Product Data Sheet

Product Name: Nepicastat
Cat. No.: GC36722

Chemical Properties

Cas. No.	173997-05-2		
SMILES	FC1=CC(F)=C2CC[C@@H](CC2=C1)N3C(CN)=CNC3=S		
Formula	$C_{14}H_{15}F_2N_3S$	M.Wt	295.35
Solubility	DMSO: ≥ 48 mg/mL (162.52 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Nepicastat		

Background

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 , or foot-shock in rats.

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-hydroxylaseBr. J. Pharmacol.121(8)1803-1809(1997) 2.Stanley, W.C., Lee, K., Johnson,

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L.G., et al.Cardiovascular effects of nepicastat (RS-25560-197), a novel dopamine β-hydroxylase inhibitorJ. 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 behaviorNeuropsychopharmacology38(6)1032-1038(2013)

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