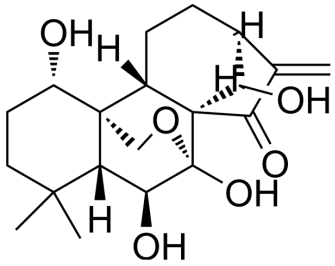
Oridonin

Cat. No.:	HY-N0004
CAS No.:	28957-04-2
Molecular Formula:	$C_{20}H_{28}O_6$
Molecular Weight:	364.43
Target:	Akt; Bacterial
Pathway:	PI3K/Akt/mTOR; Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (171.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7440 mL	13.7201 mL	27.4401 mL
	5 mM	0.5488 mL	2.7440 mL	5.4880 mL
	10 mM	0.2744 mL	1.3720 mL	2.7440 mL

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

In Vivo

1. Add each solvent one by one: 10% 1-Methyl-2-pyrrolidinone >> 90% PEG300
   Solubility: ≥ 5 mg/mL (13.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.71 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Oridonin (NSC-250682), a diterpenoid isolated from Rabdosia rubescens, acts as an inhibitor of AKT, with IC_{50}s of 8.4 and 8.9 μM for AKT1 and AKT2; Oridonin possesses anti-tumor, anti-bacterial and anti-inflammatory effects.

IC_{50} & Target		
	Akt1 8.4 μM (IC_{50})	Akt2 8.9 μM (IC_{50})

In Vitro	Oridonin is an ATP-competitive inhibitor of AKT with IC_{50}s of 8.4 and 8.9 μM for AKT1 and AKT2, respectively. Oridonin (5, 10 or 20 μM) obviously inhibits the growth of KYSE70, KYSE410 and KYSE450 ESCC cells via targeting AKT1/2. Oridonin (10 or 20 μM) causes G2/M phase cell cycle arrest in KYSE70, KYSE410 and KYSE450 cells, and induces apoptosis in these three cell lines at 20 μM. In addition, Oridonin (5, 10 or 20 μM) in combination with cisplatin or 5-FU enhances the inhibition of esophageal squamous cell carcinoma (ESCC) cell growth[1]. Oridonin (0.1 and 1 μM) preferentially suppresses AKT/mTOR signaling. Oridonin (1 μM) also selectively suppresses growth of breast cancer cells with hyperactivation of AKT signaling[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oridonin (160 mg/kg, p.o.) shows significant reduction in the tumor growth without obvious weigh loss in SCID mice bearing EG9 and HEG18 tumor cells. Oridonin treatment also suppresses the expression of Ki-67, phosphorylated AKT, GSK-3β or mTOR in mice[1]. Oridonin (15 mg/kg, i.p.) impairs cell growth in breast cancer with hyperactivation of AKT signaling in nude mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	For the AKT kinase assay, the ADP-Glo™ Kinase Assay Kit is used. Active AKT1 or AKT2 kinase and inactive GSK-3β as substrate are mixed by 1× reaction buffer and then added to a white 96-well plate. Pure ATP provided in the kit is serially diluted obtain a final concentration of 0, 1, 10, 50, and 100 μM. GSK-3β is added to reach a final concentration of 2.5, 5, 10 or 20 μM and DMSO is used as a control. The mixed solution is incubated at room temperature and luciferase activity is measured using the Luminoskan Ascent plate reader[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	Cells are seeded (6×10^3 cells/well for KYSE70; 2.5×10^3 cells/well for KYSE410; 2×10^3 cells/well for KYSE450) in 96-well plates and incubated for 24 h and then treated with different amounts of Oridonin or vehicle. After incubation for 24, 48 or 72 h, cell proliferation is measured by the MTT assay. For anchorage-independent cell growth assessment, cells (2.5, 5 or 10 μM Oridonin) suspended in complete medium are added to 0.3% agar with vehicle, 2.5, 5 or 10 μM Oridonin in a top layer over a base layer of 0.5% agar with vehicle, 2.5, 5 or 10 μM Oridonin. The cultures are maintained at 37°C in a 5% CO_2 incubator for 3 weeks and then colonies are visualized under a microscope and counted using the Image-Pro Plus software program[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] Breast cancer cells are harvested and resuspended in 40% Matrigel-Basement Membrane Matrix, LDEV-free, and then injected (100 μL per site) into the fourth pair of mammary fat pads of nude mice (CrTac: NCr-Foxn1nu). Tumors are measured in two dimensions using manual calipers. Tumor volume is calculated using the formula: Volume = 0.5 × length × width × width. Tumor volume is measured every 2-3 days. Upon harvesting, tumors are fixed in formalin overnight and then in 70% ethanol for histopathology analysis. Mice are treated with Oridonin (15 mg/kg) in 1% Pluronic F68 or vehicle (1% Pluronic F68) daily by intraperitoneal (IP) injection. BEZ235 is reconstituted 1:9 in 1-methyl-2 pyrolidone and polyethylene glycol 300 (PEG300) Mice are treated with this compound formulation at 45 mg/kg daily (QD) by oral gavage[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Adv Funct Mater. 2023 Dec 22.
- Acta Pharmacol Sin. 2022 Oct 10.
- Cell Mol Life Sci. 2021 Dec 31.
- Phytomedicine. 2024 Feb 7:126:155426.
- Phytomedicine. 2023 Oct 21, 155159.

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REFERENCES

[1]. Song M, et al. Targeting AKT with oridonin inhibits growth of esophageal squamous cell carcinoma in vitro and patient derived xenografts in vivo. Mol Cancer Ther. 2018 Apr 25. pii: molcanther.0823.2017.

[2]. Sun B, et al. Oridonin inhibits aberrant AKT activation in breast cancer. Oncotarget. 2018 Feb 1;9(35):23878-23889.

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