产品名称：FTI-277 (hydrochloride)
产品别名：FTI-277 hydrochloride

生物活性：

Description

FTI-277 hydrochloride is an inhibitor of farnesyl transferase (FTase); a highly potent Ras CAAX peptidomimetic which antagonizes both H- and K-Ras oncogenic signaling. IC50 value: Target: FTase inhibitor in vitro: Treatment with FTI-277 (20 microM) for 48 h prior to irradiation led to a significant decrease in survival of radioresistant cells expressing the 24-kDa isoform (HeLa 3A) but had no effect on the survival of control cells (HeLa PINA). The radiosensitizing effect of FTI-277 is accompanied by a stimulation of postmitotic cell death in HeLa 3A cells and by a reduction in G(2)/M-phase arrest in both cell types [1]. Treatment of PC-3 cells with GGTI-298 and FTI-277 inhibited migration and invasion in a time- and dose-dependent manner [3]. in vivo: FTI-277 treatment prevented increased PTP-1B and PTEN protein expression in burned mice as compared with vehicle alone. In contrast, FTI-277 did not significantly alter protein expression of PTP-1B and PTEN in sham-burned mice [2].

Solvent&Solubility

In Vitro:

DMSO : 100 mg/mL (**206.58 mM;** Need ultrasonic)

H_2O : 50 mg/mL (**103.29 mM;** Need ultrasonic)

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.0658 mL	10.3291 mL	20.6582 mL
	5 mM	0.4132 mL	2.0658 mL	4.1316 mL
	10 mM	0.2066 mL	1.0329 mL	2.0658 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 (5.16 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.16 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。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (5.16 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.16 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 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: ≥ 2.5 mg/mL (5.16 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.16 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 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]. Cohen-Jonathan E, et al. The farnesyltransferase inhibitor FTI-277 suppresses the 24-kDa FGF2-induced radioresistance in HeLa cells expressing wild-type RAS. Radiat Res. 1999 Oct;152(4):404-11. [2]. Nakazawa H, et al. Role of protein farnesylation in burn-induced metabolic derangements and insulin resistance in mouse skeletal muscle. PLoS One. 2015 Jan 16;10(1):e0116633. [3]. Virtanen SS, et al. Inhibition of GGTase-I and FTase disrupts cytoskeletal organization of human PC-3 prostate cancer cells. Cell Biol Int. 2010 Aug;34(8):815-26.

源叶生物