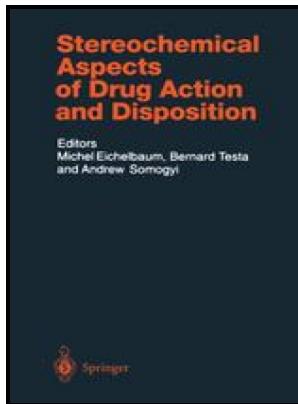


Drugmetabolism and disposition - considerations in clinical pharmacology

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 - Notes: Includes bibliographies and index.
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Although metabolism typically inactivates drugs, some drug metabolites are pharmacologically active—sometimes even more so than the parent compound. The 2 primary types of transporters are influx, which translocate molecules into the liver, and efflux, which mediate excretion of drugs into the blood or bile.

Understanding the transport properties of metabolites: case studies and considerations for drug development

The case studies suggest that characterization of metabolite disposition, toxicology, and pharmacology should not focus solely on metabolites with appreciable systemic exposure, but should take into consideration major excretory metabolites. A sufficient dose of the perpetrator drug is necessary to reach strong inhibition and to detect and accurately quantify a potential DDI. Knowledge and capability gaps remain in clinical translation of in vitro and animal data regarding metabolite disposition.

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