
Product Data Sheet

Product Name: KPT-185
 Cat. No.: GC14517

Chemical Properties

Cas. No. 1333151-73-7

Chemical Name propan-2-yl (Z)-3-[3-[3-methoxy-5-(trifluoromethyl)phenyl]-1,2,4-triazol-1-yl]prop-2-enoate

SMILES CC(C)OC(=O)C=CN1C=NC(=N1)C2=CC(=CC(=C2)OC)C(F)(F)F

Formula $C_{16}H_{16}F_3N_3O_3$ M.Wt 355.31

Solubility $\geq 17.8\text{mg/mL}$ 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 [1,2]:**

Cell lines AML cell lines MV4-11, MOLM-13, OCI-AML3, Kasumi-1, KG1a, Thp-1; pancreatic cancer cells

Preparation method The solubility of this compound in DMSO is $>17.8\text{mg/mL}$. 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.

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

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Reacting condition IC50: 100 nM-500 nM, 24h

Applications

Submicromolar concentrations of KPT-185 inhibited leukemia cell proliferation, with IC50 values ranging from 100nM to 500nM. KPT-185 induced cell-cycle arrest at G1 in MV4-11, OCI/AML3, and MOLM-13 cells at 24 hours. KPT-185 inhibited cell proliferation and induced apoptosis in primary AML blasts. KPT-185 treatment decreased c-KIT protein level in Kasumi-1 and OCI-AML3 cells. KPT-185 inhibited proliferation and promoted apoptosis of pancreatic cancer cells.

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]. Ranganathan P, Yu X, Na C, et al. Preclinical activity of a novel CRM1 inhibitor in acute myeloid leukemia[J]. Blood, 2012, 120(9): 1765-1773.

[2]. Azmi A S, Aboukameel A, Bao B, et al. Selective inhibitors of nuclear export block pancreatic cancer cell proliferation and reduce tumor growth in mice[J]. Gastroenterology, 2013, 144(2): 447-456.

Background

KPT-185 is a selective and irreversible inhibitor of chromosome maintenance protein 1 (CRM1) with IC50 values of 100-500nM [1].

CRM1 is an important nuclear protein export receptor. Blocking CRM1-mediated nuclear export can result in the suppression of tumors. As an inhibitor of CRM1, KPT-185 binds CRM1 within the Cys528 site and prevents CRM1 from interacting with tumor-suppressor proteins. In the in vitro studies on AML cell lines, KPT-185 inhibits proliferation of a variety of leukemia cells with IC50 values ranging from 100nM to 500nM. It induces cell-cycle arrest at G1 and induces apoptosis. KPT-185 also strongly affects cell colony formation. In addition, the inhibition of CRM1 caused by KPT-185 induces differentiation of AML blast. Besides that, KPT-185 is also found to inhibit proliferation and induce apoptosis of pancreatic cancer cells including Colo-357, HPAC and BxPC-3 [1, 2].

References:

[1] Ranganathan P, Yu X, Na C, et al. Preclinical activity of a novel CRM1 inhibitor in

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