Isolation Synthesis, and Structure – Activity Relationships of Antioxidants of Yeasts

Shuji Jinno
Central Research Laboratory, Nippon Suisan Kaisha, Ltd.
559-6, Kitano-machi, Hachioji-chi, Tokyo 192-0906, Japan

Summary
In this thesis, the author investigated the antioxidant from a yeast, synthesized two antioxidants from yeasts and their related compounds, evaluated their antioxidative activity, and also examined the structure-activity relationships. From the SAR studies, the information about the effect of the various functional groups on the antioxidative activity, which was important to design the antioxidants, was obtained.

A novel naturally occurring antioxidant; 2,4-dimethoxy-6-heptadecylphenol (phaffiaol) was isolated from Phaffia rhodozyma. Phaffiaol is the first trisubstituted alkyl phenol isolated from a fungus. The antioxidative activity of phaffiaol was demonstrated to be equivalent to that of α-tocopherol. The first total synthesis of phaffiaol was achieved and a series of long chain alkyl phenols was prepared.

A facile and versatile total synthesis of 5-(2-benzofuranyl)-6-hydroxy-4-methoxy-1,3-benzodioxole isolated from Saccharomyces cerevisiae was achieved by using palladium (O)-catalyzed cross coupling reaction. As an application of this methodology, a series of benzodioxoles was also synthesized.

Throughout these SAR investigations on two different types of the phenolic the compounds, it has revealed that the alkyl group, the electron-donating group, the methylenedioxy group, and the neighboring aryl group to the phenol increased the antioxidative activity, whereas the substituents causing the intramolecular hydrogen-bonding decreased the activity. Moreover, the higher antioxidative activity also results in the more potent biological activities and the antioxidants are available as the lead compounds for the therapeutic drugs.