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Antioxidant activity of new glycoconjugates containing Selenium

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Introduction

Nowadays Selenium (Se) is recognized as a trace element essential for human health, low Se levels are actually associated with several diseases [1]. Many natural occurring Se compounds show redox and biologically capacities, thus stimulated synthesis of new molecules with the aim to spread antioxidant effects in biological systems. Most of these bioactive Se-containing molecules showed a strong therapeutic and biological relevance [2].
On the other hand, polyphenolic compounds [3] are natural molecules with good antioxidant properties; among them caffeic acid, curcumin and dopamine.
As part of our current interest in novel and more efficient supplements to be used in oxidative stress prevention, we designed and synthetized new molecules having both a phenolic moiety and selenium in a sugar-type structure which in turn should provide a carrier function.

Synthesis

With this aim we firstly prepared the seleno-sugar **1** with 43% overall yield starting from the commercially available D-ribose exploiting a reported procedure [4], then we used used it as building block in the preparation of the new glycoconjugates.
Therefore, we elaborated two different synthetic pathways to introduce the selected phenolic units. Namely, the latter were linked to derivative **1** by a Mitsunobu reaction, leading to derivative **2, 3**, **4**, and **5** (**Scheme 1**). Whereas a Swern oxidation of seleno-sugar **1** followed by a reductive-amination provided the glycoconjugate **6** containing a dopamine residue (**Scheme 1**).
All compounds have been obtained with good yields (40-60%); then they have been fully characterized by 1D- and 2D-NMR spectroscopy.

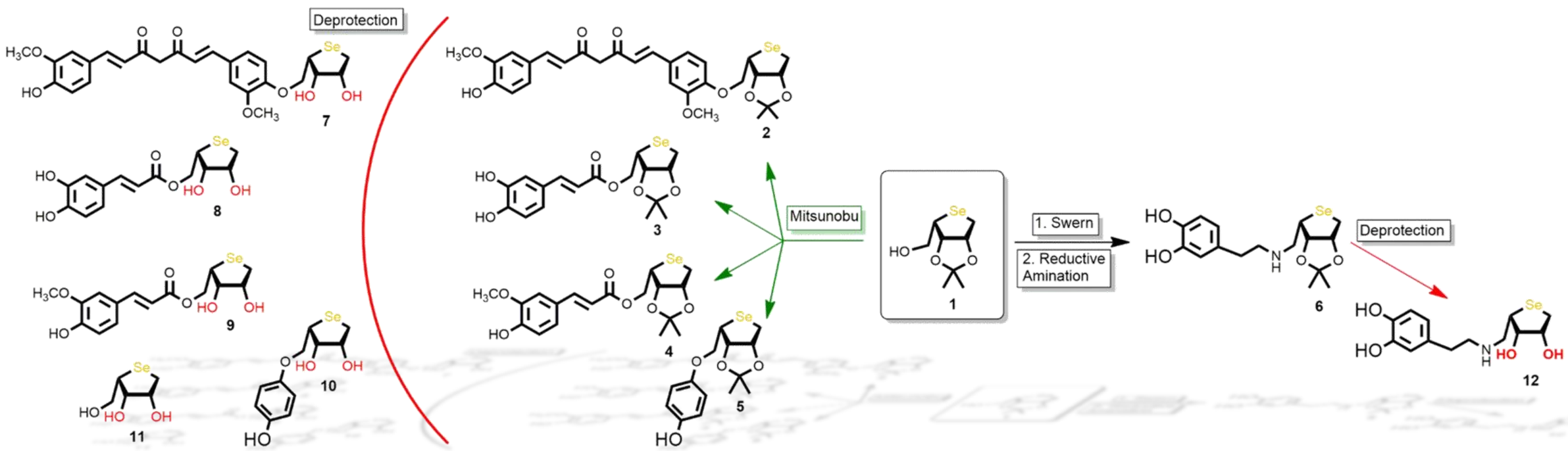

Chart 1. Scheme of seleno-glycoconjugates.

Antioxidant assays

In collaboration with Saarland State University we performed two different antioxidant assays for the most part of compounds: DPPH and FRAP. We presented (Table 1) the related data as IC_{50}, for DPPH, and EC_{50} for FRAP: IC_{50} of DPPH scavenging capacity is concentration of sample or standard that can inhibit 50 % of DPPH scavenging capacity, while EC_{50} of FRAP capacity is concentration of sample or standard that can exhibit 50 % of FRAP capacity.

Compound	IC_{50} (μM)	EC_{50} (μM)
1	N.A.	N.A.
2	35.14	38.44
3	9.02	47.71
4	40.03	46.08
5	35.31	13.06
6	8.09	35.80
8	9.57	24.10
9	38.16	11.97
10	57.38	34.47
11	N.A.	N.A.
AA	**26.96**	**29.17**

Table 1. IC_{50} and EC_{50}

Future Perspectives

All compounds will be tested to evaluate their antioxidant activity by different assays, such as HOCl and TBAR. Moreover bioassays will be executed to test both their potential toxicity than their beneficial effects in model biological systems.

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[3]Shahidi F, Priyatharini A J (2015) Journal of Functional Foods 18:820-897.
[4]Jeong LS, Tosh DK, Kim HO, Wang T, Hou X, Yun HS, Kwon Y, Lee SK, Choi J, Zhao LX (2008), Organic Letters 10:209-212.

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