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Chlorosulfonation of Quinoline-2 and Quinoline-4-one by Chlorosulfonic Acid

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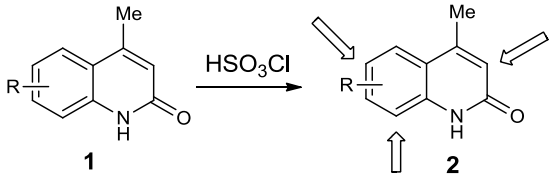
Quinolones are one of the most significant classes of nitrogen containing heterocycles in medicinal chemistry. At the moment, sulfonated derivatives of quinolones are not widely studied compounds as reagents and biologically active substances. It makes sulfoquinolones attractive objects for creation of new scaffolds and molecular diversity in chemical space.

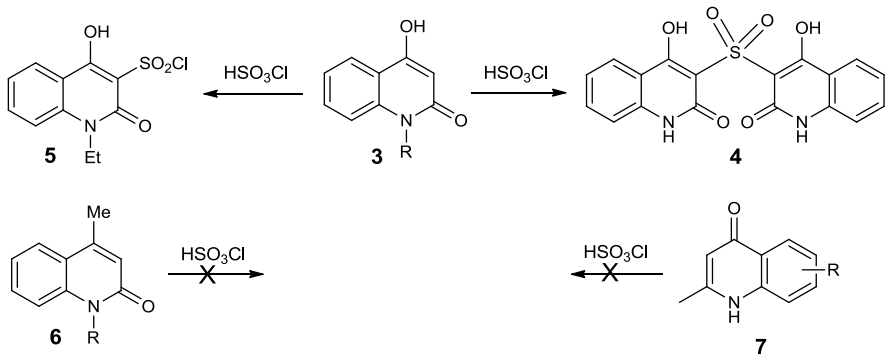
Previously we have investigated the reaction of 4-methyl-1,2-dihydroquinolin-2-ones **1** with chlorosulfonic acid [1,2]; and it was found that chlorosulfonation, depending on arrangement of substituents, can take place at the C-6, C-8, or C-3 position of the quinolone cycle (Scheme 1).

Scheme 1



To continue this research the chlorosulfonation reaction of 4-hydroxy-1,2-dihydroquinolin-2-ones **3**, N-substituted 4-methyl-1,2-dihydroquinolin-2-ones **6**, as well as 2-methyl-1,4-dihydroquinolin-4-ones **6** with chlorosulfonic acid was studied (Scheme 2).

Scheme 2



Successful results was obtained in case of 4-hydroxy-1,2-dihydroquinoline-2-ones **3**; the products of the chlorosulfonation (compounds **4** and **5**) crucially depended on the presence of a substituent on nitrogen of the quinolone cycle. When quinolones **6** and **7** were treated with chlorosulfonic acid under different conditions (such as molar ratio of reactants, time, temperature) only initial compounds were isolated.

[1] В.О. Зубков, І.С. Гриценко, Т.О. Цапко, О.Г. Гейдеріх. Синтез та антимікробна активність 4-метил-2-оксо-1,2-дигідрохінолін-6-арилсульфамідів // ЖОФХ. – 2008. – Т.6, вип. 3(23). – С. 39-43.
[2] В.О. Зубков, І.С. Гриценко, Т.О. Цапко. Синтез та вивчення антимікробних властивостей 6-алкілсульфамідів 4-метилхінолін-2-онів // Фарм. часопис. – 2009. – № 2. – С. 6-10.