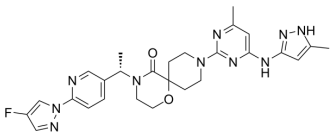
RET-IN-4

Cat. No.:	HY-132193
CAS No.:	2436473-55-9
Molecular Formula:	$C_{27}H_{31}FN_{10}O_2$
Molecular Weight:	546.6
Target:	RET
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	RET-IN-4 is a potent, selective and orally active RET inhibitor with IC_{50}s of 1.29 nM, 1.97 nM, and 0.99 nM for RET (WT), RET (V804M), and RET (M918T), respectively. RET-IN-4 exhibits better kinases selectivity against JAK2 (IC_{50} of 4.4 nM) and FLT3 (IC_{50} of 30.8 nM). RET-IN-4 has anticancer effects[1].
IC_{50} & Target	IC50: 1.29 nM (RET (WT)), 1.97 nM (RET (V804M)), and 0.99 nM (RET (M918T))[1]
In Vitro	The proliferation of Ba/F3 cells transformed with NSCLC related KIF5B-RET fusion is effectively suppressed by RET-IN-4 (compound 9) (IC_{50} of 19 nM). RET-IN-4 displays less 'off-target' effects than BLU-667[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RET-IN-4 (compound 9; p.o.; 10-20 mg/kg; p.o.; daily; for 10 days) treatment represses tumor growth driven by KIF5B-RET-Ba/F3 cells in a dose-dependent manner[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Immunodeficient nude/nude mice bearing KIF5B-RET Ba/F3 cells[1]
Dosage:	10 mg/kg, 20 mg/kg
Administration:	p.o.; daily; for 10 days
Result:	The tumor growth was remarkably suppressed.

REFERENCES

[1]. Zhibo Luo, et al. Discovery and Optimization of Selective RET Inhibitors via Scaffold Hopping. Bioorg Med Chem Lett. 2021 May 28;128149.

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

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