POSSIBLE OUTCOMES OF 4-CHLORO-1-ETHYL-1*H*-BENZO[*C*][1,2]THIAZINE-3-CARBALDEHYDE 2,2-DIOXIDE INTERACTION WITH 1,2-DIHYDRO-3*H*-PYRAZOL-3-ONES

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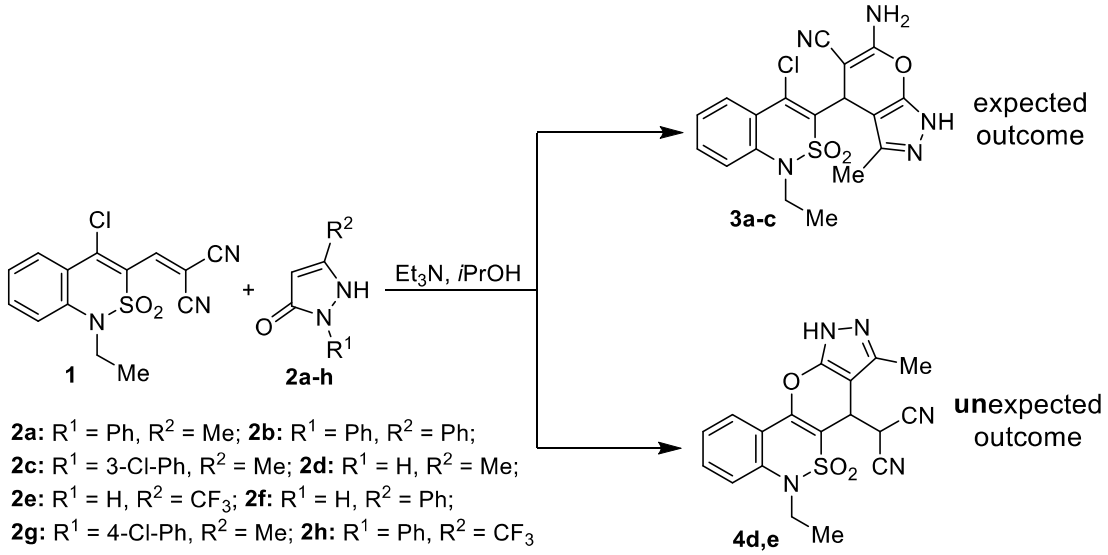
Over the years, some molecular frameworks have been found to be carriers of many types of biological activity. Thereby, they have come under the spotlight in huge number of researches and received the name "privileged scaffolds". Regarding this, we believe that 1*H*-2,1-benzothiazine 2,2-dioxide belong to the group as the world's patent field is full of its derivatives which are proved to be promising agents against hypertension, depression, insomnia, loss of appetite, viral and bacterial infections, Alzheimer disease, cancers, inflammation states etc. A few years ago, we have started our investigations of reactivity of this scaffold aiming at finding new effective NSAIDs. This idea was based on isosteric relations between 1*H*-2,1-benzothiazine 2,2-dioxide and 2*H*-1,2-benzothiazine 1,1-dioxide, which is the core of famous NSAIDs – oxicams.

Pursuing the goal of synthesis of new 1*H*-2,1-benzothiazine 2,2-dioxide derivatives s-linked to 2-amino-4*H*-pyran ring, we examined the interaction between benzothiazine **1** with variously substituted 1,2-dihydro-3*H*-pyrazol-3-ones **2**. In cases of pyrazolones **2a-c** we isolated the expected products **3a-c** in moderate to high yields. Going on, we applied other pyrazolones **2**, but unexpectedly in the cases of **2d,e** obtained another product having no signal of 2-NH_2 moiety. Application of NOESY technique together with ^{13}C NMR, IR and LCMS experiments revealed the structure of new products as polycondensed tetracyclic derivatives **4d,e**. Such an outcome refers to alternative cyclocondensation of the type 1,3–C-C-C + 1,3–O-C-C. Utilization of disubstituted pyrazolones **2f,g** resulted in isolation of a mixture of the products **3** and **4**, pyrazolone **2h** gave no product. In this way, the 'pyrazolone structure/reaction outcome' relationships remain blur, however the presence of 2-NH fragment in the starting pyrazolone apparently facilitates formation of the tetracyclic derivative **4**.



2a: R^1 = Ph, R^2 = Me; **2b:** R^1 = Ph, R^2 = Ph;
2c: R^1 = 3-Cl-Ph, R^2 = Me; **2d:** R^1 = H, R^2 = Me;
2e: R^1 = H, R^2 = CF_3; **2f:** R^1 = H, R^2 = Ph;
2g: R^1 = 4-Cl-Ph, R^2 = Me; **2h:** R^1 = Ph, R^2 = CF_3