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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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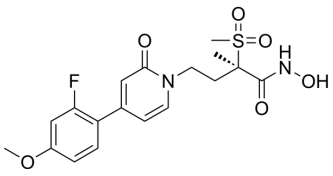
PF-5081090

Cat. No.:	HY-103251
CAS No.:	1312473-63-4
Molecular Formula:	$C_{18}H_{21}FN_2O_6S$
Molecular Weight:	412.43
Target:	Antibiotic; Bacterial
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (242.47 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4247 mL	12.1233 mL	24.2465 mL
	5 mM	0.4849 mL	2.4247 mL	4.8493 mL
	10 mM	0.2425 mL	1.2123 mL	2.4247 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.06 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-5081090 (LpxC-4) is a potent LpxC inhibitor, is a rapidly bactericidal with broad-spectrum activity. PF-5081090 serves as a regulator of lipid A biosynthesis in Gram-negative pathogens[1][2].

In Vitro

PF-5081090 shows strong potency against a broad spectrum of Gram-negative pathogens with IC_{50}s of 1.1 nM (P. aeruginosa), 0.069 nM (K. pneumonia) and MIC_{90}s of 1 μg/mL (P. aeruginosa, K. pneumoniae), 0.25 μg/mL (E. coli), 0.5 μg/mL (Enterobacter spp), 2 μg/mL (S. maltophilia)[1].

PF-5081090 (0.25 μg/mL; 0-50 h) demonstrates sustained bactericidal activities against P. aeruginosa UC12120 (A), PA-1955 (B), and K. pneumoniae KP-1487[1].

PF-5081090 (32 mg/L) increases antibiotic susceptibility in Acinetobacter baumannii with rifampicin, vancomycin, azithromycin, imipenem and amikacin[2].
PF-5081090 (32 mg/L) inhibits lipid A biosynthesis, and significantly increases cell permeability in A. baumannii[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PF-5081090 (8.75, 75, 300 mg/kg; s.c.; single dose) exhibits a exposure increasing in linear manner across the dose range in mice, with area under the concentration-time curve (AUC) and maximum concentration of drug in serum (C_{max}) increasing with a proportional increase in dose[1].
PF-5081090 shows potent efficacies against sentinel strains of P. aeruginosa and K. pneumonia in CD-1 mice, with effective dose (ED_{50}) ranging from 7.4-55.9 mg/kg (against acute septicemia model), <25 mg/kg (against pneumonia model), and 16.8 mg/kg (against neutropenic thigh model) in mice infected with P. aeruginosa PA-1950[1].
Pharmacokinetics of PF-5081090 in CD-1 mice[a][1]

Dose (mg/kg)	C_{max} (mg/L)	T_{max} (h)	AUC (h•mg/L)	Free AUC (h•mg/L)	$T_{1/2}$ (h)	CL (L/h/kg)	V_{ss} (L/kg)
18.75	5.02	0.25	5.09	1.58	0.6	3.79	2.20
75	15.50	0.33	17.60	5.46	0.69	4.32	3.30
300	75.40	0.33	76.30	23.70	0.68	3.92	2.53

[a] Following single subcutaneous doses.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Tomaras AP, et al. LpxC inhibitors as new antibacterial agents and tools for studying regulation of lipid A biosynthesis in Gram-negative pathogens. mBio. 2014 Sep 30;5(5):e01551-14.

[2]. García-Quintanilla M, et al. Inhibition of LpxC Increases Antibiotic Susceptibility in Acinetobacter baumannii. Antimicrob Agents Chemother. 2016 Jul 22;60(8):5076-9.

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