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A comparison of the pharmacokinetics and pharmacodynamics of pregabalin and gabapentin.

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Abstract

Pregabalin and gabapentin share a similar mechanism of action, inhibiting calcium influx and subsequent release of excitatory neurotransmitters; however, the compounds differ in their pharmacokinetic and pharmacodynamic characteristics. Gabapentin is absorbed slowly after oral administration, with maximum plasma concentrations attained within 3-4 hours. Orally administered gabapentin exhibits saturable absorption--a nonlinear (zero-order) process--making its pharmacokinetics less predictable. Plasma concentrations of gabapentin do not increase proportionally with increasing dose. In contrast, orally administered pregabalin is absorbed more rapidly, with maximum plasma concentrations attained within 1 hour. Absorption is linear (first order), with plasma concentrations increasing proportionately with increasing dose. The absolute bioavailability of gabapentin drops from 60% to 33% as the dosage increases from 900 to 3600 mg/day, while the absolute bioavailability of pregabalin remains at > or = 90% irrespective of the dosage. Both drugs can be given without regard to meals. Neither drug binds to plasma proteins. Neither drug is metabolized by nor inhibits hepatic enzymes that are responsible for the metabolism of other drugs. Both drugs are excreted renally, with elimination half-lives of approximately 6 hours. Pregabalin and gabapentin both show dose-response relationships in the treatment of postherpetic neuralgia and partial seizures. For neuropathic pain, a pregabalin dosage of 450 mg/day appears to reduce pain comparably to the predicted maximum effect of gabapentin. As an antiepileptic, pregabalin may be more effective than gabapentin, on the basis of the magnitude of the reduction in the seizure frequency. In conclusion, pregabalin appears to have some distinct pharmacokinetic advantages over gabapentin that may translate into an improved pharmacodynamic effect.

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