

APEXBIO

An Apoptosis and Epigenetics Company

Product Name: Lenalidomide (CC-5013)

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties

Product Name: Lenalidomide (CC-5013)

Cas No.: 191732-72-6

M.Wt: 259.3

Formula: C13H13N3O3

Synonyms: Revlimid,IMiD3,CC

5013,CDC-501,CDC 501

Chemical Name: 3-(7-amino-3-oxo-1H-isoindol-2-yl)piperidine-2,6-dione

Canonical SMILES: C1CC(=O)NC(=O)C1N2CC3=C(C2=O)C=CC=C3N

Solubility: >13mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37° C

and shake it in the ultrasonic bath for a while. Stock solution can be

stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice

All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: TNF- α

Pathways: Apoptosis \gg TNF- α

Description:

Lenalidomide (also known as CC-5013), an oral derivative of thalidomide, is an antineoplastic agent exhibiting antitumor activity through a variety of mechanisms, including immune system activation, angiogenesis inhibition, and direct antineoplastic effects. It has been extensively studied for the treatment of multiple myeloma and myelodysplastic syndrome as well as lymphoproliferative disorders including chronic lymphocytic leukemia (CLL) and non-Hodgkin lymphoma. According to recent studies, Lnalidomide promotes and restores immune system

function in CLL patients by inducing an overexpression of costimulatory molecules in leukemic lymphocytes to restore the humoral immunity and immunoglobulins production as well as improving the ability of T cells and leukemic cells to form synapses with T lymphocytes.

Reference:

Ana Pilar Gonzalez-Rodriguez, Angel R. Payer, Andrea Acebes-Huerta, Leticia Hergo-Zapico, Monica Villa-Alvarez, Esther Gonzalez-Garcia, and Segundo Gonzalez. Lenalidomide and chronic lymphocytic leukemia. BioMed Research International 2013.

Protocol

Cell experiment:

Cell lines Peripheral blood mononuclear cells (PBMCs)

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for

obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock

solution can be stored below -20°C for several months.

Reacting conditions 10 μ M, 7 days

Applications The cells were incubated with the dye at 37°C for 10 min and

treated for 7 days in RPMI culture medium with lenalidomide. Cells

were surface stained with anti-CD4-PerCP and anti-CD25-APC,

followed by intracellular staining with anti-FOXP3-PE. Lenalidomide inhibited the expression of CD4+CD25high CTLA-4+FOXP3+ cells. Incubation with lenalidomide significantly decreases expression of the T regulatory cell population after 7 days of culture. The drug

decreased the percentage of CD4+CD25high cells expressing both

CTLA-4 and FOXP3 from 25 to 12%.

Animal experiment [3]:

Animal models Male Sprague—Dawley rats

Dosage form Oral administration, 50 mg/kg or 250 mg/kg

Applications In the rat mesenteric window assay (RMWA), representative

differences between vehicle and 50 or 250 mg/kg

lenalidomide-treated rats were visualized by staining with an antibody against rat endothelium in bFGF-induced angiogenic windows. The induction of angiogenesis by bFGF was significantly inhibited by oral treatment of lenalidomide in a dose-dependent manner. Lenalidomide significantly decreased the percentage of

vascularized area from 5.16% in the control group to 2.58 and 1.69 in the 50 and 250 mg/kg group, respectively.

Other notes

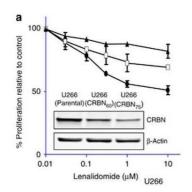
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

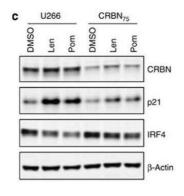
[1] Galustian C, Meyer B, Labarthe M C, et al. The anti-cancer agents lenalidomide and pomalidomide inhibit the proliferation and function of T regulatory cells. Cancer Immunology, Immunotherapy, 2009, 58(7): 1033-1045.

[2] Dredge K, Horsfall R, Robinson S P, et al. Orally administered lenalidomide (CC-5013) is anti-angiogenic in vivo and inhibits endothelial cell migration and Akt phosphorylation in vitro. Microvascular research, 2005, 69(1): 56-63.

Product Validation



Decrease of CRBN in the presence of Lenalidomide



Levels of CRBN,p21, and IRF4 in the presence of Lenalidomide and Pomalidomide

Caution

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

ApexBio Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com