PRODUCT INFORMATION



FTI-276 (trifluoroacetate salt)

Item No. 10011661

CAS Registry No.: 1217471-51-6

Formal Name: N-[[5-[[(2R)-2-amino-3-mercaptopropyl]

amino][1,1'-biphenyl]-2-yl]carbonyl]-Lmethionine, 2,2,2-trifluoroacetate

Synonym: Farnesyltransferase Inhibitor 276

C21H27N3O3S2 • CF3COOH FW: 547.6 **Purity:** ≥98% Supplied as: A solid Storage: -20°C Stability: ≥4 years

HS $\bar{N}H_2$

CF₃COOH

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

FTI-276 (trifluoroacetate salt) is supplied as a solid. A stock solution may be made by dissolving the FTI-276 (trifluoroacetate salt) in water. The solubility of FTI-276 (trifluoroacetate salt) in water is approximately 10 mM. We do not recommend storing the aqueous solution for more than one day.

Description

MF:

FTI-276 is a CAAX peptidomimetic inhibitor of farnesyltransferase (FTase; $IC_{50} = 500 \text{ pM}$).¹ It contains the C-terminal CAAX sequence, Cys-Val-Iso-Met (CVIM), of K-Ras4B, a form of Ras that is commonly mutated in human cancers, and is selective for FTase over geranylgeranyltransferase I (GGTase I; IC₅₀ = 50 nM). It inhibits the growth of NIH3T3 cells expressing oncogenic farnesylated H-Ras (RasF), but not geranylgeranylated H-Ras (RasGG) or Raf, when used at a concentration of 20 μ M.² FTI-276 (50 mg/kg) also reduces tumor growth in a mouse xenograft model using Calu-1 cells that contain a K-Ras oncogenic mutation but not in a model using NCI H810 cells that do not contain a K-Ras mutation.

References

- 1. Lerner, E.C., Qian, Y., Blaskovich, M.A., et al. Ras CAAX peptidomimetic FTI-277 selectively blocks oncogenic Ras signaling by inducing cytoplasmic accumulation of inactive Ras-Raf complexes. J. Biol. Chem. 270(45), 26802-26806 (1995).
- 2. Sun, J., Qian, Y., Hamilton, A.D., et al. Ras CAAX peptidomimetic FTI 276 selectively blocks tumor growth in nude mice of a human lung carcinoma with K-Ras mutation and p53 deletion. Cancer Res. 55(19), 4243-4247 (1995).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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