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Review

### The growing impact of click chemistry on drug discovery

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### Abstract

Click chemistry is a modular approach that uses only the most practical and reliable chemical transformations. Its applications are increasingly found in all aspects of drug discovery, ranging from lead finding through combinatorial chemistry and target-templated *in situ* chemistry, to proteomics and DNA research, using bioconjugation reactions. The copper(I)-catalyzed 1,2,3-triazole formation from azides and terminal acetylenes is a particularly powerful linking reaction, due to its high degree of dependability, complete specificity, and the bio-compatibility of the reactants. The triazole products are more than just passive linkers; they readily associate with biological targets, through hydrogen bonding and dipole interactions.



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## Keywords

Drug Discovery; Chemical Biology; Pharmaceutical Science; Techniques & Methods

## Keywords

click chemistry; combinatorial chemistry; bioconjugation; proteomics; DNA tagging; virus tagging; cell tagging; fucosyl transferase inhibitors; HIV protease inhibitors

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