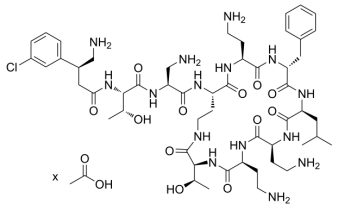
SPR206 acetate

Cat. No.:	HY-128780B
CAS No.:	2408422-41-1
Molecular Formula:	$C_{52}H_{82}ClN_{15}O_{12}.xC_2H_4O_2$
Target:	Bacterial; Antibiotic
Pathway:	Anti-infection
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : ≥ 100 mg/mL * "≥" means soluble, but saturation unknown.
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution

BIOLOGICAL ACTIVITY

Description	SPR206 acetate is a polymyxin analog with antibiotic activity against Gram-negative pathogens, including multidrug-resistant (MDR) variants. SPR206 acetate has an anti-bacterial infection effect by interacting with the bacterium's outer membrane. The MIC values of SPR206 acetate against ***Pseudomonas aeruginosa*** Pa14 and ***Acinetobacter baumannii*** NCTC13301 are both 0.125 mg/L[1][2].
IC_{50} & Target	MIC: 0.125 mg/L (Pseudomonas aeruginosa Pa14) and 0.125 mg/L (Acinetobacter baumannii NCTC13301)[1][2]
In Vitro	SPR206 exhibits strong anti-microbial properties against Gram-negative bacteria. The MIC values of SPR206 against E. coli IHMA558090, E. coli ATCC 25922, K. pneumonia ATCC 13882, P. aeruginosa ATCC 27853, A. baumannii NCTC13424 and A. baumannii ATCC 19003 are 8 mg/L, 0.125 mg/L, 0.125 mg/L, 0.25 mg/L, 0.06 mg/L and 0.125 mg/L, respectively, together with lower cytotoxicity [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SPR206 (0.125-30 mg/kg; intravenous injection or subcutaneous injection; every 8 hours or every 4 hours; for 16 hours or 24 hours; neutropenic mice) treatment reduces the burden of Pa14 and NCTC13301 in lung tissue and in the thigh model[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Neutropenic mice infected Pa14 or NCTC13301[2]
Dosage:	3 mg/kg, 10 mg/kg, 20 mg/kg, 30 mg/kg (intravenous injection); 0.125 mg/kg, 0.5 mg/kg, 1 mg/kg, 4 mg/kg (subcutaneous injection)
Administration:	Intravenous injection or subcutaneous injection; every 8 hours or every 4 hours; for 16 hours or 24 hours
Result:	In lung tissue, reduced the burden of Pa14 and NCTC13301 by 1.5 and 3.6 log_{10} CFU/mL. In the thigh model, reduced the burden of Ab13301 by 3.4 and 4.3 log_{10} CFU/g.

REFERENCES

[1]. Brown P, et al. Design of Next Generation Polymyxins with Lower Toxicity: The Discovery of SPR206. ACS Infect Dis. 2019 Oct 11;5(10):1645-1656.

[2]. L. Grosser, et al. In Vivo Efficacy of SPR206 in Murine Lung and Thigh Infection Models Caused by Multidrug Resistant Pathogens Pseudomonas aeruginosa and Acinetobacter baumanii. Poster-139 ASM ESCMID 2018 Lisbon, Portugal.

[3]. Noushin Akhoundsadegh, et al. Outer Membrane Interaction Kinetics of New Polymyxin B Analogs in Gram-Negative Bacilli. Antimicrob Agents Chemother. 2019 Sep 23;63(10):e00935-19.

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