ACS Medicinal Chemistry Letters

IN THIS ISSUE

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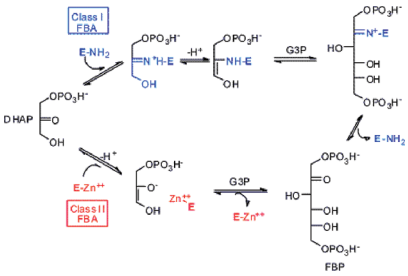
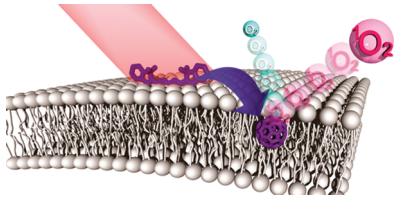
Inhibition of a Microbial Enzyme



Class II fructose *bis*-phosphate aldolase is an attractive antimicrobial target enzyme since it is found in microorganisms but is absent in mammals who utilize the class I variant. Daher and Therisod (DOI: 10.1021/ml100017c) describe the rational design and synthesis of two highly potent and selective inhibitors of the microbial enzyme from *Mycobacterium tuberculosis*.

The authors conducted the biochemical characterization of the two inhibitors and found that they had K_i values in the subnanomolar range. These inhibitors may serve as a good starting point for probes of enzymatic function and for the design of prodrugs.

Killing Cancer Cells with "Buckyballs" and Light



Photodynamic therapy is an emerging therapeutic approach that involves a specific drug known as a photosensitizer. When the photosensitizer is activated by light of a specific wavelength, reactive oxygen species are produced, resulting in cell death in the immediate vicinity; this technique is particularly effective in combating various forms of cancer. Using an ingenious energy transfer model based on the light-harvesting photosynthetic apparatus, Ikeda et al. (DOI: 10.1021/ml100021x) describe the design of compounds with photodynamic activity against cancer cells.

The authors describe, for the first time, the photodynamic activity of C60 fullerenes, which generate reactive oxygen species using the optimal wavelength for photodynamic therapy. Further experimentation using these photosensitizers might yield specific applications in drug discovery.

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