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# Reaction of 4,5-Dihydroxyimidazolidine-2-ones with Arylsulfinic Acids

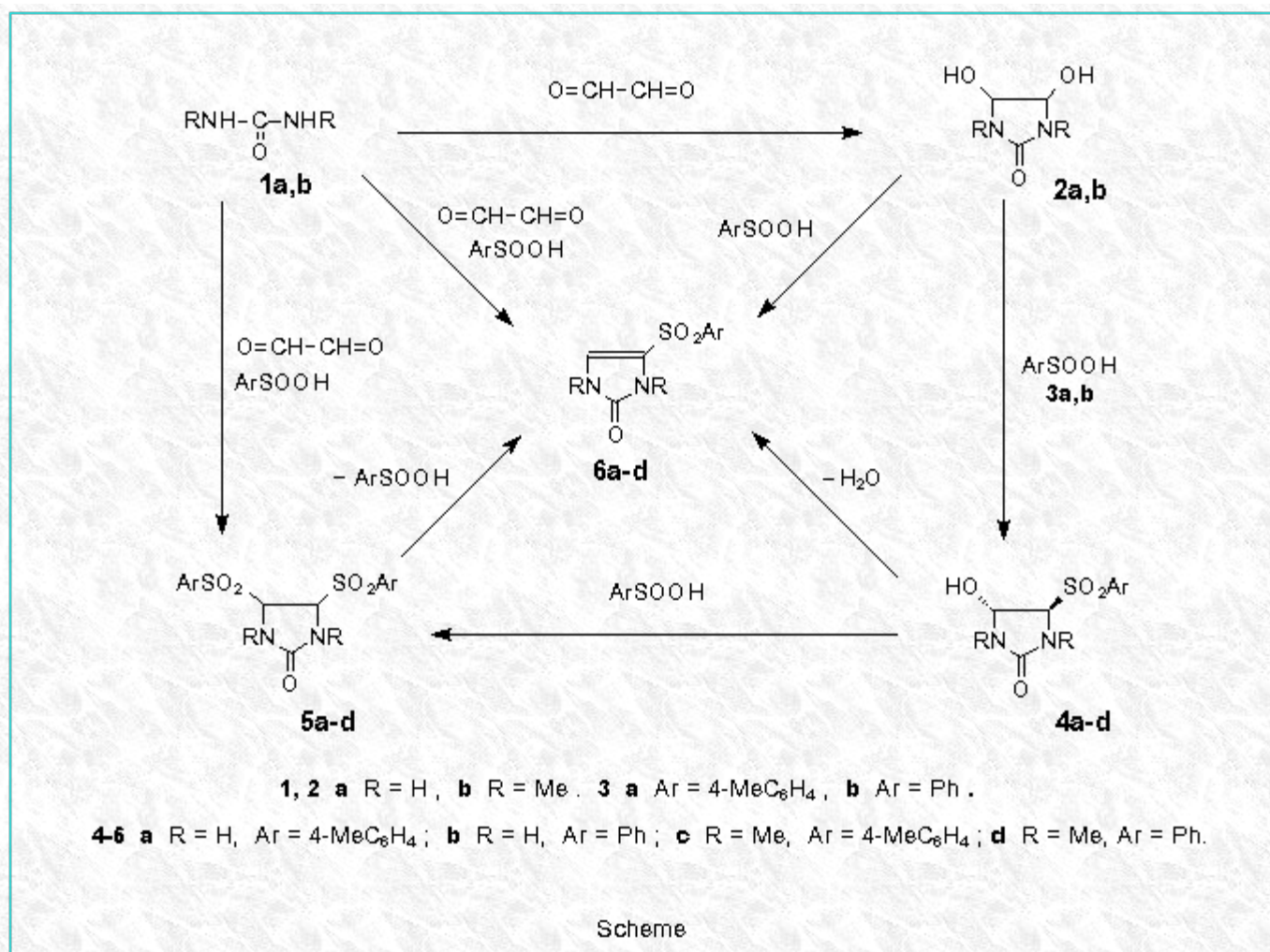
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Reaction of 1,2-dicarbonyl compounds with ureas can serve as a convenient method of imidazolidine ring construction [1, 2]. The 4,5-dihydroxyimidazolidine-2-ones obtained as a result of this reaction are multifunctional compounds and can be used as starting material for preparation of various imidazole derivatives. For example, 4,5-dihydroxyimidazolidine-2-ones react with some nucleophiles to give 4,5-disubstituted imidazolidine-2-ones [2, 3]. The latter substances are of considerable interest owing to their useful properties. Some of these compounds have been found to be sedatives and hypnotics [4], tranquilizers [5], herbicides [6], steel corrosion inhibitors [7], cotton fabric modifiers [8], high-energy constituents of explosives [9], etc. Thus investigation of the reaction of 4,5-dihydroxyimidazolidine-2-ones with some new nucleophiles is very important from synthetic and practical points of view. In the present communication we report some results of our study on this reaction using arylsulfinic acids as nucleophiles.

We found that the 4,5-dihydroxyimidazolidine-2-ones **2a,b** which are synthesized by reaction of glyoxal with urea or N,N'-dimethylurea readily react with *p*-toluenesulfinic acid **3a** or benzenesulfinic acid **3b** in water at r.t. for 2-3 h to give 5-arylsulfonyl-4-hydroxyimidazolidine-2-ones **4a-c** in 88-93 % yields (Scheme). It should be noted that the compounds **4a-c** are obtained exclusively as *trans*-diastereomers.



We showed that reaction of the imidazolidinones **2a,b** with arylsulfonic acids can proceed still further resulting in the products of replacement of the both hydroxyl groups, i.e. 4,5-di(arylsulfonyl)imidazolidine-2-ones **5**. Formation of these compounds is favored by prolonged reaction time and usage of excess of sulfonic acid. Thus imidazolidinone **2a** reacts with excess of *p*-toluenesulfonic acid **3a** in water at r.t. for 18 days to produce *trans*-4,5-ditosylimidazolidine-2-one **5a** in 86 % yield. Reactions of **2a** with **3b** and **2b** with **3a,b** proceed more complex. These reactions give mixtures of the disubstituted imidazolidinones **5b-d** and corresponding 4-arylsulfonylimidazolinones **6b-d**. Ratio of **5** and **6** depends on the reaction conditions and structure of the reagents. For example, reaction of **2a** with **3b** in water at r.t. for 19 h or 9 days gives a mixture of **5b** and **6b** in 77:23 or 52:48 ratio respectively.

The compounds **5** can be prepared directly by reaction of glyoxal, ureas and arylsulfonic acids in water at r.t. Unfortunately this reaction yields also the imidazolines **6** in addition to **5**. Thus treatment of glyoxal and urea with **3a** (r.t., 33 days) or **3b** (r.t., 3.5 h) in water gives a mixture of **5a** and **6a** (51:49) or **5b** and **6b** (70:30).

We found that reaction of the dihydroxyimidazolidinones **2a,b** with **3a,b** in water at 95 °C for 30-45 min produces the arylsulfonylimidazolinones **6a-d** in excellent yields. Apparently these compounds are formed in result of elimination of water or arylsulfonic acid from the initially obtained imidazolidinones **4a-d** or **5a-d** (see above). Indeed, we established dramatic tendency of **4** and **5** to give the imidazolines **6**. This transformation proceeds by short heating of **4** or **5** in water or organic solvents.

The imidazolines **6a,b** can be readily prepared also by reaction of glyoxal, urea and sulfonic acids **3a,b** in water at 95 °C for 1 h in 85 % yield.

In summary, a convenient synthesis of arylsulfonyl substituted imidazolidine-2-ones and imidazoline-2-ones has been developed on the basis of reaction of 4,5-dihydroxyimidazolidine-2-ones or glyoxal and ureas with

arylsulfinic acids in water.

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## Comments

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