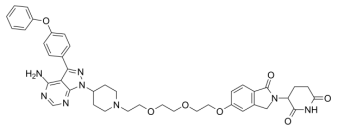
SJF620

Cat. No.:	HY-133137
CAS No.:	2376187-16-3
Molecular Formula:	$C_{41}H_{44}N_8O_7$
Molecular Weight:	760.84
Target:	PROTACs; Btk
Pathway:	PROTAC; Protein Tyrosine Kinase/RTK
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (131.43 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.3143 mL	6.5717 mL	13.1434 mL
5 mM	0.2629 mL	1.3143 mL	2.6287 mL
10 mM	0.1314 mL	0.6572 mL	1.3143 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: ≥ 2.5 mg/mL (3.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.29 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SJF620 is a PROTAC connected by ligands for Cereblon and Btk with a DC_{50} of 7.9 nM. SJF620 contains a Lenalidomide analog for recruiting CRBN[1].

IC_{50} & Target

Cereblon

In Vitro

SJF620 is a PROTAC that retains potent degradation of BTK in cellular assays with a DC_{50} of 7.9 nM in Burkitt lymphoma cell line NAMALWA[1].

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

In Vivo	SJF620 has a super pharmacokinetic profile in mice (1 mg/kg; i.v.) with the half life ($t_{1/2}$) of 1.64 h. SJF620 exhibits a significantly better pharmacokinetic profile than MT802[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Jaime-Figueroa S, et al. Design, synthesis and biological evaluation of Proteolysis Targeting Chimeras (PROTACs) as a BTK degraders with improved pharmacokinetic properties. 2020 Feb 1;30(3):126877.

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