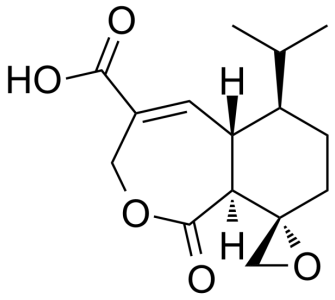
Heptelidic acid

Cat. No.:	HY-120838
CAS No.:	57710-57-3
Molecular Formula:	$C_{15}H_{20}O_5$
Molecular Weight:	280.32
Target:	Caspase
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description

Heptelidic acid (Koningic acid) is a sesquiterpene antibiotic[1]. Heptelidic acid inhibits Etoposide-induced apoptosis via downregulation of caspases[2]. Koningic acid (KA) is a specific GAPDH inhibitor with an $IC_{50}$of 90 μM[3].

IC_{50} & Target

Caspase-3

In Vitro

Heptelidic acid is a sesquiterpene antibiotic, found in the culture filtrate of three different strains of fungi isolated from soil samples. Heptelidic acid produces organisms, fermentation, isolation and characterization[1].

Heptelidic acid inhibits Etoposide-induced apoptosis in human leukemia U937 cells[2].Heptelidic acid inhibits caspase- 3 induction in U937 cells with an IC_{50} value of 40 μM after 8 h of Etoposide treatment[2].

Heptelidic acid (Koningic acid; KA), a natural product obtained from the Trichoderma fungus, can directly bind to the active site of human GAPDH. The expression of T. koningii KAr-GAPDH successfully rescued cell viability in human cells treated with Heptelidic acid. HEK293T cells expressing T. koningii KAr-GAPDH exhibited complete cell viability after treatment with 0-200 μM Heptelidic acid with the IC_{50}=5 μM[3].

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

Cell Viability Assay[3]

Cell Line:	HEK293T cells expressing KAr-GAPDH or EV (top left)
Concentration:	0.1, 1, 10, 100, 1000 μM
Incubation Time:	24 hours
Result:	IC_{50}=5 μM.

In Vivo

Heptelidic acid (Koningic acid; KA) is bioavailable and induces dynamic changes to glycolysis in vivo[3].

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Animal Model:	6-week old female Foxn1^{nu} mice bearing BT-474 tumor[3]
Dosage:	1, 2.5, 5, and 10 mg/kg
Administration:	Daily intraperitoneal (IP) injections, 24 hours

Result:	1 mg/kg was determined to be the maximum tolerated dose (MTD) based upon behavioral monitoring and adverse events at higher doses (hemolysis, hematuria, and anemia).

REFERENCES

[1]. Y Itoh, et al. A new sesquiterpene antibiotic, heptelidic acid producing organisms, fermentation, isolation and characterization. J Antibiot (Tokyo). 1980 May;33(5):468-73.

[2]. Jin Hee Kim, et al. Heptelidic acid, a sesquiterpene lactone, inhibits Etoposide-induced apoptosis in human leukemia U937 cells. J Microbiol Biotechnol. 2009 Aug;19(8):787-91.

[3]. Maria V Liberti, et al. A Predictive Model for Selective Targeting of the Warburg Effect through GAPDH Inhibition with a Natural Product. Cell Metab. 2017 Oct 3;26(4):648-659.e8.

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