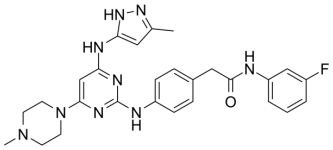
RET-IN-11

Cat. No.:	HY-144131
CAS No.:	2764891-88-3
Molecular Formula:	$C_{27}H_{30}FN_9O$
Molecular Weight:	515.59
Target:	Apoptosis; RET
Pathway:	Apoptosis; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

RET-IN-11 is a potent and selective RET inhibitor with IC_{50}s of 6.20 nM, 18.68 nM for RET and RET^{V804M}, respectively. RET-IN-11 shows anti-proliferation and migration activity in CCDC6-RET-driven LC-2/ad cells. RET-IN-11 induces cell apoptosis[1].

IC_{50} & Target

IC_{50}: 6.20 nM (RET); 18.68 nM (RET^{V804M})[1]

In Vitro

RET-IN-11 (compound 20) (72 h) shows inhibition activity with an IC_{50} of 18.68 nM for RET^{V804M}, and shows anti-proliferation activities in CCDC6-RET-driven LC-2/ad cells[1].

RET-IN-11 (0-200 000 nM) shows selectivity with IC_{50}s of 6.20, 96.38, 87.57, 1421.75, >200 000, 100.17, 112.95 nM for RET, Aurora A, CSF-1R, MAP4K4, NEK2, TRKA, FLT3, respectively[1].

RET-IN-11 (500, 1000 nM) induces cell apoptosis in LC-2/ad Cells[1].

RET-IN-11 (1, 2 μM; 48 h) inhibits the migration with the wound healing percentages of 43% and 27% at 1 μM and 2 μM[1].

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

Cell Proliferation Assay[1]

Cell Line:	LC-2/ad Cells
Concentration:	
Incubation Time:	72 h
Result:	Showed anti-proliferation activities with an IC_{50} of 100.26 nM.

Western Blot Analysis[1]

Cell Line:	RAT1 (RET^{C634R}), NCOA4-RET/NCOA4-RET^{V804M}/NCOA4-RET^{G810R} transfected HEK293T cells
Concentration:	100, 500, 2500 nM
Incubation Time:	2 h
Result:	Significantly inhibits the auto-phosphorylation of RET^{C634R} and NCOA4-RET on Y905 and Y1062 at 100 nM and 500 nM.

Apoptosis Analysis[1]

Cell Line:	LC-2/ad Cells
Concentration:	500, 1000 nM
Incubation Time:	48 h
Result:	Increased the fraction of apoptotic cell for 500 nM (31% increase) and 1 μM (36% increase), respectively.

REFERENCES

[1]. Zhang L, et al. Discovery of N-Trisubstituted Pyrimidine Derivatives as Type I RET and RET Gatekeeper Mutant Inhibitors with a Novel Kinase Binding Pose. J Med Chem. 2022 Jan 27;65(2):1536-1551.

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