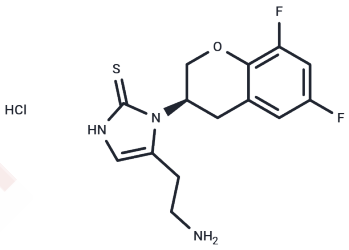
Data Sheet (Cat.No.T11238L)

TargetMol

Etamicastat hydrochloride

Chemical Properties



CAS No. : 677773-32-9

Formula: C14H16ClF2N3OS

Molecular Weight: 347.81

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Etamicastat hydrochloride (BIA 5-453 hydrochloride) is a peripherally selective dopamine beta-hydroxylase inhibitor that reduces hypertension.
Targets(IC50)	Dehydrogenase,Hydroxylase
In vitro	Etamicastat hydrochloride blocks the hERG current amplitude with an IC50 value of 44 μg/mL [1].
In vivo	Etamicastat hydrochloride (50 mg/kg; a single oral administration) exhibits moderate oral bioavailability (64%), Cmax (4.9 nM), and terminal elimination half-lives (T1/2=3.7 h) in male Wistar rats.Etamicastat hydrochloride (100 mg/kg; administered intraperitoneally) leads to a significant reduction of noradrenaline levels in heart with concomitant increasing in dopamine levels[1].
Cell Research	Etamicastat blocks the hERG current amplitude with an IC50 value of 44 μg/mL .
Animal Research	Etamicastat (50 mg/kg; a single oral administration) exhibits moderate oral bioavailability (64%), Cmax (4.9 nM), and terminal elimination half-lives (T1/2=3.7 h) in male Wistar rats.Etamicastat (100 mg/kg; administered intraperitoneally) leads to a significant reduction of noradrenaline levels in heart with concomitant increasing in dopamine levels.

Solubility Information

Solubility	H2O: 15 mg/mL (43.13 mM),Sonication is recommended. DMSO: 90 mg/mL (258.76 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (9.49 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8751 mL	14.3757 mL	28.7513 mL
5 mM	0.575 mL	2.8751 mL	5.7503 mL
10 mM	0.2875 mL	1.4376 mL	2.8751 mL
50 mM	0.0575 mL	0.2875 mL	0.575 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Loureiro AI, et al. Etamicastat, a new dopamine-ß-hydroxylase inhibitor, pharmacodynamics and metabolism in rat. Eur J Pharmacol. 2014 Oct 5;740:285-94.

ManuelVaz-da-Silva, et al. Cardiac safety profile of etamicastat, a novel peripheral selective dopamine-β-hydroxylase inhibitor in non-human primates, human young and elderly healthy volunteers and hypertensive patients. IJC Metabolic & Endocrine. 2015 Jun; (7): 10-24