

4-FLUOROTETRAHYDROQUINAZOLINE N-OXIDES AS VERSATILE PRECURSORS OF HETEROCYCLIC COMPOUNDS WITH PRACTICABLE PROPERTIES

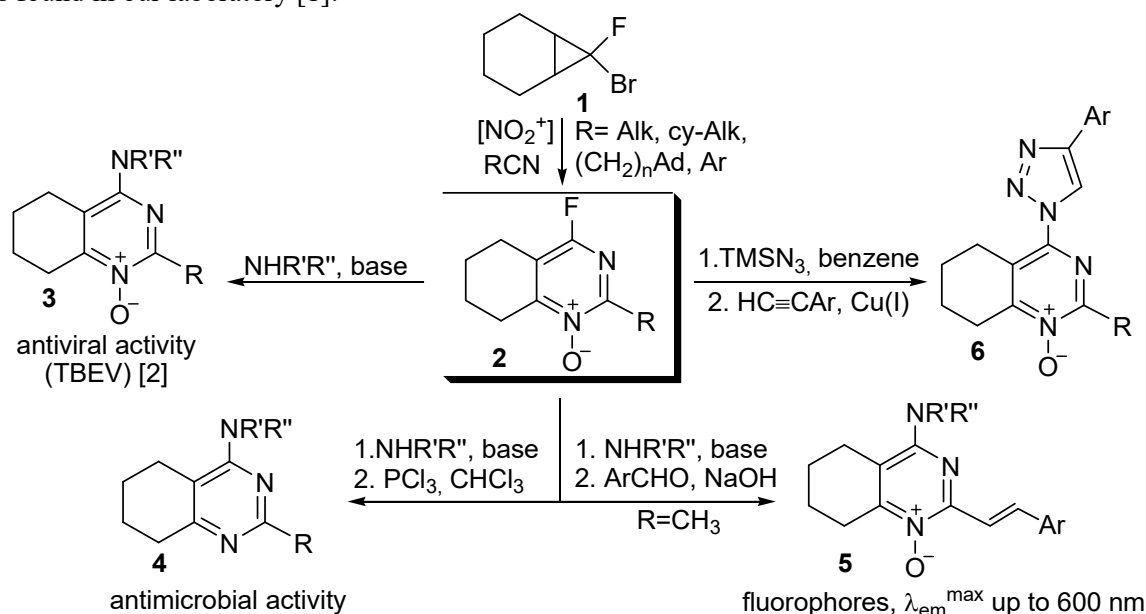
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Three-component heterocyclization of *gem*-bromofluorocyclopropanes under the treatment with nitrosating and nitrating agent in presence of organic nitriles yielding previously unknown 4-fluoropyrimidine 1-oxides **2** was found in our laboratory [1].



Starting from highly reactive structures **2**, simple and efficient preparative approaches to 4-aminotetrahydroquinazoline N-oxides **3** and 4-aminotetrahydroquinazolines **4**, structures with extended π -system and intramolecular charge transfer **5** and 4-triazolyl substituted heterocycles **6** were elaborated, employing aromatic nucleophilic substitution, deoxygenation, Knoevenagel-type condensation of activated CH_3 -group and CuACC-reactions. A number of the obtained heterocyclic derivatives are characterized with valuable biological activity and photophysical properties.

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References

- [1] K. N. Sedenkova, E. B. Averina, Yu. K. Grishin, A. G. Kutateladze, V. B. Rybakov, T. S. Kuznetsova and N.S. Zefirov. *J. Org. Chem.* 2012, 77, 9893-9899 [2] K. N. Sedenkova, E. V. Dueva, E. B. Averina, Y. K. Grishin, D. I. Osolodkin, L. I. Kozlovskaya, V. A. Palyulin, E. N. Savelyev, B. S. Orlinson, I. A. Novakov, G. M. Butov, T. S. Kuznetsova, G. G. Karganova and N. S. Zefirov. *Org. Biomol. Chem.* 2015, 13, 3406-3415.