ZMF-10

Cat. No.: HY-146786

CAS No.: 2415295-37-1

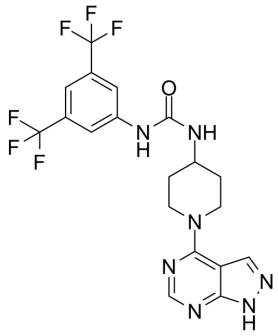
Molecular Formula: $C_{19}H_{17}F_6N_7O$

Molecular Weight: 473.37

Target: PAK; Apoptosis

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ZMF-10 is a highly potent PAK1 inhibitor, with IC_{50}s of 174 nM, 1.038 μM and 1.372 μM for PAK1, PAK2 and PAK3, respectively. ZMF-10 can inhibit PAK1 activity to affect PAK1-regulated apoptosis, ER-Stress and migration in MDA-MB-231 cells. ZMF-10 can be used for researching anticancer[1].

IC_{50} & Target

PAK1	PAK2	PAK3
174 nM (IC_{50})	1.038 μM (IC_{50})	1.372 μM (IC_{50})

In Vitro

ZMF-10 (0-10 μM; 48 hours) exhibits potent antiproliferative activity in a dose-dependent manner[1].
ZMF-10 (20 μM; 24 hours) suppresses the phosphorylation of PAK1 at Ser199 and Thr212[1].
ZMF-10 (10-40 μM; 24 hours) downregulates the expression of ERK, and suppresses the phosphorylation of c-Raf, MEK and ERK; up-regulates the expression of Bax and downregulates the expression of Bcl-2; induces apoptosis in a dose-dependent manner with the increase of early and late apoptotic cell population[1].
ZMF-10 (10-40 μM; 24 hours) inhibits the PI3K-AKT-mTOR signaling with the decreasing phosphorylation of AKT and mTOR; inhibits JNK1/2 and cells migration in MDA-MB-231 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	MDA-MB-231[1]
Concentration:	0-10 μM
Incubation Time:	48 hours
Result:	Exhibited potent antiproliferative activity in a dose-dependent manner with an IC_{50} value of 3.48 μM.

Immunofluorescence

Cell Line:	MDA-MB-231[1]
Concentration:	20 μM
Incubation Time:	24 hours
Result:	Suppressed the phosphorylation of PAK1 at Ser199 and Thr212.

Western Blot Analysis	
Cell Line:	MDA-MB-231[1]
Concentration:	10, 20 and 40 μM
Incubation Time:	24 hours
Result:	Downregulated the expression of ERK, and suppressed the phosphorylation of c-Raf, MEK and ERK; up-regulated the expression of Bax and downregulated the expression of Bcl-2.

Apoptosis Analysis	
Cell Line:	MDA-MB-231[1]
Concentration:	10, 20 and 40 μM
Incubation Time:	24 hours
Result:	Induced apoptosis in a dose-dependent manner with the increase of early and late apoptotic cell population.

REFERENCES

[1]. Zhang J, et al. Design, synthesis and biological evaluation of 1H-pyrazolo [3,4-d]pyrimidine derivatives as PAK1 inhibitors that trigger apoptosis, ER stress and anti-migration effect in MDA-MB-231 cells. Eur J Med Chem. 2020;194:112220.

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