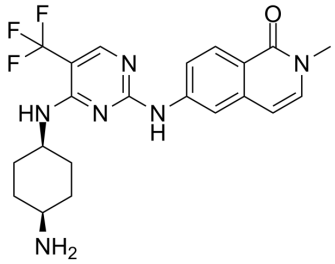
FLT3-IN-12

Cat. No.:	HY-143895
CAS No.:	2499966-67-3
Molecular Formula:	$C_{21}H_{23}F_3N_6O$
Molecular Weight:	432.44
Target:	FLT3
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	FLT3-IN-12 is a potent, selective and orally active FLT3 kinase inhibitor with IC_{50}s of 1.48 nM and 2.87 nM for FLT3-WT and FLT3-D835Y, respectively. FLT3-IN-12 possesses high selectivity over c-KIT (>1000-fold). FLT3-IN-12 has an excellent anti-AML (acute myeloid leukemia) activity (MV4-11, IC_{50} of 0.75 nM)[1].
IC_{50} & Target	IC50: 1.48 nM (FLT3-WT) and 2.87 nM (FLT3-D835Y)[1]
In Vitro	FLT3-IN-12 (compound 36) efficiently inhibits the growth of multiple mutant BaF3 cells expressing FLT3-ITD, FLT3-D835V/F, FLT3-F691L, FLT3-ITD-F691L, and FLT3-ITD-D835Y with the IC_{50} range is 0.16-14.5 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral administration of FLT3-IN-12 (compound 36) at 6 mg/kg/d could significantly suppress tumor growth in the MV4-11 cell-inoculated xenograft model, exhibiting a tumor growth inhibitory rate of 95.1%. Importantly, FLT3-IN-12 could prolong the mouse survival time in the FLT3-ITD-TKD dual mutation syngeneic mouse model (BaF3-FLT3-ITD-D835Y) at a dose of 6 mg/kg p.o. bid/4W[1]. FLT3-IN-12 (compound 36; 20 mg/kg; oral administration) exhibits excellent plasma exposure (area under the curve (AUC) $_{0-\infty}$ of 5278 ng·h/mL), $T_{1/2}$ of 3.7 hours, and high maximum plasma concentrations (C_{max} of 775 ng/mL)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lexian Tong, et al. Identification of 2-Aminopyrimidine Derivatives as FLT3 Kinase Inhibitors with High Selectivity over c-KIT. J Med Chem. 2022 Feb 24;65(4):3229-3248.

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