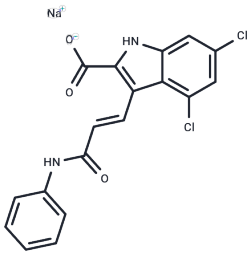
Data Sheet (Cat.No.T22798)

TargetMol

Gavestinel sodium

Chemical Properties



CAS No. : 153436-38-5

Formula: C18H11Cl2N2NaO3

Molecular Weight: 397.19

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	Gavestinel (GV 150526) is a non-competitive NMDA receptor antagonist that is potent, selective and orally active.Gavestinel binds to the glycine site of the NMDA receptor with a binding affinity (pKi value) of 8.5.Gavestinel is used in acute ischaemic stroke studies.
Targets(IC50)	NMDAR,iGluR
In vivo	Gavestinel (800 mg) was administered as a loading dose and followed by either 100 mg, 200 mg, or 400 mg maintenance doses given every 12 h for five doses. The mean terminal half-life ranged from 29 h to 56 h. Gavestinel was extensively bound to plasma protein (median percentage free <0.01). During gavestinel administration, some patients exhibited elevated levels of bilirubin, which may be the result of shared mechanisms of elimination (glucuronide conjugation and excretion in bile).[2]

Solubility Information

Solubility	DMSO: 90 mg/mL (226.59 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 (8.31 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	2.5177 mL	12.5884 mL	25.1769 mL
5 mM	0.5035 mL	2.5177 mL	5.0354 mL
10 mM	0.2518 mL	1.2588 mL	2.5177 mL
50 mM	0.0504 mL	0.2518 mL	0.5035 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

Di Fabio R, et al. Substituted indole-2-carboxylates as in vivo potent antagonists acting as the strychnine-insensitive glycine binding site. J Med Chem. 1997;40(6):841-850.
Hoke JF, et al. Pharmacokinetics of a glycine site antagonist (gavestinel) following multiple dosing in patients with acute stroke. Eur J Clin Pharmacol. 2000;55(11-12):867-872.
Lees KR, et al. Glycine antagonist (gavestinel) in neuroprotection (GAIN International) in patients with acute stroke: a randomised controlled trial. GAIN International Investigators. Lancet. 2000;355(9219):1949-1954.
Haley EC Jr, et al. Gavestinel does not improve outcome after acute intracerebral hemorrhage: an analysis from the GAIN International and GAIN Americas studies. Stroke. 2005;36(5):1006-1010.