FTI-277 hydrochloride

Cat. No.: HY-15872A

CAS No.: 180977-34-8

Molecular Formula: $C_{22}H_{30}ClN_3O_3S_2$

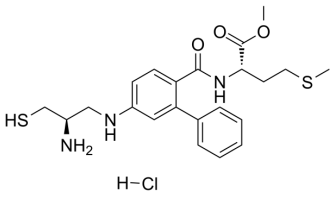
Molecular Weight: 484.07

Target: Farnesyl Transferase; Apoptosis; Ras

Pathway: Metabolic Enzyme/Protease; Apoptosis; GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

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

H_2O : 100 mg/mL (206.58 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 33.33 mg/mL (68.85 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.16 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.16 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.16 mM); Clear solution

BIOLOGICAL ACTIVITY

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. FTI-277 hydrochloride can inhibit hepatitis delta virus (HDV) infection.

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

CUSTOMER VALIDATION

- Mol Cell Proteomics. 2023 Jun 14;100593.
- Fish Shellfish Immunol. 2019 Apr 3;89:281-289.
- Oncotarget. 2017 Nov 22;8(65):109135-109150.

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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.

[4]. Bordier BB, et al. A prenylation inhibitor prevents production of infectious hepatitis delta virus particles. J Virol. 2002 Oct;76(20):10465-72.

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