Take your vitamins: Tocopherol derivatives as new dioxin receptor antagonists

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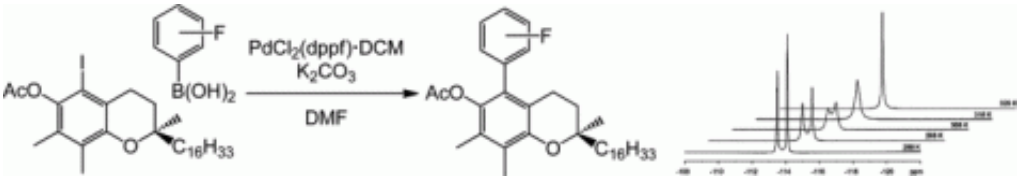

(PhysOrg.com) -- When reactive oxygen species (ROS) hit the body, vitamin E helps to prevent damage to tissues and cells by acting as an antioxidant. The health benefits of vitamin E are numerous, and in fact, studies have found that people with higher levels of vitamin E in their system have a lower risk of heart disease and certain forms of cancer. A team led by Thomas Rosenau from the University of Natural Resources and Life Sciences in Vienna (Austria) now describe their synthesis of a series of modified vitamin E derivatives that show promise as dioxin receptor antagonists in the *European Journal of Organic Chemistry*.

Chemically, vitamin E is composed of several compounds from the tocopherol and tocotrienol family. The search for novel tocopherol derivatives that possess altered properties, including different lipophilicity or oxidative lability, while still maintaining the physiological benefits of the vitamin is now an important field of study. In this vein, the aryl hydrocarbon receptor (AhR), also called dioxin

receptor, is present in most cells and tissue types of the body. AhR is typically inactive, but upon exposure to environmental pollutants, carcinogens, and drugs, for instance, it can form a complex that may be harmful to the body. Several aromatic, fat-soluble derivatives have been identified as key compounds that bind to AhR, and a correlation between their binding and their toxic nature has been documented.

Fluorine-containing aromatic compounds have been shown to be strong AhR antagonists in that they preclude the negative health effects associated with exposure to toxic substances. The Austrian research team reasoned that substitution of the planar aromatic ring of tocopherol compounds with a fluorinated aromatic moiety in combination with their inherent antioxidative and lipophilic properties would produce very suitable ligands for the AhR target. Thus, a small library of substituted tocopherols carrying mono- or difluorinated aromatic substituents was prepared. The authors showed that their compounds were very potent AhR antagonists in vitro, and in fact, their compounds were two to three orders of magnitude more effective than previously known antagonists and comparably as effective as some of the strongest antagonists hitherto known. Thus, patients may someday be able to turn to this new family of vitamin E derivatives as a preventative measure against the onslaught of diseases and illnesses after exposure to dangerous materials.

More information: Thomas Rosenau, Synthesis of 5-(Fluorophenyl)tocopherols as Novel Dioxin Receptor Antagonists, *European Journal of Organic Chemistry*, dx.doi.org/10.1002/ejoc.201100178

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