

Product Data Sheet

Product Name: NLG919
Cat. No.: GC13912

Chemical Properties

Cas. No. 1402836-58-1

Chemical Name 1-cyclohexyl-2-(5H-imidazo[5,1-a]isoindol-5-yl)ethanol

SMILES C1CCC(CC1)C(CC2C3=CC=CC=C3C4=CN=CN24)O

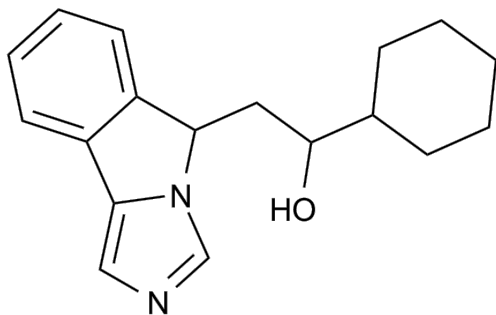
Formula $C_{18}H_{22}N_2O$ M.Wt 282.38

Solubility ≥ 47.7 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

Cell experiment [1]:

Cell lines Human and mouse IDO+ pDCs

Caution: Product has not been fully validated for medical applications. For research use only.

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Limited solubility. General tips for obtaining a higher concentration: Please warm Preparation method 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.

Reaction Conditions 37°C

Applications NLG919 potently blocks IDO-induced T cell suppression and restores robust T cell responses with an EC₅₀=90 nM. NLG919 also abrogates IDO-induced suppression of antigen-specific T cells (OT-I or pmel-1) in vitro, (ED₅₀=130 nM) using mouse IDO+ pDCs from tumor-draining lymph nodes.

Animal experiment [1]:

Animal models Mice bearing large established B16F10 tumor

Dosage form NLG919 was dosed either dissolved in the water at 3 mg/mL, plus a daily dose of 6 mg injected via IP, or administered subcutaneously at 1 mg/dose twice a day via injection plus 360 µg/day via an SC osmotic pump.

Applications NLG919 markedly enhances the antitumor responses of naive, resting pmel-1 cells to vaccination with cognate hgp100 peptide plus CpG-1826 in IFA. NLG919 plus pmel-1/vaccine produces a dramatic collapse of tumor size within 4 days of vaccination (~95% reduction in tumor volume compared to control animals receiving pmel-1/vaccine alone without NLG919).

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.

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References:

1. Mario R. Mautino, Firoz A et al. NLG919, a novel indoleamine-2,3-dioxygenase (IDO)-pathway inhibitor drug candidate for cancer therapy. [abstract]. In: Proceedings of the 104th Annual Meeting of the American Association for Cancer Research; 2013 Apr 6-10; Washington, DC. Philadelphia (PA): AACR; Cancer Res 2013;73(8 Suppl):Abstract nr 491.

Background

NLG919 is a novel and orally-bioavailable small-molecule inhibitor of indoleamine 2,3-dioxygenase (IDO) pathway, a crucial pathway involved in allergic inflammation that mediates immunosuppressive effects through metabolism of tryptophan (Trp) to kynurenine and affects differentiation and proliferation of T cells through inducing downstream signaling via GCN2, mTOR and AHR, with values of inhibition constant K_i and half maximal effective concentration EC_{50} of 7 nM and 75 nM respectively. Due to the established correlation of IDO pathway with various malignancies, the IDO pathway inhibition as well as its desirable pharmacological and biological properties potentiates NLG919 to be used for the treatment of immunosuppression associated with cancer.

Reference

Mario R. Mautino, Firoz A. Jaipuri, Jesse Waldo, Sanjeev Kumar, James Adams, Clarissa Van Allen, Agnieszka Marciniowicz-Flick, David Munn, Nicholas Vahanian, Charles J. Link. NLG919, a novel indoleamine-2,3-dioxygenase (IDO)-pathway inhibitor drug candidate for cancer therapy. [abstract]. In: Proceedings of the 104th Annual Meeting of the American Association for Cancer Research; 2013 Apr 6-10; Washington, DC. Philadelphia (PA): AACR; Cancer Res 2013;73(8 Suppl):Abstract nr 491. doi:10.1158/1538-7445.AM2013-491

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