Publications Template

#	Research Title	Field	Abstract	Year of Publication Publishing	Publishing Link "URL"
1	Synthesis of some new antimicrobial thiadiazolyl and oxadiazolyl quinoline derivatives	Medicinal Chemistry	Two series of substituted thiadiazolyl and oxadiazolylquinolines (3a-h, 4a-h, 7a-f, 8a-f and 9) were synthesized and screened for their antimicrobila activity. Some of the tested compounds showed promising activity. Compound 4b exhibited bactericidal activity agains S. aureus at 31.25 µg/ml. While compound 8a showed distinct antifungal activity against C. albicans (MIC at 31.25 µg/ml). The detailed synthesis, spectroscopic and biological data are reported.	**2005** Medicinal Chemistry Research 5 (14), 260-273	https://link.springer.com/article/10.1007/s00044-005-0138-7
2	Design, synthesis and biological evaluation of some novel thienopyrimidines and fused thienopyrimidines as anti-inflammatory agents	Medicinal Chemistry	Some new substituted thienopyrimidine derivatives comprising thioxo, thioalkyl and pyrazolyl derivatives as well as fused thienotriazolopyrimidine and thienopyrimidinotriazine ring systems were prepared from 3-benzyl-2-hydrazino-5-methyl-4-oxo-3,4-dihydrothieno[2,3-*d*]pyrimidine-6-carboxamide **4**. The designed compounds were evaluated for their anti-inflammatory activity. Compounds **4**, **9**, **10** and **13** showed the highest anti-inflammatory effect compared with the reference drug diclofenac sodium.	**2012** European journal of medicinal chemistry 55, 85-93	https://pubmed.ncbi.nlm.nih.gov/22835720/
3	Synthesis and biological evaluation of	Medicinal Chemistry	A new series of thieno[2′,3′:4,5]pyrimido[1,2-b][1,2,4]triazines and thieno[2,3-d][1,2,4]triazolo[1,5-a]pyrimidines was synthesized. The newly synthesized compounds were evaluated for their anti-	**2013** European journal of	https://pubmed.ncbi.nlm.nih.gov/23376247/

	thieno [2′,3′:4,5] pyrimido[1,2-b][1,2,4]triazines and thieno[2,3-d][1,2,4] triazolo[1,5-a]pyrimidines as anti-inflammatory and analgesic agents		inflammatory and analgesic activity using diclofenac Na as a reference standard. Additionally, the ulcerogenic effects and acute toxicity (ALD_{50}) values of the active compounds were also determined. In general, the thieno[2,3-d][1,2,4]triazolo[1,5-a]pyrimidine derivatives exhibited better biological activities than the thieno[2′,3′:4,5]pyrimido[1,2-b][1,2,4]triazines. Collectively, the thienotriazolopyrimidine derivatives **9**, **13** and **14a** were proved to display distinctive anti-inflammatory activity at the acute and subacute models as well as good analgesic profile with a delayed onset of action. Moreover, they revealed good gastrointestinal safety profile and are well tolerated by experimental animals with high safety margin (ALD …	medicinal chemistry 62, 341-351	
4	Synthesis of Some 4,5-dihydrothieno[*3,2-e*][1,2,4]triazolo[*4,3-a*] pyrimidine-2-carboxamides as anti-Inflammatory and analgesic agents	Medicinal Chemistry	A new series 4, 5-dihydrothieno [3, 2-e][1, 2, 4] triazolo [4, 3-a] pyrimidine-2-carboxamide was synthesized. Twenty one newly synthesized compounds were investigated for their anti-inflammatory and analgesic activity using acute and subacute formalin-induced paw edema models and diclofenac Na as a reference. The acute toxicity (ALD50) and ulcerogenic effects of the active compounds were also determined. The thienotriazolopyrimidines 10a, 10c and 11c were found to exhibit remarkable anti-inflammatory activity at both models in addition to good analgesic activity with a delayed onset of action. Moreover, the active compounds showed high GI safety level and are well tolerated by experimental animals with high safety margin (ALD50> 0.4 g/kg). Docking study using Molecular Operating Environment (MOE) version 2008.10 into COX-2 has been made for derivatives of highest anti-inflammatory activity.	**2013**	https://pubmed.ncbi.nlm.nih.gov/24379893/

5	New 3-Cyano-2-Substituted Pyridines Induce Apoptosis in MCF 7 Breast Cancer	Medicinal Chemistry	The synthesis of new 3-cyano-2-substituted pyridines bearing various pharmacophores and functionalities at position 2 is described. The synthesized compounds were evaluated for their in vitro anti-cancer activities on five cancer cell lines using 5-FU as reference compound. The results revealed that the benzohydrazide derivative 9a induced growth inhibition in human breast cancer cell line MCF-7 with an IC 50 value of 2 μM and it showed lower cytotoxicity on MCF-12a normal breast epithelial cells. Additionally, 9a induced apoptotic morphological changes and induced apoptosis in MCF-7 in a dose and time-dependent manner according to an enzyme linked immunosorbent apoptosis assay which is further confirmed by a TUNEL assay. Flow cytometric analysis indicated that 9a arrested MCF-7 cells in the G1 phase, which was further confirmed by increased expression of p21 and p27 and reduced expression of CDK2 and CDK4. Western blot data revealed significant upregulation of the expression of p53, Bax, caspase-3 and down-regulation of Bcl-2, Mdm-2 and Akt. Additionally, 9a increased the release of cytochrome c from mitochondria to cytoplasm which provokes the mitochondrial apoptotic pathway while it showed no significant change on the expression of the death receptor proteins procaspase-8, caspase-8 and FAS. Furthermore, 9a reduced the expression of phospho AKT and β-catenin in dose dependent manner while inhibiting the expression of migration-related genes such as matrix metalloproteinase (MMP)-9 and vascular endothelial growth factor (VEGF). Our findings suggest that compound 9a could be considered as a lead …	**2016**	https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6274259/

6	Synthesis of some oxadiazolyl, pyrazolyl and thiazolyl derivatives of thiophene-2-carboxamide as antimicrobial and anti-HCV agents	Medicinal Chemistry	Methods: All compounds were investigated for their preliminary antimicrobial activity. They were proved to exhibit remarkable antimicrobial activity against Pseudomonas aeruginosa with insignificant activity towards Gram positive bacterial strains and fungi. Results: In-vitro testing of the new compounds on hepatitis-C virus (HCV) replication in hepatocellular carcinoma cell line HepG2 infected with the virus utilizing the reverse transcription polymerase chain reaction technique (RT-PCR) generally showed inhibition of the replication of HCV RNA (–) strands at low concentration, while, eight compounds; 3a, 6, 7a, 7b, 9a, 9b, 10a and 11b proved to inhibit the replication of HCV RNA (+) and (–) strands at very low concentration range 0.08-0.36 µg/mL. Conclusion: Compounds 7b and 11b displayed the highest anti-HCV and antimicrobial activities in this study.	**2017**	https://benthamopen.com/EPUB/BSP-TOMCJ-2016-11
7	Synthesis, anti-Inflammatory screening, molecular docking, and COX-1,2/-5-LOX inhibition profile of some novel quinoline derivatives	Medicinal Chemistry	New quinoline compounds comprising pyrazole scaffold through different amide linkages were synthesized. The synthesized compounds were evaluated for their anti-inflammatory activity. Eight compounds (**5c**, **11b,c**, **12c**, **14a,b**, **20a** and **21a**) were found to exhibit promising anti-inflammatory profiles in acute and sub-acute inflammatory models. They were screened for their ulcerogenic activity and none of them showed significant ulcerogenic activity comparable to the reference drug celecoxib and are well tolerated by experimental animals with high safety margin ($ALD_{50} > 0.3$ g/kg). Compounds **5c**, **11b,c**, **12c**, **14a,b**, **20a** and **21a** show	**2018**	https://www.sciencedirect.com/science/article/abs/pii/S0045206818300567

			ed significant in vitro LOX inhibitory activity higher than that of zileuton. In vitro COX-1/COX-2 inhibition study revealed that compounds **12c**, **14a,b** and **20a** showed higher selectivity towards COX-2 than COX-1. Among the tested compounds, **12c**, **14a** and **14b** showed the …		
8	Structure-based design of some isonicotinic acid hydrazide analogues as potential antitubercular agents	Medicinal Chemistry	New pyridine derivatives were designed and synthesized as Isonicotinic acid hydrazide (INH) analogues. The synthesized compounds were evaluated for their antitubercular activity against *Mycobacterium tuberculosis* strain $H_{37}R_v$. Ten compounds (**3c, 3e-g, 5a-c, 6h, 10** and **11b**) showed promising antitubercular activity with MIC range 7.30 μM–19.39 μM. Compounds **3e, 3g, 5b** and **11b** were the most potent analogues, with MIC 7.30–8.74 μM. They were equipotent to the standard drug Ethambutol (MIC 7.64 μM) and more active than the standard drug Pyrazinamide (MIC 50.77 μM). They were further examined for cytotoxicity in human embryonic kidney (HEK) cell line at the concentration of 50 μg/mL using MTT assay. Results declared that most compounds showed acceptable safety margin. Molecular Docking studies into 2-*trans*-enoyl-acyl carrier protein reductase, called InhA have been conducted for …	**2018**	https://pubmed.ncbi.nlm.nih.gov/30077175/
9	Design, synthesis and pharmacological evaluation of some substituted dihydropyrimidines with L-/T-type	Medicinal Chemistry	New dihydropyrimidines bearing various lipophilic pharmacophores and functionalities at position 3 were designed and synthesized. The basic framework of the new compounds was designed to maintain the main structural requirements for calcium channel blocking activity of the known dihydropyridines and dihydropyrimidines calcium channel blockers. The newly synthesized compounds were evaluated as antagonists for $Ca_V1.2$ and $Ca_V3.2$ using the whole-cell patch clamp technique. Seven compounds	**2019**	https://www.sciencedirect.com/science/article/abs/pii/S004520681830991X

	Calcium Channel blocking activities		(**4b**, **4c**, **6c, 9, 13c, 13e** and **17b**) showed promising dual calcium channel blocking activity and three compounds (**13b, 14b** and **17a**) were selective against Cav3.2. Their drug-likeness has been assessed using *Molinspiration* and *Molsoft* softwares. Their physicochemical properties and pharmacokinetic profiles recommend that they can be considered as drug-like candidates.		
10	Synthesis of some new C2 substituted dihydropyrimidines and their electrophysiological evaluation as L-/T-type Calcium Channel blocking agents	Medicinal Chemistry	Drugs targeting different calcium channel subtypes have strong therapeutic potential for future drug development for cardiovascular disorders, neuropsychiatric diseases and cancer. This study aims to design and synthesize a new series of C2 substituted dihydropyrimidines to mimic the structure features of third generation long acting dihydropyridine calcium channel blockers and dihydropyrimidines analogues. The target compounds have been evaluated as blockers for $Ca_V1.2$ and $Ca_V3.2$ utilizing the whole-cell patch clamp technique. Among the tested compounds, compound **7a** showed moderate calcium channel blockade activity against $Ca_V3.2$. Moreover, the predicted physicochemical properties and pharmacokinetic profiles of the target compounds recommend that they can be considered as drug-like candidates. The results highlight some significant information for the future design of lead compounds as …	**2019**	https://www.sciencedirect.com/science/article/abs/pii/S004520681830991X
11	Synthesis, Antibacterial Evaluation and DNA Gyrase Inhibition Profile of Some New	Medicinal Chemistry	Antibiotic-resistant bacteria continue to play an important role in human health and disease. Inventive strategies are necessary to develop new therapeutic leads to challenge drug-resistance problems. From this perception, new quinoline hybrids bearing bioactive pharmacophores were synthesized. The newly synthesized compounds were evaluated for	**2019**	https://onlinelibrary.wiley.com/doi/full/10.1002/ardp.201900086

	Quinoline Hybrids.		their in vitro antibacterial activity against nine bacterial pathogenic strains. The results revealed that most compounds exhibited good antibacterial activities. Seven compounds (**2b**, **3b**, **4**, **6**, **8b**, and **9c,d**) displayed enhanced activity against methicillin-resistant *Staphylococcus aureus* compared to ampicillin. These compounds were subjected to an in vitro *S. aureus* DNA gyrase ATPase inhibition study, which revealed that compounds **8b**, **9c**, and **9d** showed the highest inhibitory activity with IC_{50} values of 1.89, 2.73, and 2.14 μM, respectively, comparable to …		
12	Dual VEGFR-2 / PIM-1kinase inhibition towards surmounting the resistance to antiangiogenic agents *via* hybrid pyridine and thienopyridine-based scaffolds: Design, synthesis and biological evaluation.	Medicinal Chemistry	Angiogenesis is a hallmark in cancer. Most antiangiogenic agents block the action of vascular endothelial growth factor (VEGF). In clinic, patients develop hypoxia-mediated resistance consistent with vascular responses to these agents. Recent studies underlying such resistance revealed hypoxia-inducible PIM-1 kinase upregulation which promotes cancer progression. PIM-1 kinase expression is thus viewed as a new resistance mechanism to antiangiogenic agents. Hence, combining PIM kinase inhibitors with anti-VEGF therapies provides synergistic antitumor response. Inspired by these facts, the current study aims at designing novel dual VEGFR-2/PIM-1 kinase inhibitors *via* molecular hybridization and repositioning of their pharmacophoric features. Moreover, enhancing the cytotoxic potential of the designed compounds was considered *via* incorporating moieties mimicking caspase 3/7 activators. Accordingly …	**201**9	https://www.sciencedirect.com/science/article/abs/pii/S0045206819309897