REACTION OF METHYL PYRIDYL AND METHYL QUINOLYL KETONE 1-OXIDE OXIMES WITH TOSYL CHLORIDE

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It is well known that both the N-oxide and the oxime group react specifically with various acylating agents. The reactions of the title oximes with tosyl chloride in the presence of NaOH were investigated to compare the reactivity of the N-oxide with that of the oxime group in the same molecule.

Methyl 2-pyridyl ketone 1-oxide oxime (Z-form) (I) reacted with tosyl chloride in the presence of NaOH at room temperature to give only the tosylate (II). On the other hand, the compound III (E-form) gave 3-methyl-2-tosyloxy-2H-[1,2,5]oxadiazolo[2,3-a]pyridine (IV) under the same conditions. As shown in Chart 1, the neighboring-group participation of the N-oxide group is considered in the formation of IV.

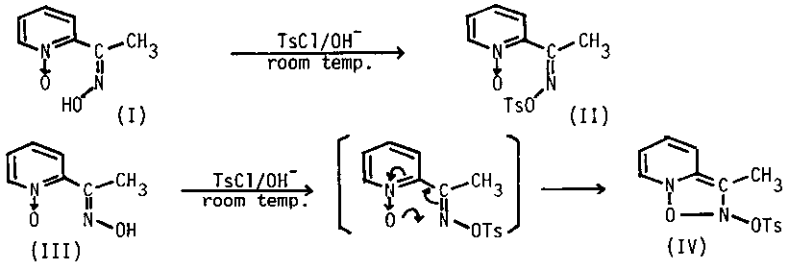

Chart 1

On the contrary, the reaction of methyl 2-quinolyl ketone 1-oxide oxime (E-form) (V) with tosyl chloride yielded 1-hydroxycarbostyril (VI) and carbostyril (VII) with the elimination of the oxime group under the same conditions as in the case of the reaction of I.

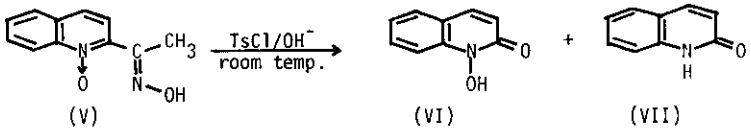

Chart 2