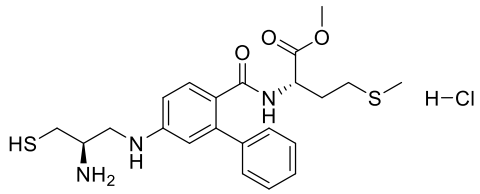
Product data sheet

MedKoo Cat#: 406300 Name: FTI-277 HCl CAS#: 180977-34-8 (HCl) Chemical Formula: $C_{22}H_{30}ClN_3O_3S_2$ Molecular Weight: 484.07	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
FTI-277 is a peptide mimetic of the COOH-terminal Cys-Val-Ile-Met of K-Ras4B that inhibited potently FTase in vitro (IC50 = 500 pM) and was highly selective for FTase over geranylgeranyltransferase I (GGTase I) (IC50 = 50 nM). FTI-277, the methyl ester derivative of FTI-276, was extremely potent (IC50 = 100 nM) at inhibiting H-Ras, but not the geranylgeranylated Rap1A processing in whole cells.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	98.0	202.45
Ethanol	96.0	198.32
Water	73.0	150.80

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.07 mL	10.33 mL	20.66 mL
5 mM	0.41 mL	2.07 mL	4.13 mL
10 mM	0.21 mL	1.03 mL	2.07 mL
50 mM	0.04 mL	0.21 mL	0.41 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Ponnusamy A, Sinha S, Hyde GD, Borland SJ, Taylor RF, Pond E, Eyre HJ, Inkson CA, Gilmore A, Ashton N, Kalra PA, Canfield AE. FTI-277 inhibits smooth muscle cell calcification by up-regulating PI3K/Akt signaling and inhibiting apoptosis. PLoS One. 2018 Apr 24;13(4):e0196232. doi: 10.1371/journal.pone.0196232. PMID: 29689070; PMCID: PMC5916518.
2. Lee KH, Koh M, Moon A. Farnesyl transferase inhibitor FTI-277 inhibits breast cell invasion and migration by blocking H-Ras activation. Oncol Lett. 2016 Sep;12(3):2222-2226. doi: 10.3892/ol.2016.4837. Epub 2016 Jul 11. PMID: 27602167; PMCID: PMC4998514.

In vivo study

1. Li W, Tu J, Liu X, Yang W. Farnesyltransferase inhibitor FTI-277 inhibits PD-L1 expression on septic spleen lymphocytes and promotes spleen lymphocyte activation. Clin Exp Immunol. 2017 Oct;190(1):8-18. doi: 10.1111/cei.12995. Epub 2017 Jul 14. PMID: 28556912; PMCID: PMC5588849.
2. Yang W, Yamada M, Tamura Y, Chang K, Mao J, Zou L, Feng Y, Kida K, Scherrer-Crosbie M, Chao W, Ichinose F, Yu YM, Fischman AJ, Tompkins RG, Yao S, Kaneki M. Farnesyltransferase inhibitor FTI-277 reduces mortality of septic mice along with

improved bacterial clearance. J Pharmacol Exp Ther. 2011 Dec;339(3):832-41. doi: 10.1124/jpet.111.183558. Epub 2011 Aug 26. PMID: 21873557; PMCID: PMC3226365.

7. Bioactivity

Biological target:

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.

In vitro activity

MTT assay demonstrated that FTI-277 inhibited proliferation of the H-Ras-MCF10A, Hs578T and MDA-MB-231 cells in a dose-dependent manner (Fig. 2). FTI-277 exerted a strong anti-proliferative effect on the H-Ras-MCF10A and Hs578T cells with 50% inhibitory concentration (IC50) values of 6.84 and 14.87 μM for 48 h, respectively. FTI-277 treatment inhibited proliferation of the MDA-MB-231 cells with an IC50 value of 29.32 μM for 48 h. The results suggest that breast cells in which H-Ras is activated may be more susceptible to FTI-277 compared with the cells with wild-type H-Ras.

Reference: Oncol Lett. 2016 Sep;12(3):2222-2226. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4998514/

In vivo activity

A single injection of farnesyltransferase inhibitor (25 mg/kg b.wt. FTI-277) at 2 h after CLP prolonged survival time of septic mice compared with vehicle alone. Kaplan-Meier survival curve analysis showed statistically significant beneficial effects of FTI-277 compared with vehicle alone ($p < 0.0001$) (Fig. 1A). $\chi 2$ test also revealed that FTI-277 significantly reduced mortality after CLP in mice ($p = 0.001$).

Reference: J Pharmacol Exp Ther. 2011 Dec; 339(3): 832–841. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3226365/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.