PRODUCT INFORMATION



GGTI 298 (trifluoroacetate salt)

Item No. 16176

CAS Registry No.: 1217457-86-7

Formal Name: N-[4-[[(2R)-2-amino-3-

> mercaptopropyllaminol-2-(1-naphthalenyl)benzoyl]-L-leucine, methyl ester, 2,2,2-trifluoroacetate

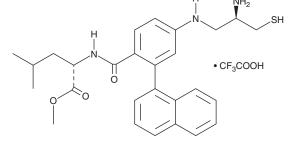
MF: C27H33N3O3S • CF3COOH

FW: 593.7 **Purity:** ≥95%

 λ_{max} : 221, 283 nm UV/Vis.: A crystalline solid Supplied as:

-20°C Storage: ≥4 years Stability:

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



Laboratory Procedures

GGTI 298 (trifluoroacetate salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the GGTI 298 (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. GGTI 298 (trifluoroacetate salt) is soluble in the organic solvent DMSO at a concentration of approximately 10 mg/ml.

GGTI 298 (trifluoroacetate salt) is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Post-translational protein prenylation, a process catalyzed by three different enzymes, occurs at the C-terminal of a number of proteins involved in cell growth control and oncogenesis. One of these enzymes, geranylgeranyltransferase I (GGTase I) modifies cysteines of proteins with CAAX terminal sequences, preferring either leucine or isoleucine in the X-position. The Rho family of proteins are typically geranylgeranylated by GGTase I. 1 GGTI 298 is a CAAX peptidomimetic that selectively inhibits GGTase I with little effect on other prenylation enzymes such as farnesyltransferase.² It has been shown to arrest human tumor cells (IC₅₀ = 10 μ M for A549 cells) in G₀/G₁ and induce apoptosis by inhibiting proteasome activity and up-regulating the expression of the cyclin-dependent kinase inhibitor p21.2-4

References

- 1. Li, X., Liu, L., Tupper, J.C., et al. J. Biol. Chem. 277(18), 15309-15316 (2002).
- 2. Miguel, K., Pradines, A., Sun, J., et al. Cancer Res. 57(10), 1846-1850 (1997).
- 3. Efuet, E.T. and Keyomarsi, K. Cancer Res. 66(2), 1040-1051 (2006).
- 4. Vogt, A., Sun, J., Qian, Y., et al. J. Biol. Chem. 272(43), 27224-27229 (1997).

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.

WARRANTY AND LIMITATION OF REMEDY

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