产品名称：**XMD8-87**
产品别名：**XMD8-87**

生物活性：

Description	XMD8-87 is a potent **TNK2** inhibitor with **IC_{50}** values of 38 and 113 nM for the D163E and R806Q mutations, respectively.
IC_{50} & Target	IC50: 38 nM (TNK2, D163E mutation), 113 nM (TNK2, R806Q mutation)[1]
In Vitro	XMD8-87 potently inhibits the growth of the TNK2 mutant expressing cell lines while having little or no effect on the control cells out to the highest tested concentrations (1,000 nM). XMD8-87 has IC50s of 38 nM and 113 nM for the D163E and R806Q mutations. The effects of XMD8-87 on TNK2 cell lines are largely due to on-target effects on TNK2. Auto-phosphorylation of overexpressed TNK2 mutants could be blocked with TNK2 inhibitor XMD8-87[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 26 mg/mL (58.36 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2446 mL	11.2228 mL	22.4457 mL
	5 mM	0.4489 mL	2.2446 mL	4.4891 mL
	10 mM	0.2245 mL	1.1223 mL	2.2446 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: ≥ 2.08 mg/mL (4.67 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (4.67 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.8 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: 2.08 mg/mL (4.67 mM); Suspended solution; Need ultrasonic

此方案可获得 2.08 mg/mL (4.67 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

以 1 mL 工作液为例，取 100 μL 20.8 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。

References	[1]. Maxson JE, et al. Identification and Characterization of Tyrosine Kinase Nonreceptor 2 Mutations in Leukemia through Integration of Kinase Inhibitor Screening and Genomic Analysis.

实验参考：

Cell Assay	Cells are treated with the following inhibitors for 72 hours: dasatinib, AIM-100, XMD8-87 and XMD16-5. Cell viability is measured using a methanethiosulfonate (MTS)-based assay and absorbance (490 nm) is read at 1 and 3 hours after adding reagent[1].
Kinase Assay	Kinase targets are tested with biochemical enzymatic kinase assays using the SelectScreen Kinase Profiling Service to determine IC50 values. The compounds (XMD8-87) are assayed at 10 concentrations (3-fold serial dilutions starting from 1 μM) at an ATP concentration equal to the ATP Km[1].
References	[1]. Maxson JE, et al. Identification and Characterization of Tyrosine Kinase Nonreceptor 2 Mutations in Leukemia through Integration of Kinase Inhibitor Screening and Genomic Analysis.