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Data Sheet

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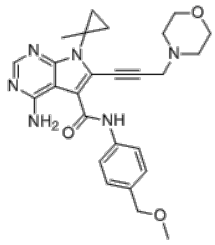
Product Name	:	Vepafestinib
Cat. No.	:	PC-38426
CAS No.	:	2129515-96-2
Molecular Formula	:	$C_{26}H_{30}N_6O_3$
Molecular Weight	:	474.565
Target	:	RET Tyrosine Kinase (c-RET)
Solubility	:	10 mM in DMSO



Biological Activity

Vepafestinib (TAS0953, HM06) is a next-generation **RET** inhibitor, potently inhibits recombinant WT RET kinase with IC50 of 0.33 nM, targets RET wild-type (WT) kinase and RET solvent front mutants (RETL730, RETV804 and RETG810).
Vepafestinib (TAS0953, HM06) displays excellent kinase selectivity against a panel of 255 recombinant kinases.
Vepafestinib (TAS0953, HM06) potently inhibits growth of Ba/F3 cells expressing KIF5B–RETWT or KIF5B–RET mutants (V804M, V804L, G810R, G810S, G810C), but not selpercatinib and pralsetinib.
Vepafestinib (TAS0953, HM06) suppresses phosphorylation of RETG810R, RETG810S and RETG810C with near-complete inhibition at 100 nM in Ba/F3 KIF5B–RETWT cells, but not selpercatinib and pralsetinib.
Vepafestinib (TAS0953, HM06) inhibits transmission of signals and blocks growth of cells with RET alterations, effectively inhibits cell growth of HBECp53-RET (CCDC6-RET fusion; IC50 = 60 nM), with little effect on the isogenic control HBECp53-EV cells.
Vepafestinib (TAS0953, HM06) modulates expression of cell cycle and apoptosis markers.
Vepafestinib (TAS0953, HM06) treatment (50 mg per kg BID and 100 mg per kg, once daily (QD)) caused significant reductions in ECLC5B xenograft tumor growth, shows anti-tumor activity against KIF5B–RETG810R-driven allograft tumors.
Vepafestinib (TAS0953, HM06) is more effective than selpercatinib at penetrating the brain and blocking intracranial tumor growth.

References

Patent WO2017146116 A1.

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