PROTAC IDO1 Degrader-1

Cat. No.: HY-131911

CAS No.: 2488851-89-2

Molecular Formula: $C_{40}H_{53}BrFN_9O_{13}$

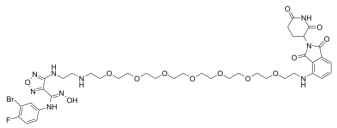
Molecular Weight: 966.8

Target: PROTACs; Indoleamine 2,3-Dioxygenase (IDO)

Pathway: PROTAC; Metabolic Enzyme/Protease

Storage: -20°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (103.43 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	1.0343 mL	5.1717 mL	10.3434 mL
	5 mM	0.2069 mL	1.0343 mL	2.0687 mL
	10 mM	0.1034 mL	0.5172 mL	1.0343 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 (5.17 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (5.17 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PROTAC IDO1 Degrader-1 is the first potent IDO1 (indoleamine 2,3-dioxygenase 1) degrader that hijacks IDO1 to Cereblon E3 ligase to introduce IDO1 into UPS and eventually achieve ubiquitination and degradation (DC_{50}=2.84 μM). PROTAC IDO1 Degrader-1 moderately improves the tumor-killing activity of H ER2 CAR-T cells[1].

IC_{50} & Target

IDO1 2.84 μM (DC50)	IDO1 1.07 μM (IC_{50})

In Vitro

PROTAC IDO1 Degrader-1 (compound 2c) (10 μM; 24 hours) notably decreases IDO1 level induced by IFN-γ[1].
PROTAC IDO1 Degrader-1 and IFN-γ (5 ng/mL) are incubated with HeLa cells for 24 h, and a significant dose-dependent degradation is observed. PROTAC IDO1 Degrader-1 combined with chimeric antigen receptor-modified T (CAR-T) cells can improve the tumor-killing activity of HER-2 CAR-T cells[1].

PROTAC IDO1 Degrader-1 induces significant and persistent degradation of IDO1 with maximum degradation (dmax) of 93% in HeLa cells[1].

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

Cell Viability Assay[1]

Cell Line:	HeLa cells
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Notably decreased IDO1 level induced by IFN-γ (5 ng/mL).

REFERENCES

[1]. Hu M, et al. Discovery of the first potent proteolysis targeting chimera (PROTAC) degrader of indoleamine 2,3-dioxygenase 1. Acta Pharm Sin B. 2020;10(10):1943-1953.

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