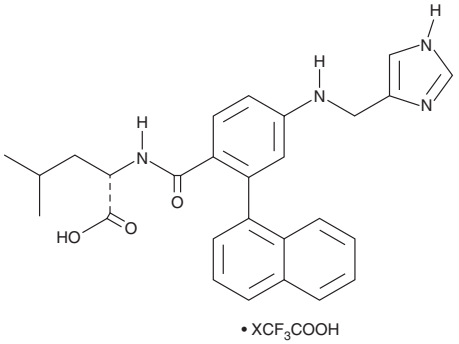
PRODUCT INFORMATION

GGTI 2133 (trifluoroacetate salt)

Item No. 23418



CAS Registry No.:	1217480-14-2
Formal Name:	N-[4-[(1H-imidazol-5-ylmethyl)amino]-2-(1-naphthalenyl)benzoyl]-L-leucine, 2,2,2-trifluoroacetate salt
MF:	$C_{27}H_{28}N_4O_3 \bullet XCF_3COOH$
FW:	456.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 222, 285 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 2133 (trifluoroacetate salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the GGTI 2133 (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. GGTI 2133 (trifluoroacetate salt) is soluble in the organic solvent DMSO at a concentration of approximately 25 mg/ml.

Description

GGTI 2133 is a peptidomimetic inhibitor of geranylgeranyl transferase type I (GGTase I; IC_{50} = 38 nM).[1] It is 140-fold selective for GGTase I over farnesyltransferase (IC_{50} = 5,400 nM). *In vitro*, it inhibits geranylgeranylation of RAP1A (IC_{50} = 10 µM) without inhibiting farnesylation of H-Ras (IC_{50} = >30 µM). It also inhibits cell growth and decreases migration and invasion of oral squamous cell carcinoma (OSSC) cells to 75, 45, and 27% of control values, respectively.[2] GGTI 2133 (5 mg/kg per day, i.p.) prevents ovalbumin-induced eosinophil infiltration into airways in a mouse model of allergic bronchial asthma but does not prevent an increase in chemokines.[3] It also blocks naloxone-induced contraction of ileum isolated from rats with morphine withdrawal syndrome and dose-dependently decreases withdrawal severity *in vivo* (ED_{50} = 0.076 mg/kg).[4]

References

1. Vasudevan, A., Qian, Y., Vogt, A., *et al.* Potent, highly selective, and non-thiol inhibitors of protein geranylgeranyltransferase-I. *J. Med. Chem.* **42(8)**, 1333-1340 (1999).
2. Hamada, M., Miki, T., Iwai, S., *et al.* Involvement of RhoA and RalB in geranylgeranyltransferase I inhibitor-mediated inhibition of proliferation and migration of human oral squamous cell carcinoma cells. *Cancer Chemother. Pharmacol.* **68(3)**, 559-569 (2011).
3. Chiba, Y., Sato, S., and Misawa, M. GGTI-2133, an inhibitor of geranylgeranyltransferase, inhibits infiltration of inflammatory cells into airways in mouse experimental asthma. *Int. J. Immunopathol. Pharmacol.* **22(4)**, 929-935 (2009).
4. Rehni, A.K. and Signh, T.G. Pharmacological modulation of geranylgeranyltransferase and farnesyltransferase attenuates opioid withdrawal *in vivo* and *in vitro*. *Neuropharmacology* **71**, 19-26 (2013).

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