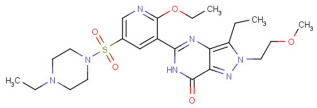
Data Sheet (Cat.No.T15381)

TargetMol
A DRUG SCREENING EXPERT

Gisadenafil

Chemical Properties



CAS No.:	334826-98-1
Formula:	C23H33N7O5S
Molecular Weight:	519.62
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Gisadenafil is a specific and orally active inhibitor of PDE5 (IC50: 3.6 nM). It also prevents the degradation of cyclic guanosine monophosphate (cGMP).
Targets(IC_{50})	PDE5A: 3.6 nM PDE1A: 9.1 μM
In vitro	This is directly tested with recombinant PDE5A and PDE1A overexpressed in COS-7 cells. The IC50 of Gisadenafil for PDE5A is 3.6 nM. However, the IC50 of Gisadenafil for PDE1A is 9.1 μM, an approximately 2500-fold difference in specificity. Since some PDE5 inhibitors can also interact with PDE1 isotypes found within the cerebral vasculature, the specificity of Gisadenafil for PDE5 is confirmed [1].
In vivo	Gisadenafil also restores the dilation of small (<25 μm) arterioles following hypercapnia. But it can not restore full dilation of larger (>25 μm) vessels. Gisadenafil (2 mg/kg; i.v.; for 2 hours; male Tat-transgenic mice) treatment largely restores the normal increase in cortical flow following hypercapnia in Tat-tg mice (17.5% above baseline) [1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.924 mL	9.622 mL	19.245 mL
5 mM	0.385 mL	1.924 mL	3.849 mL
10 mM	0.192 mL	0.962 mL	1.924 mL
50 mM	0.038 mL	0.192 mL	0.385 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Silva J, et al. Transient hypercapnia reveals an underlying cerebrovascular pathology in a murine model for HIV-1 associated neuroinflammation: role of NO-cGMP signaling and normalization by inhibition of cyclic nucleotide phosphodiesterase-5. J Neuroinflammation. 2012 Nov 20;9:253.

2. Rawson DJ, et al. The discovery of UK-369003, a novel PDE5 inhibitor with the potential for oral bioavailability and dose-proportional pharmacokinetics. Bioorg Med Chem. 2012 Jan 1;20(1):498-509.

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