

## Tris(3-hydroxypropyltriazolylmethyl)amine(THPTA)

### Introduction

Tris(3-hydroxypropyltriazolylmethyl)amine (THPTA) is a water-soluble ligand, is widely used to promote Cu-catalyzed alkyne-azide cyclization (CuAAC), the typical bioorthogonal reaction with non-interference in living systems.

From mechanism, it is the copper(I) THPTA complex which accelerates the CuAAC process. CuAAC using THPTA is applicable to not only the modification of hydrophilic polymers and the bioconjugation of peptides containing an azido group, but also the rapid labeling of metabolically-incorporated azido or alkyne tags on living cell surfaces.

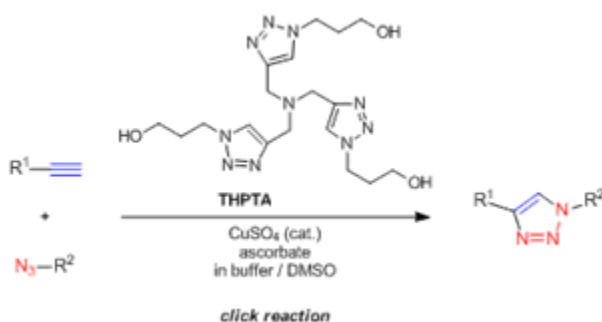


Table1. Reaction rate comparison in oligo synthesis

THPTA conc.	Reactant 1	Reactant 2	Time
10nmol	6-HEX Azide	5'-hexynyl modified 12mer Oligo	60
100nmol	FAM azide	5'-hexynyl modified 12mer Oligo	15
1umol	FAM azide	5'-hexynyl modified 12mer Oligo	20

### Sample protocol

- Prepare the following click solutions
  - 0.2M THPTA ligand in water
  - 0.1M CuSO<sub>4</sub> in water
  - Alkyne labeled oligo in water
  - 0.1M sodium ascorbate in water
  - 10mM azide in DMSO/tBuOH or water
- Pre-chelate the CuSO<sub>4</sub> with THPTA ligand in a 1:1 ratio several minutes before the reaction. This solution is stable for several weeks when frozen.
- To the oligo solution, add an excess of azide (4-50 eq).

4. Add 25 equivalents of THPTA/CuSO<sub>4</sub>.
5. Add 40 equivalents of sodium ascorbate.
6. The solution can be degassed briefly with an inert gas.
7. Let the reaction stand at room temperature for 15-60 minutes.
8. Ethanol-precipitate the oligo or purify using Glen Gel-Pak.

## References

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