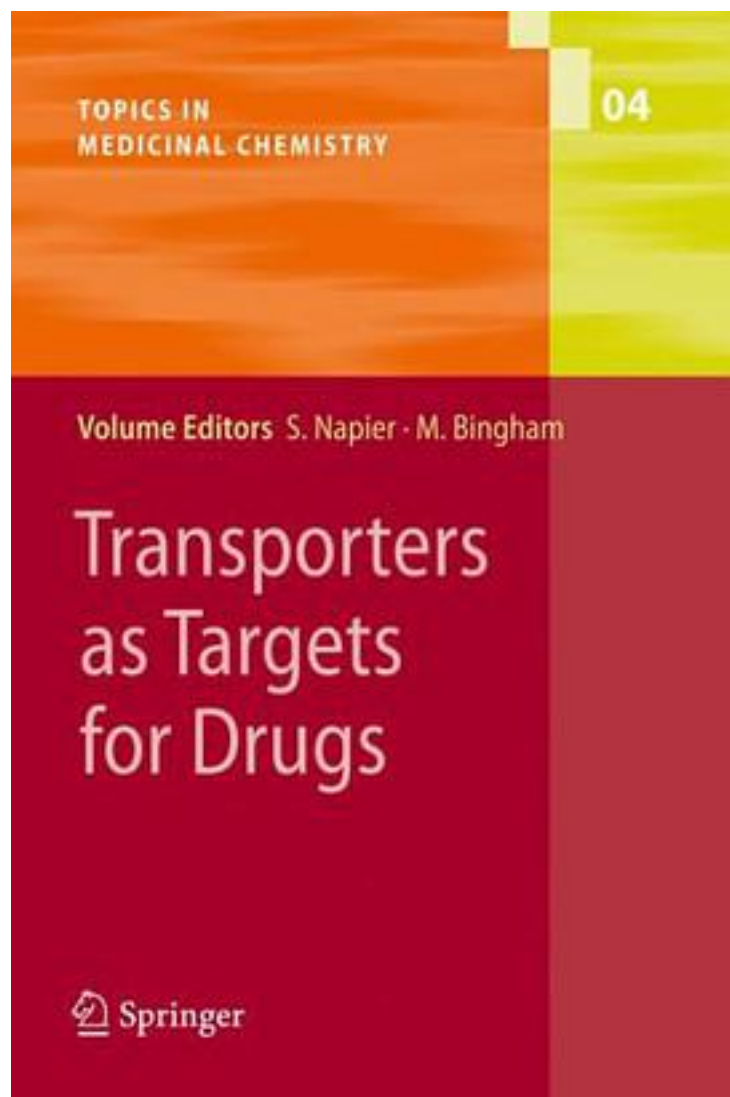


Transporters as Targets for Drugs



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Transporters are proteins which span the plasma membrane and regulate the traffic of small molecules in and out of the cell. Transporters play a particularly important role in chemical signalling between neurons in the CNS, where they act to control the concentration of neurotransmitters in the synapse. The majority of transporters which are actively being pursued as targets for drug discovery are CNS located and this reflects the history of the field which began with the tricyclic antidepressants (TCAs) over half a century ago. The use of transporter inhibition to regulate the synaptic concentrations of key neurotransmitters is an established approach in the discovery of psychiatric medications. This volume reviews advances in the field of transporters as targets for drug discovery in the last 10 years. The volume will be of interest to scientists engaged in drug research in the pharmaceutical industry, biotech and academia. Following an overview chapter, seven chapters written by leading experts in their area reflect a range of topics pertinent to the transporter field. General topics include recent advances in the structural biology of transporters and its impact on potential structure based drug design and the design of ligands for Positron Emission Tomography and the importance of molecular imaging in understanding early clinical data. Medicinal chemistry approaches are described outlining the discovery of selective serotonin, noradrenaline and dopamine reuptake inhibitors, current efforts towards the discovery of mixed re-uptake inhibitors with varied a flavoursa (TM) of monoamine inhibition, advances in the development of inhibitors for the glycine transporter and the discovery of subtype selective EAAT inhibitors. In addition to being an interesting read, the reader will receive a critical overview of progress made in this rapidly developing field.

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目录:

[Transporters as Targets for Drugs_ 下载链接1](#)

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