For Research Use Only

Nepicastat hydrochloride

www.ptgcn.com

Catalog Number: CM04676

产品信息

Catalog Number:
CM04676

CAS号:
170151-24-3

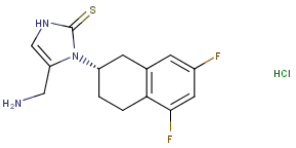
分子式:
$C_{14}H_{15}F_2N_3S{\cdot}HCl$

主要靶点:
Hydroxylase

主要通路:
代谢

分子量:
331.81

溶解度:
DMSO:33.2 mg/mL (100 mM)



靶点活性

Bovine dopamine-beta-hydroxylase:8.5 nM|H μ Man dopamine-beta-hydroxylase:9 nM

体外活性

In vitro, Nepicastat hydrochloride shows the selective and concentration-dependent inhibition effects on bovine and human dopamine-beta-hydroxylase activity with IC50 of 8.5 nM and 9.0 nM, respectively. While Nepicastat hydrochloride has negligible affinity for twelve other enzymes and thirteen neurotransmitter receptors. [1]

体内活性

In the artery, left ventricle and cerebral cortex of spontaneously hypertensive rats (SHRs), Nepicastat hydrochloride reduces noradrenaline content, and increases dopamine content and dopamine/noradrenaline ratio in a dose-dependent manner. In addition, Nepicastat hydrochloride also produces the similar effects on noradrenaline, dopamine and dopamine/noradrenaline ratio in tissues and plasma of beagle dogs. [1] In inactin-anesthetized SHRs, Nepicastat hydrochloride (3 mg/kg, i.v.) produces the antihypertensive effects and causes a significant decrease in renal vascular resistance (38%) and an increase in renal blood flow (22%). [2] In dogs with chronic heart failure, low-dose Nepicastat hydrochloride (0.5 mg/kg) prevents left ventricular (LV) dysfunction and remodeling, and combination therapy of Nepicastat hydrochloride and enalapril results in additional improvements in all morphological features. [3] In rat brain, Nepicastat hydrochloride at a dose of 50 mg/kg (i.p.) leads to the reduction of norepinephrine (NE) and blocks cocaine-primed reinstatement of cocaine seeking. [4]

描述

Nepicastat hydrochloride (RS-25560-197 hydrochloride) is an effective and specific inhibitor, which is used for bovine and human dopamine- β -hydroxylase with IC50 of 8.5 nM and 9 nM, respectively.The affinity of Nepicastat for twelve other enzymes and thirteen neurotransmitter receptors is negligible.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years