(-)-Irofulven

Cat. No.: HY-14429

CAS No.: 158440-71-2

Molecular Formula: $C_{15}H_{18}O_3$

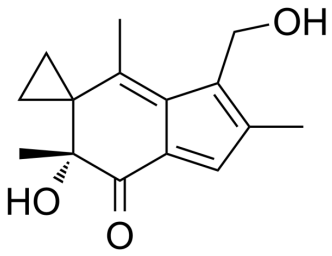
Molecular Weight: 246.3

Target: DNA Alkylator/Crosslinker; Apoptosis

Pathway: Cell Cycle/DNA Damage; Apoptosis

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (203.00 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0601 mL	20.3004 mL	40.6009 mL
	5 mM	0.8120 mL	4.0601 mL	8.1202 mL
	10 mM	0.4060 mL	2.0300 mL	4.0601 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 (10.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(-)-Irofulven (MGI 114), an Illudin S analog, is a DNA alkylating agent. (-)-Irofulven inhibits the replication of DNA, induces tumor cells apoptosis, and has potent antitumor activity[1][2].

In Vitro

(-)-Irofulven (2.8 μM; 1 hour) induces p53-dependent cell cycle arrest[1].
(-)-Irofulven (0.8 μM, 0.9 μM and 2.8 μM; 1 hour) induces CHK2 activation is related to p53 status in cells[1].
(-)-Irofulven inhibits DNA replication and induces chromosome aberrations (breaks and radials)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	A2780, CAOV3 and HCT116 cells

Concentration:	2.8 μM
Incubation Time:	1 hour
Result:	p53 wild-type cells mainly arrested at G1/S phases, while p53-mutated or p53-null cells arrested at S and G2/M phases.

Western Blot Analysis[1]

Cell Line:	A2780, CAOV3 and HCT116 cells
Concentration:	0.8 μM, 0.9 μM and 2.8 μM
Incubation Time:	1 hour
Result:	Induced the Thr 68 phosphorylation of CHK2 kinase in cells.

In Vivo

(-)-Irofulven (7 mg/kg; i.p; on days 1-5 and 8-12) produces a statistically significant increase in the median survival of mice bearing tumor cells[2].

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

Animal Model:	Male and female athymic BALB/c mice (nu/nu genotype, 6 weeks old or older) injected with human glioblastoma multiforme[2].
Dosage:	7 mg/kg
Administration:	i.p; on days 1-5 and 8-12
Result:	Was active against all tumor lines.

REFERENCES

[1]. Yutian Wang, et al. Irofulven induces replication-dependent CHK2 activation related to p53 status. Biochem Pharmacol. 2007 Feb 15;73(4):469-80.

[2]. H S Friedman, et al. Activity of irofulven (6-hydroxymethylacylfulvene) in the treatment of glioblastoma multiforme-derived xenografts in athymic mice. Cancer Chemother Pharmacol. 2001 Nov;48(5):413-6.

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