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Data Sheet

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Product Name :	JH-VIII-157-02
Cat. No. :	PC-35352
CAS No. :	1639422-97-1
Molecular Formula :	C ₂₈ H ₂₇ N ₅ O ₂
Molecular Weight :	465.557
Target :	Anaplastic Lymphoma Kinase (ALK)
Solubility :	10 mM in DMSO

N.C.N.S.

Biological Activity

JH-VIII-157-02 is a potent, orally active, CNS-permeable, second-generation inhibitor of **ALK G1202R mutant** with IC50 of 2 nM, also shows high potency against a variety of other frequently observed mutants (G1269A, S1206Y, F1174L and C1156Y).

JH-VIII-157-02 inhibits EML4-ALKWT with IC50 of 2 nM, demonstrates inhibition of CSNK2A1 <10 uM, IRAK1 (IC50 =14 nM), IRAK4 with (IC50=465 nM), CLK4 (IC50=14 nM), RET (IC50=3 nM), RET V804L (IC50=13 nM). JH-VIII-157-02 potently inhibits proliferation of NSCLC H3122 cell line with IC50 of 5 nM.

References

Hatcher JM, et al. *J Med Chem*. 2015 Dec 10;58(23):9296-9308.

Wang H, et al. Drug Des Devel Ther. 2018 May 9;12:1183-1193.