Galicaftor

Cat. No.: HY-111111

CAS No.: 1918143-53-9

Molecular Formula: $C_{28}H_{21}F_4NO_7$

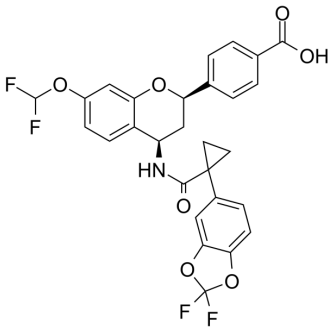
Molecular Weight: 559

Target: CFTR

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (89.45 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7889 mL	8.9445 mL	17.8891 mL
	5 mM	0.3578 mL	1.7889 mL	3.5778 mL
	10 mM	0.1789 mL	0.8945 mL	1.7889 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.47 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Galicaftor (ABBV-2222; GLPG-2222) is a potent and orally active cystic fibrosis transmembrane conductance regulator (CFTR) corrector. Galicaftor can be used for cystic fibrosis research[1].

In Vitro

Galicaftor (ABBV-2222; GLPG-2222) exhibits potent in vitro functional activity in primary patient cells harboring F508del/F508del CFTR, with an EC_{50} <10 nM[2].

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

In Vivo

The rat pharmacokinetic tests are performed on Galicaftor (ABBV-2222; GLPG-2222; 1 mg/kg, i.v.; 1 mg/kg, p.o.) to illustrate its pharmacokinetic properties in rats. The $T_{1/2}$ is 2.7 hours (i.v.). And for intragastric administration, the bioavailability (%F) is 74%[1].

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

REFERENCES

[1]. Xueqing Wang, et al. Discovery of 4-[(2R,4R)-4-({[1-(2,2-Difluoro-1,3-benzodioxol-5-yl)cyclopropyl]carbonyl}amino)-7-(difluoromethoxy)-3,4-dihydro-2H-chromen-2-yl]benzoic Acid (ABBV/GLPG-2222), a Potent Cystic Fibrosis Transmembrane Conductance Regulator

[2]. Ashvani K Singh, et al. Biological Characterization of F508delCFTR Protein Processing by the CFTR Corrector ABBV-2222/GLPG2222. J Pharmacol Exp Ther. 2020 Jan;372(1):107-118.

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