产品名称：**FIIN-2**
产品别名：**FIIN-2**

生物活性：

Description

FIIN-2 is an irreversible inhibitor of **FGFR** with an **IC_{50}** of 3.1, 4.3, 27, and 45 nM for FGFR1, FGFR2, FGFR3 and FGFR4, respectively.

IC_{50} & Target

FGFR1	FGFR2	FGFR3	FGFR4
3.1 nM (IC_{50})	4.3 nM (IC_{50})	27 nM (IC_{50})	45 nM (IC_{50})

In Vitro

FIIN-2 potently inhibits WT FGFRs (EC50s in the 1- to 93-nM range) and the gatekeeper mutant of FGFR2 (EC50 of 58 nM). FIIN-2 also moderately inhibits EGFR, with an IC50 of 204 nM. FIIN-2 inhibits proliferation of FGFR1-4 Ba/F3 cells with EC50s in the single- to double-digit nanomolar range and are especially potent against FGFR2, with EC50s in the 1-nM range. FIIN-2 shows good potency against gatekeeper mutant V564F[1].

In Vivo

Treatment of fish in the embryonic state with either FIIN-2 causes defects to the posterior mesoderm similar to the phenotypes reported following genetic knockdown of FGFR or treatment with other reported FGFR inhibitors. FIIN-2 causes mild or severe phenotypes to the tail morphogenesis in all treated embryonic zebrafish[1].

Solvent&Solubility

In Vitro:

DMSO： ≥ 30 mg/mL (47.26 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5755 mL	7.8774 mL	15.7547 mL
5 mM	0.3151 mL	1.5755 mL	3.1509 mL
10 mM	0.1575 mL	0.7877 mL	1.5755 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 (3.94 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (3.94 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 (3.94 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (3.94 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 (3.94 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.94 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]. Tan L, et al. Development of covalent inhibitors that can overcome resistance to first-generation FGFR kinase inhibitors. Proc Natl Acad Sci U S A. 2014 Nov 11;111(45):E4869-4877.

实验参考：

Cell Assay	TEL-FGFR2–transformed Ba/F3 cells are seeded in a 96-well plate and are treated with each concentration of the compounds. After 72 h the cells are assessed by MTS tetrazolium assay[1].
References	[1]. Tan L, et al. Development of covalent inhibitors that can overcome resistance to first-generation FGFR kinase inhibitors. Proc Natl Acad Sci U S A. 2014 Nov 11;111(45):E4869-4877.