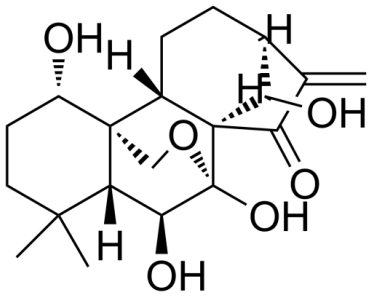
Data Sheet

Product Name:	Oridonin
Cat. No.:	CS-0007086
CAS No.:	28957-04-2
Molecular Formula:	$C_{20}H_{28}O_6$
Molecular Weight:	364.43
Target:	Akt; Bacterial
Pathway:	Anti-infection; PI3K/Akt/mTOR
Solubility:	DMSO : 62.5 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & Target:IC50: 8.4 μM (AKT1), 8.9 μM (AKT2)[1] ***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]. ***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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

Cell Assay: Oridonin is dissolved in DMSO, and then diluted before use[1].[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].

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].

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.

CAIndexNames:

Kaur-16-en-15-one, 7,20-epoxy-1,6,7,14-tetrahydroxy-, (1α,6β,7α,14R)-

SMILES:

O[C@@H]1[C@]2(CO3)[C@@]([C@H](O)[C@]3(O)[C@]45[C@@]2([H])CC[C@](C(C5=O)=C)([H])[C@@]4([H])O)([H])C(C)(C)CC1

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