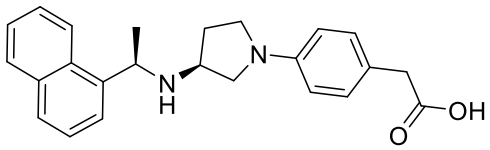
Product data sheet

MedKoo Cat#: 206443 Name: Evocalcet CAS#: 870964-67-3 Chemical Formula: $C_{24}H_{26}N_2O_2$ Exact Mass: 374.19943 Molecular Weight: 374.48	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Evocalcet is a calcium-sensing receptor agonist. The calcium-sensing receptor (CaSR) is a Class C G-protein coupled receptor which senses extracellular levels of calcium ion. The calcium-sensing receptor controls calcium homeostasis by regulating the release of parathyroid hormone (PTH). CaSR is expressed in all of the organs of the digestive system. CaSR plays a key role in gastrointestinal physiological function and in the occurrence of digestive disease. High dietary Ca2+ may stimulate CaSR activation and could both inhibit tumor development and increase the chemotherapeutic sensitivity of cancer cells in colon cancer tissues.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	5.0	13.35
DMSO	5.0	13.35
DMSO:PBS (pH 7.2) (1:2)	0.3	0.81

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.67 mL	13.35 mL	26.70 mL
5 mM	0.53 mL	2.67 mL	5.34 mL
10 mM	0.27 mL	1.34 mL	2.67 mL
50 mM	0.05 mL	0.27 mL	0.53 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Kawata T, Tokunaga S, Murai M, Masuda N, Haruyama W, Shoukei Y, Hisada Y, Yanagida T, Miyazaki H, Wada M, Akizawa T, Fukagawa M. 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. doi: 10.1371/journal.pone.0195316. PMID: 29614098; PMCID: PMC5882164.

In vivo study

1. Tokunaga S, Kawata T. The effect of evocalcet on vagus nerve activity of the gastrointestinal tract in miniature pigs. PLoS One. 2021 Jan 22;16(1):e0245785. doi: 10.1371/journal.pone.0245785. PMID: 33481922; PMCID: PMC7822337.
2. Sakai M, Tokunaga S, Kawai M, Murai M, Kobayashi M, Kitayama T, Saeki S, Kawata T. Evocalcet prevents ectopic calcification and parathyroid hyperplasia in rats with secondary hyperparathyroidism. PLoS One. 2020 Apr 28;15(4):e0232428. doi: 10.1371/journal.pone.0232428. PMID: 32343734; PMCID: PMC7188245.

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7. Bioactivity

Biological target:

Evocalcet has an activating effect on calcium sensing receptor (CaSR) extracted from patent WO 2017061621 A1, compound A.

In vitro activity

To confirm the agonistic action of evocalcet on human CaR (hCaR), the cytoplasmic Ca^{2+} concentrations ($[Ca^{2+}]i$) were examined in HEK293 cells stably expressing hCaR (hCaR-HEK293). Evocalcet evoked concentration-dependent increases in $[Ca^{2+}]i$ (Fig 2A). The EC_{50} of evocalcet for $[Ca^{2+}]i$ was 92.7 nM. This study also investigated the effects of evocalcet on the $[Ca^{2+}]i$ that were elicited by increasing the extracellular calcium concentration. When the concentration of evocalcet was increased, the concentration-response curves shifted to a lower range of extracellular calcium concentration (Fig 2B).

Reference: PLoS One. 2018; 13(4): e0195316. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5882164/

In vivo activity

To evaluate the emetic effects, 2 miniature pigs were intravenously treated with cisplatin (2 and 5 mg/kg), and 4 were orally treated with cinacalcet (10, 30 and 100 mg/kg) or evocalcet (0.3, 1, 3, and 10 mg/kg). Cisplatin induced vomiting at both doses in 2/2 pigs. Cinacalcet also induced vomiting in 1/4 pigs at 10 mg/kg, 2/4 pigs at 30 mg/kg, and 3/4 pigs at 100 mg/kg. In contrast, no emetic-like symptoms were observed at any dose of evocalcet (Table 3).

Reference: PLoS One. 2021; 16(1): e0245785. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7822337/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.