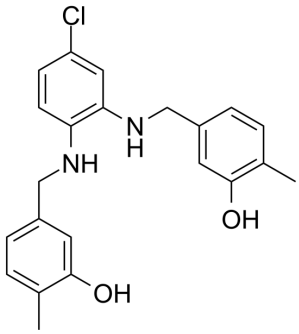
DRB18

Cat. No.:	HY-145963
CAS No.:	2863686-81-9
Molecular Formula:	$C_{22}H_{23}ClN_2O_2$
Molecular Weight:	382.88
Target:	GLUT
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (130.59 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6118 mL	13.0589 mL	26.1178 mL
	5 mM	0.5224 mL	2.6118 mL	5.2236 mL
	10 mM	0.2612 mL	1.3059 mL	2.6118 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

DRB18 is a potent pan-class GLUT inhibitor. DRB18 alters energy-related metabolism in A549 cells by changing the abundance of metabolites in glucose-related pathways. DRB18 can eventually lead to G1/S phase arrest and increase oxidative stress and necrotic cell death. DRB18 has anti-tumor activity[1].

IC_{50} & Target

GLUT[1]

In Vitro

DRB18 (0-10 μM; 30 min) reduces glucose uptake in GLUT1-4-expressed HEK293 cell lines in a dose-dependent manner with IC_{50}s varying from ~ 900 nM to ~ 9 μM[1].

?DRB18 (5 and 10 μM; 72 hours) causes cell cycle arrest in the G1/S phase transition[1].

?DRB18 (5 and 10 μM; 72 hours) increases ROS levels in A549 cells[1].

?DRB18 (5 and 10 μM; 72 hours) reduces expression of glycosylated GLUT1 and GLUT2-4 in A549 cells in a dose-dependent manner[1].

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

Cell Proliferation Assay

Cell Line:	GLUT1-4-expressed HEK293 cell lines[1]
Concentration:	0-10 μM
Incubation Time:	30 min
Result:	Reduced glucose uptake in these cell lines in a dose-dependent manner with IC_{50}s varying from ~ 900 nM to ~ 9 μM.

Cell Cycle Analysis

Cell Line:	A549[1]
Concentration:	5 and 10 μM
Incubation Time:	72 hours
Result:	Caused cell cycle arrest in the G1/S phase transition.

Western Blot Analysis

Cell Line:	A549[1]
Concentration:	5 and 10 μM
Incubation Time:	72 hours
Result:	Reduced expression of glycosylated GLUT1 and GLUT2-4 in A549 cells in a dose-dependent manner.

Western Blot Analysis

Cell Line:	A549[1]
Concentration:	5 and 10 μM
Incubation Time:	72 hours
Result:	Reduced expression of glycosylated GLUT1 and GLUT2-4 in A549 cells in a dose-dependent manner.

In Vivo

DRB18 (10 mg/kg; IP; thrice a week for 5 weeks) inhibits tumors volume by 44% and tumors weight by 43%[1].

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

Animal Model:	Male NU/J nude mice (3-4 weeks; tumor cell-injected)[1]
Dosage:	10 mg/kg
Administration:	IP; thrice a week for 5 weeks
Result:	The tumors were 44% smaller by volume and 43% smaller by weight, also showed DRB18 decreased expression of GLUT1-4 (Fig. 5f) and reduced proliferative capacity within the xenografted tumor.

REFERENCES

[1]. Shriwas P, Roberts D, Li Y, et al. A small-molecule pan-class I glucose transporter inhibitor reduces cancer cell proliferation in vitro and tumor growth in vivo by targeting glucose-based metabolism. Cancer Metab. 2021;9(1):14. Published 2021 Mar 26.

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