FKBP12 PROTAC RC32

Cat. No.: HY-130835

CAS No.: 2375555-66-9

Molecular Formula: $C_{75}H_{107}N_7O_{20}$

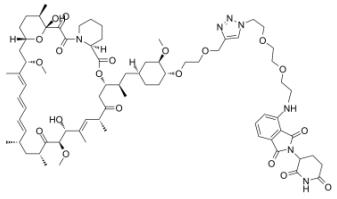
Molecular Weight: 1426.69

Target: PROTAC; FKBP

Pathway: PROTAC; Apoptosis; Autophagy; Immunology/Inflammation

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 : 10 mg/mL (7.01 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.7009 mL	3.5046 mL	7.0092 mL
	5 mM	0.1402 mL	0.7009 mL	1.4018 mL
	10 mM	---	---	---

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: 1 mg/mL (0.70 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

FKBP12 PROTAC RC32 (RC32) is a potent FKBP12 degrader based on PROTAC technology. FKBP12 PROTAC RC32 contains conjugation of Rapamycin (HY-10219) and a ligand for an E3 ubiquitin ligase (Pomalidomide; HY-10984)[1].

IC_{50} & Target

Cereblon

In Vitro

FKBP12 PROTAC RC32 (RC32; 0.1-1000 nM; for 12 hours) results in 50% protein degradation (DC_{50}) of ~0.3 nM after only 12 hours of treatment[1].

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

Western Blot Analysis[1]

Cell Line:	Jurkat cells

Concentration:	0.1, 1, 10, 100, 1000 nM
Incubation Time:	For 12 hours
Result:	Resulted in 50% protein degradation (DC_{50}) of ~0.3 nM after only 12 h of treatment.

In Vivo

RC32 (RC32; i.p.; 30 mg/kg; twice a day; 1 day) degrades the FKBP12 protein in most of the organs of treated mice, except for the brain after only 1 day of treatment in mice[1].

RC32 (orally; 60 mg/kg; twice a day; for 1 day) significantly degrades FKBP12 in mice[1].

RC32 (i.p.; 8 mg/kg; twice a day; for 2 days) efficiently degrades the FKBP12 protein in most of the organs examined in Bama pigs (20 kg) [1].

RC32 (i.p.; 8 mg/kg; twice a day; for 3 days) efficiently degrades FKBP12 in the heart, liver, kidney, spleen, lung, and stomach of rhesus monkeys[1].

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

Animal Model:	Male and female mice[1]
Dosage:	30 mg/kg
Administration:	IP; twice a day; 1 day
Result:	Degraded the FKBP12 protein in most of the organs of treated mice after only 1 day of treatment.

REFERENCES

[1]. A chemical approach for global protein knockdown from mice to non-human primates. Cell Discov. 2019 Feb 5;5:10.

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