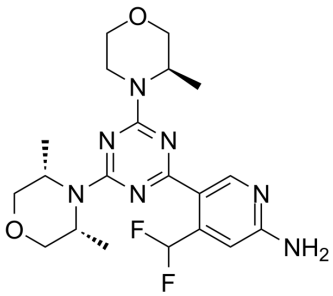
PQR626

Cat. No.:	HY-136660
CAS No.:	1927857-98-4
Molecular Formula:	$C_{20}H_{27}F_2N_7O_2$
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)

Preparing Stock Solutions

Solvent / Mass / Concentration	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 rapamycin derivative, is a potent, selective, orally active, and brain-penetrant mTOR inhibitor, with an IC_{50} and K_i of 5 nM and 3.6 nM, respectively. PQR626 can be can be used for the research of neurological disorders[1][2].

IC_{50} & Target

IC50: 5 nM (mTOR)[1]

In Vitro

PQR626 (0.04-5 μM; 1 hour) has IC_{50}s 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-life (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 Dis

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