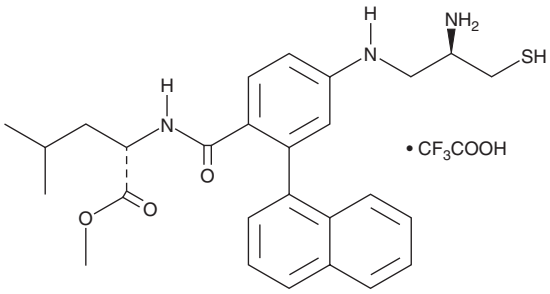
PRODUCT INFORMATION

GGTI 298 (trifluoroacetate salt)

Item No. 16176

CAS Registry No.: 1217457-86-7
Formal Name: N-[4-[[(2R)-2-amino-3-mercaptopropyl]amino]-2-(1-naphthalenyl)benzoyl]-L-leucine, methyl ester, 2,2,2-trifluoroacetate
MF: $C_{27}H_{33}N_3O_3S \bullet CF_3COOH$
FW: 593.7
Purity: ≥95%
UV/Vis.: λ_{max}: 221, 283 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

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 (IC_{50} = 10 µM for A549 cells) in G_0/G_1 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. Miquel, 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM