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Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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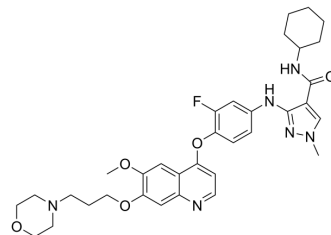
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AXL-IN-13

Cat. No.:	HY-151904		
CAS No.:	2376928-82-2		
Molecular Formula:	C ₃₄ H ₄₁ N ₆ O ₅		
Molecular Weight:	632.72		
Target:	TAM Receptor; FLT3; PDGFR		
Pathway:	Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (158.05 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.5805 mL	7.9024 mL	15.8048 mL
	5 mM	0.3161 mL	1.5805 mL	3.1610 mL
	10 mM	0.1580 mL	0.7902 mL	1.5805 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 2.5 mg/mL (3.95 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.5 mg/mL (3.95 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: 2.5 mg/mL (3.95 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

AXL-IN-13 is a potent and orally active AXL inhibitor (IC₅₀: 1.6 nM, K_d: 0.26 nM). AXL-IN-13 reverses TGF-β1-induced epithelial-mesenchymal transition (EMT), and inhibits cancer cell migration and invasion^[1].

IC₅₀ & Target

Axl	PDGFRβ 2.3 nM (Kd)
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In Vitro

AXL-IN-13 (compound 6li) inhibits Ba/F₃-TEL-AXL cell proliferation with an IC₅₀ of 4.7 nM (determined by ELISA)^[1].

AXL-IN-13 also shows binding affinities against CSF1R, FLT1/3/4, KLT, PDGFRB, TIE2^[1].
 AXL-IN-13 (0-500 nM, 6 h) inhibits the phosphorylation of AXL in MDA-MB-231 and 4T1 cells^[1].
 AXL-IN-13 (0-3 μM, 3 days) blocks EMT induced by TGF-β1 (10 ng/mL) in MDA-MB-231 cells^[1].
 AXL-IN-13 (0-3 μM, 24 h) suppresses MDA-MB-231 cell migration and invasion induced by TGF-β1 (10 ng/mL)^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0, 0.11, 0.33, 1, 3 μM.
Incubation Time:	3 days
Result:	Restored the protein levels of E-cadherin and N-cadherin to control levels.

Cell Migration Assay^[1]

Cell Line:	MDA-MB-231 cell
Concentration:	0, 0.11, 0.33, 1, 3 μM.
Incubation Time:	24 h
Result:	Inhibited cell migration at 1 and 3 μM. Inhibited the invasion of MDA-MB-231 cells by 22.6, 34.8, 56.5, and 70.4% at the concentrations of 0.11, 0.33, 1.0, and 3.0 μM, respectively.

In Vivo

AXL-IN-13 (compound 6li) (50 or 100 mg/kg, p.o, 14 days) inhibits 4T1 tumor growth and metastasis^[1].
 AXL-IN-13 (25 mg/kg, p.o.) displays reasonable PK profiles with an AUC of 8410.21 ng/mL·h, a T_{1/2} value of 4.22 h, and an oral bioavailability (F) of 14.4%^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Xenograft model derived from highly metastatic 4T1 cells. ^[1]
Dosage:	50 or 100 mg/kg
Administration:	Oral administration (p.o.)
Result:	Suppressed 4T1 tumor growth with a tumor growth inhibition (TGI) of 78.0 and 95.9% at 50 and 100 mg/kg, respectively. Inhibited the phosphorylation of AXL. Showed that liver is one of the most common sites of breast cancer metastasis.

Animal Model:	Rats ^[1]
Dosage:	5 mg/kg (i.v.), 25 mg/kg (p.o.)
Administration:	Intravenous injection (i.v.), oral administration (p.o.)
Result:	Pharmacokinetic parameters of AXL-IN-13 (Compound 6li).

parameters	T _{1/2} (h)	C _{max} (ng/mL)	AUC _{last}	F (%)
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5 mg/kg (i.v.)	3.31	12280.44	11684.24	
25 mg/kg (p.o.)	4.22	887.75	8410.21	14.4

REFERENCES

[1]. Chan S, et al. Discovery of 3-Aminopyrazole Derivatives as New Potent and Orally Bioavailable AXL Inhibitors. J Med Chem. 2022 Nov 24;65(22):15374-15390.

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

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