

Product Name: FTI 277

Catalog No.: 2407

Batch No.: 2

CAS Number: 1217447-06-7

IUPAC Name: *N*-[4-[2(*R*)-Amino-3-mercaptopropyl]amino-2-phenylbenzoyl]methionine methyl ester trifluoroacetate salt

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₂H₂₉N₃O₃S₂·C₂HF₃O₂

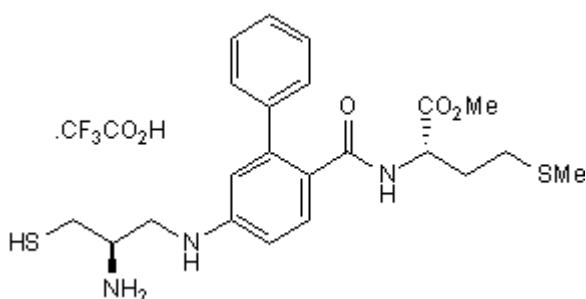
Batch Molecular Weight: 561.64

Physical Appearance: White solid

Solubility: water to 5 mM

Storage: Store at -80°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 95.1% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Prodrug form of FTI 276 (Cat. No. 2406) that inhibits farnesyltransferase (FTase) (IC_{50} = 0.5 nM). Inhibits H-Ras and K-Ras processing in whole cells (IC_{50} values are 0.1 and 10 μ M respectively) and disrupts constitutive H-Ras-specific activation of MAPK. Causes significant antiproliferative effects in human malignant glioma cells and many other tumor cell lines.

Physical and Chemical Properties:

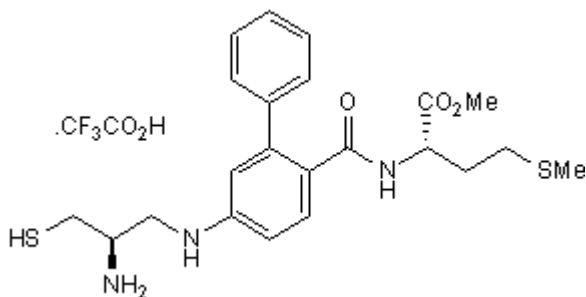
Batch Molecular Formula: $C_{22}H_{29}N_3O_3S_2 \cdot C_2HF_3O_2$

Batch Molecular Weight: 561.64

Physical Appearance: White solid

Minimum Purity: >95%

Batch Molecular Structure:



Storage: Store at -80°C. This product is packaged under an inert atmosphere.

Solubility & Usage Info:

water to 5 mM

CAUTION - This product is supplied as a lyophilized solid and may be very hard to visualize. Solutions should be made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Lerner et al (1995) Ras CAAX peptidomimetic FTI-277 selectively blocks oncogenic Ras signaling inducing cytoplasmic accumulation of inactive Ras-Raf complexes. *J.Biol.Chem.* **270** 26802. PMID: 7592920.

Lerner et al (1995) Disruption of oncogenic K-Ras4B processing and signaling by a potent geranylgeranyltransferase I inhibitor. *J.Biol.Chem.* **10** 26770.

Sun et al (1999) Antitumour efficacy of a novel class of non-thiol-containing peptidomimetic inhibitors of farnesyltransferase and geranylgeranyltransferase I: combination therapy with the cytotoxic agents cisplatin, taxol and gemcitabine. *Cancer Res.* **59** 4919. PMID: 10519405.

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