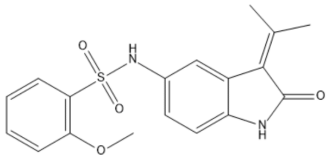
BRD4 Inhibitor-20

Cat. No.:	HY-146208
CAS No.:	2490311-14-1
Molecular Formula:	$C_{18}H_{18}N_2O_4S$
Molecular Weight:	358.41
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BRD4 Inhibitor-20 is a potent orally active bromodomain protein 4 (BRD4) inhibitor. BRD4 Inhibitor-20 has inhibitory activity for BRD4 (BD1) and BRD4 (BD2) with IC_{50} values of 19 nM and 28 nM, respectively. BRD4 Inhibitor-20 also has anti-proliferation activities in cancer cell lines. BRD4 Inhibitor-20 can be used for the research of kinds of cancer, such as colon cancer[1].

IC_{50} & Target

BRD4 BD1	BRD4 BD2	BRD2 (BD1)	BRD2 (BD2)
19 nM (IC_{50})	28 nM (IC_{50})	24 nM (IC_{50})	18 nM (IC_{50})

In Vitro

BRD4 Inhibitor-20 (compound 12j) exhibits excellent BRD4 inhibitory activities (BD1, IC_{50}=19 nM; BD2, IC_{50}=28 nM) and inhibitory activities against BRD2 (BD1, IC_{50}=24 nM; BD2, IC_{50}=18 nM)[1].

BRD4 Inhibitor-20 (0.5, 2.5, 5.0 μM; 24 h) reduces the expression of c-Myc[1].

BRD4 Inhibitor-20 (72 h) has anti-proliferation potency with IC_{50} values of 4.75 μM, 1.35 μM and 44.07 μM in HT-29, HL-60 and WI-38 cells, respectively[1].

BRD4 Inhibitor-20 (2.5, 5.0, 10.0 μM; 24 h) can arrest the cell-cycle progression of HT-29 cells into the G1 phase[1].

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

Western Blot Analysis[1]

Cell Line:	HT-29 cells
Concentration:	0.5, 2.5, 5.0 μM
Incubation Time:	24 h
Result:	Displayed profound inhibitory effects on c-Myc protein expression.

Cell Proliferation Assay[1]

Cell Line:	HT-29, HL-60 and WI-38 cells
Concentration:	
Incubation Time:	72 h
Result:	Possessed strong anti-proliferative activity and weak toxicity.

Cell Cycle Analysis[1]

Cell Line:	HT-29 cell lines
Concentration:	2.5, 5.0, 10.0 μM
Incubation Time:	24 h
Result:	Arrested the cell-cycle progression of the cell line into the G1 phases and the percentage of cells in G1 phase after treatment under concentrations of 2.5, 5.0 and 10.0 μM were 85.98%, 86.49% and 86.05%, respectively.

In Vivo

BRD4 Inhibitor-20 (compound 12j) (i.v., 5 mg/kg; p.o, 15mg/kg) exhibits favorable oral pharmacokinetic propertie[1].

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

Animal Model:	rats[1]
Dosage:	5 mg/kg, 15 mg/kg
Administration:	intravenous dosing (iv) or oral dosing (po)

Result:

PK Parameters	iv (5 mg/kg)	po (15 mg/kg)
C_{max}(μg/L)	-	2175
T_{max}(h)	-	1.00
$t_{1/2}$(h)	1.56	3.59
CL_z/F (L/h/kg)	0.68	1.03
AUC_{0-t}(μg/L*h)	7296	14384
$AUC_{0-\infty}$(μg/L*h)	7340	14600
F (%)	-	66%

REFERENCES

[1]. Yu Xu, et al. Design, synthesis and biological evaluation of indole-2-one derivatives as potent BRD4 inhibitors. Eur J Med Chem. 2020 Dec 15;208:112780.

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

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