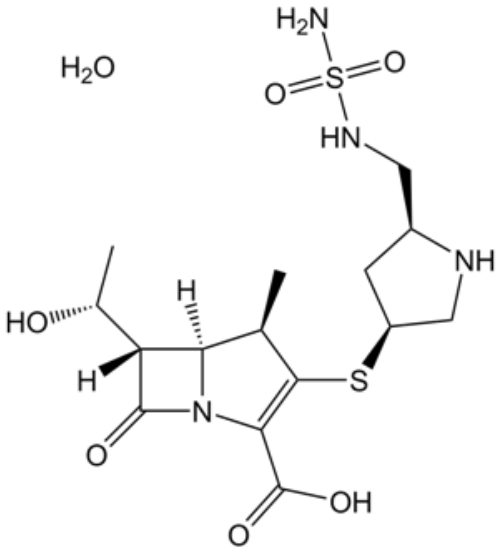
Product Data Sheet

Product Name: Doripenem Hydrate
Cat. No.: GC16675

Chemical Properties

Cas. No.	364622-82-2		
Chemical Name	(4R,5S,6S)-6-[(1R)-1-hydroxyethyl]-4-methyl-7-oxo-3-[(3S,5S)-5-[(sulfamoylamino)methyl]pyrrolidin-3-yl]sulfanyl-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid;hydrate		
SMILES	CC1C2C(C(=O)N2C(=C1SC3CC(NC3)CNS(=O)(=O)N)C(=O)O)C(C)O.O		
Formula	$C_{15}H_{24}N_4O_6S_2.H_2O$	M.Wt	438.52
Solubility	≥ 10.96mg/mL in DMSO	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



Protocol

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Cell experiment [1]:

Cell lines	bacteria
Preparation method	The solubility of this compound in DMSO is >11mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Applications	S-4661 was potent against methicillin-susceptible Staphylococcus aureus and methicillin-susceptible Staphylococcus epidermidis with the MIC90 of 0.063 µg/ml. S-4661 was potent against penicillin-resistant S. pneumoniae. S-4661 showed activities against S. pyogenes and penicillin-resistant S. pneumoniae. S-4661 was active against Enterococcus faecalis. S-4661 was particularly active against Enterobacteriaceae and H. influenzae. The MIC90s for Escherichia, Klebsiella, Proteus, Providencia, Morganella, Citrobacter, Enterobacter, and Serratia spp. ranged from 0.063 to 0.5 µg/ml.

Animal experiment [1]:

Animal models	Male SLC/ICR mouse model of bacteremia, mouse model of respiratory tract infection induced by S. pneumonia, male CBA/JNCrj mouse model of pulmonary infection induced by penicillin-resistant S. Pneumonia TUH741
Dosage form	Subcutaneously administration, twice daily for 2 days
Application	The ED50 of S-4661 against S. aureus Smith was 0.066 mg/kg. The ED50 of S-4661 was 0.23 mg/kg against S. pneumonia TUH39, the same as that of imipenem-cilastatin. S-4661 (10 mg/kg) showed significant antibacterial activity.

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Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Tsuji M, Ishii Y, Ohno A, et al. In vitro and in vivo antibacterial activities of S-4661, a new carbapenem[J]. Antimicrobial agents and chemotherapy, 1998, 42(1): 94-99.

Background

Doripenem hydrate is a parenteral, potent, and well-balanced antibiotic against a wide range of both Gram+ and Gram- bacteria including Pseudomonas aeruginosa [1] with MIC90 values of ≤ 0.5 µg/ml against methicillin-susceptible streptococci and staphylococci, 0.032-0.5 µg/ml against members of the family Enterobacteriaceae, Moraxella catarrhalis, and Haemophilus influenza, and 8 µg/ml against Pseudomonas aeruginosa [2].

Patients with cystic fibrosis can be infected with several pathogens such as Haemophilus influenzae, Staphylococcus aureus and P. aeruginosa, chronically. By 18 years of age, 80% of cystic fibrosis patients are infected with P. aeruginosa [3].

Doripenem hydrate was potent against Staphylococcus aureus and Staphylococcus epidermidis with the same MIC90 value of 0.063 µg/ml. Doripenem hydrate was 2-4 times more active than other tested carbapenems against S. aureus. The activity of doripenem hydrate against S. pneumoniae was similar to that of imipenem but higher than that of other tested agents. Doripenem hydrate was potent against S. pneumonia with an activity similar to that of other tested carbapenems (MIC90, 0.5 µg/ml). Doripenem hydrate had an activity similar to that of cefpirome against S. pneumoniae and S. pyogenes. Doripenem hydrate was more active against Enterococcus faecalis than other tested agents except for imipenem [2].

In mice experimentally induced with acute bacteremia, the ED50 of doripenem hydrate against S. aureus Smith was 0.066 mg/kg. Doripenem hydrate was more effective than other tested carbapenems against S. aureus TUH1. Doripenem hydrate was more effective than other tested

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agents except for meropenem-cilastatin against E. coli C-11. Doripenem hydrate almost shared the same effectiveness with other tested carbapenems against P. aeruginosa E7. Against P. aeruginosa TUH302, doripenem hydrate was the most effective among tested drugs [2].

References:

[1]. Yutaka Nishino, Makoto Kobayashi, Taneyoshi Shinno, et al. Practical Large-Scale Synthesis of Doripenem: A Novel 1â-Methylcarbapenem Antibiotic. Organic Process Research & Development, 2003, 7:846-850.
[2]. Masakatsu Tsuji, Yoshikazu Ishii, Akira Ohno, et al. In Vitro and In Vivo Antibacterial Activities of S-4661, a New Carbapenem. Antimicrobial Agents and Chemotherapy, 1998, 42(1): 94-99.
[3]. Yunhua Chen, Elizabeth Garber, Qiuqu Zhao, et al. In Vitro Activity of Doripenem (S-4661) against Multidrug-Resistant Gram-Negative Bacilli Isolated from Patients with Cystic Fibrosis. Antimicrobial Agents and Chemotherapy, 2005, 49(6):2510-2511.

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