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Ethynylphosphonamidates for the Rapid and Cysteine-Selective Generation of Efficacious Antibody-Drug Conjugates Angew. Chem. Int. Ed. 2019, 58, 11631-11636.

## Ethynylphosphonamidates in the Synthesis of **Antibody-Drug Conjugates**

**Significance:** Antibody–drug conjugates (ADCs) have emerged as a new class of targeted therapeutics. They combine the high potency of cytotoxic drugs with the tumor specificity of monoclonal antibodies. However, the insufficient stability in serum and undesired aggregation often lead to offtarget toxicity.

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Comment: The researchers report the conjugaab by using ethynylphosphonamidate functionalantibody ratio of 4.6. Furthermore, the use of a phosphonamidate linker bearing diethyleneglycol improved the polarity of the whole linker system. The ADCs had in vivo antitumor activity.

Category

Chemistry in Medicine and Biology

Key words

antibodies bioconjugation drug delivery



tion of antimitotic agent monomethyl auristantin F (MMAF) to the Her2-targeting antibody trastuzumized linker B. The resulting ADC showed a drug-to-