



New Linkers for Solid-Phase Organic Synthesis

Scientists at Colorado State University (CSU) have developed a new linker that facilitates the generation of chemical-screening libraries. The new linker can be used to bind several types of target compounds (carboxylic acids, amines, alcohols, phenols) to a polymeric substrate. Due to the remarkable stability of the linker, the bound target molecules may be converted into complex biomolecules and used for drug/chemical screening. Alternatively, the linkage may be cleaved under mild conditions and the target molecules collected. Conveniently, the linkers may be reused after a simple regeneration step.

The key advantage of this linker is its unusual stability to an exceptionally wide variety of reaction conditions. This trait is particularly advantageous for the synthesis of complex, biologically relevant target molecules as these compounds frequently require diverse, multi-step procedures and conditions which would interfere with other types of linkers. The linker is stable to most acids, bases, nucleophiles, and UV light but may be selectively cleaved under mild conditions (20% TFA, <1 hour) which will not degrade the target molecule.

The utility of the new linker has been demonstrated in the creation of libraries based on uridine B-hydroxyamino acid, useful for screening potential MraY inhibitors (important agents in antibacterial drug development, such as those used to fight tuberculosis).

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Inventor Information

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Publications

"Polymer-Supported (2, 6-Dichloro-4-alkoxyphenyl) (2,4-dichlorophenyl) methanol: A New Linker for Solid Phase Organic Synthesis"

Features and Benefits

- Useful in the creation of drug-screening libraries.
- Binds carboxylic acids, amines, alcohols, and phenols.
- Stable under an unusually diverse set of reaction conditions.
- Easily reused after a simple regeneration step.

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