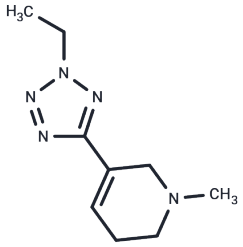
Data Sheet (Cat.No.T14197)

TargetMol

Alvameline

Chemical Properties



CAS No. : 120241-31-8

Formula: C9H15N5

Molecular Weight: 193.25

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	Alvameline (Lu25-109) is a partial M1 agonist and an M2/M3 antagonist.
Targets(IC50)	Others,AChR
In vitro	Alvameline undergoes metabolism in human liver microsomes, predominantly transforming into Lu 31-126 via CYP2D6. It also metabolizes into Lu 29-297 and Lu 25-077 primarily through CYP1A2, CYP2A6, CYP2C19, and CYP3A4, and into Lu 32-181 via CYP1A2 and possibly CYP2C19. Notably, the metabolite Lu 32-181 can be converted back into alvameline, a process unaffected by the presence of cytochrome P-450 inhibitors[1].
In vivo	Alvameline has demonstrated efficacy in enhancing cognitive functions in rats after traumatic brain injury and exhibits concentration-dependent antagonism of carbachol-induced contractions in human and pig detrusor muscles, with pKb values of 6.2 in humans and 5.8 in pigs. Specifically, it competitively inhibits carbachol and electrically induced contractions in human detrusor muscle, while also causing significant decreases in the medial septal nucleus, vertical limb nucleus of the diagonal band, and nucleus basalis magnocellularis in treated rats by up to 13%, 48%, and 51%, respectively. Additionally, alvameline nearly completely blocks electrically stimulated contractions in human detrusor at 100 μM concentration but is less effective in pig detrusor, achieving a maximum inhibition of 32% at the same concentration.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.1746 mL	25.8732 mL	51.7464 mL
5 mM	1.0349 mL	5.1746 mL	10.3493 mL
10 mM	0.5175 mL	2.5873 mL	5.1746 mL
50 mM	0.1035 mL	0.5175 mL	1.0349 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

Jensen KG, et al. In vitro metabolism of the M1-muscarinic agonist 5-(2-ethyl-2H-tetrazol-5-yl)-1-methyl-1,2,3,6-tetrahydropyridine by human hepatic cytochromes P-450 determined at pH 7.4 and 8.5. Drug Metab Dispos. 1999 Jan;27(1):125-32.

Waldeck K, et al. Actions of the new antimuscarinic compound Alvameline on isolated human and pig detrusor. Neurourol Urodyn. 2002;21(1):92-8.

Pike BR, et al. Chronic administration of a partial muscarinic M1 receptor agonist attenuates decreases in forebrain choline acetyltransferase immunoreactivity following experimental brain trauma. Exp Neurol. 1997 Sep;147(1):55-65.