SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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MI-503

Cat. No.: HY-16925

CAS No.: 1857417-13-0

Molecular Formula: $C_{28}H_{27}F_3N_8S$

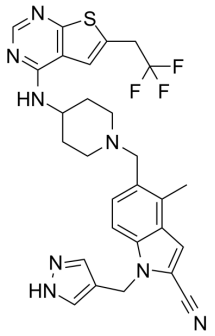
Molecular Weight: 564.63

Target: Epigenetic Reader Domain

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (44.28 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7711 mL	8.8554 mL	17.7107 mL
	5 mM	0.3542 mL	1.7711 mL	3.5421 mL
	10 mM	0.1771 mL	0.8855 mL	1.7711 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MI-503 is a highly potent and orally bioavailable small molecule inhibitor of the menin-mLL interaction.

In Vitro

MI-503 occupies the F9 and P13 pockets on menin, forming a hydrogen bond with Tyr276, and also extends beyond the P13 pocket to form hydrogen bonds with Trp341 and Glu366. Treatment of murine bone marrow cells (BMC) transformed with the mLL-AF9 oncogene with MI-503 results in substantial growth inhibition, with GI_{50} of 0.22 μM. The cell growth inhibitory effect of MI-503 is time-dependent, with a pronounced effect achieved after 7-10 days of treatment[1].

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

In Vivo

MI-503 achieves high level in peripheral blood following a single intravenous or oral dose, while also showing high oral bioavailability (75%). MI-503 induces strong inhibition of tumor growth with once daily intraperitoneal (i.p.) administration.

Treatment with MI-503 results in an over 80% reduction in MV4;11 tumor volume and complete tumor regression in two mice. Ten consecutive days of treatment with MI-503 results in a marked delay in progression of mLL leukemia in mice and significantly reduces leukemia tumor burden. Treatment with MI-503 and MI-463 leads to markedly reduced expression of Hoxa9 and Meis1, downstream targets of mLL fusion proteins substantially upregulated in mLL leukemias[1].

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

PROTOCOL

Cell Assay [1]

Leukemia cells are treated with MI-503 or 0.25% DMSO and cultured at 37 °C for 7 days. Media is changed at day 4, viable cell numbers are restored to the original concentration and MI-503 are re-supplied. MTT cell proliferation assay kit is then employed, and plates are read for absorbance at 570 nm using a microplate reader[1].

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

Animal Administration [1]

Mice: For efficacy studies in MV4;11 subcutaneous xenograft mice model, 5×10^6 cells are injected into the 4-6 week old female BALB/c nude mice. Treatment is started when the tumor size reached ~100 mm^3. Vehicle (25% DMSO, 25% PEG400, 50% PBS) or compounds (MI-463 or MI-503) are administrated once daily at designated doses using i.p. injections[1].

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

CUSTOMER VALIDATION

- Nat Commun. 2023 Jul 17;14(1):4259.
- Nat Commun. 2022 Feb 22;13(1):1006.
- J Exp Clin Cancer Res. 2021 Aug 26;40(1):270.
- Int J Oncol. 2020 Oct;57(4):1057-1071.
- FASEB J. 2023 Jan;37(1):e22712.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Borkin D, et al. Pharmacologic inhibition of the Menin-MLL interaction blocks progression of MLL leukemia in vivo. Cancer Cell. 2015 Apr 13;27(4):589-602.

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