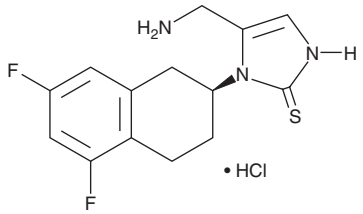
PRODUCT INFORMATION

Nepicastat (hydrochloride)

Item No. 22126

CAS Registry No.: 170151-24-3
Formal Name: 5-(aminomethyl)-1-[(2S)-5,7-difluoro-1,2,3,4-tetrahydro-2-naphthalenyl]-1,3-dihydro-2H-imidazole-2-thione, monohydrochloride
Synonym: RS 25560-197
MF: $C_{14}H_{15}F_2N_3S \bullet HCl$
FW: 331.8
Purity: ≥98%
UV/Vis.: λ_{max}: 267 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

Nepicastat (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the nepicastat (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Nepicastat (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of nepicastat (hydrochloride) in these solvents is approximately 2 and 10 mg/ml, respectively.

Description

Nepicastat is an inhibitor of dopamine β-hydroxylase (DBH; IC_{50} = 9 nM for the purified human enzyme).[1] It is selective for DBH over a panel of 12 enzymes and 13 neurotransmitter receptors (IC_{50}s or K_is = >10 µM). Nepicastat dose-dependently reduces norepinephrine content and increases dopamine content in the mesenteric artery, left ventricle, and cerebral cortex in spontaneously hypertensive rats, as well as in the renal artery, left ventricle, and cerebral cortex in beagle dogs. It attenuates increases in diastolic blood pressure and heart rate induced by preganglionic sympathetic nerve stimulation in pithed spontaneously hypertensive rats when administered orally at doses of 10 and 30 mg/kg.[2] Nepicatstat (50 mg/kg) reduces the progressive ratio response for cocaine, but not food or sucrose pellets, in rats.[3] It also reduces reinstatement of cocaine-seeking behavior induced by cues, yohimbine (Item No. 19869), or foot-shock in rats.

References

1. Stanley, W.C., Li, B., Bonhaus, D.W., *et al.* Catecholamine modulatory effects of nepicastat (RS-25560-197), a novel, potent and selective inhibitor of dopamine-β-hydroxylase. *Br. J. Pharmacol.* **121(8),** 1803-1809 (1997).
2. Stanley, W.C., Lee, K., Johnson, L.G., *et al.* Cardiovascular effects of nepicastat (RS-25560-197), a novel dopamine β-hydroxylase inhibitor. *J. Cardiovasc. Pharmacol.* **31(6),** 963-970 (1998).
3. Schroeder, J.P., Epps, S.A., Grice, T.W., *et al.* The selective dopamine β-hydroxylase inhibitor nepicastat attenuates multiple aspects of cocaine-seeking behavior. *Neuropsychopharmacology* **38(6),** 1032-1038 (2013).

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