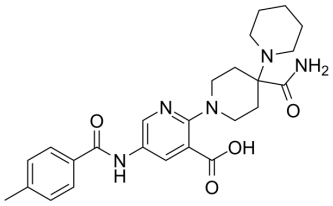
BRD5529

Cat. No.:	HY-115497
CAS No.:	1358488-78-4
Molecular Formula:	$C_{25}H_{31}N_5O_4$
Molecular Weight:	465.54
Target:	E1/E2/E3 Enzyme
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (268.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1480 mL	10.7402 mL	21.4804 mL
	5 mM	0.4296 mL	2.1480 mL	4.2961 mL
	10 mM	0.2148 mL	1.0740 mL	2.1480 mL

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

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 20 mg/mL (42.96 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.47 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.47 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BRD5529 is an effective dose-dependent CARD9-TRIM62 protein–protein interaction (PPI) inhibitor with an IC_{50} value of 8.6 μM. BRD5529 has potency and complete inhibition of CARD9 ubiquitinylation in vitro, also has favorable solubility. BRD5529 can be used for the research of inflammatory bowel disease (IBD) such as Crohn's disease (CD) and ulcerative colitis (UC)[1][2].

IC_{50} & Target	IC50: 8.6 μM (CARD9-TRIM62)[1]
In Vitro	BRD5529 has effective dose-dependent CARD9-TRIM62 inhibitory activity with an IC_{50} value of 8.6 μM[1]. BRD5529 directly binds CARD9, but not TRIM62, and disrupt its ubiquitinylation in vitro[1]. BRD5529 (40 μM) produces dose-dependent inhibition of TRIM62-mediated CARD9 ubiquitinylation in vitro[1]. BRD5529 (200 μM, 0-50 min; 200μM, 2-4 h) inhibits CARD9-dependent signaling in innate immune cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HEK293F cells
Concentration:	40 μM
Incubation Time:	
Result:	Inhibited CARD9 ubiquitinylation reaction in vitro.

In Vivo

BRD5529 (i.p.; 0.1 or 1.0 mg/kg; daily, for 2 weeks) displays no inherent safety concerns in initial general safety and toxicology assessments[2].

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

Animal Model:	Pneumocystis pneumonia (PCP) model[2]
Dosage:	0.1 or 1.0 mg/kg
Administration:	intraperitoneally (IP), daily, for 2 weeks
Result:	Resulted no significant changes in daily or final weight gain and proinflammatory cytokines showed no major differences. Showed no significant change of lung, liver, and kidney in pathology scoring.

REFERENCES

[1]. Theodore J Kottom, et al. Preclinical and Toxicology Studies of BRD5529, a Selective Inhibitor of CARD9. Drugs R D. 2022 Jun;22(2):165-173.

[2]. Leshchiner ES, et al. Small-molecule inhibitors directly target CARD9 and mimic its protective variant in inflammatorybowel disease. Proc Natl Acad Sci U S A. 2017 Oct 24;114(43):11392-11397.

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