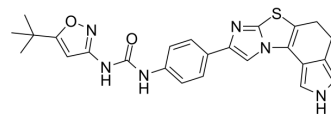


FLT3-IN-14

Cat. No.:	HY-144777
CAS No.:	2620551-45-1
Molecular Formula:	C ₂₅ H ₂₄ N ₆ O ₂ S
Molecular Weight:	472.56
Target:	FLT3; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	FLT3-IN-14 is a potent FLT3 inhibitor with IC ₅₀ s of 5.6 nM and 1.4 nM for FLT3-WT and FLT3-ITD. FLT3-IN-14 reduces the phosphorylation of FLT3 (Y591), induces cell cycle arrest at G1 phase and apoptosis. FLT3-IN-14 significantly reduces the tumor growth in an MV4-11 xenograft mouse model ^[1] .																
IC₅₀ & Target	IC ₅₀ : 1.4 nM (FLT-ITD), 5.6 nM (FLT3-WT) ^[1]																
In Vitro	<p>FLT3-IN-14 (compound 9c) (0-10 μM; 24 hours) inhibits the proliferation of tested twelve haematological cell lines with IC₅₀s of 0.011-1.582 μM^[1].</p> <p>FLT3-IN-14 (0-10 μM; 72 hours) exhibits low toxicity, with GI₅₀ greater than 10 μM, in resting lymphocytes^[1].</p> <p>FLT3-IN-14 (1-50 nM; 24 and 48 hours) accumulates annexin-V positive cells in a concentration and time-dependent manner^[1].</p> <p>FLT3-IN-14 (25-100 nM; 24 and 48 hours) induces a significant G1 arrest in both cell lines^[1].</p> <p>FLT3-IN-14 (1-50 nM; 24 hours) induces the dephosphorylation of FLT3^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MOLT-4, HL-60, KG-1, KG-1a, MOLM-13, MV4-11, NOMO-1, OCI-AML2, PL-21, THP-1, K-562, KCL-22^[1]</td> </tr> <tr> <td>Concentration:</td> <td>0-10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited the proliferation of these twelve haematological cell lines with IC₅₀s of 0.011-1.582 μM.</td> </tr> </table> <p>Cell Cytotoxicity Assay</p> <table border="1"> <tr> <td>Cell Line:</td> <td>PBL^[1]</td> </tr> <tr> <td>Concentration:</td> <td>0-10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>72 hours</td> </tr> <tr> <td>Result:</td> <td>Exhibited low toxicity, with GI₅₀ greater than 10 μM, in resting lymphocytes.</td> </tr> </table>	Cell Line:	MOLT-4, HL-60, KG-1, KG-1a, MOLM-13, MV4-11, NOMO-1, OCI-AML2, PL-21, THP-1, K-562, KCL-22 ^[1]	Concentration:	0-10 μM	Incubation Time:	24 hours	Result:	Inhibited the proliferation of these twelve haematological cell lines with IC ₅₀ s of 0.011-1.582 μM.	Cell Line:	PBL ^[1]	Concentration:	0-10 μM	Incubation Time:	72 hours	Result:	Exhibited low toxicity, with GI ₅₀ greater than 10 μM, in resting lymphocytes.
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Apoptosis Analysis

Cell Line:	MV4-11 ^[1]
Concentration:	1, 10 and 50 nM
Incubation Time:	24 and 48 hours
Result:	Accumulated annexin-V positive cells in a concentration and time-dependent manner.

Cell Cycle Analysis

Cell Line:	MOLM-13 and MV-14 ^[1]
Concentration:	25, 50, 75 and 100 nM
Incubation Time:	24 and 48 hours
Result:	Induced a significant G1 arrest in both cell lines.

Western Blot Analysis

Cell Line:	MV-14 ^[1]
Concentration:	1, 10 and 50 nM
Incubation Time:	24 hours
Result:	Induced the dephosphorylation of FLT3.

In Vivo

FLT3-IN-14 (1.0 and 3.0 mg/kg; IP; daily for 28 days) significantly reduces tumor growth in a dose-dependent manner without sign of toxicity^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD/SCID female mice (subcutaneously implanted MV4-11) ^[1]
Dosage:	1.0 and 3.0 mg/kg
Administration:	IP; daily for 28 days
Result:	Significantly reduced tumor growth by 44.1% and 55.2% at 1 and 3 mg/kg, respectively.

REFERENCES

[1]. Cilibrasi V, Spanò V, Bortolozzi R, et al. Synthesis of 2H-Imidazo[2',1':2,3] [1,3]thiazolo[4,5-e]isoindol-8-yl-phenylureas with promising therapeutic features for the treatment of acute myeloid leukemia (AML) with FLT3/ITD mutations. Eur J Med Chem. 2022;235:114292.

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

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