

NPS-2143 (hydrochloride)

Catalog No: tcsc0320



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

324523-20-8

Formula:

$C_{24}H_{26}Cl_2N_2O_2$

Pathway:

GPCR/G Protein

Target:

CaSR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

445.38

Product Description

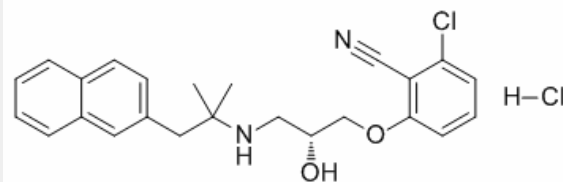
NPS-2143(SB 262470A) is a selective potent calcium ion-sensing receptor antagonist with IC50 of 43 and 41 nM for cytoplasmic Ca²⁺ concentrations and parathyroid hormone secretion, respectively.

IC50 value: 43 nM(for Ca²⁺ receptor) [1]

Target: CaSR

in vitro: NPS 2143, even when tested at much higher concentrations (3 microM), did not affect the activity of a number of other G protein-coupled receptors, including those most structurally homologous to the Ca²⁺ receptor. NPS 2143 stimulated parathyroid hormone (PTH) secretion from bovine parathyroid cells (EC50 of 41 nM) over a range of extracellular Ca²⁺ concentrations and reversed the effects of the calcimimetic compound NPS R-467 on [Ca²⁺]_i and on secretion of PTH [1]. The first reported calcilytic compound was NPS 2143, an orally active molecule which elicits rapid, 3- to 4-fold increases in circulating levels of PTH [2].

in vivo: When infused intravenously in normal rats, NPS 2143 caused a rapid and large increase in plasma levels of PTH. Ca²⁺ 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].



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