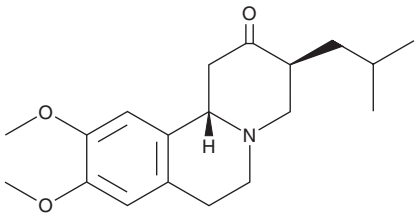
PRODUCT INFORMATION

Tetrabenazine

Item No. 20380

CAS Registry No.:	58-46-8
Formal Name:	*rel*-1,3R,4,6,7,11bR-hexahydro-9,10-dimethoxy-3-(2-methylpropyl)-2H-benzo[a]quinolizin-2-one
Synonyms:	NSC 169886, NSC 172187, Ro 1-9569, TBZ
MF:	$C_{19}H_{27}NO_3$
FW:	317.4
Purity:	≥98% (mixture of enantiomers)
UV/Vis.:	λ_{max}: 285 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

Tetrabenazine (TBZ) is supplied as a crystalline solid. A stock solution may be made by dissolving the TBZ in the solvent of choice, which should be purged with an inert gas. TBZ is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TBZ in these solvents is approximately 10, 25, and 30 mg/ml, respectively.

TBZ is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TBZ should first be dissolved in DMF and then diluted with the aqueous buffer of choice. TBZ has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tetrabenazine is an inhibitor of vesicular monoamine transporter 2 (VMAT2) that is selective over VMAT1 (K_is = 97 and >20,000 nM, respectively, in a serotonin uptake assay).[1] It dose-dependently reduces levels of the monoamines norepinephrine (Item No. 16673), dopamine (Item No. 21992), and serotonin (5-HT; Item No. 14332) in rat brain and has been used to induce depressive-like behavior in animal models.[2,3] Tetrabenazine (5 mg/kg) improves performance in balance beam and rotarod tests and prevents decreases in the number of striatal medium spiny neurons (MSNs) in a YAC128 transgenic mouse model of Huntington's disease.[4] Formulations containing tetrabenazine have been used in the treatment of chorea associated with Huntington's disease.

References

1. Erickson, J.D., Schäfer, M.K.H., Bonner, T.I., *et al.* Distinct pharmacological properties and distribution in neurons and endocrine cells of two isoforms of the human vesicular monoamine transporter. *Proc. Natl. Acad. Sci. U.S.A.* **93(10)**, 5166-5171 (1996).
2. Pettibone, D.J., Totaro, J.A., and Pflueger, A.B. Tetrabenazine-induced depletion of brain monoamines: Characterization and interaction with selected antidepressants. *Eur. J. Pharmacol.* **102(3-4),** 425-430 (1984).
3. Preskorn, S.H., Kent, T.A., Glotzbach, R.K., *et al.* Cerebromicrocirculatory defects in animal model of depression. *Psychoparmacology (Berl).* **84(2),** 196-199 (1984).
4. Wang, H., Chen, X., Li, Y., *et al.* Tetrabenazine is neuroprotective in Huntington's disease mice. *Mol. Neurodegener.* **5**, 18 (2010).

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