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See the following pages for more information!



Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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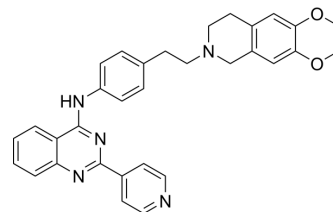
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P-gp inhibitor 1

Cat. No.: HY-101791
CAS No.: 2050747-49-2
Molecular Formula: C₃₂H₃₁N₅O₂
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)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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.

IC₅₀ & Target

P-glycoprotein^[1]

In Vitro

P-gp inhibitor 1 (12k) possesses high potency (EC₅₀=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.

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

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