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Synthesis and SAR of novel benzoxaboroles as a new class of β -lactamase inhibitors

Yi Xia ^a   ... Jacob J. Plattner ^a

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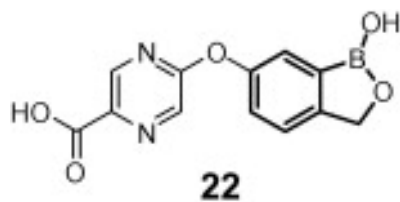
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Abstract

A new class of benzoxaborole β -lactamase inhibitors were designed and synthesized. 6-Aryloxy benzoxaborole **22** inhibited AmpC P99 and CMY-2 with K_i values in the low nanomolar range. Compound **22** restored antibacterial activity of ceftazidime against *Enterobacter cloacae* P99 expressing AmpC, a class C β -lactamase enzyme. The SAR around the arylbenzoxaboroles, which included the influence of linker and substitutions was also established.

Graphical abstract

A new class of benzoxaborole β -lactamase inhibitors is described. 6-Aryloxy benzoxaborole, **22**, inhibited AmpC P99 and CMY-2 with K_i values in the low nanomolar range. Compound **22** restored antibacterial activity of ceftazidime against *Enterobacter cloacae* P99 expressing AmpC



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Keywords

β -lactamase inhibitors; Benzoxaborole; Antibacterial

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