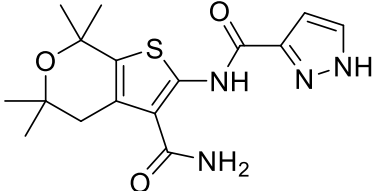


Product data sheet



MedKoo Cat#: 555122 Name: GLPG1837 CAS#: 1654725-02-6 Chemical Formula: C ₁₆ H ₂₀ N ₄ O ₃ S Exact Mass: 348.1256 Molecular Weight: 348.42	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

GLPG-1837, also known as ABBV-974, is a novel cystic fibrosis transmembrane conductance regulator (CFTR) potentiator. GLPG1837 was generally safe and well tolerated in healthy subjects up to the highest dose of 800 mg twice daily for 2 weeks. GLPG1837 shows enhanced efficacy on CFTR mutants harboring Class III mutations compared to Ivacaftor, the first marketed potentiator.

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	159.5	457.78
Ethanol	5.0	14.35

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.87 mL	14.35 mL	28.70 mL
5 mM	0.57 mL	2.87 mL	5.74 mL
10 mM	0.29 mL	1.44 mL	2.87 mL
50 mM	0.06 mL	0.29 mL	0.57 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. Yeh HI, Sohma Y, Conrath K, Hwang TC. A common mechanism for CFTR potentiators. *J Gen Physiol.* 2017 Dec 4;149(12):1105-1118. doi: 10.1085/jgp.201711886. Epub 2017 Oct 27. PMID: 29079713; PMCID: PMC5715911.

In vivo study

TBD

7. Bioactivity

Biological target:

GLPG1837 is a potent and reversible CFTR potentiator, with EC₅₀s of 3 nM and 339 nM for F508del and G551D CFTR, respectively.

In vitro activity

As a first step to characterize GLPG1837, this study examined the effect of acute addition of this compound to macroscopic WT-CFTR currents preactivated with PKA and ATP to a steady state at a holding potential of -30 mV in excised inside-out patches. Fig. 2

Product data sheet



A shows a representative real-time recording in which an application of 3 μM GLPG1837 in the continuous presence of ATP enhances the currents by 2.06 ± 0.08 -fold ($n = 19$). This potentiation effect is reversible and concentration dependent. The dose responses at different concentrations of GLPG1837 were normalized to the currents at 3 μM GLPG1837 in the same patch, and the data can be fitted with the Hill equation, yielding a $K_{1/2}$ of 0.23 ± 0.12 μM and a Hill coefficient of 0.70 ± 0.24 (Fig. 2 B).

Reference: J Gen Physiol. 2017 Dec 4; 149(12): 1105–1118. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5715911/>

In vivo activity

TBD

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.