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NOVEL FLUORINE-CONTAINING DERIVATIVES OF 2-METHYLTHIO-4-ARYLAMINOQUINAZOLINES

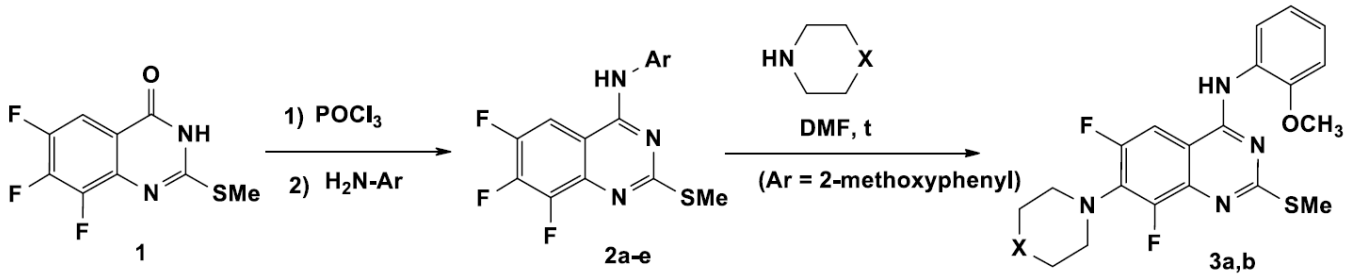
E. V. Nosova,[1,2]* Yu. V. Permyakova,[1] G. N. Lipunova,[2] V. N. Charushin[1,2]

[1] Chemical Technology Institute, UrFU, 620002 Ekaterinburg, 19 Mira St.
[2]Institute of Organic Synthesis, 620219 Ekaterinburg, 22 S. Kovalevskoy St.
*E-mail: emilia.nosova@yandex.ru

Abstract. Quinazoline represents an attractive scaffold for drug design, and some 4-amino-substituted quinazolines are of great value as potential antitubercular agents.[1]

Previously we developed new synthetic approach to 2-methylthio-6,7,8-trifluoroquinazolin-4(3H)-one **1** based on cyclocondensation of tetrafluorobenzoylchloride with S-methylisothiourea.[2]

The synthesis of novel 4-arylaminoquinazolines **2** was performed through chloro-desoxygenation and subsequent nucleophilic substitution at position 4. Reactions of **2** with cycloalkylimines were studied and some differences from 4-anilino counterpart[3] were revealed.



2: Ar = 4-methoxyphenyl (**a**), 2-methoxyphenyl (**b**), 4-(methoxycarbonyl)phenyl (**c**), 4-bromophenyl (**d**), 3-nitro-4-fluorophenyl (**e**); **3**: X = N-COOEt (**a**), O (**b**).

Arylaminoquinazoline **2b** demonstrated the best tuberculostatic activity *in vitro*.

References

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This work was supported by the Ministry of Science and Higher Education of Russian Federation (project № FEUZ-2020-0058 (H687.42Б.223/20)) and the Russian Foundation for Basic Research (grant # 18-03-00112)