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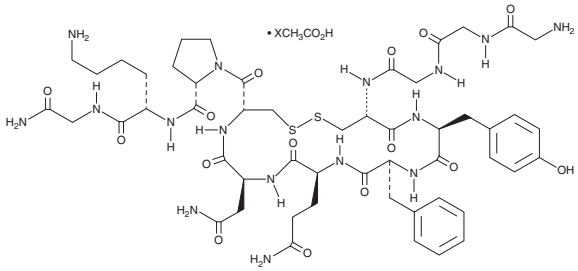
PRODUCT INFORMATION

Terlipressin (acetate)

Item No. 27027

CAS Registry No.:	914453-96-6
Formal Name:	N-(glycylglycylglycyl)-8-L-lysine-vasopressin, acetate
Synonyms:	Glycylpressin, Remestyp
MF:	$C_{52}H_{74}N_{16}O_{15}S_2 \bullet XC_2H_4O_2$
FW:	1,227.4
Purity:	≥95%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Terlipressin (acetate) is supplied as a crystalline solid. A stock solution may be made by dissolving the terlipressin (acetate) in the solvent of choice, which should be purged with an inert gas. Terlipressin (acetate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of terlipressin (acetate) in these solvents is approximately 25 and 20 mg/ml, respectively. Terlipressin (acetate) is also slightly soluble in ethanol.

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 terlipressin (acetate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of terlipressin (acetate) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Terlipressin is a prodrug form of the vasopressin peptide lysipressin (Item No. 24218) and a partial agonist of the vasopressin V_{1A} receptor (K_i = 0.85 µM).[1] It is also an agonist of vasopressin V_{1B} and V_2 receptors (K_is = 1.11 and 1.58 µM, respectively). It increases mean arterial pressure (MAP) and decreases mortality in a rat model of uncontrolled hemorrhagic shock when administered at a dose of 15 µg/kg.[2] Terlipressin (2.6 µg/kg per hour), in combination with norepinephrine, improves vascular reactivity and increases survival time in a rat model of cecal ligation and puncture-induced septic shock and a rabbit model of LPS-induced septic shock.[3] It also increases MAP in a rat model of liver cirrhosis with portal hypertension, arterial hypotension, high cardiac output, and low systemic vascular resistance.[4]

References

1. Colson, P.H., Virsolvy, A., Gaudard, P., *et al.* Terlipressin, a vasoactive prodrug recommended in hepatorenal syndrome, is an agonist of human V1, V2 and V1B receptors: Implications for its safety profile. *Pharmacol. Res.* **113(Pt A),** 257-264 (2016).
2. Lee, C.C., Lee, M.T., Chang, S.S., *et al.* A comparison of vasopressin, terlipressin, and lactated ringers for resuscitation of uncontrolled hemorrhagic shock in an animal model. *PLoS One* **9(4),** e95821 (2014).
3. Xiao, X., Zhu, Y., Zhen, D., *et al.* Beneficial and side effects of arginine vasopressin and terlipressin for septic shock. *J. Surg. Res.* **195(2),** 568-579 (2015).
4. Fernández-Varo, G., Oró, D., Cable, E.E., *et al.* Vasopressin 1a receptor partial agonism increases sodium excretion and reduces portal hypertension and ascites in cirrhotic rats. *Hepatology* **63(1),** 207-216 (2016).

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