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# 产品名称：FIIN-3
# 产品别名：FIIN-3

## 生物活性：

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|---|---|---|---|---|
| **Description** | FIIN-3 is an irreversible inhibitor of FGFR with an $IC_{50}$ of 13.1, 21, 31.4, and 35.3 nM for FGFR1, FGFR2, FGFR3 and FGFR4, respectively. | | | |
| **$IC_{50}$ & Target** | FGFR1 | FGFR2 | FGFR3 | FGFR4 |
| | 13.1 nM ($IC_{50}$) | 21 nM ($IC_{50}$) | 31.4 nM ($IC_{50}$) | 35.3 nM ($IC_{50}$) |
| **In Vitro** | FIIN-3 potently inhibits both WT FGFRs ($EC_{50}$ in the 1- to 41-nM range) and the gatekeeper mutant of FGFR2 ($EC_{50}$of 64 nM). FIIN-3 also strongly inhibits EGFR, with an $EC_{50}$ of 43 nM. FIIN-3 shows good potency against gatekeeper mutant V564F; FIIN-3 also is potent against the gatekeeper-plus-1 mutant E565K; FIIN-3 also displays antiproliferative activity (with an $EC_{50}$ of 135 nM) against Ba/F3 cells transformed by the EGFR vIII fusion protein, which has a WT EGFR kinase domain. FIIN-3 shows even better activity against EGFR mutant L858R ($EC_{50}$ of 17 nM) and moderate activity, displaying an $EC_{50}$ of 231 nM, against the EGFR mutant L858R/T790M mutant. In WT FGFR2 Ba/F3 cells, FIIN-3 completely inhibits the FGFR2 autophosphorylation on Tyr656/657 at concentrations as low as 3 nM. In FGFR2 V564M Ba/F3 cells, FIIN-3 is capable of inhibiting the FGFR2 mutant V564M autophosphorylation with partial inhibition at 100 nM and complete inhibition observed at 300 nM[1]. | | | |

**Solvent&Solubility**

***In Vitro:***

**DMSO : 10 mg/mL** (**14.46 mM;** Need ultrasonic and warming)

**$H_2O$ : < 0.1 mg/mL** (insoluble)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.4459 mL | 7.2295 mL | 14.4590 mL |
| | 5 mM | 0.2892 mL | 1.4459 mL | 2.8918 mL |
| | 10 mM | 0.1446 mL | 0.7230 mL | 1.4459 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 (3.61 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (3.61 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。

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| | 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)<br>Solubility: 2.5 mg/mL (3.61 mM); Suspended solution; Need ultrasonic<br>此方案可获得 2.5 mg/mL (3.61 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。<br>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。<br><br>3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 2.5 mg/mL (3.61 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (3.61 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。<br>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):E4869-77 |
| **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 FIIN-3. 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):E4869-77 |