(-)-Securinine

Cat. No.: HY-N2079

CAS No.: 5610-40-2

Molecular Formula: $C_{13}H_{15}NO_2$

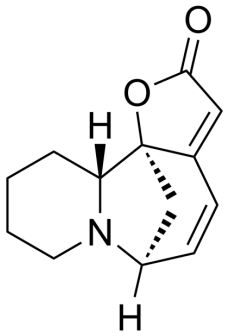
Molecular Weight: 217.26

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2 mg/mL (9.21 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	4.6028 mL	23.0139 mL	46.0278 mL
5 mM	0.9206 mL	4.6028 mL	9.2056 mL
10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

(-)-Securinine is plant-derived alkaloid and also a $GABA_A$ receptor antagonist.

IC_{50} & Target

$GABA_A$ receptor[1]

In Vitro

(-)-Securinine is a major plant-derived alkaloid and also a $GABA_A$ receptor antagonist. (-)-Securinine is significantly potent on HeLa cells growth inhibition with IC_{50} values of 7.02±0.52 μg/mL (32.3 μM). (-)-Securinine induces apoptosis in a dose-dependent manner in the tested cells, increases the percentage of ROS positive cells and depolarized cells as well as stimulates the activity of ERK1/2, caspase-9 and -3/7. (-)-Securinine also induces cell cycle arrest in S phase. Real-time PCR analysis shows high expression of tumor necrosis factor receptor superfamily (TNFRSF) genes in the cells stimulated with (-)-Securinine[1].

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

In Vivo

In this tumor model, tumor growth is significantly impaired with (-)-Securinine treatment indicating that (-)-Securinine has potential as an Acute Myeloid Leukemia (AML) therapeutic. (-)-Securinine treated mice (n=5 mice, bilateral tumors), exhibit an average of more than 75% smaller tumors than vehicle treated mice at the end of the study period[2].

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

PROTOCOL

Kinase Assay [1]	The cells are seeded in 12-well plates (1×10^5/well) and treated with (-)-Securinine at concentrations of 1.0 to 50.0 μg/mL. The control cells are exposed to DMSO at a concentration of 0.5% (v/v). After 6 h and 24 h of exposure, the activity of caspase-9 is measured by Caspase-Glo 9 Assay Kit and Glomax Multi+ Detection System, according to the manufacturer's instruction. The activity of caspase-3/7 is assessed after 24 h of exposure the cells to (-)-Securinine. Then the cells are harvested and prepared using Muse Caspase-3/7 Assay Kit according with the manufacturer's protocol. The stained cells are analyzed by Muse Cell Analyzer. The experiments are performed at least in three independent repeats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	The viability of the cells is determined by MTT assay. HeLa cells are seeded in 96-well plates at a density of 5×10^3 cells/well and treated for 24 h with (-)-Securinine in the concentration range of 1.0 to 20.0 μg/mL. The maximal concentrations of the solvents used in all the MTT experiments are 5.0% (v/v) and 1.0% (v/v) for methanol and DMSO, respectively. The absorption of the obtained formazan solution is measured with a plate reader. The viability results are presented as IC_{50} mean values of at least three independent experiments[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	6 week old female nude mice are used and injected bilaterally s.c. with 10×10^6 HL-60 cells. (-)-Securinine treatment is started 10 days after tumor cell injection. Palpable tumors are present for the established tumor model prior to initiating drug treatment. 15 mg/kg of (-)-Securinine or vehicle (30 μL of DMSO and 70 μL of water) are injected i.p. 2 or 3 times a day for 5 days followed by once a day for two days. This injection schedule is repeated for two additional weeks[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Stefanowicz-Hajduk J, et al. Securinine from Phyllanthus glaucus Induces Cell Cycle Arrest and Apoptosis in Human Cervical Cancer HeLa Cells. PLoS One. 2016 Oct 28;11(10):e0165372.

[2]. Kalpana Gupta, et al. Securinine, a Myeloid Differentiation Agent with Therapeutic Potential for AML. PLoS One. 2011; 6(6): e21203.

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