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Diagnostik & molekulare Diagnostik



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Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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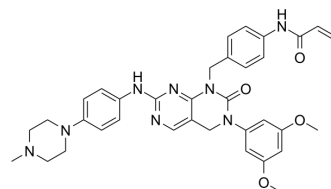
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FIIN-2

Cat. No.:	HY-18602
CAS No.:	1633044-56-0
Molecular Formula:	C ₃₅ H ₃₈ N ₈ O ₄
Molecular Weight:	634.73
Target:	FGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (47.26 mM)
 * "≥" means soluble, but saturation unknown.

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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (3.94 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (3.94 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (3.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FIIN-2 is an irreversible inhibitor of FGFR with an IC₅₀ of 3.1, 4.3, 27, and 45 nM for FGFR1, FGFR2, FGFR3 and FGFR4, respectively.

IC₅₀ & Target

FGFR1 3.1 nM (IC ₅₀)	FGFR2 4.3 nM (IC ₅₀)	FGFR3 27 nM (IC ₅₀)	FGFR4 45 nM (IC ₅₀)
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In Vitro	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Cell Assay ^[1]	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
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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.

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

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