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Analgesic Efficacy of Opiorphin and Its Analogue

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Abstract : The objective of this study was to compare the analgesic efficacy of opiorphin and its analogue with a mu-receptor agonist; morphine. Opiorphins (Gln-Arg-Phe-Ser-Arg) belong to the family of endogenous enkephalinase inhibitors, found in saliva of humans. They are inhibitors of two Zinc metal ectopeptidases (Neutral endopeptidase NEP, and amino-peptidase APN) which are responsible for the inactivation of the endogenous opioids; endorphins and enkephalins. Morphine and butorphanol exerts their analgesic effects by mimicking the actions of endorphins and enkephalins. The opiorphin analogue was synthesized based on the structure activity relationship of the amino acid sequence of opiorphin. The pharmacological profile of the analogue was tested by replacing Serine at position 4 with Proline. The hot plate and tail flick test were used to demonstrate the analgesic efficacy. There was a significant increase in the time for the tail flick response after an injection of opiorphin, which was similar to the morphine effect. There was no increase in time in the hot plate test after an injection of opiorphin. The results suggest that opiorphin works at spinal level only rather than both spinal and supraspinal. Further work is required to confirm our results. We did not find analgesic activity of the opiorphin analogue. Thus, Serine at position 4 is also important for its pharmacological action. Further work is required to illustrate the role of serine at position 4 in opiorphin.

Keywords : analgesic peptides, endogenous opioids, morphine, opiorphin
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