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Synthesis and Characterization of 1,2-Dihydroquinoline Sulphonamides: Novel

Derivatives with Enhanced Pharmacological Potential

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Abstract

The present investigation reports the synthesis and characterization of a novel series of 1.2-dihydroquinoline sulphonamides, developed with the aim of enhancing their pharmacological efficacy. The synthesis involved a multi-step process starting with the preparation of 3-hydroxy Acetanilide, followed by Pechmann condensation to obtain acetylated aminophenol, and subsequent reactions leading to the formation of 1,2dihydroquinoline derivatives. These derivatives were further reacted with various substituted sulphonyl chlorides to yield the target sulphonamide compounds. The synthesized compounds were characterized using FT-IR, 1H NMR, 13C NMR, and LCMS. Their pharmacological activities, antibacterial, anthelmintic, anti-inflammatory, including and antihyperlipidemic properties, were evaluated through in-vitro assays.

The results demonstrated that these novel 1,2-dihydroquinoline sulphonamides exhibit promising pharmacological activities, validating their potential as effective therapeutic agents.

Keywords:1,2-Dihydroquinoline, Sulphonamides, Synthesis, Characterization, Pharmacological Activity, Antibacterial, Anthelmintic, Anti-inflammatory, Antihyperlipidemic

Introduction

Quinoline is the most common heterocyclic compound in medicinal chemistry. Quinoline chemistry has been increasing interest as most of these substances are useful as chemotherapeutic agents for malaria and microbes [1, 2]. Quinoline isolated Ferdinand was first bv Rungin1834fromthecoaltarbases(very high viscosity brown or black liquid)[3].Gerhardt later in 1842 obtained quinoline by alkaline pyrolysis of cinchonine, alkaloid analogue of quinoline. It was found that heterocyclic compounds containing nitrogen and oxygen are one of most commonly synthesized and the evaluatedcompoundsastheypossesspharmacologic alactivities including antihyperlipidemic and antimic robial activity.

Quinolines are an important class of natural flavonoids [4].These are biologicalagents,

including antibiotic [5], anthelmintic [6], antiinflammatory [7], anti-fungal [8-9],antitumour[10-

11]andantimutagenic[12].Inaddition,somequinolin ederivativeshaveinhibitedmanyenzymessuchasxan thineoxidase[13],proteintyrosine kinase [14, 15] in cell systems. Therefore, the synthesis of quinolines is ofgreatinterest.

Quinolines are a group of synthetic wide spectrum antibacterial drugs. Nalidixic acidwasafirstgenerationquinolinesintroducedin196 2forthecure ofurinarytractinfections in humans. Georgelesherandco-

workersdiscoveredNalidixicacidinadistillateduring anattemptatsynthesisoffamousantimalarialdrugchl oroquine.

*Corresponding Author E.mail: rajeevrcp33@gmail.com Quinolinederivatives

exhibited their antibacterial effect by preventing bacterial DNA replication in bacterial cell, however most of the quinolones in clinical use belongs to the fluor oquinolones, which have fluorine atom attached to benzenering. Therefore, we decided to synthesize quinoline derivatives and evaluate them for their activities for instance Antibacterial, Anthelmintic, Anti-

inflammatoryandAntihyperlipidemicactivity.

In literature, reviews on importance of alkylation reactions [16-18], formulations [19,20]anddosage[21]arewelldocumented.Thisgav eanimpetustothedevelopmentofnewerpharmacolog icallyactivequinoline derivatives. Hence,wesynthesized

someofthenovelquinolinederivativesasshowninthe reactionscheme2.1andtoscreenthem

fortheiractivities.

SanchezJPetal.[22]preparedanewseriesofamino

sulfonamide andbenzene sulfonamide containing substituted piperazine quinoline carboxylic acids with(1, 2) at C-3 position and screened against various bacterial strains, using cup platemethod. Compounds revealed promising antibacterial activity against tested bacterialstrains.

Ghorabetal.[23]describedthepreparationoffewnew derivatives ofquinoline having quinoline hydrazone motif. These hydrazones act as CA inhibitors,which may be the reason for their Antihyperlipidemic activity. In vitro anticanceractivity against MCF7 (breast cancer cell line) results show thatcompound (3)havingtetrahydroquinoline moietywas morepotent.

Lunniss et al. [24] developed selective PDE4 inhibitor quinolines (**4a**, **4b**) with utilityinchronic Smithandcoworkers[25]developedQuinolinebased NK3receptorantagonists(**5**)and(**6**)withCNSactivity Vermaetal.[26]preparednewderivativesofquinoline -sulfonamideshybrids(**7**)asantimalarial.Theresults showed that thederivativesexhibited goodactivity. Jiangetal.[27]describedthesynthesisofanovelseries ofquinolinederivativesaspotentc-JunN-

terminalkinase(JNK)inhibitors($\mathbf{8}$)withselectivityag ainstp38.Theresults revealed thatcompoundpossessedaremarkableinhibitionacti vity(IC₅₀=0.15M.

ChloroquinolylderivativehavebeensynthesizedbyK ovi etal. [28] the compound(9)exhibitedpotentantimalarialactivityat submicro molarconcentrationlevels.

Hu et al. [29] prepared pyridinylquinoline derivatives with $PI3K\alpha$ inhibition activity. Amongst

all,compound(**10**)showed exceptionalinhibition of P I3K α . In another research, the same group have reported unsaturated-sulfonylamino-quinolines (**11**) as PI3K α inhibitors. All the compounds reported by themwere tested for PI3K α inhibitory activity and the results showed that the ypossess better activity than BEZ235, the positive control.

Chengetal.[30]havefiledapatentonaclassofmorphol ino-

quinolinesulphonamidesusefulintreatingthedisease sassociated with PI3K/mTOR cancer. One of the representative compounds (12) effectively inhibited with IC50 <20nM.

Brown et al. [31] patented novel series of amino substituted quinoline sulphonamides.Allthecompoundsweretestedforlact atedehydrogenaseAinhibitoryactivityand they reported that the compound(**13**) exhibited a pIC50 value of 7.1 in no lessthanoneset of experimental runs.

Lee et al.[32] prepared quinoline sulphonamide derivatives as Antihyperlipidemicagents. Compound (14) presented good Antihyperlipidemic activity against the MDA-MB231,MDAMB468 and MCF-breast cancercell lines.

Mohsen Nikpour [33] research group designed and synthesized methyl benzamidederivatives and evaluated them for their inhibitory activity against human PDE3A andPDE3B. The best activity was obtained for the compound (**15**) (IC50 = $0.43 \pm$ 0.041M). obstructive pulmonary disorder.

Modather F et al.[34] planned and synthesized and characterized novel series ofmethylquinolin(1H)-one derivatives. In order to find good antioxidantagents, efficiencyinantioxidantactivityofthesynthesized compounds havebeeninvestigatedusingASTMd-942andASTMd-

664.Goodactivitywasobservedinthecompound (16).

P. Raghavendraetal.[35]synthesized a bunch of substituted benzylidene-1,2dihydroquinolinecompoundsbycondensingsubstitu tedimidazolewithsubstituted quinoline. The

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compounds were inspected for antiinflammatoryandits ulecerogenicity activities.They reported that all the leadcompounds (17) showed better activities against inflammation when compared withIbuprofen.

JumbadHetal.[36]synthesizedaseriesofnewquinoli n-2-

oneSchiffbasescontainingisoxazolinenucleus.Som eofthesynthesizedcompoundshavebeenscreened for antibacterial activities against two types of bacteria *E. coli* and *S. aureus*.Compound(**18**)showedgood

activityagainstS. aureusbut not againstE. coli.

MBDeshmukandcoworkers[37]synthesizedthecom pound7-hydroxy-4-methylquinolin-2(1H)-one

(19) by an easy and efficient microwave-assisted method.Compoundwassuccessfullycharacterizedo nthebasisofits¹Hprotonand¹³C

carbonmagneticmeasurementsandelementalanalysi s.Thecompoundwasalsosubjected forantibacterial andantifungal study.

Based on the survey of literature on quinolone containing sulphonamides and compounds containing 1,2-

dihydroquinolinenucleus,itwas found thatcompounds

arepharmacologicallyveryimportantthereforetheob ofpresentworkwas focusedtoplan jective and synthesize 1,2dihydroquinolinederivativescontaining sulfonamide moiety.Finally, all the synthesizedderivativeswerewellcharacterizedand evaluated for them their invitroAntimicrobial, Anthelminthic, Antiinflammatory and Antihyperlipidemic study. Insilico study was also performed to infer the

bindingpatternofsynthesized compounds. The objective of the present synthetic strategy was to synthesis 1,2dihydroquinolinesulphonamidesderivatives[**4ah**].Thesyntheticrouteforthe

preparationisbasedonthetransformationof3-

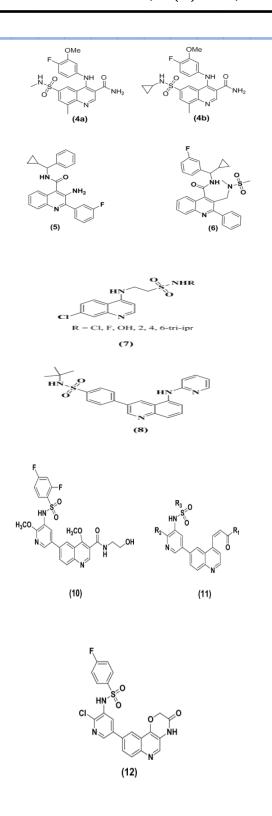
hydroxy Acetanilide intoacetylatedaminophenol followed bycyclized product.

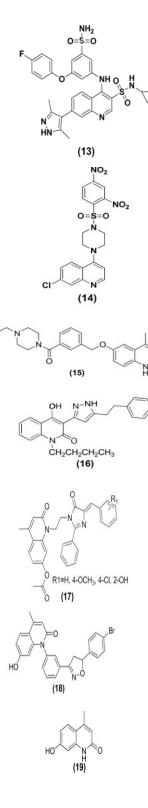
Thesynthesisandcharacterizationof1,2-

dihydroquinolinesulphonamides[4a-

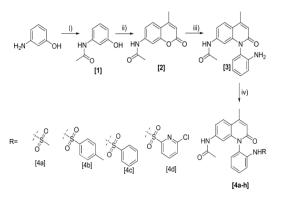
h]followsthebelow

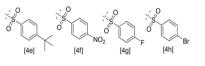
mentioned sequence of reactions:





- Step-1: Synthesis of 3-hydroxy Acetanilide [1] was carried out by the reaction of 3-aminophenolin presence of acetic acid withaceticanhydride.
- Step-2: 3-hydroxy Acetanilide [1] underwent Pechmann condensation reaction withethylacetoacetatetoafford productacetylated aminophenol[2].
- **Step-3:** Reaction of O-phenylenediamine with intermediate acetamide rearrangementtakesplaceleadingtor ingopeningandsubsequenteliminati onofwater affordedcondensedproduct[**3**].
- Step-4: Compound [3] on reaction with substituted Sulfonamides in presence of baseunderwentcouplingreactiontoo btainsulphonamidescontainingdihy droquinolinederivatives[4ah].Thesequenceofreactionforthesy nthesisof1,2dihydroquinolinesulphonamides[4 a-h]hasbeenpresentedinscheme-2.1.





Scheme-2.1: Synthetic reaction scheme for the preparation of 1,2-Dihydroquinoline Sulphonamides[4a-h]

Material and Methods Materials

OrganicsolventsandReagents

The organic solvents were purchased from SD Fine. Spectrochem, Sigma Aldrichandstandardcommercialsources areusedwithoutfurtherpurification.

Reagents and conditions:

i) $(CH_3CO)_2O$, CH_3COOH , 8h; ii) *CH*₃*COCH*₂*COOEt*,70% *H*₂*SO*₄, 0°*C*, 9-10*h*; *iii*) *O-phenylenediamine*, NaOAc. rt. 8h: iv) SubstitutedSulfonylchlorides, Et₃N,CH₂Cl₂.

Reaction conditions

Room temperature reactions mentioned ranges between $20-30^{\circ}C$ (throughout theyear). For low temperatures reactions, ice-bath with sodium chloride (-5°C) wasused. Magnetically stirred oil bath (or) a hotplate was used for high temperaturereactions.

Analyticaltechniques

Meltingpoint

For solid compoundsmelting			point	range
wa	S	determined		in
opencapillarytubes		usingadigital		
meltingpoi	nt ai	oparatus.		

ThinlayerChromatography(TLC)

ReactionwasmonitoredbyTLCusingsilicaplates.Th following mobile phases were used for elutionе

Hexane:Ethylacetate(or)dichloromethane:

methanol in different ratios. TLC plates were withultraviolet visualized light oriodinevaporsorbystainingwith2%aqueouspotass iumpermanganatesolution.

Columnchromatography

Silicagel(60-

120mesh)orneutralaluminawasusedforpurification ofsynthesized compounds.

Instrumentation

Compoundswerecharacterizedbyavailablespectros copictechniquesandLCMS.

FT-IR

Thespectrawererecordedusing JASCOFTIR-

4100spectrophotometeras KBr disc in the range of 4000-400 cm⁻¹. FT-IR data are reported as follows: Frequency (functional group).

¹HNMR and¹³C NMR

The ¹H and ¹³CNMR spectra were recorded on JEOL-400 MHz spectrometersusing deuteriated solvents $(CDCl_3\&$ $DMSO-d_6$) and

Tetramethylsilane (TMS)as an internal standard. The chemical shift values were expressed in δ ppm inboth¹H NMR (0-15 ppm) and ^{13}C NMR (0-200 ppm) spectra.

¹H NMR data is reported in the following order: (*multiplicity*, chemical shift Jvalue, number of protons and nature of proton).¹³CN MRdataarereportedinthefollowingorder: chemical shift (numberedcarbonatom).

Massanalyses

WatersAlliance2795separationsmoduleandWaters *MicromassLCTmassdetectorwas* used to recordLC-MS.

Elementalanalysis

Elemental analysis (C,H and N) was performed on Elementarvario MICROcube.

Experimental

Step-1:Synthesisof3-hydroxyAcetanilide[1]

3-aminophenol (25g, 0.11mol) taken in round containingacetic anhydride (80 flask bottom mL) and it was stirred for 8 h at 60°C under Nitrogen

atmosphere.Afterreactioncompletion, excessacetic anhydridewasremovedoffunder

reducedpressure;theresiduewasobtainedwhichwas dissolvedindichloromethane. The dichloromethane washed with brine layer was solution. driedoveranhydrousNa₂SO₄ and concentrated to achieve compound [1]. LCMS: 152 (M+1), MP: 152 °C. Yield:82%.

Step-2:Synthesisofintermediate acetamide[2] 3-hvdroxv

Acetanilide[1](25g,0.11mol)wastakeninethylaceto acetate(0.1mol) with70% aq.H₂SO₄ (50mL), and it was stirred for 9-

10hat0°C.Afterreactioncompletion,thereactionmix turewaspouredintoicecoldwater, solid

separatesout. The solid was filtered and was hed with w ater.Thecrudeproductwasrecrystallized toobtain compound[2]. pure

Synthesis ofN-[1-(2-aminophenyl)-4-Step-3: methyl-2-oxo-1,2-dihydroquinolin-7yl]acetamide[3]

Compound[2](2.17g,0.01mole),ophenylenediamine(0.01mole,1.08g)andsodium acetate (5 g) were taken in a round bottom flask containing glacial acetic acid(15 mL) and the resulting mixture was refluxed for 8 h. After completion reaction,

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thereactionmixturewasbringdowntoroomtemperat ure.Theseparatedsolidwasfilteredandrecrystallized frommethanol:water(1:2)togivetitlecompound[**3**]. LCMS: 237.8 (M+1), MP: 285°C. Yield: 70%.

Step-4:Synthesisof1,2-

dihydroquinolineSulphonamides[4a-h]

Equimolarquantities of compound **[3]** (0.5g, 0.001 mo l), different substituted sulphonylchlorides (0.001 mo l) and triethylamine (0.57g, 0.003 moles) we restirred i

n dry methylene chloride (10 mL) under N_2 atmosphere at room temperature for 12 h.ThereactionwasmonitoredbyTLC.Afterreactionc ompletion,themixturewas

washedwithwaterandbrinesolution.Methylenechlo ridelayerwasdriedover

anhydrousNa₂SO₄andevaporatedundervacuum.Th eresiduewaspurifiedbycolumnchromatographyusin gpetroleumether:ethylacetateaseluent(7:3)togetSul phonamide dihydroquinolinenucleus**[4a-h]**in goodyield(**scheme2.1**).

Results and Discussion

Inthiswork, pharmacologically important novel dihy droquinoline derivatives were synthesized by the acet vlation reaction of 3-

Aminophenol, cyclisation of acylated aminophenola cetamidefollowed by substitution of ophenylenediaminetoget

intermediate[3].Compound[3]wasreacted

withvarioussubstitutedsulphonylchloridesinordert ogetthedihydroquinolinederivativeswithsulphona midemoiety[**4a-h**].

The structures of the newly synthesized compounds were established by spectroscopicmethodsforinstance FT-IR, ¹HNMR, ¹³CNMR andLCMS orMass spectra.

Finally, all the synthesized compounds were evaluated for their *in-vitro* Antibacterial,Anthelmintic,Anti-

inflammatoryandAntihyperlipidemicactivity.

Spectralinterpretationofthetitlecompounds(4a-h)

N-[1-(2-Methanesulfonylamino-phenyl)-4methyl-2-oxo-1,2-dihydro-quinolin-7vllacetamide[4a]

IR: vmax/cm^{-1:}3340 (N-H), 2228 (CN), 1698 (CO), 1342–1140 (CF stretching) ;¹**H**-**NMR(CDCl₃)δ:**7.34-7.28(d,*J*=8.7Hz,1H,Ar-H),7.26-7.12(m,7H,Ar-H&NH),6.98-6.96 (d,*J*=8.3 Hz,1H, Ar-H),6.73-6.71 (d,*J*=8.3Hz,1H, Ar-H), 2.98(s,3H,COCH₃),2.36(s,3H,CH₃),2.16(s,3H,CH₃);¹³C-NMR

(**CDCl₃**)δ:163.25,135.75,132.29,129.97,129.40,12 2.92,118.34,114.30,

55.57,28.27,22.27;**MS**:*m*/*z*=Cal.385.44(Found386 .1)(M+1);**CHN**

 $analysis: Calculated for: C_{19}H_{19}N_3O_4S; Calculated: C, 59.21\%; H, 4.97\%; N, 10.90\%; Observed: C,$

59.19%; H,4.95%; N, 10.88%.

N-{4-Methyl-2-oxo-1-[2-toluene-4-

sulfonylamino)-phenyl]-4-methyl-2-oxo-1,2dihydro-quinolin-7-yl}-acetamide[4b]

IR:vmax/cm^{-1:}3340(N-H),2228(CN),1698 (CO),1342–1140(CFstretching)¹**H**-

NMR(CDCl₃)δ:7.74-7.70(m, 4H,Ar-H),7.35-7.31 (m,4H,Ar-H), 7.27-

7.26(d,*J*=8.3Hz,1H,Ar-H),6.99-6.97(t,2H,Ar-

H),6.96–6.88(m,5H,Ar-H),2.58 (s,3H,COCH₃),2.36(s,3H,CH₃),2.16(s,3H,CH₃);¹³

C-NMR(CDCl₃)

δ:173.28, 170.45, 163.80, 161.33, 154.55, 130.71, 130.63, 126.32, 126.24, 123.55,

123.52,119.57,119.35,114.90,114.66,107.79,80.08,43.10,28.27,22.27;

MS: m/z = Cal. 461.53; Found 462.2(M+1); **Elementalanalysis:** Calculated for: C₂₅H₂₃N₃O₄S; Calculated: C, 65.06 %; H, 5.02%;N,9.10%;Observed: C, 65.04%; H, 5.00%; N, 9.08%.

N-[1-(2-benzenesulfonylamino-phenyl)-4methyl-2-oxo-1,2-dihydro-quinolin-7-yl}acetamide[4c]

IR: vmax/cm⁻¹:3340 (N-H), 2228 (CN), 1698 (CO), 1342–1140 (CF stretching) ;¹H-NMR(CDCl₃)δ:7.43-7.29(d,*J*=8.7Hz,4H,Ar-

H),7.22-7.18(m,8H,

Ar-H&NH),6.96-6.94(d,*J*=8.3Hz,1H,Ar-H),6.72-6.69(d,*J*=8.3Hz,1H,Ar-

H),2.53(s,3H,CH₃),2.17(s,3H,CH₃);¹³C-

NMR(CDCl₃)δ:

169.3,141.2, 138.3, 134.8, 128.7 CF³, 125.9, 124.6, 115.9, 104.9, 28.7, 27.8; HPLC: 100% purity; **MS**:m/z=Cal.447.51;Found448.0(M+1);**Ele mentalanalysis:**Calculatedfor:C₂₄H₂₁N₃O₄S;Calc ulated:C,64.41%;H,4.73%;N,9.39%;Observed:C,6 4.39%;H,4.71%;N,9.37%.

N-{1-[2-(6-Chloro-pyridine-2-sulfonylamino)phenyl]-4-methyl-2-oxo-1,2-dihydro quinolin-7*yl}-acetamide[4d]* IR: vmax/cm^{-1:}3340 (N-H), 2228 (CN), 1698 1342-1140 (CF stretching) :1H-(CO). NMR(CDCl₃)δ:7.43-7.29(d,J=8.7Hz,4H,Ar-H),7.22-7.18(m,8H, Ar-H&NH),6.96-6.94(d,J=8.3Hz,1H,Ar-H),6.72-6.69(d,J=8.3Hz,1H,ArH),2.53(s,3H,CH₃),2.17(s,3 H,CH₃);¹³C-NMR(CDCl₃)δ: 169.3,141.2,138.3,134.8,128.7CF₃,125.9,124.6,11 5.9,104.9,28.7,27.8;HPLC:100% purity ;**MS:** m/z = Cal. 482.94; Found 483.0 (M+1) **Elementalanalysis:**Calculatedfor:C₂₃H₁₉N₄O₄SCl ;Calculated:C,57.20%;H,3.97%;N,11.60%;Observ ed: C, 57.18%; H, 3.95%; N, 11.58%. *N-{1-[2-(4-tert-butylphenylsulfonamido)*phenyl]-4-methyl-2-oxo-1,2-dihydroquinolin-7yl} acetamide[4e] IR:vmax/cm^{-1:}3340(N-H),2228(CN),1698(CO),1342-1140(CFstretching);¹H-NMR(CDCl₃)δ:8.08(s,1H,Ar-H),7.78-7.74(m,4H,Ar-H),7.56-7.54(d,J=8.0Hz,1H,Ar-H), 7.35(s,1H, Ar-H),7.34(s, 1H,Ar-H),7.23(s,1H,Ar-H). 6.94-6.92(t,1H,Ar-H),6.79-6.74(t,1H,Ar-H),6.61-6.60(d,J=4.0Hz,1H,Ar-H),6.35 (s,1H,ArH),4.0(s,1H,NH),2.42(s,3H,CH₃),2.04(s,3 H,CH₃),1.35(s,9H,(CH₃)₃);¹³C-**NMR(CDCl₃)δ:**168.9,158.6,154.5,147.5,138.8,13 7.3,136.6,131.6,130.5, 128.0, 127.3, 125.3, 122.7, 120.7, 119.6, 119.0, 117.2, 111.0, 110.7, 34.7, 31.3,24.0, 19.0. N-{4-methyl-1-[2-(4-nitrophenylsulfonamido)phenyl]-2-oxo-1,2-dihydroquinolin-7vl)}acetamide[4f] **IR:vmax/cm^{-1:}3340(N-**H),2228(CN),1698(CO),1342-1140(CFstretching);¹H-**NMR**(**CDCl**₃)δ:8.39-8.36 (d,*J*=8.0Hz,2H,Ar-H), 8.12-8.10(m,3H,Ar-H),7.567.52(d,J=8.0Hz,4H,Ar-H),7.35(s,1H,Ar-H),7.34(s,1H,Ar-H),7.23(s,1H,Ar-H),6.94-6.92(t,1H,Ar-H),6.79-6.76(t,1H,Ar-H),6.61-6.60(d,J=4.0Hz,1H,Ar-H),6.35(s,1H,Ar-H),4.0(s,1H,NH),2.42(s,3H,CH₃),2.04(s,3H,CH₃); ¹³C-**NMR(CDCl₃) δ:**168.9, 158.6, 151.1,147.5, 145.8,138.6, 137.3, 131.6,130.5,

128.2,127.5,124.2,122.7,120.7,119.6,117.2,111.0, 110.7.24.0.19.0. N-{1-[2-(4-fluorophenylsulfonamido)-phenyl]-4*methyl-2-oxo-1,2-dihydroquinolin-7-yl* acetamide[4g] IR:vmax/cm^{-1:}3340(N-H),2228(CN),1698(CO),1342-1140(CFstretching);¹H-NMR(CDCl₃) δ :8.08 (s, 1H,Ar-H), 7.98-7.96(d, J=8.0Hz, 2H, Ar-H),7.56-7.54(d,J=8.0Hz, 1H,Ar-H),7.40-7.34 (m,4H, Ar-H),7.23 (s,1H, Ar-H), 6.94-6.92(t, 1H,Ar-H),6.79-6.76(t,1H, Ar-H),6.61-6.60(d,J=4.0Hz,1H,Ar-H), 6.35(s,1H, Ar-H),4.0(s, 1H,NH),2.42 (s,3H,CH₃), 2.04(s,3H, CH₃);¹³C-NMR (CDCl₃) δ : 168.9,166.1,159.0,147.5,138.6,137.3,135.3,130.7, 130.5,127.3,122.7,120.7,119.6, 119.0. 117.2.115.8. 111.0. 110.7. 24.0. 19.0. N-{1[2-(4-bromophenylsulfonamido)-phenyl]-4methyl-2-oxo-1,2-dihydroquinolin-7-yl} acetamide[4h] **IR:vmax/cm**^{-1:}3340(N-H),2228(CN),1698(CO),1342-1140(CFstretching);¹H-NMR(CDCl₃) **δ**:8.08(s,1H,Ar-H),7.89-7.88(m,4H,Ar-H),7.56-7.54(d, *J*=8.0Hz,1H,Ar-H), 7.35(s,1H, Ar-H),7.34(s, 1H,Ar-H),7.23(s,1H,Ar-H), 6.94-6.92(t,1H,Ar-H),6.79-6.76(t,1H,Ar-H),6.61-6.60(d,*J*=4.0Hz,1H,Ar-H),6.35 (s,1H,ArH),4.0(s,1H,NH),2.42(s,3H,CH₃),2.04(s,3 H.CH₃):¹³C-**NMR(CDCl₃)δ:**168.9,159.6,147.5,138.6,138.7,13 1.9,131.6,130.5,129.5,127.5,127.3,122.7,120.7,11 9.6,119.0,117.2,111.0,110.7,24.0,19.0.

Conclusion

In conclusion, the study successfully synthesized and characterized a series of 1,2-dihydroquinoline sulphonamides through a well-defined synthetic route. The characterization of these compounds confirmed their chemical structures and purity. Pharmacological evaluations revealed that the synthesized sulphonamides exhibit significant antibacterial, anthelmintic, anti-inflammatory, and antihyperlipidemic activities. These findings underscore the potential of 1,2-dihydroquinoline sulphonamides as versatile pharmacological agents. Future research could explore the mechanisms underlying their biological activities and their efficacy in clinical applications, potentially leading to the development of new therapeutic options in various medical fields.

Reference

- 1. Viahov R, Parushev ST, Vlahov J. Pure Appl Chem. 1990;62(7):1303-6.
- 2. Mohammed IA, Subrahmanyam SVM. Acta Pharm Sci. 2009;51:163-8.
- 3. Encyclopaedia Britannica. Quinolines. 1911.
- Sreedhar NY, Jayapal MR, Sreenivasa Prasad, Reddy Prasad P. Res J Pharm Bio Chem Sci. 2010;1(4):480-5.
- 5. Musiol R, Jampilek J, Buchta V. Bioorg Med Chem Lett. 2006;14:3592-8.
- 6. Prasad RY, Kumar PP, Kumar RP, Rao S. Eur J Chem. 2008;5(1):144-8.
- 7. Swamy BHMJ, Praveen Y, Pramod N. J Pharm Res. 2012;5(5):2735-7.
- 8. Nowakowka Z. Eur J Med Chem. 2007;42:125-37.
- 9. Kumar G, Karthik L, Rao KV. J Pharm Res. 2010;3:539-42.
- 10. Ghosh T, Maity TK, Bose A, Dash GK. Indian J Nat Prod. 2005;21(2):16-9.
- 11. Go ML, Wu X, Lui LX. Curr Med Chem. 2005;12:483-99.
- Sogawa S, Nihro Y, Ueda H, Miki T, Matsumoto H, Satoh T. Biol Pharm Bull. 1994;17:251-6.
- 13. Khobragade CN, Bodade RG, Shine MS, Deepa RR, Bhosale RB, Dawane BS. Enzyme Inhib Med Chem. 2008;3:341-6.
- 14. Nerya O, Musa R, Khatib S. Phytochemistry. 2004;65:1389-95.
- Dimmock JR, Elias DW, Beazely MA, Kandepu NM. Curr Med Chem. 1999;6(12):1125-50.
- 16. Prakash O. Tetrahedron. 2005;61(27):6642-51.
- 17. Prasad RY. Bioorg Med Chem Lett. 2005;15(22):5030-4.
- 18. Raghavan S, Anuradha K. Tetrahedron Lett. 2002;43(29):5181-3.

- 19. Bohn BA. Amsterdam: Harwood Academic; 1998.
- 20. Meth-Cohn O, Narine B, Rarnowski B. J Chem Soc Perkin Trans 1. 1998;1:1520-9.
- 21. Srivastava A, Singh RM. Indian J Chem. 2007;42B:1868-75.
- 22. Patel NB, Chauhan YS, Purohit AC. Chem Biol Interface. 2015;5(4):233-45.
- 23. Ghorab MM, Ragab FA, Hamed MM. Eur J Med Chem. 2009;44:4211-7.
- 24. Lunniss CJ, Cooper AWJ, Eldred CD, Kranz M, Lindvall M, Lucas FS, et al. Bioorg Med Chem Lett. 2009;19:1380-5.
- 25. Smith PW, Wyman PA, Lovell P, Goodacre C, Serafinowska HT, Vong A, et al. Bioorg Med Chem Lett. 2009;19:837-40.
- Verma S, Pandey S, Agarwal P, Verma P, Deshpande S, Saxena JK, et al. RSC Adv. 2016;6:25584-93.
- 27. Jiang N, Zhai X, Li T, Liu D, Zhang T, Wang B, et al. Molecules. 2012;17:5870.
- 28. Kovi KE, Yearick K, Iwaniuk DP, Natarajan JK. Bioorg Med Chem. 2009;17:270-83.
- 29. Hu Y, Lv X, Dong X. Patent CN105130954A. 2015.
- 30. Cheng J, Qin J. Patent CN103936762A. 2014.
- 31. Zhu J, Song Y, Han J, Chen Y, Lv J, Zhou Y. Patent CN103788071A. 2014.
- 32. Zhu J, Song Y, Han J, Chen Y, Lv J, Zhou Y. Patent WO2014067473A1. 2014.
- 33. Brown KK, Chai D, Dodson CS, Duffy KJ, Shaw AN. Patent WO2013096153A1. 2013.
- 34. Lee H, Solomon VR, Pundir S. Patent WO2014134705A1. 2014.
- 35. Nikpour M, Sadeghian H, Saberi MR, Nick RS, Seyedi SM, Hosseini A, et al. Bioorg Med Chem. 2010;18:855-62.
- 36. Hussein MF, Ismail MA, El-Adly RA. Indian J Org Chem. 2016;6:207-19.
- Raghavendra P, Veena G, Kumar GA, Kumar ER, Sangeetha N, Sirivennela B, et al. Rasayan J Chem. 2011;4:91-102.

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