

Catalog Number: CM02796

产品信息

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CM02796

CAS号:
728865-23-4

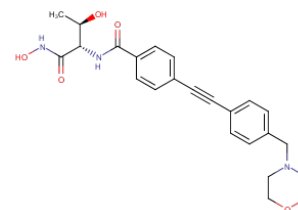
分子式:
C₂₄H₂₇N₃O₅

主要靶点:
Antibacterial

主要通路:
微生物学

分子量:
437.19

溶解度:
DMSO:30 mg/mL



靶点活性

LpxC:4.0 nM. (Ki)

体外活性

CHIR-090 is a potent, slow, tight-binding inhibitor of the LpxC deacetylase from the hyperthermophile *Aquifex aeolicus*. It has excellent antibiotic activity against *P. aeruginosa* and *E. coli*, as judged by disk diffusion assays. CHIR-090 is also a two-step slow, tight-binding inhibitor of *Escherichia coli* LpxC with *K_i* of 4 nM. CHIR-090 at low nM levels inhibits LpxC orthologues from diverse Gram-negative pathogens, including *Pseudomonas aeruginosa*, *Neisseria meningitidis*, and *Helicobacter pylori*. In contrast, CHIR-090 is a relatively weak competitive and conventional inhibitor (lacking slow, tight-binding kinetics) of LpxC from *Rhizobium leguminosarum* (*K_i*=340 nM), a Gram-negative plant endosymbiont that is resistant to this compound. An *E. coli* construct in which the chromosomal lpxC gene is replaced by *R. leguminosarum* lpxC is resistant to CHIR-090 up to 100 μg/mL, or 400 times above the minimal inhibitory concentration for wild-type *E. coli*. CHIR-090, a very potent, slow, tight-binding inhibitor of *Aquifex aeolicus* LpxC, the sequence of which is 31 % identical to *E. coli* LpxC. CHIR-090 has remarkable antibiotic activity against *E. coli* and *P. aeruginosa*, comparable to ciprofloxacin, as judged by disk diffusion assays[1].

体内活性

CHIR-090 is a potent antibiotic against *E. coli* and shows *E. coli* LpxC inhibition activity in vitro in the low nM range. *E. coli* W3110 colonies resistant to 1 μg/mL CHIR-090 are not observed without prior chemical mutagenesis. A strain of *E. coli* W3110 is able to grow on LB agar plates containing 1 to 10 μg/mL CHIR-090, which is 4 to 40 times above the MIC of 0.25 μg/mL under our conditions for wild-type *E. coli* W3110. The doubling time of W3110RL is 40 min in the presence of 1 μg/mL CHIR-090, which is exactly the same rate as wild-type in the absence of inhibitor. Wild-type cells stopped growing after about 2 h in the presence of 1 μg/mL CHIR-090[1].

描述

CHIR-090 is a very potent and selective LpxC inhibitor with antibiotic activity.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years