L-733060 hydrochloride

Cat. No.: HY-14406A

CAS No.: 148687-76-7

Molecular Formula: $C_{20}H_{20}ClF_6NO$

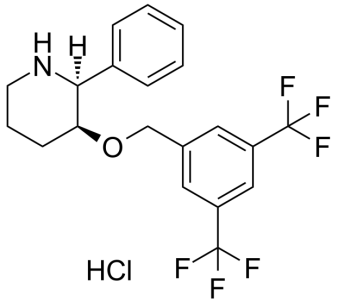
Molecular Weight: 439.82

Target: Neurokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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

H_2O : 22 mg/mL (50.02 mM; Need warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2737 mL	11.3683 mL	22.7366 mL
	5 mM	0.4547 mL	2.2737 mL	4.5473 mL
	10 mM	0.2274 mL	1.1368 mL	2.2737 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

L-733060 hydrochloride is a potent tachykinin NK_1 receptor antagonist. L-733060 hydrochloride inhibits neurogenic plasma extravasation at doses that do not cause adverse cardiovascular effects in rodents and also acts as an antitumoral agent[1][2].

IC_{50} & Target

NK1

In Vitro

L-733060 (30-300 nM) inhibits the $[Ca^{2+}]_i$ mobilisation caused by substance P (100 nM) in a concentration-dependent manner in human tachykinin NK_1 receptor-transfected CHO cells[1].

L-733060 (2.5-20 μM; 48 and or 96 h) results in a concentration-dependent cytotoxicity in COLO 858 cells[2].

L-733060 (10-30 μM; 24 and 48 h) inhibits MEL H0 cells proliferation with IC_{50}s of 27.5 μM and 18.9 μM at 24 h and 48 h, respectively[2].

L-733060 (20-50 μM; and or 72 h) inhibits COLO 679 cells growth with IC_{50}s of 33.8 μM and 31.5 μM at 30 h and 72 h, respectively[2].

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

Cell Proliferation Assay[2]

Cell Line:	COLO 858 cells
Concentration:	2.5, 5, 10, 20 μM
Incubation Time:	0, 48, 96 h
Result:	Inhibited cells growth with IC_{50}s of 8.7 μM and 7.1 μM at 48 h and 96 h, respectively.

In Vivo

L-733060 (10-1000 μg/kg; i.v.) inhibits electrically stimulated plasma extravasation in dura mater of rats[1].
L-733060 (300-3000 μg/kg; i.v.) has no significant hypotensive or bradycardic effects are observed at doses of <3000 μg/kg in conscious or anaesthetised rats[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (200 g) with electrical stimulation of the trigeminal ganglion[1]
Dosage:	10, 100, 1000 mg/kg
Administration:	I.v. injection
Result:	Produced a significant dose-related inhibition of plasma extravasation with an ID_{50} of 212±19 μg/kg.

REFERENCES

[1]. Seabrook GR, et, al. L-733,060, a novel tachykinin NK1 receptor antagonist; effects in [Ca2+]i mobilisation, cardiovascular and dural extravasation assays. Eur J Pharmacol. 1996 Dec 12; 317(1):129-35.

[2]. Muñoz M, et, al. Antitumoral action of the neurokinin-1 receptor antagonist L-733 060 on human melanoma cell lines. Melanoma Res. 2004 Jun;14(3):183-8.

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