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Pharmacokinetics of Methylprednisolone Sodium Succinate after Circumbulbar Injection into Rabbits

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SUMMARY. Methylprednisolone sodium succinate (MPS) was injected circumbulbarly into rabbits. The pharmacokinetics and distribution of MPS and its metabolite methylprednisolone (MP) in ocular tissues and blood plasma were detected using liquid chromatography tandem mass spectrometry. The results showed that the respective time to peak of MPS and MP in the ocular tissues was 0.25-1 h and 0.5-6 h. Their peak concentrations and areas under curve_{0-t} decreased successively from the sclera, optic nerves, choroid and retina, and iris to the crystalline lens. After circumbulbar injection, MPS transformed promptly into its active component MP which was rapidly absorbed by ocular tissues and then eliminated, thereby effectively avoiding the systemic side effects caused by hormones. Furthermore, the drug was effectively transmitted to the ocular posterior segment (the choroid and retina). Circumbulbar injection of MPS is an effective approach to intraocular drug transmission. It achieves a satisfactory drug distribution as well.

KEY WORDS: Circumbulbar injection, Eye, Methylprednisolone sodium succinate, Pharmacokinetics, rabbit.

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