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Program and Abstracts

SYNTHESIS OF TRIAZOLYL DERIVATIVES OF 2-SULFONAMIDO THIOPHENE

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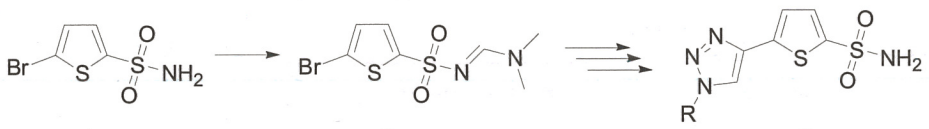
Zinc-containing enzymes Carbonic anhydrases (CA) are playing an important role to metabolic processes of bicarbonate and carbon dioxide. Presently are known 16 α-CA isoforms with various physiological functions. Among inhibitors of CA drugs with clinical applications as diuretics, antiglaucoma, antiobesity and antitumor are found.[1] Acetazolamide (AAZ), a common antiglaucoma drug and CA inhibitor, contains [1,3,4]thiadiazole scaffold. Due to our medicinal chemistry needs we were interested in replacing thiadiazole ring with thiophene to obtain structures **3**.

Here we report synthesis of thiophene-2-sulfonamide triazolyl derivatives **3**. Since bromide **1** with free sulfonamide group did not participate in Sonogashira reaction, we have developed synthetic pathway where as a core intermediate role plays protected sulfonamide **2**. In subsequent Sonogashira and click-reactions desired compounds **3** were synthesized.



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1. Alterio, V.; Di Fiore, A.; D'Ambrosio, K.; Supuran, C. T.; De Simone, G. *Chem. Rev.* **2012**, doi: 10.1021/cr200176r.