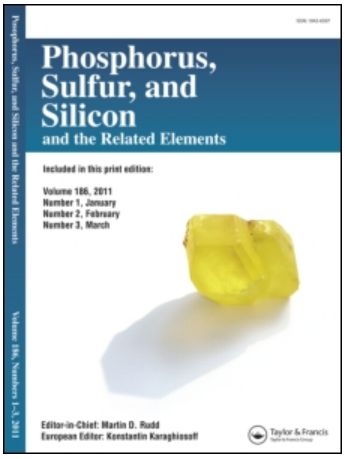

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Thiophosphorylic and Phosophorylic Arylsulfon-Amides as Carbonic Anhidrase Inhibitors

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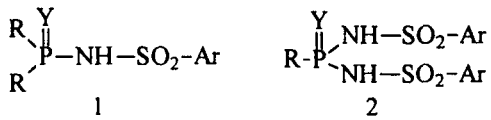
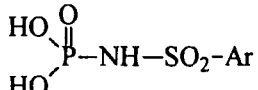
Sulfonamide carbonic anhydrase inhibitors are extensively studied due to their clinical applications for treatment of glaucoma, gastroduodenal ulcers, neurological disorders, and osteoporosis [1]



1 2

R= Ph, C_6H_{11}-c, AlkylO, Dialkylamino; Ar=2-naphthyl, C_6H_4-X_p ; X=H, Hal, CH_3, CH_3O; Y=O, S

Taking into account that our reports [2, 3] compounds **1** and **2** act as CAI and CAII inhibitors in micromolar range, we decided to investigate the interaction of compounds of type **3** with different CA isozymes



3

Ar= 2-naphtyl, C_6H_4-X; X=H, 4-Hal, 4-CH_3, 4-CH_3O, 4-NO_2, 2-NO_2

Compounds 3 was synthesized by literature [4] some of them being new, from phosphorous pentachloride, arylsulfonamides and formic acid, were characterized by standard procedures and pKa values (**3**, X=2-NO_2, pK_1=2,87, pK_2=5,55, pK_3=9,58). Inhibitors where assayed by Maren's micromethod [5]. Inhibition data of isozymes CAI, II and IV present K_I very near values to dichlorophenamide (standard and clinical used inhibitor).

Compounds **3** are much more active than **1** or **2**. Like **1** and **2**, we proposed a bounding mode for **3** presuming the bound of inhibitor in ionized form within the enzyme active site, with a supplementary bound between the metal center (Zn) and HO-P group. Structure-activity correlations are made.

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