Product Data Sheet

Product Name: (R)-Nepicastat HCl
Cat. No.: GC12165

Chemical Properties

Cas. No.	195881-94-8		
Chemical Name	4-(aminomethyl)-3-[(2R)-5,7-difluoro-1,2,3,4-tetrahydronaphthalen-2-yl]-1H-imidazole-2-thione;hydrochloride		
SMILES	C1CC2=C(C=C(C=C2CC1N3C(=CNC3=S)CN)F)F.Cl		
Formula	$C_{14}H_{15}F_2N_3S.HCl$	M.Wt	331.81
Solubility	Soluble in DMSO	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	(R)-Nepicastat HCl		

Background

(R)-Nepicastat HCl is a potent and selective inhibitor of dopamine-β-hydroxylase with IC50 values of 25.1 and 18.3 nM in bovine and human, respectively [1].

Dopamine-β-hydroxylase is an enzyme involved in the synthesis of small-molecule membrane-bound neurotransmitters. Dopamine-β-hydroxylase catalyses the synthesis of noradrenaline [1].

(R)-Nepicastat HCl is a potent and selective dopamine-β-hydroxylase inhibitor. (R)-Nepicastat exhibited 2-3 fold less potent than nepicastat [1].

In beagle dogs and spontaneously hypertensive rats, nepicastat reduced noradrenaline in a dose-dependent way and increased dopamine and dopamine/noradrenaline ratio in cerebral cortex, left ventricle and the artery. In beagle dogs, nepicastat (2 mg/kg) significantly reduced noradrenaline by 52% and increased dopamine by 646% and dopamine/noradrenaline ratio in plasma [1]. In pithed spontaneously hypertensive rats,

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nepicastat inhibited the pressor and positive chronotropic due to preganglionic sympathetic nerve stimulation. In spontaneously hypertensive rats, nepicastat (3 mg/kg) exhibited antihypertensive effects and reduced renal vascular resistance by 38% [2]. In rats, nepicastat significantly increased extracellular dopamine accumulation induced by cocaine and amphetamine in the medial prefrontal cortex [3].

References:

[1]. Stanley WC, Li B, Bonhaus DW, et al. Catecholamine modulatory effects of nepicastat (RS-25560-197), a novel, potent and selective inhibitor of dopamine-beta-hydroxylase. Br J Pharmacol, 1997, 121(8): 1803-1809.

[2]. Stanley WC, Lee K, Johnson LG, et al. Cardiovascular effects of nepicastat (RS-25560-197), a novel dopamine beta-hydroxylase inhibitor. J Cardiovasc Pharmacol, 1998, 31(6): 963-970.

[3]. Devoto P, Flore G, Saba P, et al. The dopamine beta-hydroxylase inhibitor nepicastat increases dopamine release and potentiates psychostimulant-induced dopamine release in the prefrontal cortex. Addict Biol, 2014, 19(4): 612-622.

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