

Methyl Benzyl Amine Substituted Benzopyran- Biological Activity

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Abstract:- Chomene the privileged medicinal pharmacophore which present as an important structural component in natural compounds and creatd great attention because of their pharmacological effect. The derivatives of chomene moiety can be able of reacting with avariety of cellular level which leads to their wide ranging pharmaco- activities such as, anti hepatotoxic, anti inflammatory, diuretic,, antispasmodic ,estrogenic, antiviral - helminthic, hypothermal, vasodilatory, anti-HIV, antitubercular, herbicidal, anticonvulsant and analgesic activity.

I. INTRODUCTION

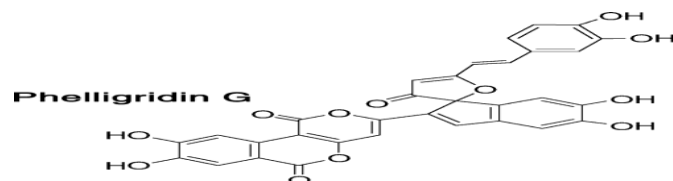
Medicinal chemistry is the branch of science, which has remarkable value for synthesis of novel drugs with intence therapeutic activity.It concerns with discovery, development, identification and interpretation of mode of action of biologically active compounds at molecular level. These developments have provided new challenges and opportunities for drug research in general and drug design in particular. The major objectives of the medicinal chemists are transformation of patho biochemical and physiological data into a 'chemical language' with the aim of designing molecules interacting specifically with the derailed or degenerating processes in the diseased organisms.

II. ANTIMICROBIAL STUDY

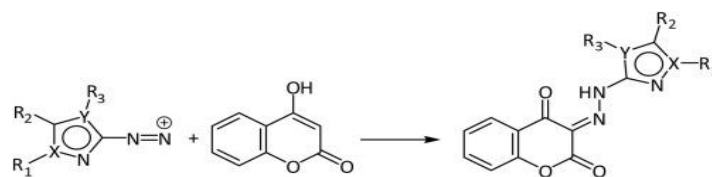
An anti microbial activity was arid out at nehru college of pharmacy, pampdi, kerala, depratmnt of micro biology lab. Suitable media prepared with the help of Dr.sudahar D.H. Details about media,preparation described in methodology part.

III. RIVIEW OF LITERATURE

A. A Unique Highly Oxygenated Pyrano [4, 3-c][2] benzopyran-1, 6-dione Derivative with Antioxidant and Cytotoxic Activities from the Fungus *Phellinus ignarius* by Y Wang, SY Mo, SJ Wang, S Li, YC Yang, JG Shi - Organic letters, 2005 - ACS Publications



- B. Quercetin(= 2-(3, 4-dihydroxyphenyl)-3, 5, 7-trihydroxy-4H-1-benzopyran-4-one) glycosides and sulfates: chemical synthesis, complexation, and antioxidant properties by B Alluis, O Dangles - Helvetica ChimicaActa, 2001 - Wiley Online Library
- C. Synthesis and evaluation of in vitro antitubercular activity and antimicrobial activity of some novel 4H-chromeno [2, 3-d] pyrimidine via 2-amino-4-phenyl-4H-chromene ...by NR Kamdar, DD Haveliwala, PT Mistry... - Medicinal Chemistry ..., 2011 – Springer
- D. Aryloxyacetic Acid Diuretics with Uricosuric Activity. II. Substituted [(4-Oxo-4H-1-benzopyran-7-yl) oxy] acetic Acids and the Related Compounds by M Kitagawa, K YAMAMOTO, S KATAKURA... - Chemical and ..., 1991 - jstage.jst.go.jp
- E. An efficient synthesis of tetrahydrobenzo [b] pyran derivatives using sulfonic acid functionalized silica as an efficient catalyst by GM Ziarani, A Abbasi, A Badiei... - Journal of ..., 2011 - downloads.hindawi.com

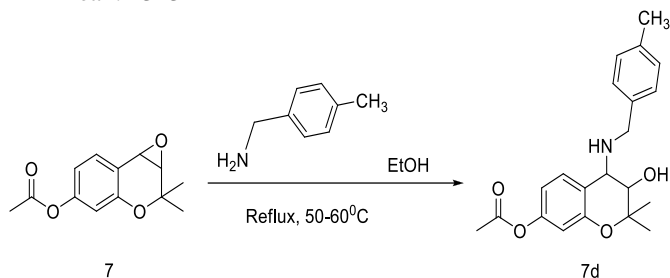


- F. Tonabersat (SB-220453) a novel benzopyran with anticonvulsant properties attenuates trigeminal nerve-induced neurovascular reflexes by AA Parsons, S Bingham, P Raval... - British journal of ..., 2001 - Wiley Online Library
- G. Synthesis and anticonvulsant activity of 4-oxo and 4-thioxo-8-bromobenzopyran derivatives by FA Ragab, GS Hassan, YHA Abu, TA Yahya... - Arzneimittel- ..., 2009 - europepmc.org
- H. Identification of (-)-cis-6-acetyl-4S-(3-chloro-4-fluorobenzoylamino)-3, 4-dihydro-2, 2-dimethyl-2H-benzo [b] pyran-3S-ol as a potential antimigraine agent by WN Chan, JM Evans, MS Hadley, HJ Herdon... - Bioorganic & medicinal ..., 1999 – Elsevier

IV. SYNTHESIS OF DERIVATIVES

To a 50 ml of RBF 250mg (1.066 mmol) of compound 7 was taken in 30ml of ethanol. After that 0.271ml (2.136 mmol, 2 equivalents) of 4-methyl-benzylamine was added reaction was refluxed at 80°C for 4hours. After completion of reaction the solvent was evaporated.

M+1 Peak: 313



The anti bacterial screening was carried out in the pharmaceutical biotechnology laboratory, Nehru College of Pharmacy ,Pampady,Thrissur.

V. MEDIA USED IN THE STUDY

Nutrient agar

Nutrient agar at concentration of 2%.(Bacteriological grade)

Ingredients

Peptic digest of animal tissue	: 5g/Ltr
Sodium chloride	: 5g/Ltr
Beef extract	: 1.5g/Ltr
Yeast extract	: 1.5g/Ltr
Agar	: 50g/Ltr
Final PH(at 25 ⁰ c)	: 7.4

➤ Preparation

The ingredients dissolved in distilled water and heated to maintain.PH to 7.2-7.6 using alkali diluted acid.15-20ml of Nutrient Agar was then autoclaved at a pressure of 15psi(120 °c) for 20 min. and the organisms used are *S.aureus* MTCC 405,*Pseudomonas aeroginosa* ,were collected from Institute of Microbial Technology, Chandigarh. The strain was confirmed for their purity and identity by Gram's staining method and characteristic biochemical reactions. The selected strains were preserved by sub-culturing them periodically on other slants and storing them under frozen conditions .For the study, fresh 24 hrs broth cultures were used after standardization of the culture. The entire work was done using horizontal laminar flow hood at Nehru college. So as to provide aseptic conditions in absence of bacterial growth. Confirmed by aseptic working condition. The medium for the experiments were prepared fresh in Nutrient agar from preserved frozen slant culture. It as kept incubated at 37⁰ c for one day.

Drug used: t₁ (1000mcg/100ml)

Standard used: Levofloxacin (5mcg/disc)

Vehicle used: Ethanol

VI. ANTIBACTERIAL SCREENING

Two Nutrient agar plates were prepared aseptically to get a thickness of 5-6 mm. The plates were allowed to solidify and inverted to prevent the condensate falling on the agar surface. The plates were dried at 37⁰ c before inoculation. The organisms were inoculated in the plates prepared by spread plate method. i.e, using a micropipette ,the culture place randomly on the agar plate and it is spread by using L-shaped glass rod where it is just touch the surface of the agar and rotating it to to and fro direction.

The organism used were Gram positive *S.aureus* and Gram negative *Pseudomonas aeroginosa*

The standard and test drugs were introduced in two agar plates by using cup plate method.

- By using the tips of borer, the four agar wells were made at each quadrant and central well for control.
- Add three different dilution of test drug which has been prepared from previously prepared stock solution of 1g test drug per 100mL ethanol.
- The different dilutions are prepared by taking 1ML stock solution and dilute with 4ML solvent(ethanol) similarly two more dilutions were prepared in the ratio 2:3 and 3:2.
- Also add the standard drug to one well, which has prepared in the ratio 1:4 and ethanol was added as control at the centre.
- By kept in the refrigerator for one hour to make uniform diffusion of drugs.
- Two plates prepared were then incubated for one day..
- The zone of inhibition around the drug and compared with of standard. The compound synthesized was tested for antibacterial activity against gram positive and gram negative bacteria.

Zone of inhibition of the compound against Gram negative *Pseudomonas aeroginosa*

Drug used: Test sample(1000mcg/100ml)of different dilution in the ratio 1:4, 2:3 & 3:2

Standard: Levofloxacin(1mL/4ml)

Solvent: Ethanol

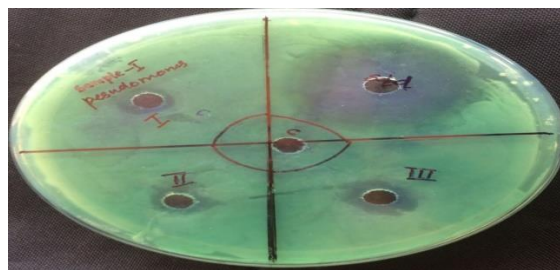


Fig 1

Name of organism	Compounds	Dilutions (compound: Solvent)	Total Diametre (T) (cm)	Well Diametre(W)	Zone of Inhibition (T-D)*10 mm
Pseudomonas aeruginosa	Standrad	1:4	4	0.6	34
	Solvent	–	–	0.6	–
	Sample	1:4	1.5	0.6	8
		2:3	1.8	0.6	11
		3:2	2	0.6	13

Table 1

Zone of inhibition of the compound against Gram positive *S.aureus*

Drug used: Test sample (1000mcg/100ml) of different dilution in the ratio 1:4, 2:3 & 3:2

Standard: Levofloxacin(1mL/4ml)

Solvent: Ethanol

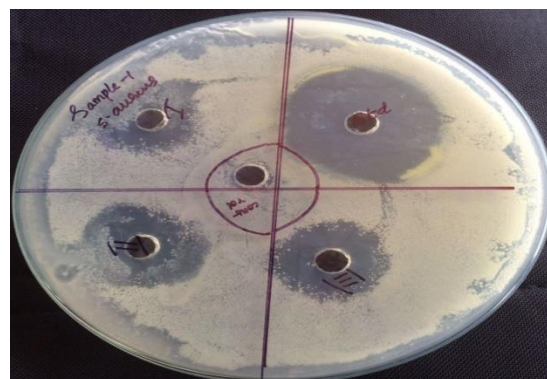


Fig 2

Name of organism	Compounds	Dilutions (compound : Solvent)	Total Diametre (T) (cm)	Well Diametre (W)	Zone of Inhibition (T-D)*10 mm
S.aureus	Standrad	1:4	4.1	0.6	35
	Solvent	–	–	0.6	–
	Sample	1:4	2.3	0.6	16
		2:3	2.8	0.6	21
		3:2	3.1	0.6	24

Table 2

VII. RESULT AND DISCUSSION

The antibacterial activity of newly synthesized compound was evaluated by using both gram positive and gram negative organisms..*S.aureus*, *Pseudomonas aeruginosa*. Various dilution of 1000mcg/100ml has been for the test compound, results were compared with the standard Levofloxacin 1mL\4mL concentration and ethanol .The results were interpreted as the KB method The test organism *Pseudomonas aeruginosa* was found to be moderately sensitive at given concentration of test compound. And the organism *S.aureus* was found to be highly sensitive at given concentration of test compound. Therefore the drug is more effective against Gram positive organism.

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