Terlipressin

National Cancer Institute

Source

National Cancer Institute. Terlipressin. NCI Thesaurus. Code C77387.

A synthetic triglycyllysine derivative of vasopressin with vasoconstrictive, antihemorrhagic, and antidiuretic properties. Upon intravenous administration, terlipressin, an inactive prodrug, is biotransformed to its active moiety, lysine vasopressin (LVP), a nonselective vasopressin analogue with affinity for vasopressin receptors V1 (V1a), V2 and V3 (V1b). As a V1 agonist, terlipressin increases systemic vascular resistance, particularly in the splanchnic area, resulting in a decrease of portal pressure. V1 binding also promotes platelet aggregation and glycogenolysis, while V3 binding induces adrenocorticotropic hormone (ACTH) secretion. Compared to vasopressin, terlipressin has a minimal effect on V2 receptors, which are responsible for promotion of water reabsorption in the collecting ducts of the kidney via stimulation of cyclic AMP production.