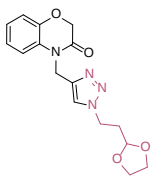
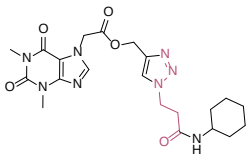
Azide-linkers for Drug Design

Introduction

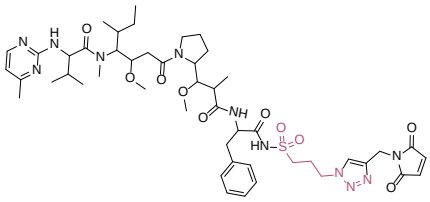
Organic azides became enormously popular for their participation in the Cu(I)-catalyzed Huisgen azide-alkyne 1,3-dipolar cycloaddition reaction – “click chemistry”. 1,2,3-triazole function formed by click reaction between an azide and alkyne bears a physicochemical resemblance to the amide bond. Besides, “click chemistry” involves functionalities that can be introduced in small molecules and into specific locations in biomolecules. “Click chemistry” continues to gain popularity and is used in a variety of research fields with significant contributions to the fields of bioconjugation and drug discovery.



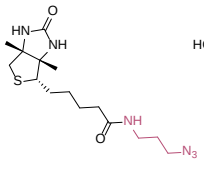
Treatment of HCC
Eur. J. Med. Chem. **2017**, *132*, 90.



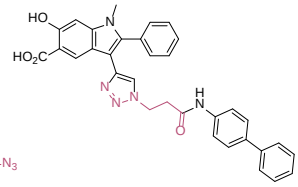
Anticancer agent
MedChemComm, **2017**, 176.



Treatment of cell proliferative disorders
WO 2015/189791



Labeling glycoconjugates
US 2012/0149887

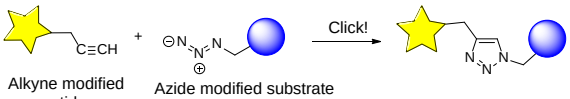


Tyrosine phosphatase inhibitor
J. Med. Chem. **2010**, *53*, 2482.

Advantages

Aliphatic functionalized azides

- Wide in scope.
- Form stable products.
- Give very high yields.



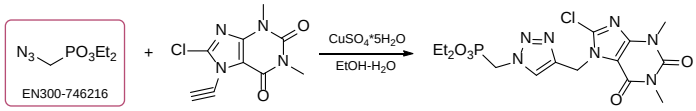
Alkyne modified peptide

Azide modified substrate

Figure 1. Click reaction forming a triazole link in peptide.

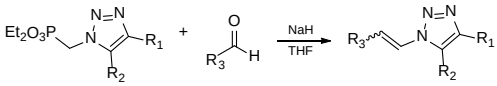
- The presence of the azide-group and a functional group allows the molecule to be modified before or after the click reaction.

Copper-mediated azide-alkyne conjugation



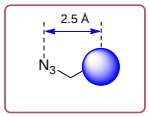
1,2,3-triazole linker

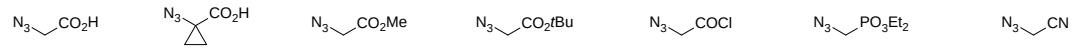
The Homer-Wadsworth-Emmons olefination of the triazoles



We offer

Over 100 different building blocks in multi gram amounts in stock. We also have designed a library azide-containing building blocks for drug discovery programs. These molecules can be synthesized upon request within 4-6 weeks.





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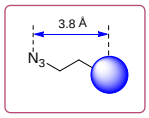

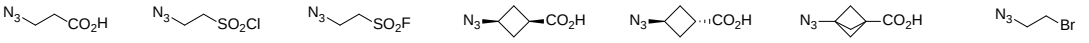

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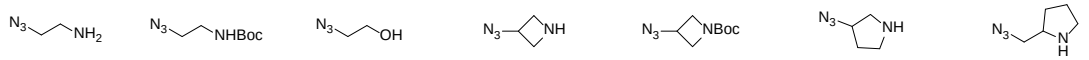

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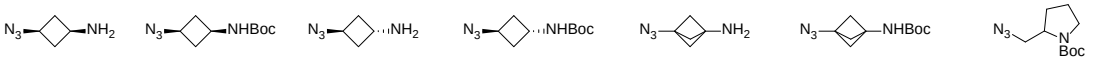

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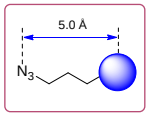

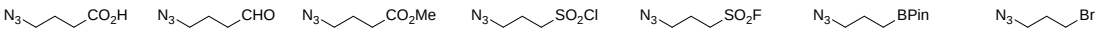

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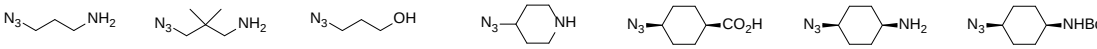

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