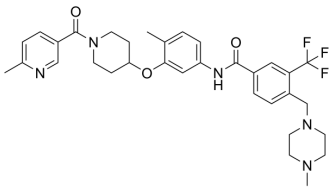
CHMFL-ABL/KIT-155

Cat. No.:	HY-101034
CAS No.:	2081093-21-0
Molecular Formula:	$C_{33}H_{38}F_3N_5O_3$
Molecular Weight:	609.68
Target:	Bcr-Abl; c-Kit; Apoptosis; PDGFR; Discoidin Domain Receptor
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CHMFL-ABL/KIT-155 (CHMFL-ABL-KIT-155; compound 34) is a highly potent and orally active type II ABL/c-KIT dual kinase inhibitor (IC_{50}s of 46 nM and 75 nM, respectively), and it also presents significant inhibitory activities to BLK (IC_{50}=81 nM), CSF1R (IC_{50}=227 nM), DDR1 (IC_{50}=116 nM), DDR2 (IC_{50}=325 nM), LCK (IC_{50}=12 nM) and PDGFRβ (IC_{50}=80 nM) kinases. CHMFL-ABL/KIT-155 (CHMFL-ABL-KIT-155) arrests cell cycle progression and induces apoptosis[1].
IC_{50} & Target	IC50: 46 nM (type II ABL), 75 nM (c-KIT), 81 nM (BLK), 227 nM (CSF1R), 116 nM (DDR1), 325 nM (DDR2), 12 nM (LCK), 80 nM (PDGFRβ)[1]
In Vitro	CHMFL-ABL/KIT-155 (CHMFL-ABL-KIT-155) exhibits anti-proliferation activities in the BCR-ABL dependent CML cancer cell lines such as K562 (GI_{50}: 0.027 μM), MEG-01 (GI_{50}: 0.02 μM), and KU812 (GI_{50}: 0.056 μM). It also potently inhibits the growth of c-KIT dependent GISTs cancer cell lines including GIST-T1 (GI_{50}: 0.023 μM), GIST-882 (GI_{50}: 0.095 μM) but not c-KIT independent GIST-48B (GI_{50}: 3.96 μM) [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CHMFL-ABL/KIT-155 (CHMFL-ABL-KIT-155) (25-100 mg/kg; p.o.; once daily for 28 days) shows dose-dependent tumor progression suppression without apparent toxicity in female nu/nu mice bearing established K562 tumor xenografts[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wang Q, et al. Discovery of 4-Methyl-N-(4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)phenyl)-3-((1-nicotinoylpiperidin-4-yl)oxy)benzamide (CHMFL-ABL/KIT-155) as a Novel Highly Potent Type II ABL/KIT Dual Kinase Inhibitor with a Distinct Hinge Binding. J Med Chem. 2017 Jan 12;60(1):273-289.

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