

Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

Weitere Information auf den folgenden Seiten! See the following pages for more information!



Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic in



Proteins

Product Data Sheet

GLPG1837

Cat. No.: HY-111099 CAS No.: 1654725-02-6 Molecular Formula: $C_{16}H_{20}N_{4}O_{3}S$ Molecular Weight: 348.42

Target: CFTR; Autophagy

Pathway: Membrane Transporter/Ion Channel; Autophagy

Storage: Powder -20°C 3 years

In solvent

4°C 2 years -80°C 2 years

-20°C 1 year

SOLVENT & SOLUBILITY

DMSO: ≥ 250 mg/mL (717.52 mM) In Vitro

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.8701 mL	14.3505 mL	28.7010 mL
	5 mM	0.5740 mL	2.8701 mL	5.7402 mL
	10 mM	0.2870 mL	1.4350 mL	2.8701 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description GLPG1837 is a potent and reversible CFTR potentiator, with EC $_{50}$ s of 3 nM and 339 nM for F508del and G551D CFTR, respectively.

EC50: 3 nM (F508del CFTR), 339 nM (G551D CFTR)^[1] IC₅₀ & Target

> GLPG1837 is a potent CFTR potentiator, with EC $_{50}$ s of 3 nM and 339 nM for F508del and G551D CFTR, respectively. GLPG1837 increases the conductivity of the G551D CFTR channel with obtained potency of 181 $\mathrm{nM}^{[1]}$. GLPG1837 is reversible CFTR potentiator, with a the apparent affinity within a range of 0.2-2 μ M^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

In Vitro

Cell Assay [1]

CFBe41o- cells are cultured in Eagle's Minimal Essential Medium (MEM) supplemented with 10% fetal bovine serum (FBS), 1% penicillin/streptomycin, 1% l-glutamine, and 500 μ g/mL hygromycin B. The cells are grown on culture flasks coated with 0.01% bovine serum albumin (BSA), 30 μ g/mL Purecol, and 0.001% human fibronectin. CFBe41o- cells are transduced with adenoviruses containing F508del CFTR and YFP (H148Q/I152L/F47L). HEK293 cells are cultured in Dulbecco's Modified Eagle Medium (DMEM) supplemented with 10% FBS and 1% penicillin/streptomycin. HEK293 cells are transfected with plasmids containing G551D, G178R, S549N, R117H, CFTR, and YFP (H148Q/I152L/F47L). Directly after transfection, the HEK293 cells are seeded in black 96-well plates coated with poly-d-lysine at a density of 70000 cells per well. The next day, cells are incubated for 24 h at 27°C (CFBE41o-) or 37°C (HEK293). Then cells are treated for 10 min with 10 μ M forskolin and the desired concentration of potentiator at room temperature.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Van der Plas SE, et al. Discovery of N-(3-Carbamoyl-5,5,7,7-tetramethyl-5,7-dihydro-4H-thieno[2,3-c]pyran-2-yl)-lH-pyrazole-5-carboxamide (GLPG1837), a Novel Potentiator Which Can Open Class III Mutant Cystic Fibrosis Transmembrane Conductance Regulator (

[2]. Yeh HI, et al. A common mechanism for CFTR potentiators. J Gen Physiol. 2017 Dec 4;149(12):1105-1118.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

 $\hbox{E-mail: } tech@MedChemExpress.com$

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA