Evocalcet

Cat. No.: HY-17613

CAS No.: 870964-67-3

Molecular Formula: $C_{24}H_{26}N_2O_2$

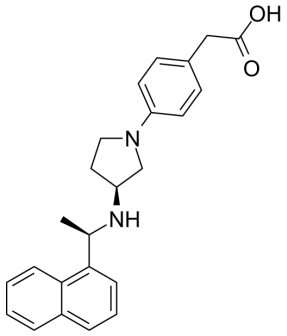
Molecular Weight: 374.48

Target: CaSR

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 30 mg/mL (80.11 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6704 mL	13.3518 mL	26.7037 mL
	5 mM	0.5341 mL	2.6704 mL	5.3407 mL
	10 mM	0.2670 mL	1.3352 mL	2.6704 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: ≥ 2.5 mg/mL (6.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Evocalcet (KHK7580) is an orally active calcium sensing receptor (CaSR) agonist. Evocalcet inhibits the secretion of parathyroid hormone (PTH) from parathyroid gland cells. Evocalcet can be used for the research of hyperparathyroidism[1][2].

IC_{50} & Target

CaSR[1]

In Vitro

Evocalcet (0, 20 and 60 nM) dose-dependently increases $[Ca^{2+}]i$ with an EC_{50} value of 92.7 nM in hCaR-HEK293 cells[2].
Evocalcet shows no significant inhibitory effects on the specific activities of any (cytochrome P450) CYP isozymes[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Evocalcet (0.03 or 0.3 mg/kg; oral Administration, once) affects serum PTH and Ca levels[1].
Evocalcet (0.3 mg/kg; oral Administration, once daily, for 5 weeks) reduces the serum PTH and Ca levels and increases the serum IP (inorganic phosphorus) level[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague Dawley chronic kidney disease (CKD) rats with adenine induced secondary hyperparathyroidism (SHPT)[1]
Dosage:	0.03 and 0.3 mg/kg
Administration:	Oral Administration; 0.03 and 0.3 mg/kg, once
Result:	Decreased the serum PTH and Ca levels at a dose of 0.3 mg/kg.

CUSTOMER VALIDATION

- Nature. 2021 Jul;595(7867):455-459

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REFERENCES

[1]. Sakai M, et al. Evocalcet prevents ectopic calcification and parathyroid hyperplasia in rats with secondary hyperparathyroidism. PLoS One. 2020 Apr 28;15(4):e0232428.

[2]. Kawata T, et al. A novel calcimimetic agent, evocalcet (MT-4580/KHK7580), suppresses the parathyroid cell function with little effect on the gastrointestinal tract or CYP isozymes in vivo and in vitro. PLoS One. 2018 Apr 3;13(4):e0195316.

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