PROTAC PD-1/PD-L1 degrader-1

Cat. No.: HY-131183

CAS No.: 2447066-37-5

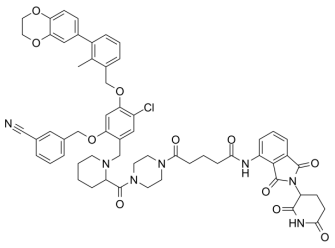
Molecular Formula: $C_{59}H_{58}ClN_7O_{11}$

Molecular Weight: 1076.59

Target: PROTACs; PD-1/PD-L1

Pathway: PROTAC; Immunology/Inflammation

Storage: -20°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 210 mg/mL (195.06 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9289 mL	4.6443 mL	9.2886 mL
	5 mM	0.1858 mL	0.9289 mL	1.8577 mL
	10 mM	0.0929 mL	0.4644 mL	0.9289 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: ≥ 1.4 mg/mL (1.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.4 mg/mL (1.30 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PROTAC PD-1/PD-L1 degrader-1, a PD-1/PD-L1 PROTAC based on Cereblon E3 ligand, inhibits PD-1/PD-L1 interaction with an IC_{50} of 39.2 nM. PROTAC PD-1/PD-L1 degrader-1 significantly restores the immunity repressed in a co-culture model of Hep3B/OS-8/hPD-L1 and CD3 T cells. PROTAC PD-1/PD-L1 degrader-1 moderately reduces the protein levels of PD-L1 in a lysosome-dependent manner[1].

IC_{50} & Target

Cereblon

In Vitro

PROTAC PD-1/PD-L1 degrader-1 (compound p22) reduces cell surface PD-L1 expression for more than 14%[1].
PROTAC PD-1/PD-L1 degrader-1 (1-10 μM; 24 hours) reduces PD-L1 expression in a dose-dependent manner by 21% and 35% at 1 μM and 10 μM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1-10 μM
Incubation Time:	24 hours
Result:	Reduced PD-L1 expression in a dose-dependent manner by 21% and 35% at 1 μM and 10 μM, respectively.

REFERENCES

[1]. Cheng B, Ren Y, Cao H, Chen J. Discovery of novel resorcinol diphenyl ether-based PROTAC-like molecules as dual inhibitors and degraders of PD-L1. Eur J Med Chem. 2020;199:112377.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA