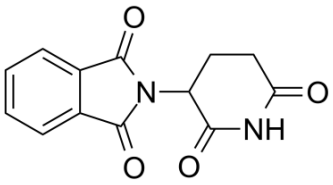
Thalidomide

Cat. No.:	HY-14658
CAS No.:	50-35-1
Molecular Formula:	$C_{13}H_{10}N_2O_4$
Molecular Weight:	258.23
Target:	Ligand for E3 Ligase; Autophagy; Apoptosis
Pathway:	PROTAC; Autophagy; Apoptosis
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 : 50 mg/mL (193.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8725 mL	19.3626 mL	38.7252 mL
	5 mM	0.7745 mL	3.8725 mL	7.7450 mL
	10 mM	0.3873 mL	1.9363 mL	3.8725 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 (9.68 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (9.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Thalidomide is initially promoted as a sedative, inhibits cereblon (CRBN), a part of the **cullin-4 E3 ubiquitin ligase** complex CUL4-RBX1-DDB1, with a K_d of -250 nM, and has immunomodulatory, anti-inflammatory and anti-angiogenic cancer properties.

IC_{50} & Target

Kd: □250 nM ($CRL4^{CRBN}$)[1]

In Vitro

Thalidomide is initially promoted as a sedative, has immunomodulatory, anti-inflammatory and anti-angiogenic cancer properties, and targets cereblon (CRBN), a part of the cullin-4 E3 ubiquitin ligase complex CUL4-RBX1-DDB1, with a K_d of -250 nM[1]. Thalidomide (50 μg/mL) potentiates the anti-tumor activity of icotinib against the

	proliferation of both PC9 and A549 cells, and this effect is correlated with apoptosis and cell migration. In addition, Thalidomide and icotinib inhibits the EGFR and VEGF-R2 pathways in PC9 cells[3].
In Vivo	Thalidomide (100 mg/kg, p.o.) inhibits the collagen deposition, down-regulates the mRNA expression level of α-SMA and collagen I, and significantly reduces the pro-inflammatory cytokines in RILF mice. Thalidomide alleviates RILF via suppression of ROS and down-regulation of TGF-β/Smad pathway dependent on Nrf2 status[2]. Thalidomide (200 mg/kg, p.o.) combined with icotinib shows synergistic anti-tumor effects in nude mice bearing PC9 cells, suppressing tumor growth and promoting tumor death[3].

PROTOCOL

Cell Assay [2]	**THP-1 cells, A549 cells and KYSE30 cells** are cultured in RPMI-1640 Medium supplemented with 10% fetal bovine serum and maintained at 37 °C in an atmosphere of 5% CO_2 and 95% room air. THP-1 cells is irradiated with a single dose of 4 Gy 6-MV X-ray and treated with or without **Thalidomide (0.2 μmol/mL)**-containing medium for **48 h** after radiation. The concentration of Thalidomide is selected based on the preliminary results[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] A total of **24 WT C57BL/6 mice** are randomly divided into 4 groups for the experiments (n = 6 in each group): a control group, an irradiated group, a group irradiated along with Thalidomide, and a Thalidomide only group. Based on the preliminary results, 100 mg/kg Thalidomide is used in the experiment. **Thalidomide** is dissolved in **DMSO** vehicle. The treatment group receives the indicated dose of **Thalidomide** in **200 μL** by **gavage every other day** beginning on day 1 for six treatments. The control mice receives **200 μL 0.1% DMSO contained-saline** only. The lungs are harvested at 12 weeks after irradiation for the analysis. A total of 20 Nrf2-/- mice are randomly divided into 4 groups for the experiments (n = 5 in each group). The experiment procedures of Nrf2-/- mice are the same as WT C57BL/6 mice. In addition, a total of 30 WT C57BL/6 mice are randomly divided into 5 groups for the subsequent experiments (n = 6 in each group): a control group, an irradiated group, a group irradiated along with CDDO-Me and Thalidomide, a group irradiated along with CDDO-Me, and a group irradiated along with Thalidomide. 600 ng and 100 mg/kg are selected as the dose of CDDO-Me and Thalidomide for the experiment, respectively. The treatment group receives the indicated dose of CDDO-Me or Thalidomide in 200 μL by gavage every other day beginning on day 1 for six times. For the combined group of CDDO-Me and Thalidomide, CDDO-Me is delivered in 200 μL by gavage every other day beginning on day 1 for six treatments. Thalidomide is delivered in 200 μL by gavage every other day beginning on day 2 for six treatments[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- **Nat Commun**. 2017 May 22;8:15398.
- **Elife**. 2018 Aug 1;7:e38430.
- **Acta Pharmacol Sin**. 2020 Mar 24.
- **Exp Cell Res**. 2020 May 3:112054.

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REFERENCES

[1]. Fischer ES, et al. Structure of the DDB1-CRBN E3 ubiquitin ligase in complex with thalidomide. Nature. 2014 Aug 7;512(7512):49-53.

[2]. Bian C, et al. Thalidomide (THD) alleviates radiation induced lung fibrosis (RILF) via down-regulation of TGF-β/Smad3 signaling pathway in an Nrf2-dependent manner. Free Radic Biol Med. 2018 Dec;129:446-453.

[3]. Sun X, et al. Synergistic Inhibition of Thalidomide and Icotinib on Human Non-Small Cell Lung Carcinomas Through ERK and AKT Signaling. Med Sci Monit. 2018 May 15;24:3193-3203.

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