Sweeney G, Holbrook AM, Levine M, Yip M, Alfredsson K, Cappi S et al. Pharmacokinetics of carbetocin, a long-acting oxytocin analogue, in nonpregnant women. Current Therapeutic Research - Clinical and Experimental. 1990;47(3):528-540.

Abstract

The safety and pharmacokinetics of carbetocin, a long-acting oxytocin analogue, were studied in 25 healthy nonpregnant women. The distribution and elimination half-lives of a 0.4-mg intravenous dose were found to be 5.5 ± 1.6 minutes and 41 ± 11.9 minutes, respectively. Similarly, the half-lives of a 0.8-mg intravenous dose were found to be 6.1 ± 1.2 minutes and 42.7 ± 10.6 minutes. Approximately 0.7% of the carbetocin dose was eliminated in the unchanged form by the kidney, indicating that carbetocin, like oxytocin, is eliminated primarily by nonrenal routes. Intramuscularly (IM) administered carbetocin was found to enter the circulation rapidly, with a time to peak concentration of less than 30 minutes. The absolute bioavailability of carbetocin injected IM was approximately 80%. Doses of carbetocin of 0.05 to 0.8 mg produced very few side effects. Included were transient facial flushing and mild transient tachycardia accompanied by a decrease in diastolic blood pressure. The increase in heart rate was significant ($P < 0.05$) after the administration of 0.4- and 0.8-mg IM doses, while the decrease in diastolic blood pressure was significant after the 0.8-mg IM dose only. No clinically significant changes between predrug and postdrug chemistry values of hematology parameters were noted.