
Product Data Sheet

Product Name: DS86760016

Cat. No.: GC65011

Chemical Properties

Cas. No. 1853176-89-2

Formula C₉H₁₁BCINO₄ M.Wt 243.45

Solubility 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.

Structure

Background

DS86760016 is a potent leucyl-tRNA synthetase (LeuRS) inhibitor with activity against multidrug-resistant (MDR) Gram-negative bacteria, such as Escherichia coli, Klebsiella pneumoniae, and Pseudomonas aeruginosa. DS86760016 inhibits LeuRS enzymes from Escherichia coli, Pseudomonas aeruginosa, and Acinetobacter baumannii, with IC₅₀s of 0.38, 0.62, and 0.16 μM, respectively[1][2].

DS86760016 inhibits some Gram-negative bacteria with MICs ranging from 0.25 to 2 μg/ml. The MIC of DS86760016 against Gram-positive bacteria is >32 μg/ml.

DS86760016 is active against both susceptible and these MDR P. aeruginosa, E. coli, and K. pneumoniae strains, with an MIC₉₀ of 2 μg/ml[1].

DS86760016 (7.5-220 mg/kg; s.c.; q6h for 7 days) shows moderate spontaneous resistance (FSR)[1]. The pharmacokinetic (PK) parameters of DS86760016 in mouse, rat, monkey, and dog plasma by the intravenous (i.v.) route are tested. DS86760016 shows lower intravenous plasma clearances (CL_p) of 11, 29, 5.6, and 4.5 ml/min/kg in mouse, rat, monkey, and dog plasma, respectively. The plasma half-lives (t_{1/2}) for DS86760016 are 1.9, 1.5, 8.6, and 8.3 h in mice, rats, monkeys, and dogs, respectively. The lower plasma clearance resulted in higher plasma exposures for DS86760016, with dose-normalized areas under the curve after i.v. administration (DNAUCIVs) of 1.5, 0.6, 3.7,

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

and 3.0 µg h kg/ml/mg in mice, rats, monkeys, and dogs, respectively[1].

[1]. Purnapatre KP, et al. In Vitro and In Vivo Activities of DS86760016, a Novel Leucyl-tRNA Synthetase Inhibitor for Gram-Negative Pathogens. Antimicrob Agents Chemother. 2018;62(4):e01987-17. Published 2018 Mar 27.

[2]. Kumar M, et al. DS86760016, a Leucyl-tRNA Synthetase Inhibitor with Activity against Pseudomonas aeruginosa. Antimicrob Agents Chemother. 2019;63(4):e02122-18. Published 2019 Mar 27.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA