

Product Data Sheet

Product Name: Pomalidomide (CC-4047)

Cat. No.: GC11697

Chemical Properties

Cas. No. 19171-19-8

Chemical Name 4-amino-2-(2,6-dioxopiperidin-3-yl)isoindole-1,3-dione

SMILES C1CC(=O)NC(=O)C1N2C(=O)C3=C(C2=O)C(=CC=C3)N

Formula $C_{13}H_{11}N_3O_4$

M.Wt 273.2

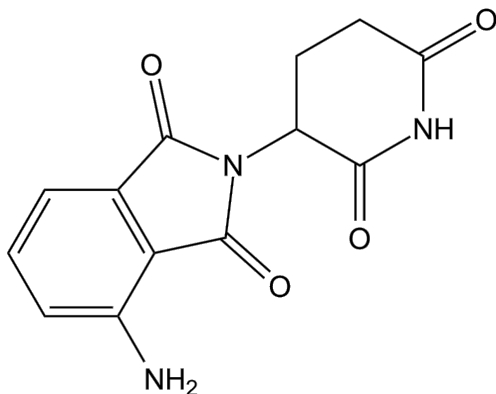
Solubility ≥ 7.5 mg/mL in DMSO

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.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Kinase experiment [1]:

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Inhibition of TNF- α synthesis assay TNF- α inhibitory activity is measured in lipopolysaccharide (LPS) stimulated PBMC cells. Pomalidomide is added to human PBMCs 1 hour prior to the addition of LPS (1 μ g/mL) and incubation continued for an additional 18-20 hours. Supernatants are then harvested, and the concentration of TNF- α in the supernatants is determined by ELISA.

Cell experiment [2]:

Cell lines Human CD34+ erythroid progenitor cells

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 condition 1 μ M; 2, 6, 9, and 12 days

Applications Pomalidomide at 1 μ M increased HbF production in human erythroid cells. After 6 days, Pomalidomide at 1 μ M induced γ -globin mRNA levels upregulation 2-fold while decreased β -globin levels 2-fold.

Animal experiment [3]:

Animal models Murine central nervous system (CNS) lymphoma models

Dosage form 3 mg/kg, 10 mg/kg, or 30 mg/kg by oral gavage daily for 28 days

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Applications Pomalidomide (3, 10, and 30 mg/kg) showed significant therapeutic activity with significant reduction in tumor growth rate and prolongation of survival in two CNS lymphoma models.

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.

References:

1. Muller, G. W., Chen, R., Huang, S. Y., Corral, L. G., Wong, L. M., Patterson, R. T., Chen, Y., Kaplan, G. and Stirling, D. I. (1999) Amino-substituted thalidomide analogs: potent inhibitors of TNF- α production. Bioorg Med Chem Lett. 9, 1625-163
2. Moutouh-de Parseval, L. A., Verhelle, D., Glezer, E., Jensen-Pergakes, K., Ferguson, G. D., Corral, L. G., Morris, C. L., Muller, G., Brady, H. and Chan, K. (2008) Pomalidomide and lenalidomide regulate erythropoiesis and fetal hemoglobin production in human CD34+ cells. J Clin Invest. 118, 248-258
3. Li, Z., Qiu, Y., Personett, D., Huang, P., Edenfield, B., Katz, J., Babusis, D., Tang, Y., Shirely, M. A., Moghaddam, M. F., Copland, J. A. and Tun, H. W. (2013) Pomalidomide shows significant therapeutic activity against CNS lymphoma with a major impact on the tumor microenvironment in murine models. PLoS One. 8, e71754

Background

Pomalidomide, previously known as CC-4047 or actimid, is a potent immunomodulatory molecule that exhibits antineoplastic activity for the treatment of hematological malignancies, especially relapsed and refractory multiple myeloma (MM). As a derivative of thalidomide, pomalidomide has a similar chemical structure as thalidomide except for the addition of two oxo groups in the phthaloyl ring and an amino group at the fourth position. Generally, as an immunomodulatory molecule, pomalidomide demonstrates antitumor activity through a mechanism of blocking the tumor microenvironment by modulation of tumor-supporting cytokines (TNF- α , IL-6, IL-8 and VEGF),

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directly down-regulating key functions of tumor cells, and engaging support from non-immune host cells.

Reference

- [1].AA Chanan-Khan, A Swaika, A Paulus, SK Kumar, JR Mikhael, SV Rajkumar, A Dispenzieri, and MQ Lacy. Pomalidomide: the new immunomodulatory agent for the treatment of multiple myeloma. Blood Cancer Journal (2013) 3, e143
- [2].Evangelos Terpos, Nikolaos Kanellias, Dimitrios Christoulas, Efstathios Kastritis, and Meletios A Dimopoulos. Pomalidomide: a novel drug to treat relapsed and refractory multiple myeloma. Onco Targets Ther 2013; 6: 531-538

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