Tecalcet Hydrochloride

Cat. No.: HY-10167A

CAS No.: 177172-49-5

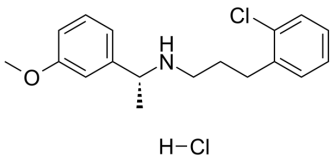
Molecular Formula: $C_{18}H_{23}Cl_2NO$

Molecular Weight: 340.29

Target: CaSR

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (146.93 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9387 mL	14.6933 mL	29.3867 mL
	5 mM	0.5877 mL	2.9387 mL	5.8773 mL
	10 mM	0.2939 mL	1.4693 mL	2.9387 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 (7.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.35 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (7.35 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Tecalcet Hydrochloride (R 568 Hydrochloride), an orally active calcimimetic compound, allosterically and positively modulates the calcium-sensing receptor (CaSR). Tecalcet Hydrochloride (R 568 Hydrochloride) increases the sensitivity to activation by extracellular Ca^{2+}[1][2][3].

In Vitro

Tecalcet (NPS 568, 0.1-100 μM) increase $[Ca^{2+}]i$ in a concentrationdependent and stereoselective manner[3].
Tecalcet (NPS 568, 0.1-100 nM) shiftes the concentration-response curve for extracellular Ca^{2+} to the left without affecting the maximal response and, thereby, decreasesthe EC_{50} value for extracellular Ca21 to 0.61±0.04 mM[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Tecalcet (1.5 and 15 mg/kg, orally, twice daily for 4 days) inhibits PT cell proliferation in rats with renal insufficiency[1].

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Animal Model:	10-wk-old Male Sprague-Dawley rats weighing 310-350 g[1].
Dosage:	1.5 and 15 mg/kg.
Administration:	Orally twice daily for 4 days.
Result:	Did not significantly change serum 1,25 $(OH)_2D_3$ levels. In contrast, serum PTH levels were reduced by in a dose-dependent manner. Clearly reduced the number of BrdU-positive PT cells by 20% at a low dose (1.5 mg/kg body wt), and by 50% at a high dose (15 mg/kg body wt), indicating an antiproliferative effect on PT cells. Reduced PT cell volume in a dose-dependent manner.

CUSTOMER VALIDATION

- Transl Pediatr. 2023 Dec 20.
- Adv Nanobiomed Res. 14 July 2022.

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REFERENCES

[1]. Wada, M., et al. The calcimimetic compound NPS R-568 suppresses parathyroid cell proliferation in rats with renal insufficiency. Control of parathyroid cell growth via a calcium receptor. Journal of Clinical Investigation 100(12), 2977-2983 (1997).

[2]. Nemeth, E.F., et al. The parathyroid calcium receptor: a novel therapeutic target for treating hyperparathyroidism. Pediatr.Nephrol. 10(3), 275-279 (1996).

[3]. Nemeth, E.F., et al. Calcimimetics with potent and selective activity on the parathyroid calcium receptor. Proceedings of the National Academy of Sciences of the United States of America 95(7), 4040-4045 (1998).

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