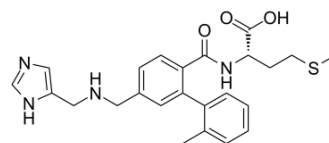


FTI-2148

Cat. No.:	HY-118916
CAS No.:	251577-09-0
Molecular Formula:	C ₂₄ H ₂₈ N ₄ O ₃ S
Molecular Weight:	452.57
Target:	Farnesyl Transferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	FTI-2148 is a RAS C-terminal mimetic dual farnesyl transferase (FT-1) and geranylgeranyl transferase-1 (GGT-1) inhibitor with IC ₅₀ s of 1.4 nM and 1.7 μM, respectively ^[1] .								
IC₅₀ & Target	IC ₅₀ : 1.4 nM (FT-1); 1.7 μM (GGT-1) ^[1]								
In Vitro	<p>FTI-2148 (30 μM) inhibits the farnesylation of the exclusively farnesylated protein HDJ2 in all 3 RAS-transformed NIH3T3 cells ^[1].</p> <p>FTI-2148 is against <i>P. falciparum</i> PFT, Mammalian PFT and Mammalian PGGT-I with IC₅₀ values of 15 nM; 0.82 nM and 1700 nM, respectively. PFT:protein farnesyltransferase; PGGT-I geranylgeranyltransferase-I^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>KRAS HRAS, and NRAS-transformed NIH3T3 cells</td> </tr> <tr> <td>Concentration:</td> <td>30 μM</td> </tr> <tr> <td>Incubation Time:</td> <td></td> </tr> <tr> <td>Result:</td> <td>Inhibited the prenylation of KRAS and NRAS.</td> </tr> </table>	Cell Line:	KRAS HRAS, and NRAS-transformed NIH3T3 cells	Concentration:	30 μM	Incubation Time:		Result:	Inhibited the prenylation of KRAS and NRAS.
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Incubation Time:									
Result:	Inhibited the prenylation of KRAS and NRAS.								
In Vivo	<p>FTI-2148 (intraperitoneal injection; 25 or 50 mpk/day with a mini-pump; started on day 15 and stopped on day 45 and restarted day 53-83) inhibits the tumor growth by 91% in human lung adenocarcinoma A-549 cells induced mouse model^[1].</p> <p>FTI-2148 (subcutaneous injection; 25 mpk/day with a mini-pump; 14 days) inhibits tumor growth by 77% by the end of the 2-week treatment in Human Xenograft Nude Mouse Model^[1].</p> <p>FTI-2148 (subcutaneous injection; 100 mg/kg/day; 14 days) results in breast tumor regression in a ras transgenic mouse model^[1].</p> <p>FTI-2148 (subcutaneous injection; 100 mg/kg/day; 4 days) results in 85–88% inhibition of FTase with no inhibition of GGTase I enzymatic activity in breast tumors from mice in vivo settings^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Ras transgenic mouse model^[3]</td> </tr> </table>	Animal Model:	Ras transgenic mouse model ^[3]						
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Dosage:	100 mg/kg/day
Administration:	Subcutaneous injection; 100 mg/kg/day; 14 days
Result:	Induced regression by 87 ± 3% of mammary carcinomas in mice.

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]. Carrico D, et al. In vitro and in vivo antimalarial activity of peptidomimetic protein farnesyltransferase inhibitors with improved membrane permeability. *Bioorg Med Chem.* 2004 Dec 15;12(24):6517-26.
- [3]. 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.

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