Stroke is a significant cardiovascular disease that influences the health of human beings all over the world, especially the elderly population. It is reported that the blood-brain barrier (BBB) can be easily destroyed by stroke, which is one of the main factors responsible for macrophage infiltration and central nervous inflammation.

Zang et al. reported the protective effects of Trelagliptin against BBB injury and macrophage infiltration. The results indicate that the infraction volume, the neurological score, and macrophage infiltration staining with CD68 were increased in middle cerebral artery occlusion (MCAO) mice but significantly reversed by treatment with Trelagliptin. Additionally, Trelagliptin reduced the permeability of the BBB by increasing the expression of the tight junction zonula occludens protein-1 (ZO-1) in the cerebral cortex. In an in vitro hypoxia model of endothelial cells, the increased migration of macrophages, enlarged permeability of endothelial monolayer, downregulation of ZO-1, and elevated expression level of CXCL1 by hypoxic conditions were all reversed by treatment with Trelagliptin in a dose-dependent manner. The results demonstrate that Trelagliptin might mitigate macrophage infiltration by preventing the breakdown of the blood-brain barrier in the brains of MCAO mice [1].

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Zang L, Yang B, Zhang M, Cui J, Ma X, Wei L. Trelagliptin Mitigates Macrophage Infiltration by Preventing the Breakdown of the Blood-Brain Barrier in the Brain of Middle Cerebral Artery Occlusion Mice. Chem Res Toxicol. 2021 Mar 17. doi: 10.1021/acs.chemrestox.0c00323. Epub ahead of print. PMID: 33728903.