Synthesis of halomethyl phenyl sulfones and their transformations into biological active compounds

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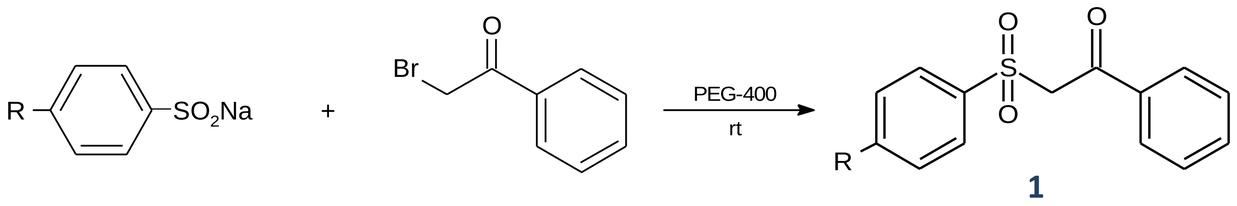
INTRODUCTION

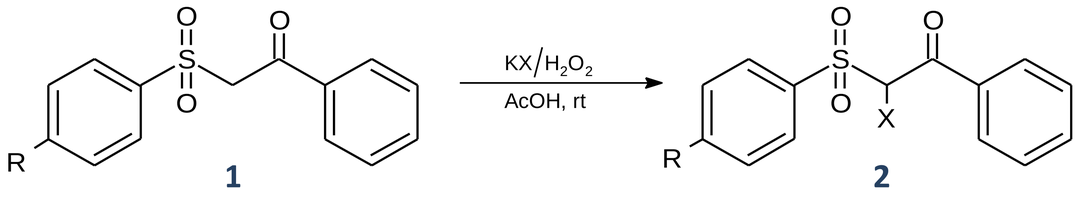
Halomethyl phenyl sulfones are of great practical importance, either as biologically active compounds – mainly biocides and as intermediates in various organic syntheses. Of particular interest were found to be trihalomethyl phenyl sulfones and therefore the new method of their synthesis was developed

SYNTHESIS

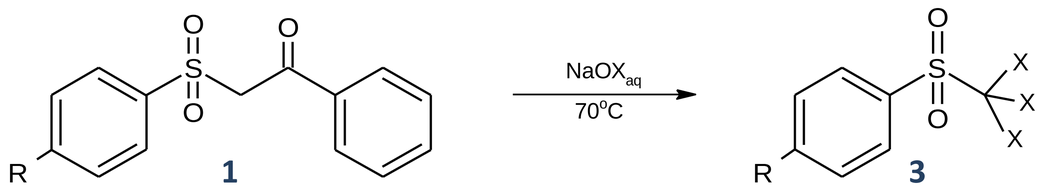
The First step of synthesis was the preparation of β-ketosulfones in reaction of sodium aryl sulfinate with 2-bromo-1-phenylethanone in organic solvent, i.e. polyethylene glycol (PEG-400).



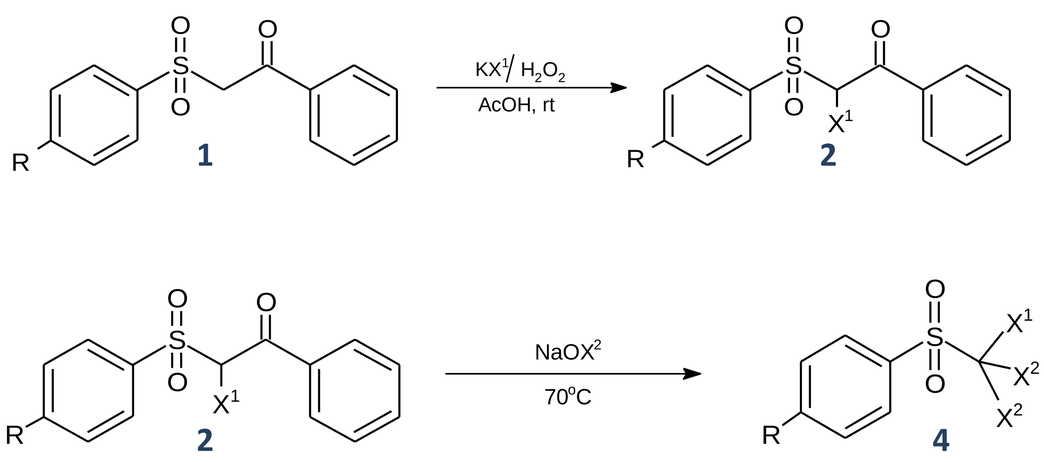
The β-ketosulfone **1** in the reaction with potassium halide in the presence of hydrogen peroxide in room temperature gives as main product α-halo-β-ketosulfone **2**.



Applying the sodium hypohalite ,as a halogenating agent, in the reaction of β-ketosulfone **1** carried out in higher temperature, yielded trihalomethyl phenyl sulfones **3** in good yields.

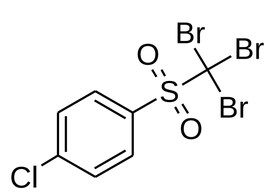


Combining both above methods of halogenating β-ketosulfones, it is possible to receive in simple way trihaloderivatives **4**, containing two different halogens in halomethylsulfonyl moiety.

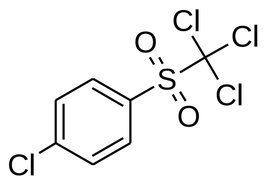


BIOLOGICAL ACTIVITY

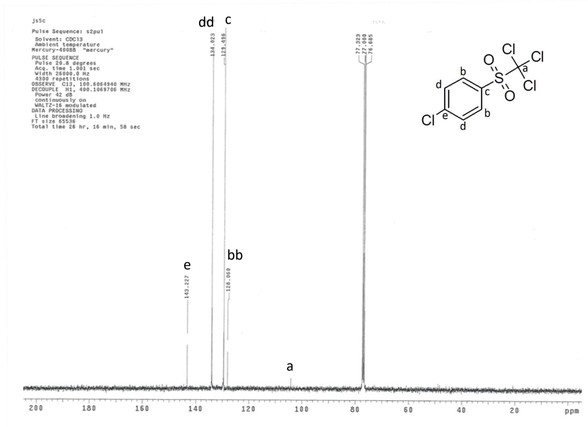
Obtained trihalomethyl phenyl sulfones **3a**, **3b** were tested for their fungicidal activity against six fungal pathogens.



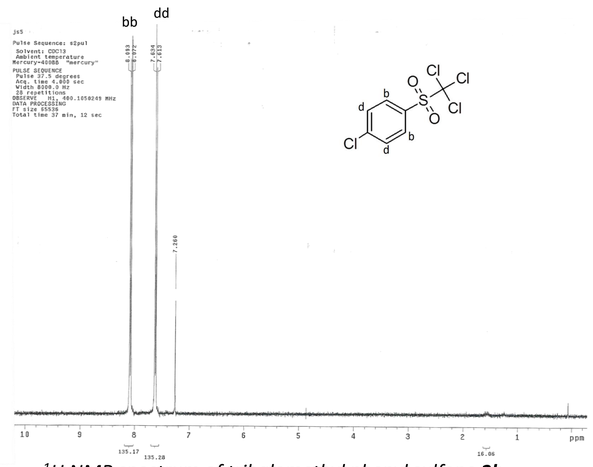
3a



3b



*^{13}C NMR spectrum of trihalomethyl phenyl sulfone **3b***



*^{1}H NMR spectrum of trihalomethyl phenyl sulfone **3b***

Fungal pathogens	A. alternata	B. cinerea	F. culmorum	P. cactorum	R. solani	B. graminis
Concentration of the compound	200 mg/ml					1000 mg/ml
	20 mg/ml					
Percentage inhibition of colony growth of the fungi 3a	40	60	80	80	80	40
	10	10	15	20	20	
3b	30	50	80	80	90	50
	10	15	10	15	20	

The results of biological evaluation are expressed as the values of percentage inhibition of colony growth of the fungi, derived from the following formula: I = 100 (C – T)/C, where I = percentage inhibition of colony growth of the fungi, C = zone of growth of the fungus colony in mm in the control, T = zone of growth of the fungus colony in mm in the examined sample.

ADVANTAGES OF NEW METHOD

- Low-cost of substrates
- Mild reaction conditions
- High yield of reaction
- Simple laboratory devices

REFERENCES

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2. N. Suryakiran, T. Srikanth Reddy, K. Ashalatha, M. Lakshman and Y. Venkateswarlu *Tetrahedron Letters* 47 (2006) 3853–3856
3. N. Suryakiran, P. Prabhakar, T. Srikanth Reddy, K. Chinni Mahesh, K. Rajesh and Y. Venkateswarlu *Tetrahedron Letters* 48 (2007) 877–881

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