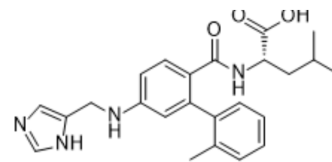


GGTI-2154

Cat. No.:	HY-16229
CAS No.:	251577-10-3
Molecular Formula:	C ₂₄ H ₂₈ N ₄ O ₃
Molecular Weight:	420.5
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GGTI-2154 is a potent and selective inhibitor of geranylgeranyltransferase I (GGTase I), with an IC ₅₀ of 21 nM. GGTI-2154 shows more than 200-fold selectivity for GGTase I over FTase (IC ₅₀ =5600 nM). GGTI-2154 can be used for the research of cancer ^{[1][2]} .
IC₅₀ & Target	IC ₅₀ : 21 nM (GGTase I) ^[1]
In Vitro	GGTI-2154 inhibits the transfer of geranylgeranyl from [³ H]GGPP to H-Ras CVLL, with an IC ₅₀ of 21 nM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GGTI-2154 (100 mg/kg/day; s.c. for 14 days) induces breast tumor regression in MMTV-v-Ha-Ras transgenic mice ^[2] . GGTI-2154 (50 mg/kg/day; i.p. for 50 day) inhibits A-549 tumor growth in nude mice by 60% ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Model:	MMTV-v-Ha-ras transgenic mice bearing mammary carcinoma ^[2]
Dosage:	100 mg/kg/day
Administration:	S.c. with osmotic mini-pumps for 14 days
Result:	Halted the tumors aggressive growth. Resulted in rapid tumor regression within 3 days of initiation of drug treatment.

REFERENCES

[1]. Sun J, et, al. Antitumor efficacy of a novel class of non-thiol-containing peptidomimetic inhibitors of farnesyltransferase and geranylgeranyltransferase I: combination therapy with the cytotoxic agents cisplatin, Taxol, and gemcitabine. *Cancer Res.* 1999 Oct 1;59(19):4919-26.

[2]. Sun J, et, al. Geranylgeranyltransferase I inhibitor GGTI-2154 induces breast carcinoma apoptosis and tumor regression in H-Ras transgenic mice. *Cancer Res.* 2003 Dec 15;63(24):8922-9.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA