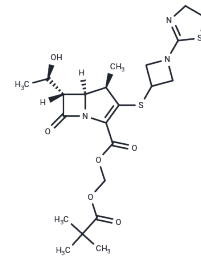


Tebipenem Pivoxil

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 161715-24-8 |
| Formula: | C ₂₂ H ₃₁ N ₃ O ₆ S ₂ |
| Molecular Weight: | 497.63 |
| Storage: | Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA. |



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) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (10.05 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may</i> |

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| | |
|---------------------|---|
| In vivo Formulation | <i>vary and should be modified based on specific experimental conditions.</i> |
|---------------------|---|

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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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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