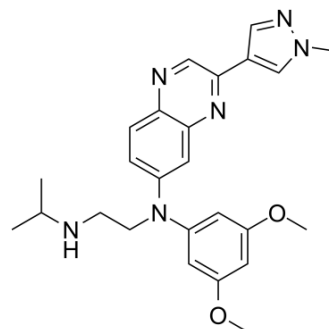


## Erdafitinib

<b>Cat. No.:</b>	HY-18708		
<b>CAS No.:</b>	1346242-81-6		
<b>Molecular Formula:</b>	C <sub>25</sub> H <sub>30</sub> N <sub>6</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	446.54		
<b>Target:</b>	FGFR; Apoptosis		
<b>Pathway:</b>	Protein Tyrosine Kinase/RTK; Apoptosis		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 33 mg/mL (73.90 mM)  
 \* "≥" means soluble, but saturation unknown.

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

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.33 mg/mL (5.22 mM); Clear solution
- 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
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.33 mg/mL (5.22 mM); Clear solution
- 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
- 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

### BIOLOGICAL ACTIVITY

#### Description

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

IC <sub>50</sub> & Target	FGFR1 1.2 nM (IC <sub>50</sub> )	FGFR2 2.5 nM (IC <sub>50</sub> )	FGFR3 3.0 nM (IC <sub>50</sub> )	FGFR4 5.7 nM (IC <sub>50</sub> )
<b>In Vitro</b>	<p>Erdafitinib (JNJ-42756493) inhibits the tyrosine kinase activities of FGFR1-4 in time-resolved fluorescence assays with IC<sub>50</sub> 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<sub>50</sub> value of 36.8 nM. Erdafitinib binds FGFR1, 3, 4, and 2 with K<sub>d</sub> values of 0.24, 1.1, 1.4 and 2.2 nM, respectively. The K<sub>d</sub> value for VEGFR2 is higher at 6.6 nM. Erdafitinib inhibits proliferation of FGFR1, 3, and 4 expressing cells with IC<sub>50</sub> values of 22.1, 13.2, and 25nM, respectively<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			
<b>In Vivo</b>	<p>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<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			

## PROTOCOL

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

## CUSTOMER VALIDATION

- Cancer Discov. 2019 Dec;9(12):1686-1695.
- Oncotarget. 2020 Nov 3;11(44):3921-3932.

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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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