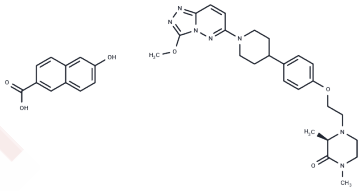
Data Sheet (Cat.No.T3504)

TargetMol

AZD5153 6-Hydroxy-2-naphthoic acid

Chemical Properties



CAS No. : 1869912-40-2

Formula: C25H33N7O3·C11H8O3

Molecular Weight: 667.75

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	AZD5153 6-Hydroxy-2-naphthoic acid (AZD5153) is a potent triazolopyridazine-based Bromodomain (BRD4) and Extraterminal (BET) inhibitor utilized in cancer treatments.
Targets(IC50)	Epigenetic Reader Domain
In vitro	AZD5153 treatment markedly affects transcriptional programs of MYC, E2F, and mTOR. Of note, mTOR pathway modulation is associated with cell line sensitivity to AZD5153. AZD5153 potently disrupts BRD4 foci in U2OS cells with an IC50 value of 1.7 nmol/L. AZD5153 efficiently downregulates MYC protein levels across the cell line panel irrespective of their sensitivity to AZD5153. AML, MM, and DLBCL cell lines are highly sensitive to AZD5153.
In vivo	AZD5153 modulates MYC and HEXIM1 in AML xenograft tumors and human whole blood. In vivo administration of AZD5153 leads to tumor stasis or regression in multiple xenograft models of acute myeloid leukemia, multiple myeloma, and diffuse large B-cell lymphoma. AZD5153 is administered orally to mice bearing MV-4-11 xenografts, and pharmacodynamic activity (intratumoral levels of c-Myc) is measured at 2, 4, and 8 h postdose. A considerable decrease in c-Myc expression is observed out to 8 h post dose at free plasma levels of compound <0.2 μM. This decrease in c-Myc expression after treatment with AZD5153 is consistent with other published BET inhibitors.
Cell Research	Apoptosis was analyzed by flow cytometry using CellEvent Caspase 3/7 Green detection reagent. MV-4-11, MM.1S, and K562 cells were pretreated with AZD5153 or I-BET762 for 48 hours in culture media. Cells were collected and stained with 5 μmol/L final concentration of CellEvent for 30 minutes at 37°C. Flow cytometry was done on a BD Fortessa using the Blue laser and FITC filter set. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 26 mg/mL (38.94 mM),Sonication is recommended. DMSO: 93 mg/mL (139.27 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4976 mL	7.4878 mL	14.9757 mL
5 mM	0.2995 mL	1.4976 mL	2.9951 mL
10 mM	0.1498 mL	0.7488 mL	1.4976 mL
50 mM	0.030 mL	0.1498 mL	0.2995 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Rhyasen GW, et al. Mol Cancer Ther. 2016, 15(11):2563-2574.
Bradbury RH, et al. J Med Chem. 2016, 59(17):7801-17.