

CE-224535

Chemical Properties

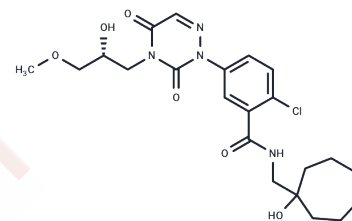
CAS No. : 724424-43-5

Formula: C₂₂H₂₉ClN₄O₆

Molecular Weight: 480.94

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	CE-224535 (PF-04905428) is a selective antagonist of P2X7 receptor. CE-224535 can be used in disease-modifying antirheumatic studies.
Targets(IC50)	P2X Receptor
In vitro	CE-224535 reduces leukocyte secretion of IL-1 and IL-18, thereby providing a novel therapeutic approach for the treatment of rheumatoid arthritis[1].
In vivo	In rats, CE-224535 exhibits a low CLp (11 mL/min/kg) and a large Vdss (7.6 L/kg), resulting in a half-life of 2.4 hours. Oral administration of CE-224535 (5 mg/kg) produces Cmax levels approximately 90 times higher than its IC90 in human blood (0.21 µg/mL or 0.44 µM)[2].

Solubility Information

Solubility	DMSO: 95 mg/mL (197.53 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (20.79 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 vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0793 mL	10.3963 mL	20.7926 mL
5 mM	0.4159 mL	2.0793 mL	4.1585 mL
10 mM	0.2079 mL	1.0396 mL	2.0793 mL
50 mM	0.0416 mL	0.2079 mL	0.4159 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

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.

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

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