

Product Data Sheet

Product Name: CHMFL-ABL/KIT-155

Cat. No.: GC35682

Chemical Properties

Cas. No. 2081093-21-0

SMILES O=C(N1CCC(OC2=CC(NC(C3=CC=C(CN4CCN(C)CC4)C(C(F)(F)F)=C3)=O)=CC=C2C)CC1)C5=CC=C(C)N=C5

Formula $C_{33}H_{38}F_3N_5O_3$ M.Wt 609.68

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure

Background

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 (IC₅₀s of 46 nM and 75 nM, respectively), and it also presents significant inhibitory activities to BLK (IC₅₀=81 nM), CSF1R (IC₅₀=227 nM), DDR1 (IC₅₀=116 nM), DDR2 (IC₅₀=325 nM), LCK (IC₅₀=12 nM) and PDGFRβ (IC₅₀=80 nM) kinases. CHMFL-ABL/KIT-155 (CHMFL-ABL-KIT-155) arrests cell cycle progression and induces apoptosis[1]. 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]

CHMFL-ABL/KIT-155 (CHMFL-ABL-KIT-155) exhibits anti-proliferation activities in the BCR-ABL dependent CML cancer cell lines such as K562 (GI₅₀: 0.027 μM), MEG-01 (GI₅₀: 0.02 μM), and KU812 (GI₅₀: 0.056 μM). It also potently inhibits the growth of c-KIT dependent GISTs cancer cell lines including GIST-T1 (GI₅₀: 0.023 μM), GIST-882 (GI₅₀: 0.095 μM) but not c-KIT independent GIST-48B (GI₅₀: 3.96 μM) [1].

CHMFL-ABL/KIT-155 (CHMFL-ABL-KIT-155) (25-100 mg/kg; p.o.; once daily for 28 days)

Caution: Product has not been fully validated for medical applications. For research use only.

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shows dose-dependent tumor progression suppression without apparent toxicity in female nu/nu mice bearing established K562 tumor xenografts[1].

[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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