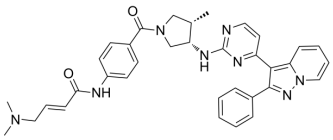
YL5084

Cat. No.:	HY-149930
CAS No.:	2440199-73-3
Molecular Formula:	$C_{35}H_{36}N_8O_2$
Molecular Weight:	600.71
Target:	JNK; Apoptosis
Pathway:	MAPK/ERK Pathway; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

YL5084, a covalent JNK inhibitor, exhibits selectivity for JNK2 and JNK3 over JNK1 with IC_{50}s of 70 nM, 84 nM and 2173 nM, respectively. YL5084 exhibits JNK2-independent antiproliferative effects and induces apoptosis in a JNK2-independent manner[1].

IC_{50} & Target

JNK2	JNK3	JNK1
70 nM (IC_{50})	84 nM (IC_{50})	2173 nM (IC_{50})

In Vitro

YL5084 (0.001-100 μM; 72 h) displays dose-dependent antiproliferative effects with GR_{50} values of 200-300 nM in MM.1S cells [1].

YL5084 (0.5, 2.5 μM; 24 h) induces apoptosis[1].

YL5084 displays weaker inhibition against PIKFYVE (K_D=5000 nM in a KdELECT binding assay) in KINOMEscan[1].

YL5084 has moderate metabolic stability in human and mice microsomes with half-lives of 16 and 11 min, respectively [1].

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

Cell Proliferation Assay[1]

Cell Line:	Multiple myeloma (MM) cell lines
Concentration:	0.001-100 μM
Incubation Time:	72 h
Result:	Displayed dose-dependent antiproliferative effects with GR_{50} values of 200-300 nM.

Apoptosis Analysis[1]

Cell Line:	MM.1S cells
Concentration:	0.5, 2.5 μM
Incubation Time:	24 h
Result:	Induced apoptosis as evidenced by an increased level of PARP cleavage, an increased level of cleavage of caspase 3, and an increased level of Annexin V/PI staining.

REFERENCES

[1]. Wenchao Lu, et al. Development of a Covalent Inhibitor of c-Jun N-Terminal Protein Kinase (JNK) 2/3 with Selectivity over JNK1. J Med Chem. 2023 Mar 9;66(5):3356-3371.

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