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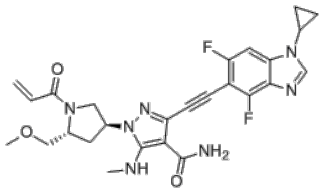
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	KIN-3248
Cat. No.	:	PC-21321
CAS No.	:	2750709-91-0
Molecular Formula	:	$C_{26}H_{27}F_2N_7O_3$
Molecular Weight	:	523.54
Target	:	FGFR
Solubility	:	10 mM in DMSO



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Biological Activity

Resigratinib (KIN-3248) is a next-generation, irreversible, orally available, small molecule **pan-FGFR** inhibitor with IC50 of 3.9/5.3/9.7 nM for FGFR1/2/3, potently inhibits FGFR2 and FGFR3 gatekeeper, molecular brake, and activation loop mutations with IC50 of 5-20 nM.
Resigratinib (KIN-3248) exhibits low nanomolar biochemical potency against wild-type FGFR family members as well as mutants associated with resistance to FGFR inhibitors (IC50 3.9-24.1 nM).
Resigratinib (KIN-3248) is active in human FGFR2-PHGDH fusion-positive CCLP-1 and FGFR2-OPTN fusion-positive ICC13-7 cholangiocarcinoma cell lines engineered to express wild-type or clinically relevant gatekeeper, molecular brake, and activation loop mutant alleles (EC50=2.4-9.9 nM).
Resigratinib (KIN-3248) induces dose-dependent tumor growth inhibition and regressions in FGFR inhibitor-resistant, patient-derived gastric cancer and cholangiocarcinoma models harboring secondary FGFR2 kinase domain mutations.
Resigratinib (KIN-3248) is highly-selective, potent and broad-spectrum activity against mutations in both the FGFR2 and FGFR3 kinase domains- including gatekeeper, molecular brake, and activation loop alterations.

References

Aleksandra Franovic, et al. 2022 ASCO Gastrointestinal Cancers Symposium.

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