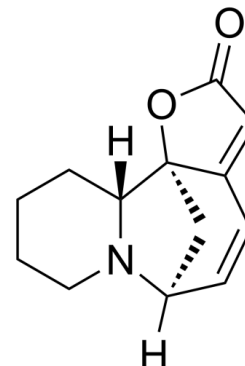


Data Sheet

Product Name:	(-)-Securinine
Cat. No.:	CS-0018584
CAS No.:	5610-40-2
Molecular Formula:	C ₁₃ H ₁₅ NO ₂
Molecular Weight:	217.268
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 2 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

(-)-Securinine is plant-derived alkaloid and also a **GABA_A receptor** antagonist. IC₅₀ & 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 IC₅₀ 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]. *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].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: ^[1]The cells are seeded in 12-well plates (1×10⁵/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]. **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 **5×10³ 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 IC₅₀ mean values of at least three independent experiments^[1]. **Animal Administration:** ^[2]6 week old **female nude mice** are used and injected bilaterally s.c. with 10×10⁶ 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].

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.

CAIndexNames:

8H-6,11b-Methanofuro[2,3-c]pyrido[1,2-a]azepin-2(6H)-one, 9,10,11,11a-tetrahydro-, (6S,11aR,11bS)-

SMILES:

O=C(O1)C=C2[C@@]13[C@](CCCC4)([H])N4[C@](C3)([H])C=C2

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

Tel: 610-426-3128

Fax: 888-484-5008

E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite F, Monmouth Junction, NJ 08852, USA