产品名称：**Erdafitinib**
产品别名：厄达替尼

生物活性：

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	IC50: 1.2 nM (FGFR1), 2.5 nM (FGFR2), 3.0 nM (FGFR3)and 5.7 nM (FGFR4)[1]
In Vitro	Erdafitinib inhibits the tyrosine kinase activities of FGFR1-4 in time-resolved fluorescence assays with IC50 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 IC50 value of 36.8 nM. JNJ-42756493 binds FGFR1, 3, 4, and 2 with Kd values of 0.24, 1.1, 1.4 and 2.2 nM, respectively. The Kd value for VEGFR2 is higher at 6.6 nM. JNJ-42756493 inhibits proliferation of FGFR1, 3, and 4 expressing cells with IC50 values of 22.1, 13.2, and 25nM, respectively[1].
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].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 33 mg/mL (73.90 mM)** * "≥" means soluble, but saturation unknown.

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

*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: ≥ 2.33 mg/mL (5.22 mM); Clear solution

此方案可获得 ≥ 2.33 mg/mL (5.22 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 23.3 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: ≥ 2.33 mg/mL (5.22 mM); Clear solution

此方案可获得 ≥ 2.33 mg/mL (5.22 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 23.3 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: ≥ 2.33 mg/mL (5.22 mM); Clear solution 此方案可获得 ≥ 2.33 mg/mL (5.22 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 23.3 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Cell Assay	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].
Animal Administration	Mice: Mice bearing SNU-16 human gastric carcinoma (FGFR2 amplified) xenograft tumors are dosed orally with 0, 3, 10 or 30mg/kg JNJ-42756493. Tumor tissue and mouse plasma (3 mice per time point) are harvested at 0.5, 1, 3, 7, 16 and 24h post-dosing[1].
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.