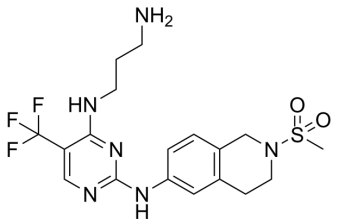
FLT3/CHK1-IN-2

Cat. No.:	HY-162367
Molecular Formula:	$C_{18}H_{23}F_3N_6O_2S$
Molecular Weight:	444.47
Target:	FLT3; Checkpoint Kinase (Chk)
Pathway:	Protein Tyrosine Kinase/RTK; Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	FLT3/CHK1-IN-2 (Compound 30) is a dual inhibitor of FLT3 and CHK1, with IC_{50}s of 25.63, 16.39, 22.80 nM for CHK1, FLT3-WT, and FLT-D835Y respectively. FLT3/CHK1-IN-2 has favorable oral PK properties and kinase selectivity. FLT3/CHK1-IN-2 can be used for research of acute myeloid leukemia (AML)[1].
In Vitro	FLT3/CHK1-IN-2 shows antiproliferation activity against MV4-11 cell (IC_{50}: <4 nM)[1]. FLT3/CHK1-IN-2 shows antiproliferative activity against BaF3 cells with a variety of FLT3 mutations (IC_{50}: 28.96, 29.30, 26.37, 30.24, 75.81 nM for BaF3-FLT3-F691L, BaF3-FLT3-D835F, BaF3-FLT3-D835V, BaF3-FLT3-ITD, BaF3-FLT3-ITD/D835Y cells)[1]. FLT3/CHK1-IN-2 (0-100 nM) inhibits the phosphorylation of FLT3 and its main downstream effectors, STAT5 (Tyr694), AKT (Ser473) and ERK (Tyr204) in MV4-11 cells, and decreases the pS296-CHK1 level and the c-Myc protein expression level[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	FLT3/CHK1-IN-2 (20 mg/kg, p.o.) has favorable PK properties, with the C_{max} of 2213.07 ng/mL, and the AUC(0−t) of 2736.58 h·ng/mL[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Deng M, et al. Discovery of 5-trifluoromethyl-2-aminopyrimidine derivatives as potent dual inhibitors of FLT3 and CHK1. RSC Med Chem. 2023 Dec 7;15(2):539-552.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA