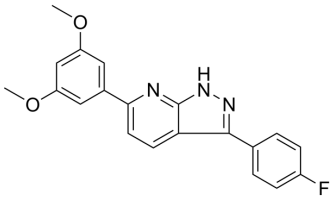
APcK110

Cat. No.:	HY-110071
CAS No.:	1001083-74-4
Molecular Formula:	$C_{20}H_{16}FN_3O_2$
Molecular Weight:	349.36
Target:	c-Kit
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

APcK110 is a potent Kit inhibitor that can be used for the research of acute myeloid leukemia (AML). APcK110 induces AML cell apoptosis[1].

In Vitro

APcK110 (0-500 nM; 0-72 h) inhibits AML cell viability and proliferation. APcK110 preferentially inhibits KIT mutated BaF3 cell lines[1].
APcK110 (0-500 nM; 30 min) inhibits the phosphorylation of Kit, Stat3, Stat5, and Akt[1].
APcK110 (500 nM; overnight) induces caspase-dependent apoptosis in OCI/AML3 cells[1].
APcK110 (50-500 nM; 7 days) inhibits AML blast colony-forming cell proliferation[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	OCI/AML3, HMC1.2, BaF3 and mutant KIT-expressing BaF3 cells
Concentration:	0-500 nM
Incubation Time:	0, 24, 48 and 72 h
Result:	Inhibited proliferation in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	OCI/AML3 and HMC1.2
Concentration:	0, 50, 100, 250 and 500 nM
Incubation Time:	30 min
Result:	Showed a dose-dependent inhibition of the phosphorylation of Kit, Stat3, Stat5, and Akt, a downstream effector of phosphatidylinositol 3-kinase.

Apoptosis Analysis[1]

Cell Line:	OCI/AML3 cells
Concentration:	500 nM

Incubation Time:	Overnight
Result:	Induced apoptosis by activation of the caspase pathway.

Cell Cycle Analysis[1]

Cell Line:	OCI/AML3 cells
Concentration:	500 nM
Incubation Time:	2 h
Result:	Showed a shift of cells into sub-G0 following a 2 h incubation.

In Vivo

APcK110 (500 nM; i.p.; every other day for 60 days) shows anti-AML activity in mice[2].

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Animal Model:	Eight-weeks-old female NODSCID mice, OCI/AML3 xenograft mouse model[2]
Dosage:	500 nM
Administration:	Intraperitoneal injection, every other day for 60 days
Result:	Survival of compound-treated mice was significantly longer compared with mice injected with PBS.

REFERENCES

[1]. Faderl S, et al. Kit inhibitor APcK110 induces apoptosis and inhibits proliferation of acute myeloid leukemia cells. Cancer Res. 2009 May 1;69(9):3910-7.

[2]. Faderl S, et al. Kit inhibitor APcK110 extends survival in an AML xenograft mouse model. Invest New Drugs. 2011 Oct;29(5):1094-7.

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