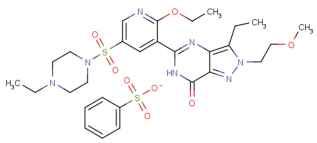
Data Sheet (Cat.No.T11400)

TargetMol
A DRUG SCREENING EXPERT

Gisadenafil besylate

Chemical Properties



CAS No.:	334827-98-4
Formula:	C29H38N7O8S2-
Molecular Weight:	676.78
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Gisadenafil besylate (UK 369003-26) is a specific, orally active phosphodiesterase 5 (PDE5) inhibitor ,prevents degradation of cyclic guanosine monophosphate (cGMP), with an IC50 of 3.6 nM .
Targets(IC_{50})	PDE5A: 3.6 nM PDE1A: 9.1 μM
In vitro	The IC50 of Gisadenafil for PDE5A is 3.6 nM. In contrast, 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. This is directly tested with recombinant PDE5A and PDE1A overexpressed in COS-7 cells.
In vivo	Gisadenafil also restores the dilation of small (<25 μm) arterioles following hypercapnia, although it fails to restore full dilation of larger (>25 μm) vessels.

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.478 mL	7.388 mL	14.776 mL
5 mM	0.296 mL	1.478 mL	2.955 mL
10 mM	0.148 mL	0.739 mL	1.478 mL
50 mM	0.03 mL	0.148 mL	0.296 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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