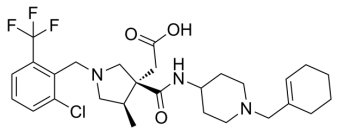
E6130

Cat. No.:	HY-107456
CAS No.:	1427058-33-0
Molecular Formula:	$C_{28}H_{37}ClF_3N_3O_3$
Molecular Weight:	556.06
Target:	CX3CR1
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 250 mg/mL (449.59 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	E6130 is an orally active and highly selective CX3CR1 modulator, that may be effective for treatment of inflammatory bowel disease.
IC_{50} & Target	CX3CR1[1]
In Vitro	E6130 is an orally active 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. 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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	E6130 (10 or 30 mg/kg, p.o.) reduces several inflammatory bowel disease-related parameters in a murine $CD4^+$ $CD45RB^{high}$ T-cell-transfer colitis model and a murine oxazolone-induced colitis model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancers (Basel). 2022, 14(1), 64.

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REFERENCES

[1]. 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.

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