NPS-2143 hydrochloride

Cat. No.: HY-10171

CAS No.: 324523-20-8

Molecular Formula: $C_{24}H_{26}Cl_2N_2O_2$

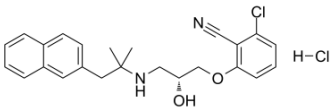
Molecular Weight: 445.38

Target: CaSR

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (224.53 mM)

H_2O : 1.85 mg/mL (4.15 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	2.2453 mL	11.2264 mL	22.4527 mL
5 mM	0.4491 mL	2.2453 mL	4.4905 mL
10 mM	0.2245 mL	1.1226 mL	2.2453 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 (5.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NPS-2143 hydrochloride (SB-262470A hydrochloride), an orally active calcilytic agent, is a selective and potent calcium ion-sensing receptor (CaSR) antagonist. NPS-2143 hydrochloride (SB-262470A hydrochloride) blocks increases in cytoplasmic Ca^{2+} concentrations (IC_{50}=43 nM) elicited by activating the Ca^{2+} receptor in HEK 293 cells expressing the human Ca^{2+} receptor [1][2].

In Vitro	NPS-2143 hydrochloride (SB-262470A hydrochloride) stimulates parathyroid hormone (PTH) secretion from bovine parathyroid cells with EC_{50} of 41 nM. Moreover, NPS-2143 hydrochloride also blocks the inhibitory effects of calcimimetic NPS R-467 on PTH secretion from bovine parathyroid cells and the inhibitory effects of extracellular Ca2+ on isoproterenol-stimulated increases in cyclic AMP formation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	When infused intravenously in normal rats, NPS-2143 hydrochloride (SB-262470A hydrochloride) causes a rapid and large increase in plasma levels of PTH. Ca^{2+} receptor antagonists are termed calcilytics and NPS-2143 is the first substance (either atomic or molecular) shown to possess such activity[1]. When administered together with an antiresorptive agent (estradiol), NPS 2143 causes an increase in trabecular bone volume and bone mineral density in osteopenic rats[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Oxid Med Cell Longev. 2021 Jan 12;2021:3010548.
- Oxid Med Cell Longev. 2017;2017:3869561.
- Phytomedicine. 2021, 153507.
- Sci Rep. 2019 Dec 12;9(1):18979.
- Am J Physiol Heart Circ Physiol. 2010 Nov;299(5):H1309-17.

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REFERENCES

[1]. Huang Y, Breitwieser GE. Rescue of calcium-sensing receptor mutants by allosteric modulators reveals a conformational checkpoint in receptor biogenesis. J Biol Chem. 2007 Mar 30;282(13):9517-25

[2]. Marquis, Robert W.; Lago, Amparo M.; Callahan, James F.;Antagonists of the Calcium Receptor. 2. Amino Alcohol-Based Parathyroid Hormone Secretagogues. Journal of Medicinal Chemistry (2009), 52(21), 6599-6605.

[3]. Yamamura A, Guo Q, Yamamura H, Zimnicka AM, Pohl NM, Smith KA, Fernandez RA, Zeifman A, Makino A, Dong H, Yuan JX.Enhanced Ca2+-sensing receptor function in idiopathic pulmonary arterial hypertension.Circ Res. 2012 Aug 3;111(4):469-81. Epub 2012 Jun 22.

[4]. Nakajima S, Hira T, Hara H.Calcium-sensing receptor mediates dietary peptide-induced CCK secretion in enteroendocrine STC-1 cells.Mol Nutr Food Res. 2012 May;56(5):753-60.

[5]. Davey AE, Leach K, Valant C, Conigrave AD, Sexton PM, Christopoulos A.Positive and negative allosteric modulators promote biased signaling at the calcium-sensing receptor.Endocrinology. 2012 Mar;153(3):1232-41. Epub 2011 Dec 30.

[6]. Nemeth EF, et al. Calcilytic compounds: potent and selective Ca2+ receptor antagonists that stimulate secretion of parathyroid hormone. J Pharmacol Exp Ther. 2001 Oct;299(1):323-31.

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