CP-100356 hydrochloride

Cat. No.: HY-108347

CAS No.: 142715-48-8

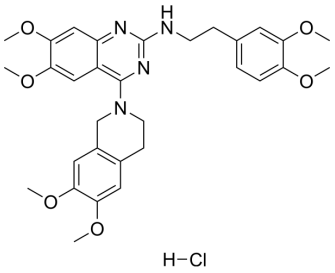
Molecular Formula: $C_{31}H_{37}ClN_4O_6$

Molecular Weight: 597.1

Target: P-glycoprotein; BCRP

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 11.36 mg/mL (19.03 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6748 mL	8.3738 mL	16.7476 mL
	5 mM	0.3350 mL	1.6748 mL	3.3495 mL
	10 mM	0.1675 mL	0.8374 mL	1.6748 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: ≥ 1.14 mg/mL (1.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.14 mg/mL (1.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.14 mg/mL (1.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CP-100356 hydrochloride is an orally active dual MDR1 (P-gp)/BCRP inhibitor, with an IC_{50}s of 0.5 and 1.5 μM for inhibiting MDR1-mediated Calcein-AM transport and BCRP-mediated Prazosin transport, respectively. CP-100356 hydrochloride is also a weak inhibitor of OATP1B1 (IC_{50}=-66 μM). CP-100356 hydrochloride is devoid of inhibition against MRP2 and major human P450 enzymes (IC_{50}>15 μM)[1].

IC_{50} & Target

IC50: 0.5 μM (MDR1), 1.5 μM (BCRP) in MDCKII cells[1]

In Vitro

CP-100356 (0.1-15 μM; pretreated for 30 min) inhibits acetoxymethyl Calcein (Calcein-AM) uptake and and Digoxin transport in human MDR1-transfected MDCKII cells, with IC_{50}s of 0.50 μM and 1.2 μM, respectively. CP-100356 decreases the BCRP-

	mediated transport of Prazosin in MDCKII cells, with an IC_{50} of 1.5 μM[1]. ?CP-100356 (0.064-200 μM; 5 min) inhibits OATP1B1-mediated uptake of Estradiol 17β-D-Glucuronide, with an IC_{50} of ~66 μM [1]. ?CP-100356 (0-50 μM; 10-30 min) is devoid of inhibition (IC_{50}>50 μM) against the catalytic activity of the individual P450 enzymes including P4503A4 in the competitive inhibition study[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CP-100356 (6-24 mg/kg; p.o.) increases the systemic exposure of Fexofenadine (36- and 80-fold increase in C_{max} and AUC at the dose of 24 mg/kg) in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Preprints. 2022, 2022050381.

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REFERENCES

[1]. 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.

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