

Product Data Sheet

Product Name: LED209

Cat. No.: GC16931

Chemical Properties

Cas. No. 245342-14-7

Chemical Name (Z)-N'-phenyl-N-(4-(N-phenylsulfamoyl)phenyl)carbamimidothioic acid

SMILES O=S(C1=CC=C(N/C(S)=N/C2=CC=CC=C2)C=C1)(NC3=CC=CC=C3)=O

Formula $C_{19}H_{17}N_3O_2S_2$ M.Wt 383.49

Solubility <3.83 mg/mL in DMSO, <2.33 mg/mL in EtOH, <2.38 mg/mL in Water
Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

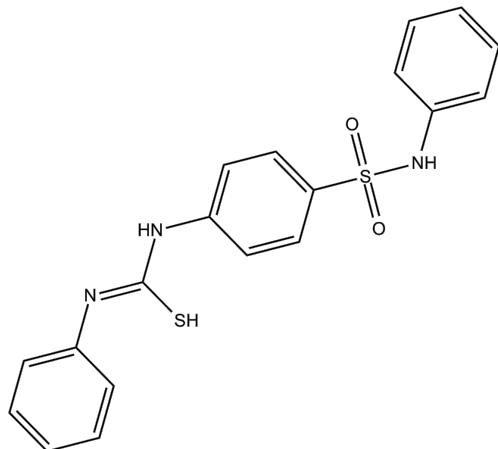
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

Description:

IC50: <10 μ M

Many bacterial pathogens rely on a conserved membrane histidine sensor kinase, QseC, to respond to host adrenergic signaling molecules and bacterial signals in order to promote the expression of virulence factors. LED209 is a potent prodrug that is highly selective for QseC.

In vitro: LED209-mediated inhibition of virulence gene expression inhibited the secretion of EspA and EspB, two proteins encoded within the locus of enterocyte effacement that are required for Escherichia coli (EHEC) to translocate bacterial proteins into host cells and cause attaching-effacing (AE) lesions. At 5 μ M, LED209 abolished EHEC AE lesion formation on cultured epithelial cells. Unlike conventional antibiotics, LED209 does not kill or hinder EHEC growth or trigger the EHEC SOS response [1].

In vivo: LED209 [20 mg per kilogram of body weight (mg/kg)] was given orally to mice 3 hours before

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and 3 hours after intraperitoneal injection of a lethal dose of *S. typhimurium*. Twenty-four hours after infection, only 30% of untreated mice remained alive, whereas 80% of the LED209-treated mice were still alive. All the *S. typhimurium*– infected mice died within 72 hours of infection, and 20% of LED209-treated mice survived up to 12 days [1].

Clinical trial: Up to now, LED209 is still in the preclinical development stage.

Reference:

[1] Rasko DA, Moreira CG, Li de R, Reading NC, Ritchie JM, Waldor MK, Williams N, Taussig R, Wei S, Roth M, Hughes DT, Huntley JF, Fina MW, Falck JR, Sperandio V. Targeting QseC signaling and virulence for antibiotic development. *Science*. 2008 Aug 22;321(5892):1078-80.

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