# PRODUCT INFORMATION



COOL

СООН

HOOC

# Sildenafil Citrate

Item No. 14008

CAS Registry No.: 171599-83-0

Formal Name: 5-[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-

1,6-dihydro-1-methyl-3-propyl-7H-pyrazolo[4,3-d]

pyrimidin-7-one, 2-hydroxy-1,2,3-propanetricarboxylate

Synonyms: Apodefil, Tonafil, UK 92480 MF: C<sub>22</sub>H<sub>30</sub>N<sub>6</sub>O<sub>4</sub>S • C<sub>6</sub>H<sub>8</sub>O<sub>7</sub>

666.7 FW: **Purity:** 

UV/Vis.:  $\lambda_{\text{max}}$ : 214, 294 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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



Sildenafil citrate is supplied as a crystalline solid. A stock solution may be made by dissolving the sildenafil citrate in the solvent of choice. Sildenafil citrate is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of sildenafil citrate in these solvents is approximately 14 and 10 mg/ml, respectively.

## Description

Sildenafil is a potent inhibitor of phosphodiesterase 5 (PDE5) with  $IC_{50}$  values of 3.6 and 3 nM for PDE5 activity in isolated rabbit platelets and human corpus cavernosum, respectively. It is selective for PDE5 over PDE1 and PDE3 (IC $_{50}$ s = 0.26 and 65  $\mu$ M, respectively). Sildenafil reverses glucose-induced decreases in angiopoietin 1 (ANG1) expression and reduction of capillary-like tube formation by mouse dermal endothelial cells in vitro and increases the number of functional blood vessels and regional blood flow in the sciatic nerve in a db/db mouse model of diabetic peripheral neuropathy.<sup>2</sup> It increases the ratio of maximum intracavernosal pressure to mean arterial blood pressure (ICP/MAP), a measure of erectile function, in castrated rats when administered at a dose of 20 mg/kg per day.<sup>3</sup> Sildenafil (0.5 mg/kg) also reduces cardiac arrest and resuscitation-induced increases in angiotensin II (Item No. 17150), angiotensin converting enzyme (ACE), ACE2, and various angiotensin receptors and increases survival in a porcine model of ischemia/reperfusion injury.<sup>4</sup> Formulations containing sildenafil have been used in the treatment of erectile dysfunction, pulmonary arterial hypertension, and high-altitude pulmonary edema associated with altitude sickness.

# References

- 1. Terrett, N.K., Bell, A.S., Brown, D., et al. Sildenafil (Viagra<sup>™</sup>), a potent and selective inhibitor of type 5 cGMP phosphodiesterase with utility for the treatment of male erectile dysfunction. Bioorg. Med. Chem. Lett. 6(15), 1819-1824 (1996).
- 2. Wang, L., Chopp, M., Szalad, A., et al. Sildenafil ameliorates long term peripheral neuropathy in type II diabetic mice. PLoS One 10(2), e0118134 (2015).
- Mulhall, J.P., Verma, N., Deveci, S., et al. Sildenafil citrate improves erectile function after castration in a rat model. BJU Int. 113(4), 656-661 (2014).
- 4. Wang, G., Zhang, Q., Yuan, W., et al. Sildenafil protects against myocardial ischemia-reperfusion injury following cardiac arrest in a porcine model: Possible role of the renin-angiotensin system. Int. J. Mol. Sci. 16(11), 27015-27031 (2015).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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# **CAYMAN CHEMICAL**

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.**CAYMANCHEM**.COM