Comparative bioavailability of various thiamine derivatives after oral administration.

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In a multiple change-over study the bioequivalence of 3 thiamine preparations, used therapeutically as neurotropic agents for the treatment of polynuropathies, was tested in a collective of 7 volunteers. After ingestion of a single dose of either 100 mg benfotiamin (CS-benzoylthiamine-o-monophosphate), fursultiamin (thiamintetrahydrofurfuryldisulfide) or thiaminedisulfide, thiamine blood levels were analyzed for a 10-hour period. Thiamine was measured by HPLC after precolumn derivatization to thiochrome. The maximal thiamine concentration Cmax and its time (tmax) in plasma and hemolysate, the area under concentration time curve (AUC), and thiamine excretion in 24-hour urine were assessed as criteria of bioavailability. Additionally the erythrocytic transketolase activity (ETK) and alphaETK were determined as indicators of the cellular thiamine availability. After benfotiamin ingestion a more rapid and earlier increase of thiamine in plasma and hemolysate was observed in contrast to fursultiamin and the disulfide. All biokinetic data demonstrated a significantly improved thiamine bioavailability from benfotiamin compared with the other preparations. The lowest bioavailability was detected with thiaminedisulfide. From our results it can be concluded that oral administration of benfotiamin is best suitable for therapeutical purposes owing to its excellent absorption characteristics.

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