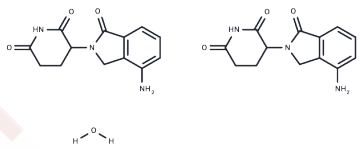
# Data Sheet (Cat.No.T22922)

TargetMol

## Lenalidomide hemihydrate

### Chemical Properties



CAS No. : 847871-99-2

Formula: C13H13N3O3.1/2H2O

Molecular Weight: 268.28

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

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|---|---|
| Description | Lenalidomide hemihydrate is a derivative of Thalidomide, an orally active immunomodulator that acts as a molecular gel. It is a ligand for the ubiquitin E3 ligase cereblon, which selectively ubiquitinates and degrades two lymphoid transcription factors, IKZF1 and IKZF3, via the CRBN-CRL4 ubiquitin ligase. |
| Targets(IC50) | Apoptosis,Ligands for E3 Ligase,Molecular Glues,TNF,IKZF |
| In vitro | Lenalidomide inhibited autoubiquitination of CRBN in HEK293T cells expressing thalidomide-binding competent wild-type CRBN, but not thalidomide-binding defective CRBN(YW/AA). Overexpression of CRBN wild-type protein, but not CRBN(YW/AA) mutant protein, in KMS12 myeloma cells, amplified pomalidomide-mediated reductions in c-myc and IRF4 expression and increases in p21(WAF-1) expression. Long-term selection for lenalidomide resistance in H929 myeloma cell lines was accompanied by a reduction in CRBN, while in DF15R myeloma cells resistant to lenalidomide, CRBN protein was undetectable[1]. |
| In vivo | Range finding studies used lenalidomide concentrations up to 15 mg/kg IV, 22.5 mg/kg intraperitoneal injections (IP), and 45 mg/kg oral gavage (PO). Pharmacokinetic studies evaluated doses of 0.5, 1.5, 5, and 10 mg/kg IV and 0.5 and 10 mg/kg doses for IP and oral routes. Liquid chromatography-tandem mass spectrometry was used to quantify lenalidomide in plasma, brain, lung, liver, heart, kidney, spleen, and muscle. Pharmacokinetic parameters were estimated using noncompartmental and compartmental methods. Doses of 15 mg/kg IV, 22.5 mg/kg IP, and 45 mg/kg PO lenalidomide caused no observable toxicity up to 24 h postdose. We observed dose-dependent kinetics over the evaluated dosing range. Administration of 0.5 and 10 mg/kg resulted in systemic bioavailability ranges of 90-105% and 60-75% via IP and oral routes, respectively. Lenalidomide was detectable in the brain only after IV dosing of 5 and 10 mg/kg. Dose-dependent distribution was also observed in some tissues. High oral bioavailability of lenalidomide in mice is consistent with oral bioavailability in humans. Atypical lenalidomide tissue distribution was observed in spleen and brain[3]. |

### Solubility Information

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|---|---|
| Solubility | DMSO: Soluble,<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 3.7274 mL | 18.6372 mL | 37.2745 mL |
| 5 mM | 0.7455 mL | 3.7274 mL | 7.4549 mL |
| 10 mM | 0.3727 mL | 1.8637 mL | 3.7274 mL |
| 50 mM | 0.0745 mL | 0.3727 mL | 0.7455 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.