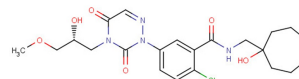


CE-224535

Chemical Properties

CAS No.: 724424-43-5
Formula: C₂₂H₂₉ClN₄O₆
Molecular Weight: 480.94
Appearance: N/A
Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	CE-224535 is an antagonist of P2X7 receptor.
Targets(IC ₅₀)	P2X7 receptor: None
In vitro	CE-224535 is developed as a disease-modifying antirheumatic drug (DMARD). It can reduce leukocyte secretion of IL-1 and IL-18 which providing a novel therapeutic approach for the treatment of rheumatoid arthritis (RA) [1].
In vivo	CE-224535 (5 mg/kg, p.o.) provides maximal plasma exposure (C _{max}) that is ~90 fold over its IC ₉₀ in human blood (C _{max} =0.21 µg/mL or 0.44 µM) in rats. In rats, CE-224535 has low CL _p (11 mL/min/kg) and a large V _{dss} of 7.6 L/kg, which results in a half-life of 2.4 h. The oral bioavailability of CE-224535 is low in rats (F=2.6%) which is believed to be a rat specific phenomenon [2].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.079 mL	10.396 mL	20.793 mL
5 mM	0.416 mL	2.079 mL	4.159 mL
10 mM	0.208 mL	1.04 mL	2.079 mL
50 mM	0.042 mL	0.208 mL	0.416 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Stock TC, et al. Efficacy and safety of CE-224,535, an antagonist of P2X7 receptor, in treatment of patients with rheumatoid arthritis inadequately controlled by methotrexate. J Rheumatol. 2012 Apr;39(4):720-7.
2. Duplantier AJ, et al. Optimization of the physicochemical and pharmacokinetic attributes in a 6-azauracil series of P2X7 receptor antagonists leading to the discovery of the clinical candidate CE-224,535. Bioorg Med Chem Lett. 2011 Jun 15;21(12):3708-11

Inhibitors · Natural Compounds · Compound Libraries

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Tel:781-999-4286

E-mail:info@targetmol.com

Address:36 Washington Street,Wellesley Hills,MA 02481