Erdafitinib

Cat. No.: HY-18708

CAS No.: 1346242-81-6

Molecular Formula: $C_{25}H_{30}N_6O_2$

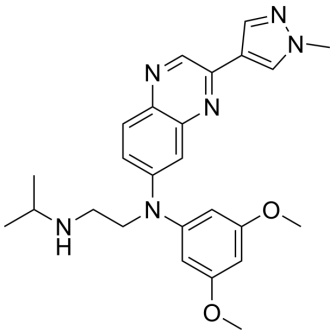
Molecular Weight: 446.54

Target: FGFR; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

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 : 62.5 mg/mL (139.97 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2394 mL	11.1972 mL	22.3944 mL
5 mM	0.4479 mL	2.2394 mL	4.4789 mL
10 mM	0.2239 mL	1.1197 mL	2.2394 mL

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

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.75 mg/mL (6.16 mM); Clear solution
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (6.16 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.33 mg/mL (5.22 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.66 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Erdafitinib (JNJ-42756493) is a potent and orally available FGFR family inhibitor; inhibits FGFR1/2/3/4 with IC_{50}s of 1.2, 2.5, 3.0 and 5.7 nM, respectively.

IC_{50} & Target	FGFR1	FGFR2	FGFR3	FGFR4
	1.2 nM (IC_{50})	2.5 nM (IC_{50})	3.0 nM (IC_{50})	5.7 nM (IC_{50})

In Vitro

Erdafitinib (JNJ-42756493) inhibits the tyrosine kinase activities of FGFR1-4 in time-resolved fluorescence assays with IC_{50} values of 1.2, 2.5, 3.0 and 5.7 nM, respectively. The closely related VEGFR2 kinase is less potently inhibited (30-fold less potent compared to FGFR1) by erdafitinib, with an IC_{50} value of 36.8 nM. Erdafitinib binds FGFR1, 3, 4, and 2 with K_d values of 0.24, 1.1, 1.4 and 2.2 nM, respectively. The K_d value for VEGFR2 is higher at 6.6 nM. Erdafitinib inhibits proliferation of FGFR1, 3, and 4 expressing cells with IC_{50} values of 22.1, 13.2, and 25nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

In xenografts from human tumor cell lines or patient-derived tumor tissue with activating FGFR alterations, Erdafitinib administration results in potent and dose-dependent antitumor activity accompanied by pharmacodynamic modulation of phospho-FGFR and phospho-ERK in tumors[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

Erdafitinib is dissolved in DMSO. KATO III, RT-112, A-204, RT-4, DMS-114, A-427 and MDA-MB-453 cells are treated with erdafitinib (from 10 μM to 0.01 nM in 2% DMSO, final concentration). Following 4-day incubation, cell viability is determined using MTT reagent. The optical density is determined at 540 nm[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]

Mice: Mice bearing SNU-16 human gastric carcinoma (FGFR2 amplified) xenograft tumors are dosed orally with 0, 3, 10 or 30 mg/kg Erdafitinib. Tumor tissue and mouse plasma (3 mice per time point) are harvested at 0.5, 1, 3, 7, 16 and 24h post-dosing[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Discov. 2019 Dec;9(12):1686-1695.
- Nat Commun. 2022 Aug 4;13(1):4534.
- Cell Rep. 2023 Apr 24;42(5):112437.
- NPJ Precis Oncol. 2023 Jul 21;7(1):70.
- J Chem Inf Model. 2024 Mar 8.

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REFERENCES

[1]. Perera TP, et al. Discovery and pharmacological characterization of JNJ-42756493 (erdafitinib), a functionally selective small molecule FGFR family inhibitor. Mol Cancer Ther. 2017 Mar 24. pii: molcanther.0589.2016.

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

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