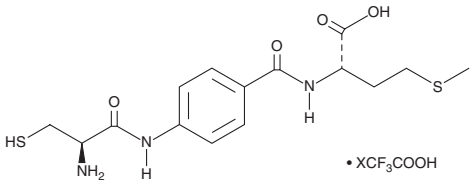
PRODUCT INFORMATION

FTase Inhibitor II (trifluoroacetate salt)

Item No. 16607

Formal Name: N-[4-[[(2R)-2-amino-3-mercapto-1-oxopropyl]amino]benzoyl]-L-methionine, trifluoroacetate salt
Synonyms: Farnesyltransferase Inhibitor II, FTI-II
MF: $C_{15}H_{21}N_3O_4S_2 \bullet XCF_3COOH$
FW: 371.5
Purity: ≥80%
UV/Vis.: λ_{max}: 211, 268 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

FTase inhibitor II (trifluoroacetate salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the FTase inhibitor II (trifluoroacetate salt) in water. The solubility of FTase inhibitor II (trifluoroacetate salt) in water is approximately 25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Farnesylation involves the utilization of farnesyl pyrophosphate (FPP), an intermediate in the mevalonate pathway, by farnesyltransferase (FTase) to add a lipid, farnesyl, to certain proteins.[1,2] This post-translational modification is crucial in allowing target proteins, including Ras, to associate with, and function at, membranes. FTase Inhibitor II is a cell-permeable analog of FPP that potently inhibits FTase (IC_{50} = 50-75 nM), preventing farnesylation of Ras.[3] It does not inhibit geranylgeranyl transferase at similar concentrations (IC_{50} > 100 µM).[3] FTase Inhibitor II displays no toxicity to untransformed cells but blocks Ras-mediated transformation of NIH 3T3 cells.[3]

References

1. Berndt, N., Hamilton, A.D., and Sebti, S.M. Targeting protein prenylation for cancer therapy. *Nat. Rev. Cancer* **11(11)**, 775-791 (2011).
2. Appels, N.M.G.M., Beijnen, J.H., and Schellens, J.H.M. Development of farnesyl transferase inhibitors: A review. *Oncologist* **10(8)**, 565-578 (2005).
3. Manne, V., Ricca, C.S., Brown, J.G., *et al*. Ras farnesylation as a target for novel antitumor agents: Potent and selective farnesyl diphosphate analog inhibitors of farnesyltransferase. *Drug Dev. Res.* **34(2)**, 121-137 (1995).

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