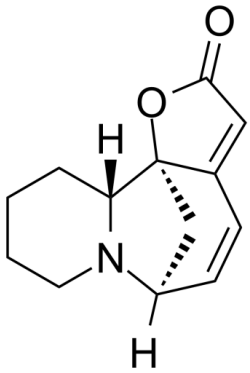
Data Sheet

Product Name:	(-)-Securinine
Cat. No.:	CS-0018584
CAS No.:	5610-40-2
Molecular Formula:	C13H15NO2
Molecular Weight:	217.26
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 2 mg/mL (9.21 mM; Need 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 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]. **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 (1×10^5/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]. **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]. **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].

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

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