Product Name: Nepicastat

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties

Product Name:	Nepicastat
Cas No.:	173997-05-2
M.Wt:	295.35
Formula:	C14H15F2N3S
Synonyms:	SYN117;RS-25560-197;SYN-117;SYN 117
Chemical Name:	4-(aminomethyl)-3-[(2S)-5,7-difluoro-1,2,3,4-tetrahydronaphthalen-2-yl]-1H-imidazole-2-thione
Canonical SMILES:	C1CC2=C(C=C(C=C2CC1N3C(=CNC3=S)CN)F)F
Solubility:	DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	GPCR/G protein
Pathways:	Cannabinoid Receptor

Description:

Nepicastat is a potent and selective inhibitor of dopamine-β-hydroxylase with IC50 values of 8.5 and 9.0 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].

Nepicastat 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, 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].

***Reference**:*

[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.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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