

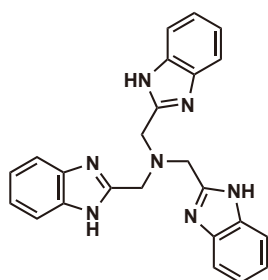
Ligands Accelerating Click Reaction

Copper(I)-catalyzed azide alkyne cycloadditions (CuAAC) are a well-developed and typical example of so-called "click reaction". Click chemistry has come to high prominence in the past decade due to their applications to chemical biology. Applications have provided strong innovation in the chemical biology field in part to the "bioorthogonality" of ethynyl and azido groups, which do not interfere with living systems. However, copper(I) anions are easily oxidized and undergo disproportionation, in addition to showing cytotoxic effects. Because of this, *in vivo* CuAAC has a quite limited use. Fortunately and recently, Sharpless and Fokin *et al.* have demonstrated the copper(I) anion stabilizing and reaction accelerating abilities of tertiary amine and triazine bearing ligands.¹⁾ Additionally, water-soluble ligands have been developed. With these innovations, CuAAC can be conducted on the cell surface²⁾ or within the cell³⁾ can proceed with low loading amount of Cu catalyst and without showing cytotoxicity.

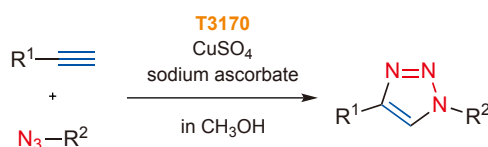
1) T. R. Chan, R. Hilgraf, K. B. Sharpless, V. V. Fokin, *Org. Lett.* **2004**, *6*, 2858.

2) V. Hong, N. F. Steinmetz, M. Manchester, M. G. Finn, *Bioconjugate Chem.* **2010**, *21*, 1912.

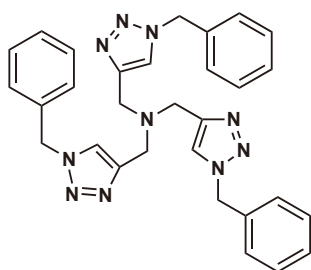
3) M. Yang, A. S. Jalloh, W. Wei, J. Zhao, P. Wu, P. R. Chen, *Nature Comm.* **2014**, *5*, 4981.



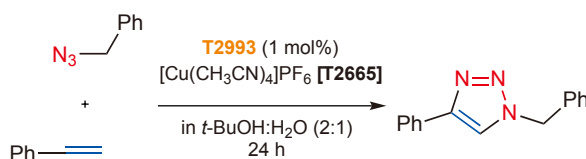
(BimH)₃
200mg / 1g
[T3170]



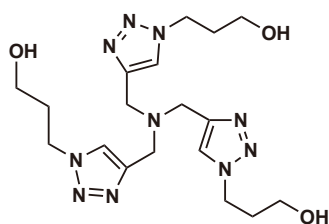
V. O. Rodionov, S. I. Presolski, S. Gardinier, Y. Lim, M. G. Finn, *J. Am. Chem. Soc.* **2007**, *129*, 12696.



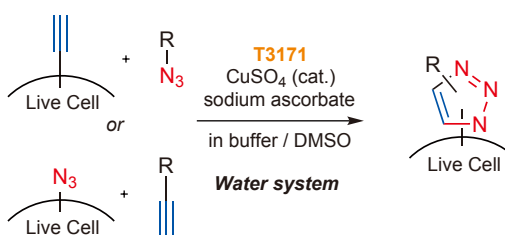
TBTA
1g / 5g
[T2993]



T. R. Chan, R. Hilgraf, K. B. Sharpless, V. V. Fokin, *Org. Lett.* **2004**, *6*, 2853.



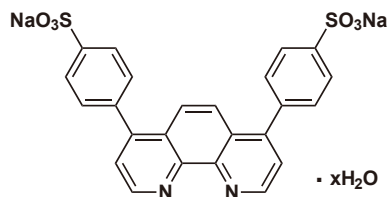
THPTA
200mg
New [T3171]



a) D. C. Kennedy, C. S. McKay, M. C. B. Legault, D. C. Danielson, J. A. Blake, A. F. Pegoraro, A. Stolow, Z. Mester, J. P. Pezacki, *J. Am. Chem. Soc.* **2011**, *133*, 17993.

b) V. Hong, N. F. Steinmetz, M. Manchester, M. G. Finn, *Bioconjugate Chem.* **2010**, *21*, 1912.

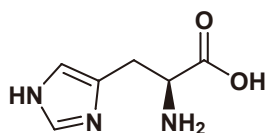
Ligands Accelerating Click Reaction



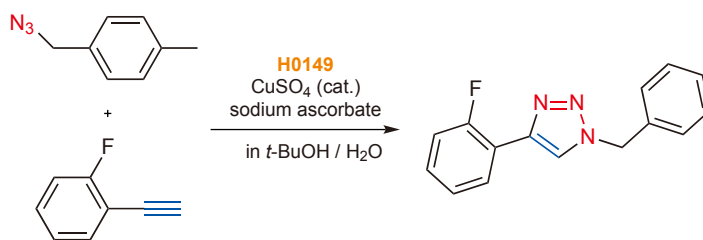
BPS Hydrate
1g
[B0989]



W. G. Lewis, F. G. Magallon, V. V. Fokin, M. G. Finn, *J. Am. Chem. Soc.* **2004**, 126, 9152.



L-Histidine
25g / 250g
[H0149]



P. Krapf, R. Richarz, E. A. Urusova, B. Neumaier, B. D. Zlatopolskiy, *Eur. J. Org. Chem.* **2016**, 430.

Related Products

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Tetrakis(acetonitrile)copper(I) Hexafluorophosphate (= [Cu(CH₃CN)₄]PF₆)

5g [T2665]

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