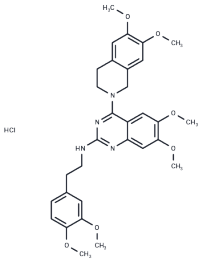
Data Sheet (Cat.No.T22680)

TargetMol

CP-100356 hydrochloride

Chemical Properties



CAS No. : 142715-48-8

Formula: C31H37ClN4O6

Molecular Weight: 597.1

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	CP-100356 hydrochloride is an orally active, low micromolar dual inhibitor of MDR1 (P-gp) and BCRP, a nucleotide-derived substrate analogue that inhibits MDR1-mediated Calcein-AM and BCRP-mediated Prazosin transporters. Additionally, CP-100356 inhibits OATP1B1 and induces stomatal opening in the dark.
Targets(IC50)	BCRP,P-gp
In vitro	In human MDR1-transfected MDCKII cells, CP-100356 hydrochloride inhibited acetoxymethyl calcein (calcein-AM) uptake (IC50 approximately 0.5 +/- 0.07 microM) and digoxin transport (IC50 approximately 1.2 +/- 0.1 microM). Inhibition of prazosin transport (IC50 approximately 1.5 +/- 0.3 microM) in human BCRP-transfected MDCKII cells by CP-100356 hydrochloride confirmed the dual MDR1/BCRP inhibitory properties [1].
In vivo	In vivo inhibitory effects of CP-100356 hydrochloride in rats were examined after coadministration with MDR1 substrate fexofenadine and dual MDR1/BCRP substrate prazosin. Coadministration with increasing doses of CP-100356 hydrochloride resulted in dramatic increases in systemic exposure of fexofenadine (36- and 80-fold increase in C(max) and AUC at a CP-100356 hydrochloride dose of 24 mg/kg). Significant differences in prazosin pharmacokinetics were also discernible in CP-100356 hydrochloride-pretreated rats as reflected from a 2.6-fold increase in AUC.[1].

Solubility Information

Solubility	DMSO: 5 mg/mL (8.37 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.67 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6748 mL	8.3738 mL	16.7476 mL
5 mM	0.335 mL	1.6748 mL	3.3495 mL
10 mM	0.1675 mL	0.8374 mL	1.6748 mL
50 mM	0.0335 mL	0.1675 mL	0.335 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kalgutkar AS,et al. N-(3,4-dimethoxyphenethyl)-4-(6,7-dimethoxy-3,4-dihydroisoquinolin-2[1H]-yl)-6,7-dimethoxyquinazolin-2-amine (CP-100,356) as a "chemical knock-out equivalent" to assess the impact of efflux transporters on oral drug absorption in the rat. J Pharm Sci. 2009 Dec;98(12):4914-27.