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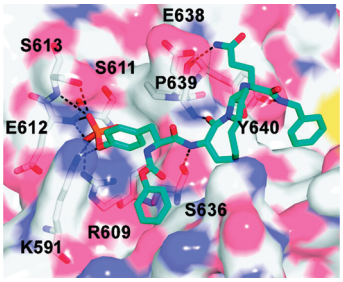
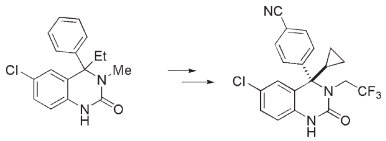
## STAT3 Inhibitors

The design of small-molecule inhibitors that are potent as well as cell-permeable is a major challenge in modern drug discovery. Chen et al. (DOI: 10.1021/ml100010j) now describe the design and characterization of a series of potent, conformationally constrained peptidomimetic inhibitors of the STAT3 (signal transducer and activator of transcription 3) transcription factor. Abnormal activation of STAT3 contributes to many cancers through cell proliferation and prevention of apoptosis of tumor cells. Several compounds identified by the authors bind to STAT3 with low nanomolar affinities. One compound in particular is a cell-permeable STAT3 inhibitor that might serve as a tool for studying the role of STAT3 in cancer and as a promising lead compound for developing new anticancer drugs.




## Antagonists of T-Type Calcium Channels

Voltage-gated calcium channels are involved in many essential physiological processes. Voltage-gated calcium channels known as T-type calcium channels are a promising target for central nervous system drug discovery, because of involvement in sleep, pain, and epilepsy. Barrow et al. (DOI: 10.1021/ml100004r) describe the discovery and optimization of a screening hit leading to novel, potent, and selective T-type calcium channel antagonists. The identified antagonists were tested and found to be efficacious in epilepsy and tremor models and active wake-suppression.





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