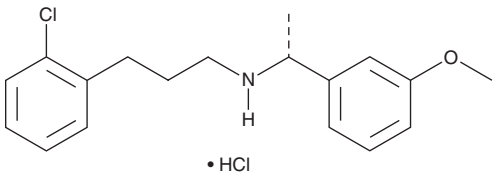
PRODUCT INFORMATION

R-568

Item No. 17415

CAS Registry No.:	177172-49-5
Formal Name:	2-chloro-N-[(1R)-1-(3-methoxyphenyl) ethyl]-benzenepropanamine, monohydrochloride
Synonyms:	KRN 568, NPS R-568, Tecalcet
MF:	$C_{18}H_{22}ClNO \bullet HCl$
FW:	340.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 213, 274 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

R-568 is supplied as a crystalline solid. A stock solution may be made by dissolving the R-568 in the solvent of choice, which should be purged with an inert gas. R-568 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of R-568 in ethanol and DMSO is approximately 10 mg/ml and approximately 5 mg/ml in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of R-568 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of R-568 in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

R-568 is a calcimimetic and positive allosteric modulator of the calcium-sensing receptor (CaSR).[1] It potentiates extracellular calcium-induced increases in intracellular calcium release and inhibits the secretion of parathyroid hormone (PTH; IC_{50} = 27 nM) in bovine parathyroid cells. R-568 decreases PTH and plasma calcium levels in rats (ED_{50}s = 1.1 and 10.4 mg/kg, respectively).[2] It suppresses parathyroid cell proliferation in a rat model of chronic renal insufficiency when administered at a dose of 15 mg/kg.[2] Formulations containing R-568 have been used in the treatment of osteoporosis in post-menopausal women.

References

1. Nemeth, E.F., Steffey, M.E., Hammerland, L.G., *et al.* Calcimimetics with potent and selective activity on the parathyroid calcium receptor. *Proc. Natl. Acad. Sci. USA* **95(7)**, 4040-4045 (1998).
2. Fox, J., Lowe, S.H., Petty, B.A., *et al.* NPS R-568: A type II calcimimetic compound that acts on parathyroid cell calcium receptor of rats to reduce plasma levels of parathyroid hormone and calcium. *J. Pharmacol. Exp. Ther.* **290(2)**, 473-479 (1999).
3. Wada, M., Furuya, Y., Sakiyama, J.i., *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. *J. Clin. Invest.* **100(12)**, 2977-2983 (1997).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM