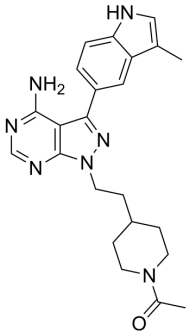
ALK5-IN-79

Cat. No.:	HY-163507
CAS No.:	2725056-38-0
Molecular Formula:	$C_{23}H_{27}N_7O$
Molecular Weight:	417.51
Target:	Anaplastic lymphoma kinase (ALK)
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ALK5-IN-79 (compound 57) is an ALK inhibitor with anticancer activity, by blocking TGF-β1/SMAD signaling pathway. ALK5-IN-79 attenuates the production of extracellular matrix (ECM) and deposition of collagen. ALK5-IN-79 exhibits adequate pharmacokinetic (PK) properties and good in vivo tolerance.

In Vitro

ALK5-IN-79 (1000 nM; 2 h) has strong-to oderate inhibitory activities against ALK5, SRC, LCK, BRAF (V600E), RET, PDGFRα, EGFR and KDR at 1000 nM (inhibitory rate >20%) [1].

ALK5-IN-79 (0, 0.125, 0.25, 0.5, 1.0 and 2.0 μM; 1 h) inhibits the phosphorylation of smad 3, at a dose-dependent pattern[1].

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

Western Blot Analysis[1]

Cell Line:	Abnormal proliferation of cancer associated fibroblasts
Concentration:	0-2.0 μM
Incubation Time:	1 h
Result:	ALK5-IN-79 blocked the TGF-β1 induced upregulation of collagen I (Col1) and α-SMA at mRNA and protein levels, i.e., the targeted genes of samd 3. ALK5-IN-79 led to the reduced secretion of Col 1.

In Vivo

ALK5-IN-79 (300 mg/kg/day; ip; every day for 7 days) decreases the weight of the mice. ALK5-IN-79 is safe in vivo[1].

ALK5-IN-79 (10 and 50 mg/kg/day; iv; every other day for 24 days) resultes in tumor growth inhibition (TGI) rates of 61.9% and 80.5% in the Syngeneic Model, ALK5-IN-79 (10 and 50 mg/kg/day; iv; every other day for 24 days) resultes in tumor growth inhibition (TGI) rates of 62.1% and 75.6% in the PANC-1 subcutaneous xenograft model. ALK5-IN-79 inhibites pancreatic cancer tumor growth in a metrology-dependent manner[1].

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Animal Model:	Pan02 syngeneic model and PANC-1 subcutaneous xenograft mode
Dosage:	10mg/kg and 50mg/kg ; every other day for 24 days
Administration:	Intravenous injection (i.v.)

Result:	Inhibited the growth of pancreatic cancer tumors in a dose-dependent manner

REFERENCES

[1]. Yubo Wang et al. Design, synthesis and evaluation of a pyrazolo[3,4-d]pyrimidine derivative as a novel and potent TGFβ1R1 inhibitor. European Journal of Medicinal Chemistry. Volume 271, 5 May 2024, 116395

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA