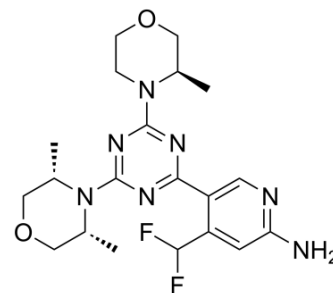


PQR626

Cat. No.:	HY-136660		
CAS No.:	1927857-98-4		
Molecular Formula:	C ₂₀ H ₂₇ F ₂ N ₇ O ₂		
Molecular Weight:	435.47		
Target:	mTOR		
Pathway:	PI3K/Akt/mTOR		
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 : 100 mg/mL (229.64 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.2964 mL	11.4818 mL	22.9637 mL
5 mM	0.4593 mL	2.2964 mL	4.5927 mL
10 mM	0.2296 mL	1.1482 mL	2.2964 mL

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

BIOLOGICAL ACTIVITY

Description

PQR626, a rapalog, is a potent, selective, orally active, and brain-penetrant mTOR inhibitor, with an IC₅₀ and K_i of 5 nM and 3.6 nM, respectively. PQR626 can be used for the research of neurological disorders^{[1][2]}.

IC₅₀ & Target

IC₅₀: 5 nM (mTOR)^[1]

In Vitro

PQR626 (0.04-5 μM; 1 hour) has IC₅₀s of 197 nM and 87 nM for pPKB S473 and pS6 S235/S236, respectively, in-cell western blot. S6 kinase (S6K), S6 ribosomal protein (S6rP) and 4E-binding protein (4E-BP) are prominent downstream effectors of mTOR^[1].

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

Western Blot Analysis^[1]

Cell Line:	A2058 cells
Concentration:	0.04 μM, 0.08 μM, 0.155 μM, 0.3125 μM, 0.625 μM, 1.25 μM, 5 μM

	Incubation Time:	1 hour
	Result:	Inhibited mTOR in cell.
In Vivo	PQR626 (10-50 mg/kg; twice a day; for 90 days) reduces the loss of Tsc1-induced mortality as compared to vehicle ^[2] . PQR626 exhibits terminal elimination half-lives (mice 3.0 h) due to high plasma clearance (1096 ng/mL) following oral dosing (10 mg/kg; p.o.; daily; for 4 days) ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	BALB/c nude female mice, Tsc1 ^{GFAP} CKO mice model ^[2]
	Dosage:	10 mg/kg, 25 mg/kg, 50 mg/kg
	Administration:	Oral administration, twice a day, for 90 days
	Result:	Significantly reduced the loss of Tsc1-induced mortality.
	Animal Model:	Female C57BL/6J Mice ^[1]
	Dosage:	10 mg/kg (Pharmacokinetic Analysis)
	Administration:	Oral administration, daily, for 4 days
	Result:	C _{max} (1096 ng/mL), T _{1/2} (3.0 h).

REFERENCES

[1]. Denise RAGEOT, et al. Treatment of neurological disorders. WO2017198346A1.

[2]. Chiara Borsari, et al. 4-(Difluoromethyl)-5-(4-((3 R,5 S)-3,5-dimethylmorpholino)-6-((R)-3-methylmorpholino)-1,3,5-triazin-2-yl)pyridin-2-amine (PQR626), a Potent, Orally Available, and Brain-Penetrant mTOR Inhibitor for the Treatment of Neurological Disorders. J Med Chem. 2020 Nov 25;63(22):13595-13617.

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

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