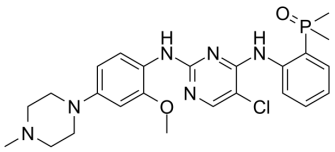
ALK-IN-12

Cat. No.:	HY-108230
CAS No.:	1197958-53-4
Molecular Formula:	$C_{24}H_{30}ClN_6O_2P$
Molecular Weight:	500.96
Target:	ALK
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ALK-IN-12 is a potent and orally active ALK inhibitor with an IC_{50} of 0.18 nM. ALK-IN-12 also inhibits IGF1R and InsR (IC_{50}=20.3 and 90.6 nM). Antitumor activities[1].
In Vitro	ALK-IN-12 (compound 11e) effectively inhibits viability of the Karpas-299 ALCL cell line with an IC_{50} of 28.3 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ALK-IN-12 (10-50 mg/kg; orally; once daily for 13 consecutive days) shows dose-dependent antitumor activity[1]. ALK-IN-12 (3 mg/kg; i.v.; 6-8 week old female CD rats) treatment shows $AUC_{0-\infty}$, CL, $t_{1/2}$ and V_{ss} are 3039 ng•h/mL, 0.91 h•kg, 6.6 hours and 6.12 L/kg, respectively[1]. ALK-IN-12 (10 mg/kg; p.o.; 6-8 week old female CD rats) treatment shows C_{max}, $AUC_{0-\infty}$, t_{max}, $t_{1/2}$ and F are 3254 ng/mL, 4056 ng•h/mL, 6.0 hours, 12.5 hours and 39%, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Eight- to 10-week old female SCID/beige mice (Karpas-299 xenograft mouse model expressing the NPM-ALK fusion)[1]
Dosage:	10-50 mg/kg
Administration:	Orally; once daily for 13 consecutive days
Result:	Dose-dependent antitumor activity. Led to tumor stasis (50 mg/kg dose).

REFERENCES

[1]. Huang WS, et al. Discovery of Brigatinib (AP26113), a Phosphine Oxide-Containing, Potent, Orally Active Inhibitor of Anaplastic Lymphoma Kinase. J Med Chem. 2016;59(10):4948-4964.

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

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