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Abstract: Plasma levels of lidocaine were measured in 5 normal male volunteers following both intravenous and oral administration of the drug. Each subject received a constant-rate intravenous infusion lasting for 60 minutes and an exponential intravenous infusion which allowed administration of the drug at an ever-decreasing rate having a half-life of 50 minutes. In each case the total dose of lidocaine was 250 mg. The subjects also received a 250 mg. and a 500 mg. oral dose administered in tablet form. The plasma level data were subjected to pharmacokinetics analysis with the use of a two-compartment open model to describe the lidocaine disposition. These calculations suggest that approximately 5 to 7 hours of constant intravenous infusion would be required to approach steady-state plasma levels in human subjects. The plasma level data after oral administration indicate that approximately 35 per cent of the 250 and 500 mg. oral doses reached the systemic circulation. Subjective symptoms typical of lidocaine were noted in some cases after the 500 mg. oral doses. Since these symptoms were noted when the blood levels of lidocaine were lower than those following intravenous administration, it is suggested that they may, in part, be due to a metabolite formed during the first passage of the drug through the liver.

Keywords: differential equation, model, parameter estimation, lidocaine, pharmacokinetics, data