

Product Data Sheet

Product Name: A 286982

Cat. No.: GC15192

Chemical Properties

Cas. No. 280749-17-9

Chemical Name (E)-1-(4-acetylpiperazin-1-yl)-3-[3-nitro-4-(2-propan-2-ylphenyl)sulfanylphenyl]prop-2-en-1-one

SMILES CC(C)C1=CC=CC=C1SC2=C(C=C(C=C2)C=CC(=O)N3CCN(CC3)C(=O)C)[N+](=O)[O-]

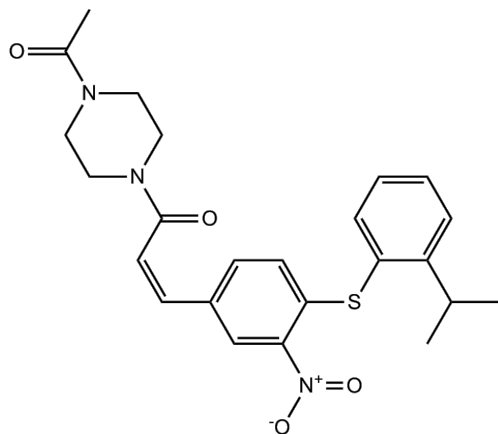
Formula $C_{24}H_{27}N_3O_4S$ M.Wt 453.55

Solubility 30mg/mL in DMSO, or in DMF Storage Store at RT

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 NK-92

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Preparation Method MHM24 and TS1/22 antibodies, a small molecule inhibitor of LFA-1 (A-286982), or an antibody against the transferrin receptor (G1/221/12) was pre-incubated with NK-92 cells for 1h prior to the addition of IL-12 and ISG15 for 48h, followed by an IFN-g ELISA of the cell culture supernatants.

Reaction Conditions 22, 44, 88 and 176nM; 49h

Applications Increasing amounts of either of the MHM24, TS1/22 antibodies or A-286982 reduced the ability of ISG15 to stimulate IFN-g secretion from NK-92 cells, while a control antibody against the transferrin receptor did not.

References:

[1] Swaim CD, Scott AF, Canadeo LA, Huibregtse JM. Extracellular ISG15 Signals Cytokine Secretion through the LFA-1 Integrin Receptor. Mol Cell. 2017;68(3):581-590.e5.

Background

A 286982 is a potent, nonpeptide inhibitors of leukocyte function-associated antigen-1/ intracellular adhesion molecule-1 (LFA-1/ICAM-1) interaction, with IC₅₀ values of 44 and 35nM in LFA-1/ICAM-1 binding assay and LFA-1-mediated cellular adhesion assay, respectively^[1]. The interaction of LFA-1 with ICAM-1 is critical for adhesion and recruitment of immune cells to antigen-presenting cells and for cell killing by NK cells^[2].

In vitro, treatment with A-286982 at 22–176nM for 49h dose-dependently reduced Interferon-Stimulated Gene 15 (ISG15)-induced IFN-γ secretion in NK-92 cells^[2]. Treatment with 88nM A 286982 for 24-48h inhibited C-C motif chemokine ligand 18 (CCL18) secretion in macrophages and blocked ISG15-induced activation of the Proto-oncogene tyrosine-protein kinase Src (SRC) signaling pathway^[3]. Treatment with 100nM A 286982 for 12-24h significantly reduced the expression of the cytotoxic marker GZMB and the activation marker CD69 in CD8⁺T cells isolated from peripheral blood mononuclear cells (PBMCs) co-cultured with A549 cells^[4].

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

References:

- [1] Liu G, Link JT, Pei Z, et al. Discovery of novel p-arylthio cinnamides as antagonists of leukocyte function-associated antigen-1/intracellular adhesion molecule-1 interaction. 1. Identification of an additional binding pocket based on an anilino diaryl sulfide lead. J Med Chem. 2000;43(21):4025-4040.
- [2] Swaim CD, Scott AF, Canadeo LA, Huibregtse JM. Extracellular ISG15 Signals Cytokine Secretion through the LFA-1 Integrin Receptor. Mol Cell. 2017;68(3):581-590.e5.
- [3] Chen RH, Xiao ZW, Yan XQ, et al. Tumor Cell-Secreted ISG15 Promotes Tumor Cell Migration and Immune Suppression by Inducing the Macrophage M2-Like Phenotype. Front Immunol. 2020;11:594775.
- [4] Wang CL, Ho AS, Chang CC, et al. Radiotherapy enhances CXCR3^{high}CD8⁺ T cell activation through inducing IFN γ -mediated CXCL10 and ICAM-1 expression in lung cancer cells. Cancer Immunol Immunother. 2023;72(6):1865-1880.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA