产品名称：AZD5153 (6-Hydroxy-2-naphthoic acid)
产品别名：AZD-5153 HNT salt

生物活性：

Description	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.
IC_{50} & Target	IC50: 1.7 nM (BRD4)[1]
In Vitro	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 μM, 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].
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 treatment markedly impacts transcriptional programs of MYC, E2F, and mTOR[1].

Solvent&Solubility

In Vitro:

DMSO： ≥ 34 mg/mL (50.92 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (3.74 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (3.74 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (3.74 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (3.74 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

	3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (3.74 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.74 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	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.