5Z-7-Oxozeaenol

Cat. No.: HY-12686

CAS No.: 253863-19-3

Molecular Formula: $C_{19}H_{22}O_7$

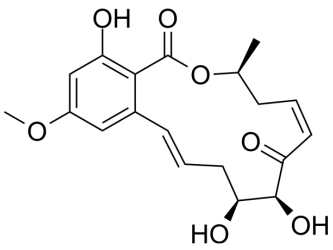
Molecular Weight: 362.37

Target: MAP3K; VEGFR; Antibiotic

Pathway: MAPK/ERK Pathway; Protein Tyrosine Kinase/RTK; Anti-infection

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (137.98 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7596 mL	13.7981 mL	27.5961 mL
	5 mM	0.5519 mL	2.7596 mL	5.5192 mL
	10 mM	0.2760 mL	1.3798 mL	2.7596 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

5Z-7-Oxozeaenol is a natural anti-protozoan compound from fungal origin, acting as a potent irreversible and selective inhibitor of TAK1 and VEGF-R2, with IC_{50}s of 8 nM and 52 nM, respectively.

IC_{50} & Target

TAK1 8.1 nM (IC_{50})	MEK1 411 nM (IC_{50})	VEGFR-2 52 nM (IC_{50})	VEGFR-3 110 nM (IC_{50})
FLT3 170 nM (IC_{50})	PDGFR-β 340 nM (IC_{50})	B-RAF VE 6300 nM (IC_{50})	SRC 6600 nM (IC_{50})

In Vitro

5Z-7-Oxozeaenol is a potent irreversible and selective inhibitor of transforming growth factor (TGF)-β-activated kinase 1 (TAK1, IC_{50}, 8.1 nM), less active on MEK1 (IC_{50}, 411 nM). 5Z-7-Oxozeaenol prevents inflammation by inhibiting the catalytic activity of TAK1 MAPK kinase kinase[1]. 5Z-7-Oxozeaenol is also an inhibitor of VEGF-R2, with an IC_{50} of 52 nM. 5Z-7-Oxozeaenol has inhibitory activity against VEGF-R3, FLT3, PDGFR-β, B-RAF VE and SRC, with IC_{50}s of 110, 170, 340, 6300 and 6600 nM, respectively[2]. 5Z-7-Oxozeaenol inhibits JNK/p38 paythway, but it is not a direct inhibitor and is signal specific. 5Z-7-Oxozeaenol suppresses the PMA-induced AP-1 activity almost to the basal level in the KT cells, but has no effects on IL-1-induced NF-kB activity in the KK cells[3].

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

PROTOCOL

Kinase Assay [1]

For screening TAK1 inhibitors, insect expression vectors for TAK1 and TAB1 are co-infected into Sf9 cells. After 2 days of incubation, cell lysates are immunoprecipitated with anti-TAK1 antibody (M-17). The immunoprecipitates are incubated with various compounds (5Z-7-Oxozeaenol, etc.) and subsequently incubated with 2 μg of myelin basic protein and 10 μCi of [γ-^{32}P]ATP (3,000 Ci/mmol) in 10 μL of the kinase buffer containing 10 mM HEPES (pH 7.4), 1 mM dithiothreitol, 5 mM $MgCl_2$ at 30°C for 5 min. Samples are separated by 10% SDS-PAGE, and ^{32}P incorporated into myelin basic protein is quantified with a bioimage analyzer. The catalytic activity of MEK1 is determined by activation of ERK2 to phosphorylate dure. The catalytic activity of MEKK1 is measured with 2 μg of myelin basic protein as a substrate in the kinase buffer. For subsequent kinase assays, immunoprecipitates are incubated with 5 μCi of [γ-^{32}P]ATP (3,000 Ci/mmol) and 1 μg of bacterially expressed MKK6 or GST-IκBα-(1-72) in 10 μL of the kinase buffer at 25°C for 2 min. Samples are separated by 10% SDS-PAGE and visualized by autoradiography[1].

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

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Cell Death Dis. 2022 Apr 30;13(4):421.
- Cell Death Discov. 2021 May 8;7(1):96.
- Int Immunopharmacol. 2022 Jan 6;104:108306.
- Viruses. 2022, 14(7), 1485.

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REFERENCES

[1]. Ninomiya-Tsuji J, et al. A resorcylic acid lactone, 5Z-7-oxozeaenol, prevents inflammation by inhibiting the catalytic activity of TAK1 MAPK kinase kinase. J Biol Chem. 2003 May 16;278(20):18485-90.

[2]. Dakas PY, et al. Modular synthesis of radicicol A and related resorcylic acid lactones, potent kinase inhibitors. Angew Chem Int Ed Engl. 2007;46(36):6899-902.

[3]. Takehana K, et al. A radicicol-related macrocyclic nonaketide compound, antibiotic LL-Z1640-2, inhibits the JNK/p38 pathways in signal-specific manner. Biochem Biophys Res Commun. 1999 Apr 2;257(1):19-23.

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

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