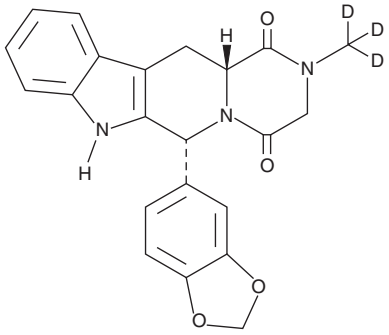
PRODUCT INFORMATION

Tadalafil-d_3

Item No. 25030

CAS Registry No.:	960226-55-5
Formal Name:	(6R,12aR)-6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-(methyl-d_3)-pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione
MF:	$C_{22}H_{16}D_3N_3O_4$
FW:	392.4
Chemical Purity:	≥98% (Tadalafil)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_3); ≤1% d_0
Supplied as:	A 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

Tadalafil-d_3 is intended for use as an internal standard for the quantification of tadalafil (Item No. 14024) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Tadalafil-d_3 is supplied as a solid. A stock solution may be made by dissolving the tadalafil-d_3 in the solvent of choice, which should be purged with an inert gas. Tadalafil-d_3 is soluble in methanol and DMSO.

Description

Tadalafil is a potent inhibitor of phosphodiesterase 5 (PDE5; IC_{50} = 1.2 nM).[1] It is selective for PDE5 over PDE1-4 and 7-10 (IC_{50}s = 9.2-280 µM), however, it does also inhibit PDE11 (IC_{50} = 11 nM). *In vivo*, tadalafil (10 mg/kg) decreases production of the proinflammatory cytokines TNF-α, IL-1β, and IL-6 and improves renal function in a rat model of ischemia/reperfusion injury.[2] It also reduces development of tobacco smoke-induced emphysema and pulmonary hypertension in mice.[3] Formulations containing tadalafil have been used to treat erectile dysfunction, pulmonary arterial hypertension, and lower urinary tract dysfunction.

References

1. Card, G.L., England, B.P., Suzuki, Y., *et al.* Structural basis for the activity of drugs that inhibit phosphodiesterases. *Structure* **12(12)**, 2233-2247 (2004).
2. Medeiros, V.F., Azevedo, Í.M., Carvalho, M.D., *et al.* The renoprotective effect of oral tadalafil pretreatment on ischemia/reperfusion injury in rats. *Acta Cir. Bras.* **32(2)**, 90-97 (2017).
3. Seimetz, M., Parajuli, N., Pichl, A., *et al.* Cigarette smoke-induced emphysema and pulmonary hypertension can be prevented by phosphodiesterase 4 and 5 inhibition in mice. *PLoS One* **10(6)**, e0129327 (2015).

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