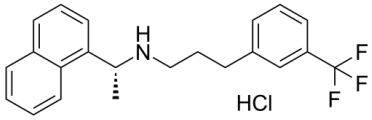
Data Sheet

Product Name:	Cinacalcet (hydrochloride)
Cat. No.:	CS-0288
CAS No.:	364782-34-3
Molecular Formula:	C22H23ClF3N
Molecular Weight:	393.87
Target:	CaSR
Pathway:	GPCR/G Protein
Solubility:	DMSO : ≥ 50 mg/mL (126.95 mM)



BIOLOGICAL ACTIVITY:

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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Cinacalcet HCl is prepared in phosphate-buffered saline (PBS).[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.

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.

CAIndexNames:

1-Naphthalenemethanamine, .alpha.-methyl-N-[3-[3-(trifluoromethyl)phenyl]propyl]-, hydrochloride (1:1), (.alpha.R)-

SMILES:

FC(F)(C1=CC(CCCN[C@@H](C2=C3C=CC=CC3=CC=C2)C)=CC=C1)F.Cl

Caution: Product has not been fully validated for medical applications. For research use only.

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