# **Product data sheet**



MedKoo Cat#: 575870		
Name: Gisadenafil besylate		
CAS: 334827-98-4		
Chemical Formula: C <sub>29</sub> H <sub>39</sub> N <sub>7</sub> O <sub>8</sub> S <sub>2</sub>		
Molecular Weight: 677.792		N O HN N S OH
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%	
Shipping conditions	Ambient temperature	N 0 `
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.	
	In solvent: -80°C 3 months; -20°C 2 weeks.	

## 1. Product description:

Gisadenafil besylate is a phosphodiesterase 5 (PDE5) inhibitor.

### 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	72.59	107.10
Water	5.89	8.69

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg		
1 mM	1.48 mL	7.38 mL	14.75 mL		
5 mM	0.30 mL	1.48 mL	2.95 mL		
10 mM	0.15 mL	0.74 mL	1.48 mL		
50 mM	0.03 mL	0.15 mL	0.30 mL		

#### 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

# 6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Silva J, Polesskaya O, Knight W, Zheng JT, Granger M, Lopez T, Ontiveros F, Feng C, Yan C, Kasischke KA, Dewhurst S. 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. doi: 10.1186/1742-2094-9-253. PMID: 23167821; PMCID: PMC3526511.

#### In vivo study

1. Silva J, Polesskaya O, Knight W, Zheng JT, Granger M, Lopez T, Ontiveros F, Feng C, Yan C, Kasischke KA, Dewhurst S. 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. doi: 10.1186/1742-2094-9-253. PMID: 23167821; PMCID: PMC3526511.

## 7. Bioactivity

Biological target:

Gisadenafil besylate (UK 369003-26) is a specific, orally active phosphodiesterase 5 (PDE5) inhibitor with an  $IC_{50}$  of 3.6 nM and prevents degradation of cyclic guanosine monophosphate (cGMP).

In vitro activity

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This was directly tested with recombinant PDE5A and PDE1A overexpressed in COS-7 cells (Figure 4A). Using this approach, the study found the IC50 of gisadenafil for PDE5A to be 3.6 nM, similar to its reported IC50 of 1.23 nM. In contrast, this study found the IC50 of gisadenafil for PDE1A to be 9.1  $\mu$ M, an approximately 2500-fold difference in specificity.

Reference: J Neuroinflammation. 2012 Nov 20;9:253. https://pubmed.ncbi.nlm.nih.gov/23167821/

### In vivo activity

Longer (5 minute) exposures to  $CO_2$  were also performed in animals treated with gisadenafil to determine if these changes to CVR were reproducible under extended hypercapnia. Tat-tg animals treated with gisadenafil showed marked improvement (P = 0.003, nonparametric permutation test) in CVR (42.9% peak increase in CBF) compared to non-treated Tat-tg animals (21.5% peak increase in CBF) (Figure 4C).

Reference: J Neuroinflammation. 2012 Nov 20;9:253. https://pubmed.ncbi.nlm.nih.gov/23167821/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.