CITCO

Cat. No.: HY-103244

CAS No.: 338404-52-7

Molecular Formula: $C_{19}H_{12}Cl_3N_3OS$

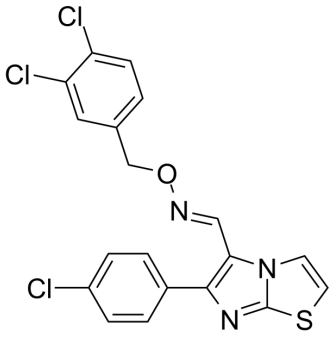
Molecular Weight: 436.74

Target: Apoptosis

Pathway: Apoptosis

Storage: -20°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (57.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2897 mL	11.4485 mL	22.8969 mL
	5 mM	0.4579 mL	2.2897 mL	4.5794 mL
	10 mM	0.2290 mL	1.1448 mL	2.2897 mL

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

BIOLOGICAL ACTIVITY

Description

CITCO, an imidazothiazole derivative, is a selective Constitutive androstane receptor (CAR) agonist. CITCO inhibits growth and expansion of brain tumour stem cells (BTSCs) and has an EC_{50} of 49 nM over pregnane X receptor (PXR), and no activity on other nuclear receptors[1].

IC_{50} & Target

CAR, PXR[1]

In Vitro

CITCO (1-50 μM; 48 hours) results in a dose-dependent inhibition of viable cell count and proliferation in both T98G and U87MG glioma and BTSCs[1].

CITCO (2.5, 5 μM; 48 hours) induces cell cycle arrest differentially in different BTSCs in culture, but not in normal astrocytes[1].

CITCO (2.5-10 μM; 48 hours) induces apoptosis in BTSCs in culture in dose dependently, but not in normal astrocytes[1].

CITCO (0-25 μM; 48 hours) causes the T98G and U87MG glioma and BTSCs expressing very low levels of CAR protein that increased significantly[1].

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

Cell Proliferation Assay[1]

Cell Line:	T98G, U87MG, DB29 and DB33 human glioma cells, astrocytes
Concentration:	1, 2.5, 5, 10, 25, 50 μM
Incubation Time:	48 hours
Result:	Resulted in a dose-dependent inhibition of viable cell count and proliferation.

Cell Cycle Analysis[1]

Cell Line:	The T98G, U87MG, DB29 and DB33 glioma cells
Concentration:	2.5, 5 μM
Incubation Time:	48 hours
Result:	Induced cell cycle arrest differentially in different BTSCs in culture.

Apoptosis Analysis[1]

Cell Line:	The T98G, U87MG, DB29 and DB33 glioma cells
Concentration:	2.5, 5 or 10 μM
Incubation Time:	48 hours
Result:	Increased the levels of Annexin V-positive apoptotic cells in dose dependently.

Western Blot Analysis[1]

Cell Line:	T98G, U87MG, DB29 and DB33 glioma cells
Concentration:	0 to 25 μM
Incubation Time:	48 hours
Result:	The T98G, U87MG glioma and BTSCs expressed very low levels of CAR protein that increased significantly.

In Vivo

CITCO (intraperitoneal; on days 22, 24, 26, 30 and 36) with 25 μg results a significant decrease in tumour growth, which further decreases to an undetectable level after treatment with 100 μg CITCO [1].

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

Animal Model:	Six- to eight-week-old male athymic nude mice[1]
Dosage:	25 or 100 μg
Administration:	Intraperitoneal; on days 22, 24, 26, 30 and 36
Result:	Decreased tumour growth.

REFERENCES

[1]. Chakraborty S, et al. Constitutive androstane receptor agonist CITCO inhibits growth and expansion of brain tumour stem cells. Br J Cancer. 2011 Feb 1;104(3):448-59.

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

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