Axl-IN-17

Cat. No.: HY-162085

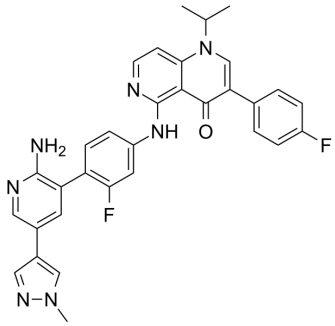
Molecular Formula: $C_{32}H_{27}F_2N_7O$

Molecular Weight: 563.6

Target: TAM Receptor

Pathway: Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Axl-IN-17 (compound 13c) is an orally active, selective AXL inhibitor with an IC_{50} value of 3.2 nM. Axl-IN-17 reveals antitumor efficacy[1].

IC_{50} & Target

Axl	Mer	Tyro3
3.23 nM (IC_{50})	(IC_{50})	(IC_{50})

In Vitro

Axl-IN-17 inhibits cancer-related kinases TYRO3, MER, MET, RON at 1 μM[1].

Axl-IN-17 exhibits antiproliferative activities in BaF3/TEL-AXL cell, with IC_{50} value <1 nM[1].

Axl-IN-17 (1 nM, 2 h) inhibits phosphorylation of AXL and its downstream signaling[1].

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

Cell Proliferation Assay[1]

Cell Line:	BaF3/TEL-AXL
Concentration:	1 nM
Incubation Time:	72 h
Result:	Inhibited proliferation activity in BaF3/TEL-AXL cells.

Western Blot Analysis[1]

Cell Line:	BaF3/TEL-AXL
Concentration:	1 nM
Incubation Time:	2 h
Result:	Inhibited phosphorylation of AXL and its downstream signaling

In Vivo

Axl-IN-17 (p.o.,3 mg/kg, once daily) reveals a $T_{1/2}$ value of 10.09 h and an AUC value of 59815 ng•h/mL in pharmacokinetic study[1].

Axl-IN-17 (p.o.,25, 50 or 100 mg/kg, once daily for 7 days) exhibits antitumor efficacy in AXL-driven tumor xenograft mice[1].

Pharmacokinetic Analysis of AXL-IN-17 in Male Sprague-Dawley rats[1]

Route	Dose (mg/kg)	$AUC_{0\to\infty}$ (ng·h/mL)	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	$MRT_{0\to\infty}$(h)
p.o.	3 mg/kg	59815	10.09	2	2906	16.5

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

Animal Model:	Male Sprague-Dawley rats /pharmacokinetic[1]
Dosage:	3 mg/kg (p.o.), once daily
Administration:	Oral gavage
Result:	Revealed a $T_{1/2}$ value of 10.09 h and an AUC value of 59815 ng•h/mL.

Animal Model:	BaF3/TEL-AXL xenograft mice[1]
Dosage:	25, 50 or 100 mg/kg, once daily for 7 days
Administration:	Oral gavage
Result:	Induced tumor regression.

REFERENCES

[1]. Lan Y,et al., Discovery of a 1,6-naphthyridin-4-one-based AXL inhibitor with improved pharmacokinetics and enhanced in vivo antitumor efficacy. Eur J Med Chem. 2024 Feb 5;265:116045.

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