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Bioactivity study of Thiazolyl Chromones against *Pseudomonas flurescence*

S D Mhaske[1], S P Salve[3], P S Sadavarte[3], B K Karale[2], S J Takate[3*]

[1]Department of Chemistry, Dada Patil Rajale Arts & Science College, Adinathnagar, Ahmednagar, Maharashatra, India
[2]Department of Chemistry, Radhabai Kale Mahila Mahavidyalya, Ahmednagar, Maharashatra, India
[3]Department of Chemistry, New Arts, Commerce and Science College, Ahmednagar, Maharashatra, India
e-mail- sjtakate26@gmail.com

ABSTRACT

Heterocyclic compounds are widely known for their bioactivities. Owing to potential bioactivities of thiazole and chromones, these are attractive lead compounds for drug development. In present investigation thiazolyl chromones were studied for their bioactivity against Pseudomonas flurescence using ampicillin as reference drug.

Keywords : *Pseudomonas flurescence*, Thiazolyl Chromones, Chromones, Heterocycles

I. INTRODUCTION

Microbial infections are one of the major reasons for human diseases. Numerous microorganisms have been recognized as human pathogens. For treatment of these infectious diseases, there is an increasing need of potential medicinal agents.

As a part of drug development various heterocycles have been extensively studied for their therapeutic properties. Especially sulphur, oxygen and nitrogen containing heterocycles are dominating the field of synthetic as well as medicinal chemistry.

Owing to versatile spectrum of biological activities, thiazole has been proved as an important lead compound for drug development. Thiazole possesses broad spectrum of bioactivities including antimicrobial, antifungal, anticancer and antitubercular[1-6].

Chromones belong to group of natural compounds widely known for its potential bioactivities[7-10]. As a part of our previous studies we have synthesized thiazolyl chromones via Baker-Venkatraman transformation and studied them for their antimicrobial activities[11]. In continuation to that in present study some of the thiazolyl chromones were studied against *Pseudomonas flurescence*.

II. RESULTS AND DISCUSSION

In present study chromones **5b-d** and **5f** were evaluated against *Pseudomonas flurescence*. The results showed that all the compounds **5b-d** are weakly active against test organism while compound **5f** is moderately active at higher concentration against test organism.

Scheme:

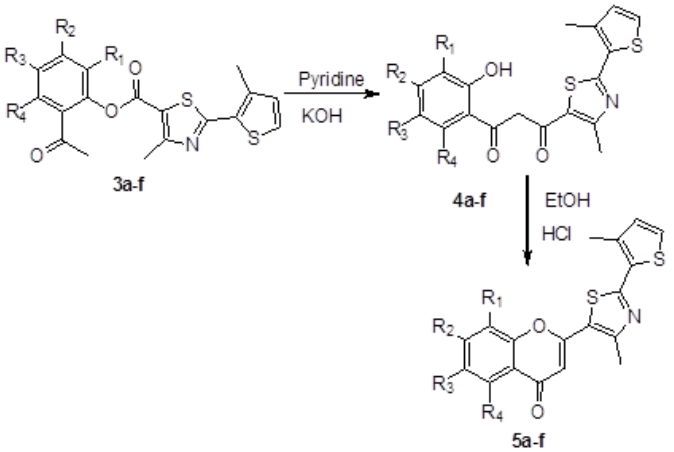

Table 1. Characterization data

Compd	R_1	R_2	R_3	R_4	M.P. (°C)	Yield (%)
5b	Cl	H	Cl	H	182	77
5c	H	H	Br	H	242	72
5d	H	CH_3	Cl	H	210	75
5e	CH_3	H	CH_3	H	216-218	70
5f	H	H	Cl	H	182-184	74

Biological Activity:

Table 2. Antimicrobial Activity (% Inhibition)

Compd	100 µg/ml	30 µg/ml	10 µg/ml
5b	42.12	16.60	9.86
5c	28.63	20.18	10.11
5d	72.11	31.87	6.46
5e	NT	NT	NT
5f	83.17	66.50	40.53
Ampicillin	97.0	95.2	92.2

Experimental:

6,8-Dichloro-2-[4-methyl-2-(3-methylthiophen-2-yl)-1,3-thiazol-5-yl]-4*H*-chromen-4-one, **5b**

Compound **4b** was dissolved in 10 mL ethanol and to this 1mL HCl was added. Reaction mixture was heated under reflux for 1 hr. After completion of heating, the reaction mixture was cooled and poured over crushed ice. The resulting product was separated by filtration and purified by recrystallization from ethanol to yield **5b**. Compounds **5c-f** were obtained using the same procedure.

5b: IR (KBr): 3076, 3026, 1654, 1598, 1560 cm^{-1}; ^1H NMR (DMSO-d_6): δ 2.55 (s, 3H), 2.81 (s, 3H), 6.69 (s, 1H), 7.08 (d, 1H, J = 5.0 Hz), 7.64 (d, 1H, J = 5.0 Hz), 7.95 (d, 1H, J = 2.52 Hz), 8.06 (d, 1H, J = 2.52 Hz); MS: *m/z*: (M+1) 408.

5c: IR (KBr): 3086, 3062, 1595, 1232, 1157, 1001, 839, 773, 721 cm^{-1}; ^1H NMR (DMSO-d_6): δ 2.73 (s, 3H), 2.95 (s, 3H), 7.19 (s, 1H), 7.27 (d, 1H, J = 5 Hz), 7.65 (d, 1H, J = 8.96 Hz), 7.99 (d, 1H, J = 4.92 Hz), 8.08 (dd, 1H, J = 8.9 and 2.24 Hz), 8.47 (d, 1H, J = 2.24 Hz).

5d: IR (KBr): 3113, 3047, 1593, 1546, 1236, 1150, 840, 792 cm^{-1}; ^1H NMR (DMSO-d_6): δ 2.41 (s, 3H), 2.55 (s, 3H), 2.75 (s, 3H), 6.58 (s, 1H),7.08 (d, 1H, J = 5 Hz),7.48 (s, 1H), 7.64 (d, 1H, J = 5 Hz), 7.68 (s, 1H

5e: IR (KBr): 3061, 2968, 1635, 1614, 1265, 825cm^{-1}; ^1H NMR (DMSO-d_6): δ 2.30 (s, 3H), 2.48 (s, 3H), 2.52(s, 3H), 2.75 (s, 3H), 6.72 (s, 1H), 7.02 (d, 1H, J = 5.0 Hz), 7.25(bs, 1H), 7.62 (d, 1H, J = 5 Hz), 7.8 (s, 1H).

5f: IR (KBr): 3074, 3007, 1602, 1566, 1255, 1238, 1157,1035, 1006, 837, 767, 725 cm^{-1}; ^1H NMR (DMSO-d_6): δ 2.55 (s, 3H), 2.75 (s, 3H), 6.64 (s, 1H), 7.08 (d, 1H, J = 5.04 Hz), 7.49 (d, 1H, J = 8.9 Hz), 7.64 (d, 1H, J = 5.03 Hz), 7.72 (dd, 1H, J = 2.6 and 8.9 Hz), 7.80 (d, 1H, J = 2.59 Hz).

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