Clinical pharmacokinetics of the calcium antagonists

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RESUMEN

Calcium antagonists (C.A.T.S.) represent a heterogeneous group of pharmacons, being its mechanism of action the inhibition of the flow of entrance of calcium through type L depending-voltage channels of the membranes of the excitable cells. They are very liposoluble molecules which are well absorbed after oral prescription (90-100%); they show an important effect in their first step, they join the serum proteins in a high proportion, present a wide tissue distribution, they are quickly biotransformed in the liver and only a minimum proportion is discharged by urine without any modification. Most of the dihydropiridines are liposoluble showing pka values < 4, so that in a physiologic ph of 7,4, up to 95% of the molecule is found in a nonionized neuter form, passing easily the cell membranes through lipidic and hydrophilic routes and as a consequence their actions will appear and disappear rapidly. Amlodipine is a dihydropiridine with a pharmacologic profile different from other C.A.T.S. Due to its physical and chemical properties which confer basic and hydrosoluble features (pka = 8,6), with a physiologic ph, almost 95% of the pharmacon is found in an ionized condition, passing the biological membranes through the lipophylic routes, showing a high affinity for membrane phospholipids where they interact forming an ionic binding. The pharmacon accumulates at this level and from there it spreads very slowly towards its receptors in the calcium channel; this slow association speed explains why the vasodilator effects of amlodipine appear in a gradual manner reaching their maximum effect after 6-10 hours.

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