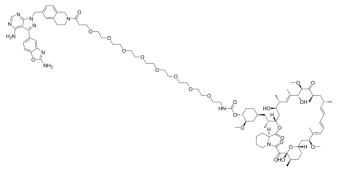
RMC-5552

Cat. No.:	HY-132168
CAS No.:	2382768-62-7
Molecular Formula:	$C_{93}H_{136}N_{10}O_{24}$
Molecular Weight:	1778.13
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 : 166.67 mg/mL (93.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.5624 mL	2.8119 mL	5.6239 mL
	5 mM	0.1125 mL	0.5624 mL	1.1248 mL
	10 mM	0.0562 mL	0.2812 mL	0.5624 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: 5 mg/mL (2.81 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 5 mg/mL (2.81 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

RMC-5552 is a potent and selective mTORC1 inhibitor. RMC-5552 inhibits phosphorylation of mTORC1 pS6K and p4EBP1 with IC_{50}s of 0.14 nM and 0.48 nM, respectively. RMC-5552 shows much lower pAKT inhibition (IC_{50} of 19 nM), resulting in mTORC1/mTORC2 selectivity approaching 40-fold. RMC-5552 has anti-cancer activity[1].

IC_{50} & Target

mTORC1

In Vitro

The presence of FKBP12, whose recruitment would only be observed in the presence of the FKBP12-FRB allosteric modality of RMC-5552. Density for RMC-5552 is evident at the interface between FKBP12 and the FRB domain of mTOR. RMC-5552 makes hydrogen bonds to the backbone of G2238 and V2240, the “hinge” of mTOR, via the 4-aminopyrazolo[3,4-d]pyrimidine core, and the 2-aminobenzoxazole makes hydrogen-bonding interactions to E2190 and K2187[1].

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

In Vivo

RMC-5552 (1-10 mg/kg; i.p.; once weekly; for 28 days) exhibits antitumor activity in a human xenograft model of MCF-7 breast cancer in mice in vivo[1].

PK Parameters of RMC-5552 38 in Mice at 1 mg/kg via IP Administration[1]

compounds	T_{max} (h)	C_{max} (ng/mL)	C_{max} (μM)	AUC_{last} (μg/mL × h)	AUC_{last} (μM × h)	$t_{1/2}$ (h)
38 RMC-5552	2.0 ± 0.0	5667 ± 1106	3.19 ± 0.62	46 089 ± 5320	25.9 ± 3.0	4.8 ± 0.4

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

Animal Model:	Female Balb/c nude mice (6-8 weeks of age) injected with MCF-7 cells[1]
Dosage:	1 mg/kg, 3 mg/kg, 10 mg/kg
Administration:	i.p.; once weekly; for 28 days
Result:	Resulted in a reduction in tumor volume.

REFERENCES

[1]. G Leslie Burnett, et al. Discovery of RMC-5552, a Selective Bi-Steric Inhibitor of mTORC1, for the Treatment of mTORC1-Activated Tumors. J Med Chem. 2022 Dec 19.

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