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Data Sheet

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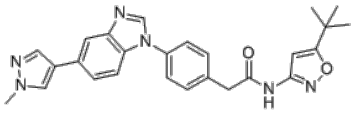
Product Name	:	Pz-1
Cat. No.	:	PC-61163
CAS No.	:	1800505-64-9
Molecular Formula	:	$C_{26}H_{26}N_6O_2$
Molecular Weight	:	454.534
Target	:	RET Tyrosine Kinase (c-RET)
Solubility	:	10 mM in DMSO



Biological Activity

Pz-1 is a potent, dual pan-**RET/VEGFR2** kinase inhibitor with IC50s of <1 nM for all RET, RET V804M and VEGFR2.
Pz-1 displays good global kinase selectivity with only 7 kinases against a 91 kinase panel at 50 nM, strongly inhibites phosphorylation of RET and mutant RET oncoproteins at 1 nM in cell-based assays, inhibits RETC634Y NIH3T3 fibroblast proliferation with IC50 of 0.5 nM.
Pz-1 abrogates the formation of tumors induced by RET-mutant fibroblasts and blocks the phosphorylation of both RET and VEGFR2 in tumor tissue at 1.0 mg/kg without detectable toxicity.

References

Frett B, et al. ***Angew Chem Int Ed Engl.*** 2015 Jul 20;54(30):8717-21.

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