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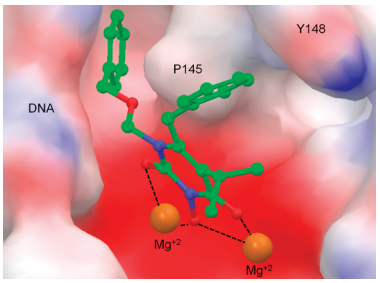
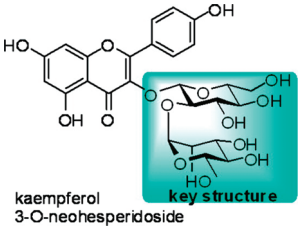
Dual-Acting Inhibitors of HIV



AIDS is a global healthcare challenge. Because there are currently no effective vaccines for the treatment of AIDS, the standard treatment involves the use of a combination of drugs combatting HIV. Unfortunately, this form of chemotherapy suffers from undesirable interactions. Therefore, in theory, a simplification of dosing regimens might be able to alleviate complications arising from many unfavorable chemical interactions between separate drugs. Tang et al. (DOI: 10.1021/ml1002162) now describe the rational design of a drug with dual-acting properties, which allows the inhibition of HIV reverse transcriptase and integrase. The series of anti-HIV compounds described by the authors is active against HIV reverse transcriptase and integrase at low micromolar and submicromolar concentrations and might serve as a starting point for the synthesis of compounds targeting the virus.

Probing the Activity of an Insulin Mimetic



Diabetes mellitus is a group of metabolic diseases that afflicts millions worldwide. A number of flavonoid compounds and their glycosides have been demonstrated to regulate blood glucose level by augmenting insulin activity. A natural product, kaempferol 3-*O*-neohesperidoside, which is derived from a species of tree fern, has been demonstrated to act as an insulin mimetic. Through a combination of chemical synthesis and cell-culture based assays, Yamasaki et al. (DOI: 10.1021/ml100171x) now show that the activity of kaempferol 3-*O*-neohesperidoside is influenced by the attached disaccharide. The properties of the disaccharide, active at subnanomolar levels, are promising and should serve as a starting point for extensive lead compound generation.

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