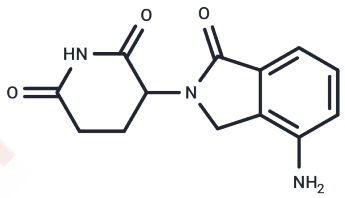
Data Sheet (Cat.No.T1642)

TargetMol

Lenalidomide

Chemical Properties



CAS No. : 191732-72-6

Formula: C13H13N3O3

Molecular Weight: 259.26

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lenalidomide (CC-5013) is an immunomodulator with oral activity. Lenalidomide is a ligand for the ubiquitin E3 ligase cereblon (CRBN), which selectively ubiquitinates and degrades two lymphoid transcription factors, IKZF1 and IKZF3, via the CRBN-CRL4 ubiquitin ligase, and is commonly used in the synthesis of PROTAC products.
Targets(IC50)	Apoptosis,Ligands for E3 Ligase,Molecular Glues,TNF,IKZF
In vitro	**METHODS**: Six malignant glioma cell lines, A-172, AM-38, T98G, U-138MG, U-251MG, and YH-13, were treated with Lenalidomide (0.01-100 μM) for 72 h, and cell counts were detected by Coulter counter assay. **RESULTS**: Lenalidomide inhibited cell counts of all malignant glioma cells in a concentration-dependent manner. [1] **METHODS**: DCs were differentiated from BM CD14+ cells from MM patients, treated with Lenalidomide (0.1-1 μM) for 8 days and analyzed for DC maturation markers by flow-cytometry. **RESULTS**: Despite a reduction in the number and percentage of mature DCs, Lenalidomide significantly increased the expression of HLA-DR, CD86, and CD209 in DCs derived from BM over the range of concentrations achieved in MM patients. [2]
In vivo	**METHODS**: To test the antitumor activity in vivo, Lenalidomide (25 mg/kg) was injected intraperitoneally into C57BL/KaLwRij or B6-SCID mice bearing 5TGM1 tumors once daily for 21 days. **RESULTS**: Lenalidomide inhibited tumor growth and prolonged survival of C57BL/KaLwRij mice bearing 5TGM1 tumors.Lenalidomide significantly increased the number of IFN-γ-secreting CD4+ and CD8+ T cells but had no effect on NK cells and B cells in the B6-SCID mouse model. Lenalidomide slightly decreased the number of CD25+Foxp3+ T cells in vivo, but increased perforin expression in CD8+ T cells. Lenalidomide promoted type 1 antitumor immune responses in vivo. [3]
Cell Research	The human NSCLC cell lines Lu-99, H1299, A549, EBC1, and H460 were cultured in RPMI-1640 medium containing 10% fetal bovine serum and antibiotics at 37°C in a humidified chamber containing 5% CO2. Cells were seeded into 60-mm culture dishes (2x10^5 cells per dish) with various concentrations of lenalidomide and incubated for various times [1].

Animal Research	Mice were administered sterile preparations of lenalidomide normalized to body weight. Intravenously (IV) dosed animals received drug by bolus tail vein injections, and extravascularly dosed mice received drug by bolus intraperitoneal injections (IP) or oral gavage (PO). Dosing solution, concentrations were adjusted so dose volumes ranged between approximately 100 and 150 µL for IV injections and between approximately 150 and 250 µL for IP and PO dosing in the pharmacokinetic study. However, for the range-finding study, increased dose volumes were used (up to 200 µL IV, 300 µL IP, and 600 µL PO, per approved animal use protocol) to explore elevated lenalidomide doses. The bolus injection rates for all IV, IP, or PO injections were less than 5 s. Concentrations of dosing solutions were verified by liquid chromatography-mass spectrometry [4].

Solubility Information

Solubility	DMSO: 125 mg/mL (482.14 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 2.6 mg/mL (10.03 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.8571 mL	19.2857 mL	38.5713 mL
5 mM	0.7714 mL	3.8571 mL	7.7143 mL
10 mM	0.3857 mL	1.9286 mL	3.8571 mL
50 mM	0.0771 mL	0.3857 mL	0.7714 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Hanashima Y, et al. Antitumor effect of lenalidomide in malignant glioma cell lines. Oncol Rep. 2020 May;43(5): 1580-1590.
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Yang J, Chung C I, Koach J, et al.MYC phase separation selectively modulates the transcriptome.Nature Structural & Molecular Biology.2024: 1-13.
Costa F, et al. Lenalidomide increases human dendritic cell maturation in multiple myeloma patients targeting monocyte differentiation and modulating mesenchymal stromal cell inhibitory properties. Oncotarget. 2017 May 23;8(32):53053-53067.
Zhang L, et al. $CD4^+$ T cells play a crucial role for lenalidomide in vivo anti-tumor activity in murine multiple myeloma. Oncotarget. 2015 Nov 3;6(34):36032-40.
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Dredge K, et al. Orally administered lenalidomide (CC-5013) is anti-angiogenic in vivo and inhibits endothelial cell migration and Akt phosphorylation in vitro. Microvasc Res. 2005 Jan;69(1-2):56-63.
Nagashima, Takeyuki, et al. PHARMACEUTICAL COMPOSITION COMPRISING BICYCLIC NITROGEN-CONTAINING AROMATIC HETEROCYCLIC AMIDE COMPOUND AS ACTIVE INGREDIENT. Patent. 20170360780A1.