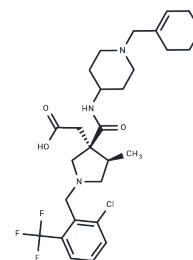


E6130

Chemical Properties

CAS No. : 1427058-33-0
 Formula: C₂₈H₃₇ClF₃N₃O₃
 Molecular Weight: 556.06
 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	E6130 may be effective in the treatment of inflammatory bowel disease and is a highly selective CX3CR1 regulator for oral administration.
Targets(IC50)	CXCR
In vitro	E6130 also shows agonistic activity via CX3CR1 with respect to GTPγS binding (EC ₅₀ = 133 nM) and β-arrestin recruitment (EC ₅₀ = 2.4 μM) in CX3CR1-expressing CHO-K1 membrane but show no antagonistic activity. E6130 is an orally available and highly selective CX3CR1 modulator, inhibits the fractalkine-induced chemotaxis of human peripheral blood natural killer cells (IC ₅₀ , 4.9 nM), and down-regulates CX3CR1 on the cell surface of CD56+ NK cells with an EC ₅₀ value of 5.2 nM.
In vivo	E6130(10 or 30mg /kg, p.o.) reduced some parameters associated with inflammatory bowel disease in a mouse model of CD4+ CD45RBhigh t cell metastatic colitis and a mouse model of oxazolidin-induced colitis.

Solubility Information

Solubility	DMSO: 250 mg/mL (449.59 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: 3.3 mg/mL (5.93 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	1.7984 mL	8.9918 mL	17.9837 mL
5 mM	0.3597 mL	1.7984 mL	3.5967 mL
10 mM	0.1798 mL	0.8992 mL	1.7984 mL
50 mM	0.036 mL	0.1798 mL	0.3597 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

Wakita H, et al. E6130, a Novel CX3C Chemokine Receptor 1 (CX3CR1) Modulator, Attenuates Mucosal Inflammation and Reduces CX3CR1+ Leukocyte Trafficking in Mice with Colitis. *Mol Pharmacol*. 2017 Nov;92(5):502-509.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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