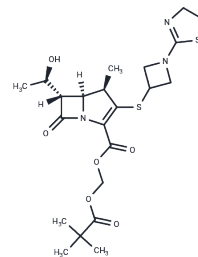


Tebipenem Pivoxil

Chemical Properties

CAS No. :	161715-24-8
Formula:	C ₂₂ H ₃₁ N ₃ O ₆ S ₂
Molecular Weight:	497.63
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Tebipenem Pivoxil (Orapenem) , an orally activity carbapenem antibiotic, is utilized in treating otolaryngologic and respiratory infections.
Targets(IC50)	Antibacterial,Antibiotic
In vitro	Tebipenem Pivoxil has high intestinal apical membrane permeability due to plural intestinal transport routes, including the uptake transporters such as OATP1A2 and OATP2B1 as well as simple diffusion. [1] Tebipenem Pivoxil is quickly converted to tebipenem (TbPM), an active form of Tebipenem Pivoxil. Tebipenem Pivoxil are absorbed quickly, and the bioavailability is 71.4%, 59.1%, 34.8% and 44.9%, respectively, in mouse, rat, dog and monkey. [2] Tebipenem shows the strongest bactericidal activity as early as 2 h after exposure at two times the MIC. Tebipenem shows higher affinities for PBP 1A and PBP 2B, high-molecular-weight enzymes, and for PBP 3, a low-molecular-weight enzyme, than for PBP 2X. [3] Tebipenem has a potent activity against <i>Neisseria gonorrhoeae</i> ; its activity is comparable to it of cefixime that has most potent activity among oral antibiotics. [4]
In vivo	Tebipenem Pivoxil results in survival rate of 83%, compared with 25% survival for Amoxicillin and 0% survival for controls in animal model of otitis media. [5] Tebipenem exhibits slow tight-binding inhibition at low micromolar concentrations versus the chromogenic substrate nitrocefin. Tebipenem acyl-enzyme complex remains stable for greater than 90 min and exists as mixture of the covalently bound drug and the bound retro-aldol cleavage product. [6]

Solubility Information

Solubility	Ethanol: 81 mg/mL (162.77 mM), Sonication is recommended. DMSO: 92 mg/mL (184.88 mM), Sonication is recommended. H ₂ O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0095 mL	10.0476 mL	20.0953 mL
5 mM	0.4019 mL	2.0095 mL	4.0191 mL
10 mM	0.201 mL	1.0048 mL	2.0095 mL
50 mM	0.0402 mL	0.201 mL	0.4019 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

- Kato K, et al. Mol Pharm,2010, 7(5), 1747-1756.
Kijima K, et al. Jpn J Antibiot,2009, 62(3), 214-240.
Kobayashi R, et al. Antimicrob Agents Chemother,2005, 49(3), 889-894.
Muratani T, et al. Jpn J Antibiot,2009, 62(2), 116-126.
Hotomi M, et al. Vaccine,2007, 25(13), 2478-2484.

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