetyl-beta-maltosyl azide CAS-NO: 33012-49-6 MOLECULAR WEIGHT: 661,57 g/mole		GBB1490.0001	1 g	€ 225,00
		GBB1490.0002	2 g	€ 275,00
		GBB1490.0005	5 g	€ 490,00

d) Lactose Derivatives

GBB1480 D-Lac-beta-N₃ 1-Azido-1-deoxy-beta-D-lactopyranoside CAS-NO: 69266-16-6 MOLECULAR WEIGHT: 367,31 g/mole		GBB1480.0100	100 mg	€ 225,00
		GBB1480.0250	250 mg	€ 325,00
		GBB1480.0500	500 mg	€ 490,00
GBB1455 beta-Lac-EO-N₃ 1-(2-Azidoethoxy)-beta-D-lactose MOLECULAR WEIGHT: 411,36 g/mole		GBB1455.0100	100 mg	€ 650,00
		GBB1455.0250	250 mg	€ 1100,00
		GBB1455.0500	500 mg	€ 1600,00
		GBB1455.1000	1 g	€ 2600,00
GBB1410 beta-Lac-TEG-N₃ CAS-NO: MOLECULAR WEIGHT: 499,47 g/mole		please inquire!		
		please inquire!		
GBB1415 beta-Lac-TEG-Alkyne 1-O-(2-(2-(2-(Prop-2-ynoxy)ethoxy)ethoxy)ethoxy)-beta-D-lactoside MOLECULAR WEIGHT: 512,5 g/mole		please inquire!		
		please inquire!		

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7. Click Chemistry in DNA Synthesis

a) Applications and Procedures in DNA Synthesis

Due to its unique bioorthogonality the Click reaction is very useful also in any oligonucleotide synthesis. Simple or no workup and purification of the product are further advantages. However, the use of this method for DNA modification has been somewhat delayed by the fact that copper ions damage DNA, typically causing strand breaks [1]. As these problems have now been overcome by the use of copper(I)-stabilizing ligands (e.g. tris(benzyltriazolylmethyl)amine, TBTA [2]), Carell *et al.* and Seela *et al.* discovered that the CuAAC reaction can be used to functionalize alkyne-modified DNA nucleobases with extremely high efficiency [3-5].

A broad field of applications opens by using DNA Click components:



- ▶ DNA and RNA labelling: incorporation of alkyne-phosphoramidites followed by labelling with azido markers [8];
 - ▶ PCR assays, PCR primers and labelling of large fragments with alkyne triphosphates in nucleotide mixtures; labelling PCR-fragments with azido markers [8];
 - ▶ oligonucleotides as multi-labelled primers for pre- or post-synthetic modification;
 - ▶ FISH probes and FISH experiments: with alkyne-modified oligonucleotides and labelling with azido marker (pre- or post-hybridization);
 - ▶ PEGylation of DNA and RNA;
 - ▶ Microarrays with phosphoramidites, triphosphates or oligonucleotides to set up microarrays;
 - ▶ Nanoparticles, Bioconjugation.
- ▶ [4] DNA containing side chains with terminal triple bonds: Base-pair stability and functionalization of alkynylated pyrimidines and 7-deazapurines; F. Seela and V. R. Sirivolu; *Chem Biodivers* 2006; **3**: 509-14.
 - ▶ [5] Click-click-click: single to triple modification of DNA; P. M. Gramlich, S. Warncke, J. Gierlich and T. Carell; *Angew Chem Int Ed Engl* 2008; **47**: 3442-4.
 - ▶ [6] New labelling strategies for the sensitive detection of analytes; Patent WO2006/117161
 - ▶ [7] Nucleosides and Oligonucleotides with Diynyl Side Chains: Base Pairing and Functionalization of 2'-Deoxyuridine Derivatives by the CuI-Catalyzed Alkyne-Azide "Click" Cycloaddition; F. Seela, V. R. Sirivolu, *Helv. Chim. Acta*, **2007**: 90, 535.
 - ▶ [8] Synthesis of highly modified DNA by a combination of PCR with alkyne-bearing triphosphates and click chemistry; J. Gierlich, K. Gutmiedl, P. M. Gramlich, A. Schmidt, G. A. Burley and T. Carell; *Chemistry* 2007; **13**: 9486-94.

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- ▶ [1] Oxidative Nucleobase Modifications Leading to Strand Scission; C. J. Burrows and J. G. Muller; *Chem Rev* 1998; **98**: 1109-1152.
- ▶ [2] Polytriazoles as copper(I)-stabilizing ligands in catalysis; T. R. Chan, R. Hilgraf, K. B. Sharpless and V. V. Fokin; *Org Lett* 2004; **6**: 2853-5.
- ▶ [3] Click chemistry as a reliable method for the high-density post-synthetic functionalization of alkyne-modified DNA; J. Gierlich, G. A. Burley, P. M. Gramlich, D. M. Hammond and T. Carell; *Org Lett* 2006; **8**: 3639-42.

Published Examples of Click Reactions in DNA Synthesis:

These protocols may be used as a starting point with further optimization.

Preparation of the "Click Solution":

- ▶ The "click solution" (0.1 M CuBr / 0.1 M TBTA 1:2 in DMSO/t-BuOH 3:1) must always be freshly prepared prior to use!
- ▶ Dissolve 1 mg CuBr in 70 μ l DMSO/t-BuOH 3:1 to obtain a 0.1 M solution. This solution must be freshly prepared and cannot be stored.
- ▶ Dissolve 54 mg TBTA in 1 ml DMSO/t-BuOH 3:1 for a 0.1 M solution. This solution can be stored at -20 °C.
- ▶ Add 1 volume of the 0.1 M CuBr solution quickly to 2 volumes of the 0.1 M TBTA solution to obtain "click solution", ready to use.

Click Procedure for Short DNA:

Procedure using CuBr: To 5 μ l of a 2 mM DNA solution (10 nmol) in water, 5 μ l of an azide solution (10 mM, 50 nmol, 5 eq.), 3 μ l of a freshly prepared solution containing 0.1 M CuBr and 0.1 M TBTA ligand in a 1:2 ratio in 3:1 DMSO/t-BuOH is added. The mixture is thoroughly mixed and shaken at 25 °C for 3-4 h. The reaction is subsequently diluted with 0.3 M NaOAc (100 μ l) and the DNA is precipitated using 1 ml cold EtOH. The supernatant is then removed and the residue is washed twice with 1 ml cold EtOH. The washed residue is redissolved in pure water (20 μ l) and can be used without further purification.

Considerations for the CuBr method:

The labelling reaction works more efficiently with concentrated solutions of alkynes (oligo) and azides (label). The best way to carry out the click reaction is to mix the oligo and the azide-label in a minimal amount of solvent (Alkyne / Azide ratio: from 1:2 to 1:10 for high density labelling reactions, e.g. 10 alkynes in a row). The click reaction is normally accelerated by elevated temperature and can be ready in less than 30 min when the reaction temperature is around 40 - 45 °C. The reaction time depends on:

- a) concentration of azide and oligo in the solution;
- b) reaction temperature;
- c) stirring and/or mixing of the solution.

The work-up of the reaction is normally carried out by precipitation of the labelled oligo.

Click Procedure Using Alternative Cu(I) Sources:

Procedure using TCEP: To 25 μ l of a 0.5 mM DNA solution (12.5 nmol) in water, 6.25 μ l of an azide solution (0.1 N, 625 nmol) and 10 μ l of a solution containing Cu(II)-salt (CuSO₄) and TBTA ligand in a 1:2 ratio in 4:3:1 water/DMSO/t-BuOH is added (0.05 N, 250 nmol). The mixture is vortexed and 5 μ l of a freshly prepared tris-(2-carboxyethyl)-phosphine (TCEP) solution in water is added (0.1 N, 500 nmol). The solution is shaken at 15 °C over night and subsequently diluted with water (200 μ l) and used for gel electrophoresis without further purification. Instead of TCEP, also sodium ascorbate can be used.

Click Procedure for a 300 bp PCR Product:

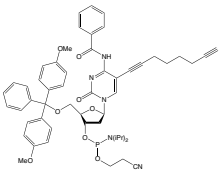
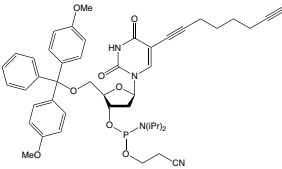
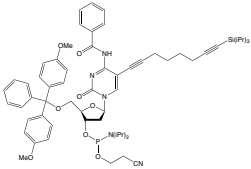
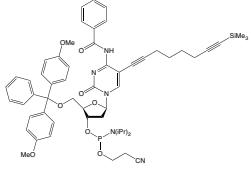
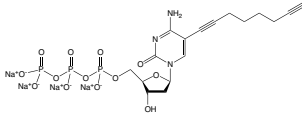
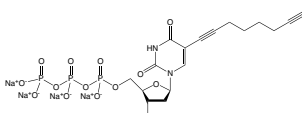
To 10 μ l DNA solution (1-4 pmol DNA, 10 mM Tris), 10 μ l fluorescein azide solution (5 mM, diluted with 10 mM Tris with 5 % t-BuOH from a stock of 0.1 N in DMSO) and 10 μ l precomplexed Cu(I) is added (10 mM; 1 mg CuBr (99.99%) dissolved in 700 μ l of 10 mM TBTA ligand in t-BuOH/DMSO 1:3). The sample is shaken at 37 °C for 2 h. Then formamide buffer is added and the samples are analyzed using a 5 % PAGE gel. Control experiments show that the reaction is completed in less than 30 min.

Additional References related to Click Chemistry and DNA Synthesis:

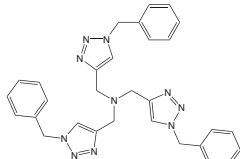
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b) Available DNA Reagents for Click Chemistry

		Article No.	Quantity	Price
DNA2000 C8-Alkyne-dC-CEP 5'-Dimethoxytrityl-N-benzoyl-[5-(octa-1,7-diyanyl)-2'-deoxyCytidine]-3'-[[2-cyanoethyl)-(N,N-diisopropyl)]-phosphoramidite MOLECULAR WEIGHT: 938,06 g/mole		DNA2000.0250	250 mg	€ 550,00
		DNA2000.1000	1 g	€ 2200,00
DNA2010 C8-Alkyne-dU-CEP 5'-Dimethoxytrityl-[5-(octa-1,7-diyanyl)-2'-deoxyUridine]-3'-[[2-cyanoethyl)-(N,N-diisopropyl)]-phosphoramidite MOLECULAR WEIGHT: 834,94 g/mole		DNA2010.0250	250 mg	€ 450,00
		DNA2010.1000	1 g	€ 1750,00
DNA2020 C8-TIPS-dC-CEP 5'-Dimethoxytrityl-N-benzoyl-[5-[8-(triisopropylsilyl)octa-1,7-diyanyl]-2'-deoxyCytidine]-3'-[[2-cyanoethyl)-(N,N-diisopropyl)]-phosphoramidite MOLECULAR WEIGHT: 1094, g/mole		DNA2020.0250	250 mg	€ 650,00
		DNA2020.1000	1 g	€ 2500,00
DNA2030 C8-TMS-dC-CEP 5'-Dimethoxytrityl-N-benzoyl-[5-[8-(trimethylsilyl)octa-1,7-diyanyl]-2'-deoxyCytidine]-3'-[[2-cyanoethyl)-(N,N-diisopropyl)]-phosphoramidite MOLECULAR WEIGHT: 1010,24 g/mole		DNA2030.0250	250 mg	€ 650,00
		DNA2030.1000	1 g	€ 2500,00
DNA2050 C8-Alkyne-dCTP 5-(octa-1,7-diyanyl)-2'-deoxyCytidine triphosphate MOLECULAR WEIGHT: 659,23 g/mole		DNA2050.0005	0,5 µmol	€ 150,00
		DNA2050.0025	2,5 µmol	€ 400,00
		DNA2050.0050	5,0 µmol	€ 600,00
DNA2040 C8-Alkyne-dUTP 5-(octa-1,7-diyanyl)-2'-deoxyUridine triphosphate MOLECULAR WEIGHT: 660,22 g/mole		DNA2040.0005	0,5 µmol	€ 150,00
		DNA2040.0025	2,5 µmol	€ 400,00
		DNA2040.0050	5,0 µmol	€ 600,00

Catalyst and Auxiliary Reagent

RL-2010 TBTA Tris[(1-benzyl-1H-1,2,3-triazol-4-yl)methyl]amine CAS-NO: 510758-28-8 MOLECULAR WEIGHT: 530,63 g/mole		RL-2010.0250	250 mg	€ 175,00
		RL-2010.1000	1 g	€ 475,00
		RL-2010.5000	5 g	€ 1875,00

Prices are in EUR, net, exw Germany

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