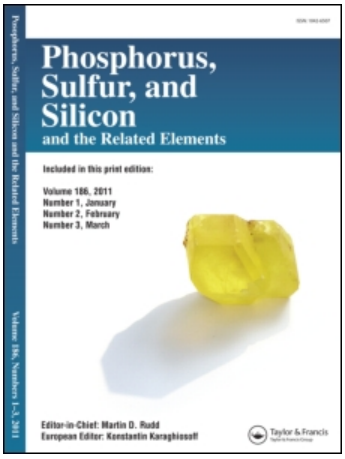

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PHOSPHONIC ACID ANALOGUES OF TYROSINE AND DIHYDROXYPHENYLALANNE (DOPA) AS TYROSINASE INHIBITORS

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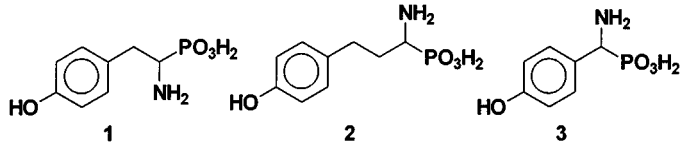
Structural differences between carboxylic and phosphonic acid groups do not prevent aminophosphonic acids from serving as substrates of some enzymes that normally utilize amino acids. This is not particularly surprising if considering the fact that most of these enzymes catalyze reactions taking place without direct involvement of carboxylic moiety. A good example is the interaction of phosphonic analogues of tyrosine with tyrosinase. A simple replacement of carboxylic acid group by phosphonic acid moiety led to compound **1** which serves as good synthetic substrate of tyrosinase.[1] Homologues of tyrosine exert, however, quite surprising properties. Compound **2** possessing additional methylene group in a side chain also appeared to be a substrate, whereas compound **3**, obtained by shortening of the alkyl chain of **1**, turned out to be quite powerful inhibitor of the enzyme.[2] All of these compounds form complexes with tyrosinase by a fit of the aromatic part of the molecule into catechol-binding site of the enzyme and by electrostatic complexation of negatively charged dianion by the positively charged, carboxylate-binding portion of the enzyme.



SCHEME 1

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Other phosphonic acid analogues of tyrosine and dopa follow this pattern of activity with their affinities to enzyme being dependent on aromatic ring substitution.

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[2] B. Lejczak, D. Duś, and P. Kafarski, *Anti-Cancer Drug Des.*, **5,** 351 (1990).