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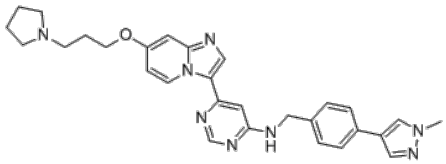
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	M4205
Cat. No.	:	PC-20159
CAS No.	:	2590556-80-0
Molecular Formula	:	$C_{29}H_{32}N_8O$
Molecular Weight	:	508.63
Target	:	c-Kit
Solubility	:	10 mM in DMSO



Biological Activity

M4205 (IDRX-42) is a potent, highly selective inhibitor of KIT mutations with cell IC50 of 52 nM on cKIT autophosphorylation in the GIST430/654 cell line.
M4205 inhibits KIT autophosphorylation at Y703 in the imatinib sensitive GIST430 cell line with an IC50 value of 4 nM.
M4205 inhibits the imatinib-resistant cell line GIST430/654 (exon 11 and exon 13 mutation) and the AML cell line Kasumi-1 (exon 17 mutation N822 K) with IC50 values of 48 and 4 nM, respectively.
M4205 displays high selectivity in a biochemical panel of 398 kinases at 1uM, only inhibits PDGFRA, PDGFRB, KIT (wt), FLT3, CSF1R, and lymphocyte-specific protein tyrosine kinase (LCK) with >80% inhibtion.
M4205 also displays high cellular kinase selectivity at 1 μM using a panel of NanoBRET assays, only bindsto KIT (wt) and FLT3 with 65% and 45% occupancy.
M4205 (35 mg/kg) showed strong in vivo tumor growth inhibition and led to regression in mice bearing GIST430/654 tumor, with dose- and exposure-dependent inhibition of KIT autophosphorylation.

References

Andreas Blum, et al. J Med Chem. 2023 Feb 23;66(4):2386-2395.

E-mail: tech@probechem.com