Cinacalcet hydrochloride

Cat. No.: HY-70037A

CAS No.: 364782-34-3

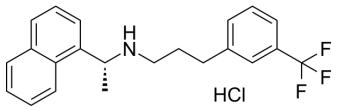
Molecular Formula: $C_{22}H_{23}ClF_3N$

Molecular Weight: 393.87

Target: CaSR; Endogenous Metabolite

Pathway: GPCR/G Protein; Metabolic Enzyme/Protease

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 (126.95 mM)

H_2O : 1 mg/mL (2.54 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5389 mL	12.6945 mL	25.3891 mL
5 mM	0.5078 mL	2.5389 mL	5.0778 mL
10 mM	0.2539 mL	1.2695 mL	2.5389 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 (6.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cinacalcet hydrochloride (AMG-073 hydrochloride) is an orally active, allosteric agonist of Ca receptor (CaR), used for cardiovascular disease treatment.

In Vivo

Cinacalcet (5 and 10 mg/kg) results in a significant reduction in parathyroid gland weight in 5/6 nephrectomy animals. In sham animals, Cinacalcet has no effect on parathyroid gland cell proliferation or parathyroid weight compared with vehicle treatment. There are no differences in serum phosphorus levels in Cinacalcet (10, 5, or 1 mg/kg) treated 5/6 nephrectomized animals compared with vehicle-treated 5/6 nephrectomized animals. Cinacalcet treatment significantly reduces blood

ionized calcium levels in sham animals[1]. Cinacalcet (30 mg/kg/24 h) leads to a marked reduction in circulating parathyroid hormone and a modest reduction in serum Ca. Cinacalcet does not alter UCa when the GHS rats are fed the normal Ca diet but lowers UCa when they are fed the low Ca diet. Cinacalcet does not alter U supersaturation with respect to either CaOx or $CaHPO_4$ on either diet[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]

To identify apoptosis in parathyroid glands from 5/6 nephrectomized or sham rats treated with vehicle [phosphate-buffered saline (PBS)] or Cinacalcet (10 mg/kg), nuclear DNA fragmentation is measured in situ using the Apoptag System. Briefly, parathyroid gland sections from animals treated with vehicle or cinacalcet HCl are digested with 20 μg/mL proteinase K in 0.1 mol/L PBS at room temperature for 15 minutes and incubated with 3% hydrogen peroxide/methanol for 5 minutes to block endogenous peroxidase. Sections are incubated for 1 hour at 37°C with terminal deoxynucleotidyl transferase (TdT) to label exposed 3′-OH DNA ends with digoxigenin-tagged nucleotides. Digoxigenin-labeled DNA is detected by the immunoperoxidase method. Sections are developed with 3,3′-diaminobenzidine (DAB), and the nuclei of apoptotic cells are stained brown. The specificity for apoptosis is verified by negative staining when distilled water is substituted for TdT.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2015 Apr 9;58(7):2958-66.
- Acta Physiol. 2023 Jan 6;e13926.
- Cell Biol Toxicol. 2022 May 30.
- J Agric Food Chem. 2021 Nov 8.
- iScience. 2023 Mar.

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REFERENCES

[1]. Colloton M, et al. Cinacalcet HCl attenuates parathyroid hyperplasia in a rat model of secondary hyperparathyroidism. Kidney Int. 2005 Feb;67(2):467-76.

[2]. D.A. Bushinsky, et al. Effect of cinacalcet on urine calcium excretion and supersaturation in genetic hypercalciuric stone-forming rats. Kidney Int. 2006 May;69(9):1586-92.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA