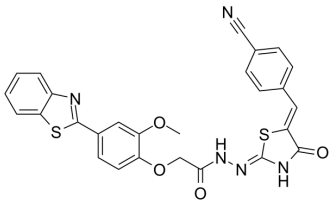
FGFR1 inhibitor-6

Cat. No.:	HY-143272
Molecular Formula:	$C_{27}H_{19}N_5O_4S_2$
Molecular Weight:	541.6
Target:	FGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	FGFR1 inhibitor-6 is a potent FGFR1 inhibitor with an IC_{50} value of 16.31 nM. FGFR1 inhibitor-6 shows cytotoxic activities. FGFR1 inhibitor-6 induces apoptosis and cell cycle arrest at pre-G1 and G2/M phase[1].
IC_{50} & Target	FGFR1 16.31 nM (IC_{50})

In Vitro

FGFR1 inhibitor-6 (compound 3) (48 h) shows cytotoxic activities with IC_{50}s of 2.06, 0.73, 97.2 μM for HepG-2, MCF-7, WI-38 cells, respectively[1].

FGFR1 inhibitor-6 (0.73 μM; 24 h) induces apoptosis and cell cycle arrest at pre-G1 and G2/M phase[1].

FGFR1 inhibitor-6 (0.73 μM; 24 h) increases the expression of caspase-3/7/9 protein levels in MCF-7 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	HepG-2, MCF-7, WI-38 cells
Concentration:	
Incubation Time:	48 h
Result:	Showed cytotoxic activities with IC_{50}s of 2.06, 0.73, 97.2 μM for HepG-2, MCF-7, WI-38 cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	0.73 μM
Incubation Time:	24 h
Result:	Induced pronounced increase in the cell percentages at pre-G1 and G2/M phase at 27.72% and 19.22%, respectively.

Apoptosis Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	0.73 μM
Incubation Time:	24 h
Result:	Induced apoptosis with late apoptosis percentages are 11.24 % and the early apoptosis percentages from 1.59% to 5.07%.

Western Blot Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	0.73 μM
Incubation Time:	24 h
Result:	Increased the expression of caspase-3/7/9 protein levels with the caspase-3, aspase-7, aspase-9 protein levels increased to 5.60, 11.62, 7.14 folds, respectively comparing with the untreated cells.

REFERENCES

[1]. Abd El-Meguid EA, et al. Synthesis, anticancer evaluation and molecular docking of new benzothiazole scaffolds targeting FGFR-1. Bioorg Chem. 2022 Feb;119:105504.

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