Spiclomazine hydrochloride

Cat. No.: HY-122152

CAS No.: 27007-85-8

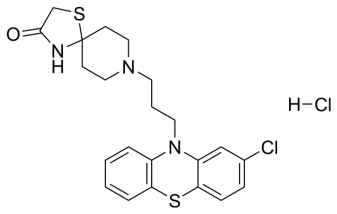
Molecular Formula: $C_{22}H_{25}Cl_2N_3OS_2$

Molecular Weight: 482.49

Target: Ras

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Spiclomazine hydrochloride (APY-606) is an antipsychotic and antitumor agent. Spiclomazine hydrochloride inhibits KRas. Spiclomazine hydrochloride induces cancer cell apoptosis[1][2].

IC_{50} & Target

K-RAS

In Vitro

Spiclomazine (0-100 μg/mL; 24 and 48 h) hydrochloride inhibits contact-independent colony formation of pancreatic carcinoma cells in a dose-dependent manner[1].

Spiclomazine (0.5x and 1x IC_{50}; 48 h) hydrochloride induces CFPAC-1 and MIA PaCa-2 cells apoptosis in the mitochondrial pathway, and significantly enhanced intracellular ROS level[1].

Spiclomazine (30 μg/mL; 24 h) hydrochloride suppresses cellular motility in CFPAC-1 and MIA PaCa-2 cells[1].

Spiclomazine (10 and 20 μg/mL; 24 h) hydrochloride arrests cancer cell cycle progression at G2 phase[2].

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

Cell Viability Assay[1]

Cell Line:	CFPAC-1, MIA PaCa-2, HEK-293 and HL-7702 cells
Concentration:	0-100 μg/mL
Incubation Time:	24 and 48 h
Result:	Resulted in a time and dose-dependent growth reduction of CFPAC-1 and MIA PaCa-2 cells. Exhibited less cytotoxicity to normal HEK-293 and HL-7702 cells. The IC_{50} for 48 h treatment was 15.2±2.0 μg/mL (31.5±2.0 μM) for CFPAC-1, 12.9±0.9 μg/mL (26.8±0.9 μM) for MIA PaCa-2, 41.9±1.4 μg/mL (86.9±1.4 μM) for HEK-293, and 71.2±3.3 μg/mL (147.7±3.3 μM) for HL-7702, respectively.

Apoptosis Analysis[1]

Cell Line:	CFPAC-1 and MIA PaCa-2 cells
Concentration:	7.6 and 15.2 μg/mL for CFPAC-1, 6.45 and 12.9 μg/mL for MIA PaCa-2
Incubation Time:	48 h
Result:	Increased early apoptotic cells.

Western Blot Analysis[1]

Cell Line:	CFPAC-1 and MIA PaCa-2 cells
Concentration:	10, 20 and 30 μg/mL
Incubation Time:	24 h
Result:	The cleavages of caspase-3/9 were increased in a dose-dependent manner. The expression of Bax was up-regulated concomitant with the related attenuation of Bcl-2 protein expression. The level of cytochrome c in cytosol was increased accompanied by the decrease of the level of cytochrome c in mitochondria.

Cell Migration Assay [1]

Cell Line:	CFPAC-1 and MIA PaCa-2 cells
Concentration:	30 μg/mL
Incubation Time:	24 h
Result:	Markedly suppressed the migration of both pancreatic carcinoma cells.

Cell Invasion Assay[1]

Cell Line:	CFPAC-1 and MIA PaCa-2 cells
Concentration:	30 μg/mL
Incubation Time:	24 h
Result:	Suppressed the invasion by down-regulating the expression of MMP-2/9.

Cell Cycle Analysis[2]

Cell Line:	MIA PaCa-2, CFPAC-1, BxPC-3, Capan-1 and SW1990 cells
Concentration:	10 and 20 μg/mL
Incubation Time:	24 h
Result:	Promoted cancer cell cycle arrest at either G2 phase in MIA PaCa-2, CFPAC-1, and BxPC-3 cell lines or S phase in Capan-1 and SW1990 cell lines.

In Vivo

Spiclomazine (68 mg/kg; i.p.; every other day for two weeks) hydrochloride reduces the growth of MIA PaCa-2 xenograft in mice[2].

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

Animal Model:	BALB/c mice, MIA PaCa-2 xenograft model[2]
Dosage:	68 mg/kg
Administration:	Intraperitoneal injection, every other day for two weeks
Result:	Completely blocked the growth of tumors in three of the five mice.

REFERENCES

[1]. Zhao W, et al. Spiclomazine induces apoptosis associated with the suppression of cell viability, migration and invasion in pancreatic carcinoma cells. PLoS One. 2013 Jun 20;8(6):e66362.

[2]. Guo X, et al. Spiclomazine displays a preferential anti-tumor activity in mutant KRas-driven pancreatic cancer. Oncotarget. 2018 Jan 8;9(6):6938-6951.

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