P-gp inhibitor 1

Cat. No.: HY-101791

CAS No.: 2050747-49-2

Molecular Formula: $C_{32}H_{31}N_5O_2$

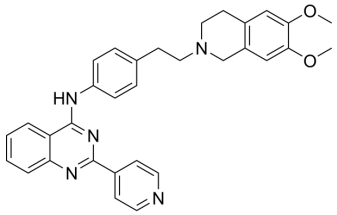
Molecular Weight: 517.62

Target: P-glycoprotein

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (32.21 mM; ultrasonic and warming and heat to 70°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9319 mL	9.6596 mL	19.3192 mL
	5 mM	0.3864 mL	1.9319 mL	3.8638 mL
	10 mM	0.1932 mL	0.9660 mL	1.9319 mL

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

BIOLOGICAL ACTIVITY

Description

P-gp inhibitor 1 is a novel inhibitor reversing P-glycoprotein-mediated multidrug resistance.

IC_{50} & Target

P-glycoprotein[1]

In Vitro

P-gp inhibitor 1 (12k) possesses high potency (EC_{50}=57.9±3.5 nM), low cytotoxicity, and long duration of activity in reversing doxorubicin (DOX) resistance in K562/A02 cells (1 μM, 80 minutes)[1].

P-gp inhibitor 1 also boosts the potency of other MDR-related cytotoxic agents with different structures, increases accumulation of DOX, blocks Pgp-mediated Rh123 efflux, and suppresses P-gp ATPase activity in K562/A02 MDR cells (0.1, 1, 5 μM, 1 hour)[1].

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

Western Blot Analysis[1]

Cell Line:	K562/A02 cell
Concentration:	0.1, 0.5, or 2.0 μM

Incubation Time:	72 hours
Result:	MDR reversal by 12k was not caused by a decreased protein expression but instead most likely due to direct inhibition of P-gp efflux[1].

REFERENCES

[1]. Qiu Q, et al. Design, Synthesis, and Pharmacological Characterization of N-(4-(2 (6,7-Dimethoxy-3,4-dihydroisoquinolin-2(1H)yl)ethyl)phenyl)quinazolin-4-amine Derivatives: Novel Inhibitors Reversing P-Glycoprotein-Mediated Multidrug Resistance. J Med Chem. 2017 Apr 27;60(8):3289-3302.

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