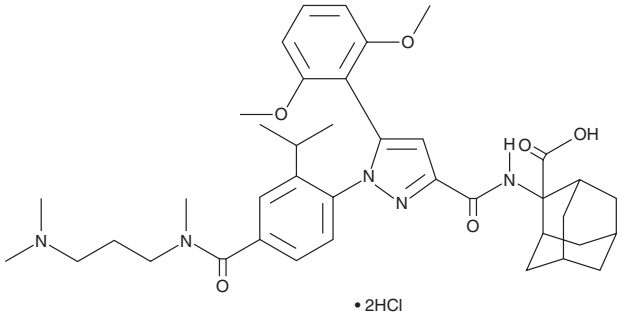
PRODUCT INFORMATION

SR 142948 (hydrochloride)

Item No. 23911

Formal Name:	2-[[[5-(2,6-dimethoxyphenyl)-1-[4-[[[3-(dimethylamino)propyl]methylamino]carbonyl]-2-(1-methylethyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]-tricyclo[3.3.1.1^{3,7}]decane-2-carboxylic acid, dihydrochloride
MF:	$C_{39}H_{51}N_5O_6 \bullet 2HCl$
FW:	758.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 202, 231 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

SR 142948 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the SR 142948 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. SR 142948 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SR 142948 (hydrochloride) is approximately 5 mg/ml in ethanol and approximately 10 mg/ml in DMSO and 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 SR 142948 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of SR 142948 (hydrochloride) in PBS, pH 7.2, is approximately 0.1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

SR 142948 is an orally bioavailable non-peptide antagonist of neurotensin receptors (NTS; IC_{50}s = 1.19, 0.32, and 3.96 nM for human recombinant NTS receptors expressed in CHO cells, HT-29 cells, and adult rat brain membranes, respectively).[1] It inhibits inositol phosphate formation in HT-29 cells (IC_{50} = 3.9 nM) and intracellular calcium accumulation in CHO cells expressing human NTS receptors. SR 142948 (1 mg/kg, i.v.) inhibits the firing rate of rat ventral pallidum neurons with a longer duration of action than the NTS receptor antagonist SR 48692 (Item No. 20124).[2] It also inhibits neurotensin-induced behavioral responses, including inhibition of neurotensin-induced turning behavior in mice when administered at doses ranging from 40 to 640 mg/kg and hypothermia and analgesia in rats and mice.[1]

References

1. Gully, D., Labeeuw, B., Boigegrain, R., *et al.* Biochemical and pharmacological activities of SR 142948A, a new potent neurotensin receptor antagonist. *J. Pharmacol. Exp. Ther.* **280(2)**, 802-812 (1997).
2. Michaud, J.-C., Gueudet, C., and Soubrié, P. Effects of neurotensin receptor antagonists on the firing rate of rat ventral pallidum neurons. *Neuroreport* **11(7)**, 1437-1441 (2000).

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