

Product Data Sheet

Product Name: AZD5153 6-Hydroxy-2-naphthoic acid

Cat. No.: GC35448

Chemical Properties

Cas. No. 1869912-40-2

SMILES O=C(C1=CC=C2C=C(O)C=CC2=C1)O.O=C3N(C)CCN(CCOC4=CC=C(C5CCN(C6=NN7C(C=C6)=NN=C7OC)CC5)C=C4)[C@@H]3C

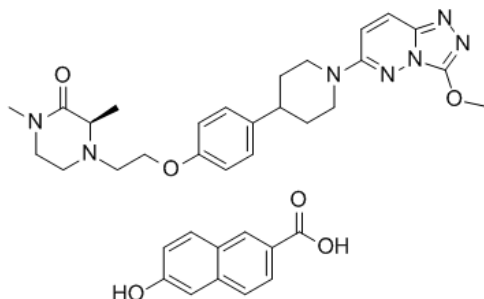
Formula $C_{36}H_{41}N_7O_6$ M.Wt 667.75

Solubility DMSO: ≥ 34 mg/mL (50.92 mM) 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

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

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Animal experiment: Mice: Mice are treated with either vehicle (0.5% hydroxymethylcellulose, 0.1% Tween80) or AZD5153 by oral gavage mini-pump infusion. For continuous administration of AZD5153, compound is solubilized in 20% v/v DMSO/60% v/v HP-B-CD in water, loaded into a mini pump and implanted subcutaneously in mice. Tumor fragments collected are snap frozen or fixed in 10% buffered formalin. Blood samples are collected from the same mice and stabilized in EDTA. Plasma concentrations are determined by liquid chromatography/tandem mass spectrometry method[1].

References:

[1]. Rhyasen GW, et al. AZD5153: A Novel Bivalent BET Bromodomain Inhibitor Highly Active against Hematologic Malignancies. Mol Cancer Ther. 2016 Nov;15(11):2563-2574.

Background

AZD5153 6-Hydroxy-2-naphthoic acid is the 6-Hydroxy-2-naphthoic acid of AZD5153. AZD5153 is a potent, selective, and orally available BET/BRD4 bromodomain inhibitor; disrupts BRD4 with an IC50 of 1.7 nM. IC50: 1.7 nM (BRD4)[1]

AZD5153 demonstrates a remarkable enhancement in potency for the displacement of full-length BRD4 relative to BD1, with IC50 values of 5.0 nM and 1.6 μ M, respectively. AZD5153 potently disrupts BRD4 foci in U2OS cells with an IC50 value of 1.7 nM. AZD5153 efficiently down-regulates MYC protein levels across the cell line panel irrespective of their sensitivity to AZD5153[1].

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 treatment markedly impacts transcriptional programs of MYC, E2F, and mTOR[1].

[1]. Rhyasen GW, et al. AZD5153: A Novel Bivalent BET Bromodomain Inhibitor Highly Active against Hematologic Malignancies. Mol Cancer Ther. 2016 Nov;15(11):2563-2574.

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