Product Data Sheet

Product Name: FTI-277
Cat. No.: GC36086

Chemical Properties

Cas. No.	170006-73-2		
SMILES	CSCC[C@@H](C(OC)=O)NC(C1=CC=C(NC[C@@H](N)CS)C=C1C2=CC=CC=C2)=O		
Formula	$C_{22}H_{29}N_3O_3S_2$	M.Wt	447.61
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	FTI-277		

Background

FTI 277 HCl is the methyl ester of FTI 277, which is a potent and selective farnesyltransferase (FTase) inhibitor with IC50 of 500 pM, about 100-fold selectivity over the closely related GGTase I. FTI 277 HCl inhibits cell growth and induces apoptosis. FTI 277 HCl is effective in clearing HDV viremia.

FTI-277 inhibits Ras processing with an IC50 of 100 nM, but not the geranylgeranylated Rap1A processing in whole cells. FTI-277 induces accumulation of cytoplasmic non-farnesylated H-Ras, accumulates inactive Ras/Raf complexes in the cytoplasm, and blocks constitutive MAPK activation in H-RasF cells. [1] FTI-277 causes increased apoptosis after irradiation and increases radiosensitivity in H-ras-transformed rat embryo cells. [2] FTI-277 also inhibits cell growth and induces apoptosis in drug-resistant myeloma tumor cells. [3] In SH-SY5Y cells, FTI-277 diminishes the toxic effects of methamphetamine on induction in cell degeneration, activation in c-Jun-N-terminal kinase cascades, and Ras activation. [4]

In mice coinfected with hepatitis B virus (HBV) and HDV, FTI-277 (50 mg/kg/d i.p.)

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effectively clears HDV viremia. [5]

[1] Lerner EC, et al. J Biol Chem. 1995, 270(45), 26802-26806. [2] Bernhard EJ, et al. Cancer Res. 1996, 56(8), 1727-1730. [3] Bolick SC, et al. Leukemia. 2003, 17(2), 451-457

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