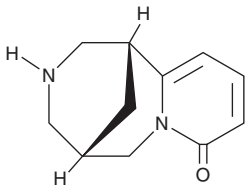
PRODUCT INFORMATION

Cytisine

Item No. 26437

CAS Registry No.:	485-35-8
Formal Name:	(1R,5S)-1,2,3,4,5,6-hexahydro-1,5-methano-8H-pyrido[1,2-a][1,5]diazocin-8-one
Synonyms:	Baptitoxine, Cytiton, Laburnin, Sophorine, Tsitafat
MF:	$C_{11}H_{14}N_2O$
FW:	190.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 234, 309 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Cytisine is supplied as a crystalline solid. A stock solution may be made by dissolving the cytisine in the solvent of choice, which should be purged with an inert gas. Cytisine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of cytisine in these solvents is approximately 1, 5, and 20 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of cytisine can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of cytisine in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Cytisine is an alkaloid that has been found in *C. laburnum*.[1] It is a partial agonist of nicotinic acetylcholine receptors (nAChRs) with an EC_{50} value of approximately 1 µM for increasing current in *Xenopus* oocytes expressing α4β2 subunit-containing nAChRs. Cytisine (20 nM) inhibits current induced by acetylcholine (Item No. 23829) by 50% in *Xenopus* oocytes expressing α4β2-subunit containing nAChRs. It binds to α2β2, α2β4, α3β2, α3β4, α4β2, and α4β4 nAChR subunits expressed in HEK293 cells with K_i values of 1.1, 5.4, 37, 220, 1.5, and 2.1 nM, respectively.[2] Cytisine (3 mg/kg) reduces increases in the intracranial self-stimulation (ICSS) threshold of rats withdrawing from nicotine self-administration, indicating a decrease in the dysphoric state induced by nicotine withdrawal.[3]

References

1. Papke, R.L. and Heinemann, S.F. Partial agonist properties of cytisine on neuronal nicotinic receptors containing the β2 subunit. *Mol. Pharmacol.* **45(1),** 142-149 (1994).
2. Xiao, Y. and Kellar, K.J. The comparative pharmacology and up-regulation of rat neuronal nicotinic receptor subtype binding sites stably expressed in transfected mammalian cells. *J. Pharmacol. Exp. Ther.* **310(1)**, 98-107 (2004).
3. Igari, M., Alexander, J.C., Ji, Y., *et al.* Varenicline and cytisine diminish the dysphoric-like state associated with spontaneous nicotine withdrawal in rats. *Neuropsychopharmacology* **39(2),** 455-465 (2014).

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