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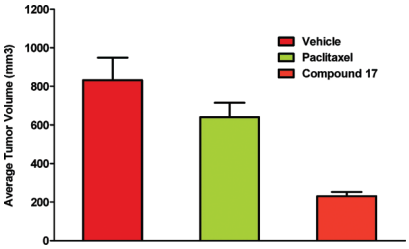
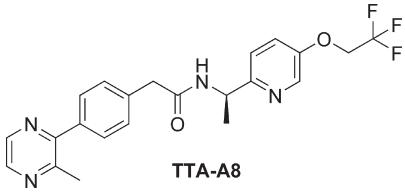
Dual Action Cancer Drugs

There are various approaches to combating cancer. One line of attack is to directly target mitotic spindle formation in cancer cells that are dividing. Another approach is to halt angiogenesis by targeting vascular endothelial growth factor (VEGF) binding to its receptor, tyrosine kinase VEGFR-2, on the surface of endothelial cells. Previous work demonstrated that therapeutics that target both activities synergistically act on tumor growth. Now, Chekler et al. (DOI: 10.1021/ml1001568) combine both functionalities to create a single novel VEGFR-2 kinase and tubulin inhibitor class. The scaffold described herein by the authors might be useful in the design of a new class of cancer drugs with dual action.



A Potential Sleep Aid

Voltage-dependent calcium channels are ion channels that are permeable to Ca^{2+} ions. One particular type of calcium channel known as the T type calcium channel shows great promise as a target for drug discovery with relevance to many different conditions such as epilepsy, sleep−wake disorders, and pain. Now, Yang et al. (DOI: 10.1021/ml100170e) describe the discovery of a new class of potent, short-acting T type calcium channel inhibitors and demonstrate their activity in rat models. Interestingly, one of the compounds described in this study recently entered limited human clinical trials as a sleep aid.



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