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Review on Antimicrobial Activity of Indole

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ABSTRACT

Indole is a conjugated heterocycles containing a fusion of benzene with pyrrole. Indole is also known as benzo[b]pyrrole which is quite abundant in nature. Indole is quite an electron-rich compound so it can be easily utilized in various synthetic protocols. Indole constitutes several natural compounds like amino acids, alkaloids having potent biological actions, so here we are reporting a review on the antimicrobial property of some Indole derivatives.

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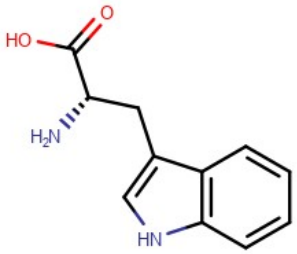
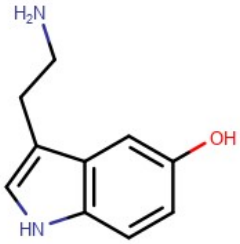
INTRODUCTION

Indole is a conjugated heterocycles containing a fusion of benzene with 2,3 postion of pyrrole. Indole is also known as benzo[b]pyrrole which is quite abundant in nature. Indole is quite an electron-rich compound so it can be easily utilized in various synthetic protocols. Indole constitutes several natural compounds like amino acids, alkaloids having potent biological actions, as shown in figure no 1.



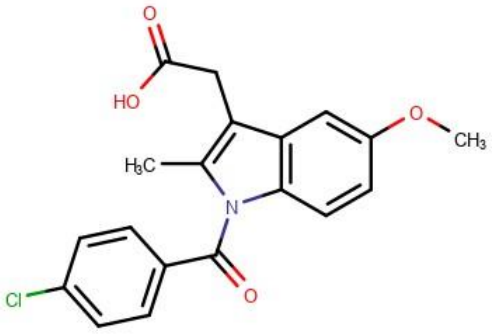
L-Tryptophan



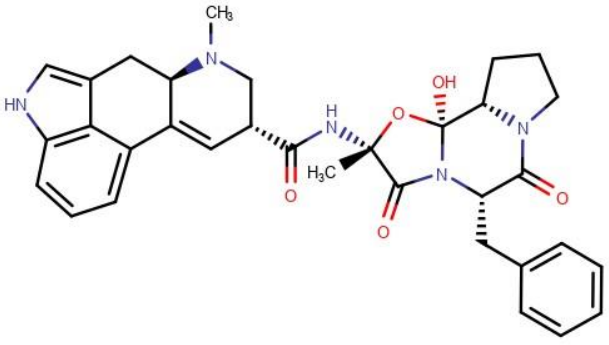
Serotonin

Figure no 1: Indole containing Biological molecules

The biological potential of indole is well established and the Indole ring is observed in many therapeutic agents as shown in figure no 2.



Indometacin



Ergotamine

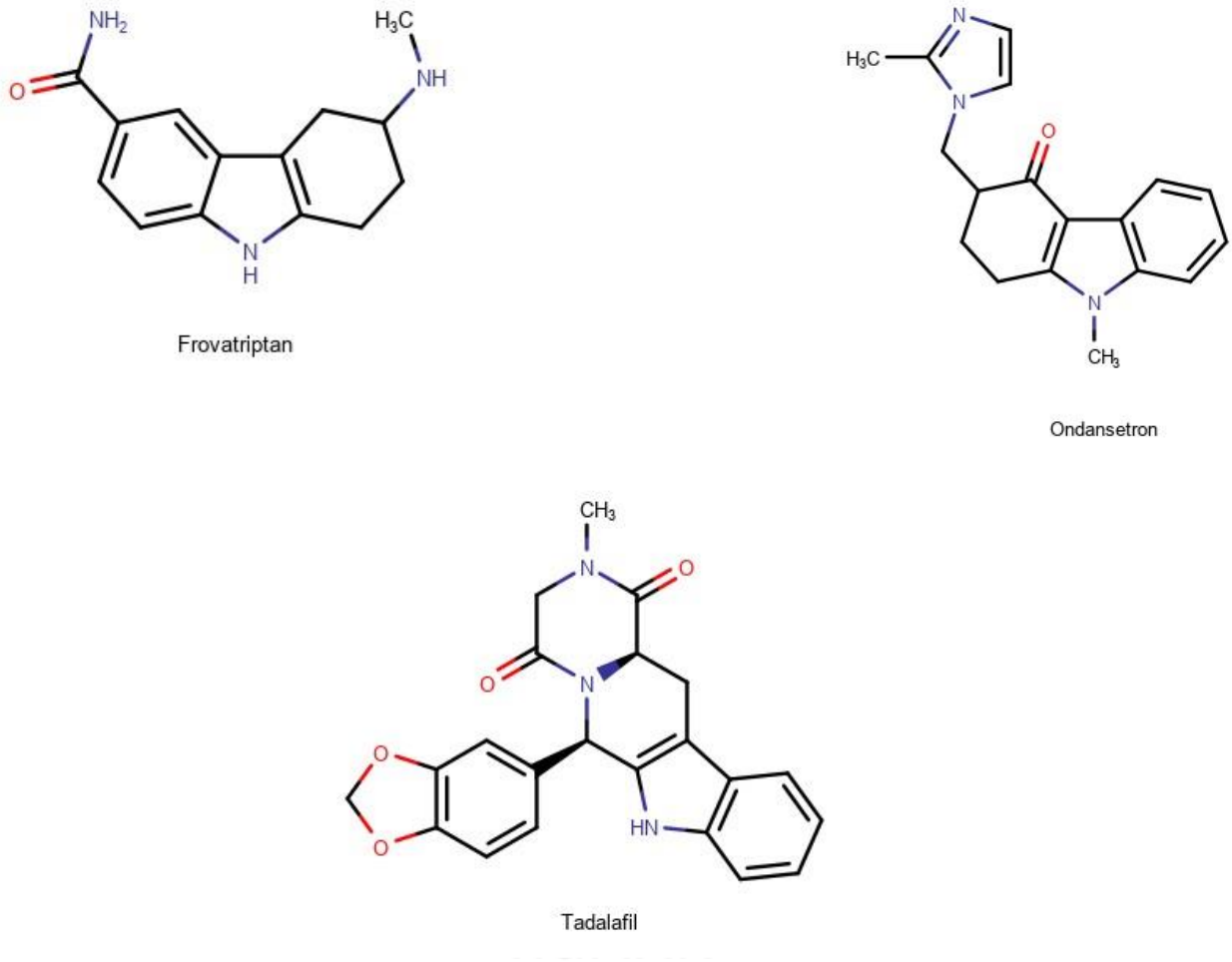
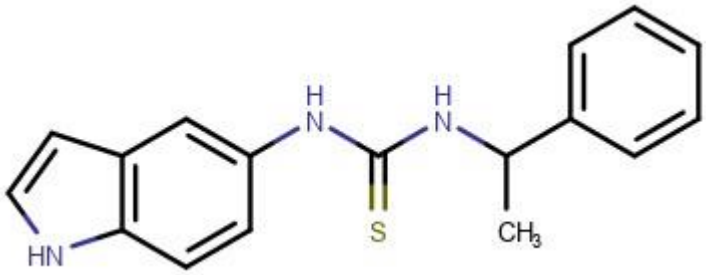


Figure no 2: Indole nucleus containing therapeutic agents

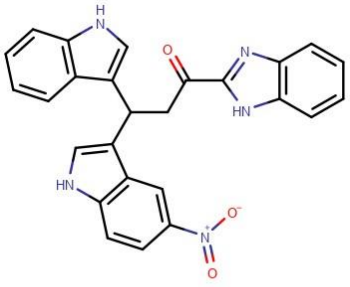
Several indole derivatives with potent antimicrobial activity have been reported. Here we have summarized some antimicrobial applications of the indole.

Saracoglu et. al. (2021) reported the antimicrobial activity of novel chiral urea/thiourea derivatives bearing indole. 1-(1H-Indol-5-yl)-3-(1-phenylethyl)thiourea (1) is one of the potent compounds observed in the series. The molecular docking with Staphylococcus aureus trans peptidase was also carried out.

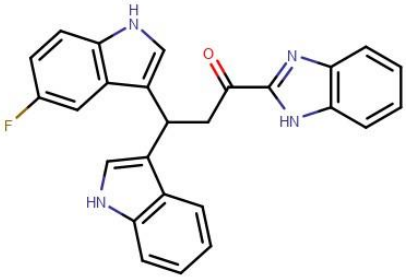


1

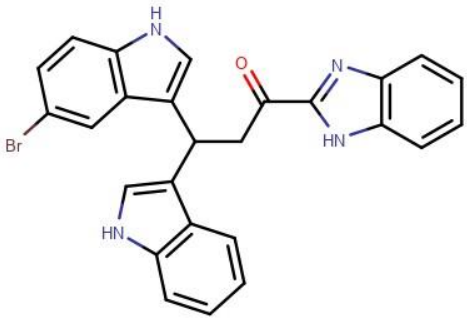
Dasari et. al. (2020) reported the green synthesis of indole-condensed benzimidazole chalcones in water and carried out their antimicrobial activity. 1-(1H-benzo[d]imidazol-2-yl)- 3-(1H-indol-3-yl)-3-(5-nitro-1H-indol-3-yl) propan-1-one (2a), 1-(1H-benzo[d]imidazol-2-yl)- 3-(5-fluoro-1H-indol-3-yl)-3-(1H-indol-3-yl) propan-1-one (2b), 1-(1H-benzo[d]imidazol-2-yl)- 3-(5-bromo-1H-indol-3-yl)-3-(1H-indol-3-yl) propan-1-one (2c) , 3-(1H-indol-3-yl)- 1-(6-nitro-1H-benzo[d]imidazol-2-yl)-3-(5-nitro-1Hindol-3-yl)propan-1-one (2d), 3-(5-fluoro-1H-indol-3-yl)-3-(1H-indol-3-yl)-1-(6-nitro-1H-benzo[d]imidazol-2-yl) propan-1-one (2e), 3-(1H-indol-3-yl)-3-(5-methoxy-1H-indol-3-yl)-1-(6-nitro-1H-benzo[d]imidazol-2-yl) propan-1-one (2f) are the potent compounds observed in the series.



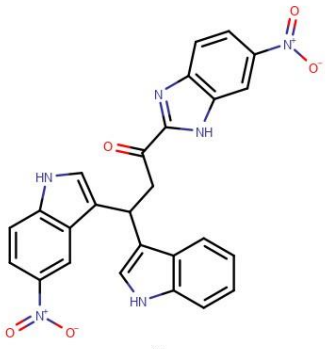
2a



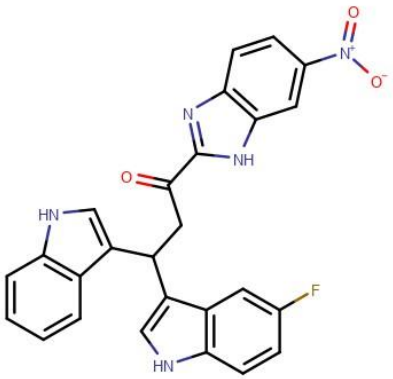
2b



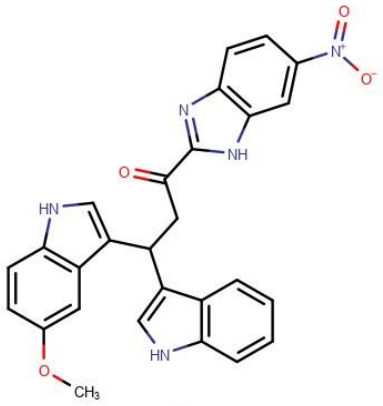
2c



2d



2e

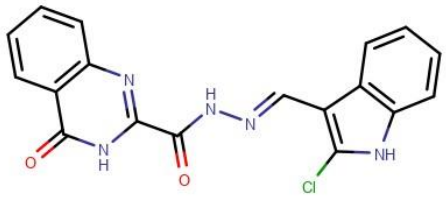


2f

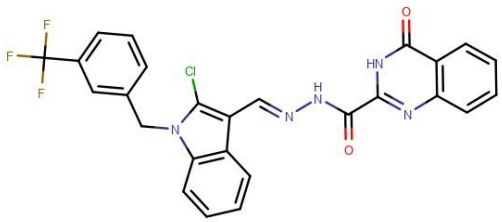
Utreja et. al. (2020) reported antimicrobial activity of N-1–, C-3–substituted indole derivatives. (3) 2-(1-(3-bromopropyl)-1H-indol-3-yl)-N-(2-nitrophenyl)-2-oxoacetamide is one of the potent derivatives generated from the synthesized series.

3

Quinazolinone acylhydrazone derivatives containing the Indole have been developed by Qin Li et. al. (2018). Results indicated (E)-N0-((2-Chloro-1H-indol-3-yl)methylene)-4-oxo-3,4-dihydroquinazoline-2-carbohydrazide (4a) and (E)-N'-((2-Chloro-1-(3-(trifluoromethyl)benzyl)-1H-indol-3-yl)methylene)-4-oxo-3,4-dihydroquinazoline-2-carbohydrazide (4b) was found to be lead compounds.

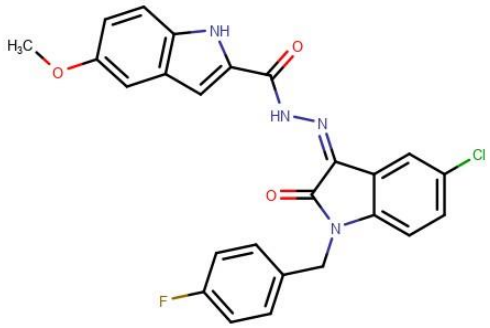


4a



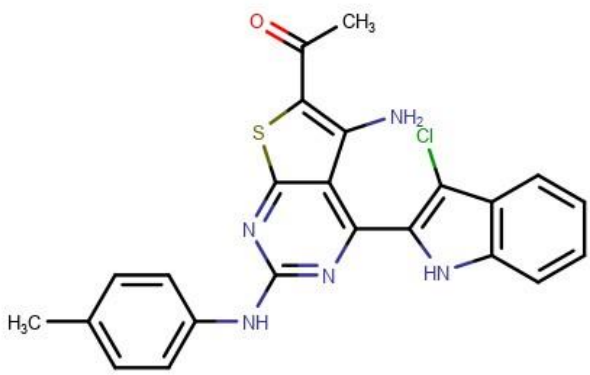
4b

Attia et. al.(2017) reported the development of indole-isatin molecular hybrids as antimicrobial, N'-[(3Z)-5-Chloro-1-(4-fluorobenzyl)-2-oxo-1,2-dihydro-3H-indol-3-ylidene]-5-methoxy-1H-indole-2-carbohydrazide (5) is the promising antimicrobial agent.



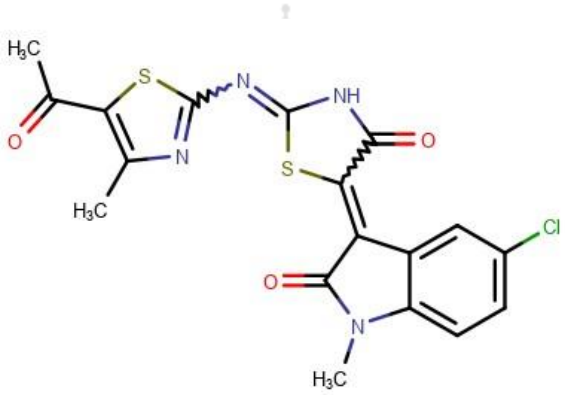
5

Ahmed et. al. (2019) reported the development of the Novel Indole Derivatives with antimicrobial potential and Aggregation-Induced Emission as antimicrobial agents using molecular iodine. 6-Acetyl-5-amino-2-(p-Tolylamino)-4-(3-chloro-1H-indole-2-yl)thieno[2,3-d]pyrimidine (6) was found to be promising antimicrobial agent.



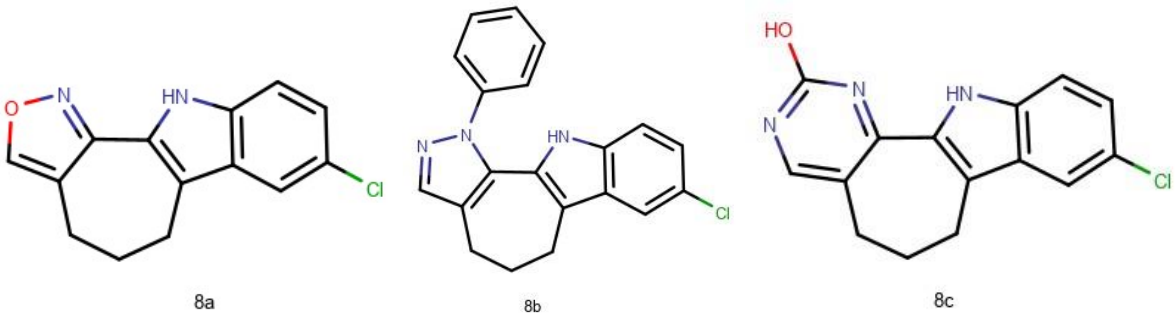
6

Eldehna et. al. (2018) reported the development of indole-thiazolidinone conjugates as antimicrobial agents. 12-((1H-imidazol-1-yl)methyl)-9-hydroxy-10-methoxy-5,6-dihydro-[1,3]dioxolo[4,5-g]isoquinolino[3,2-a] isoquinolin-7-ium chloride(7) was found to be promising agent.



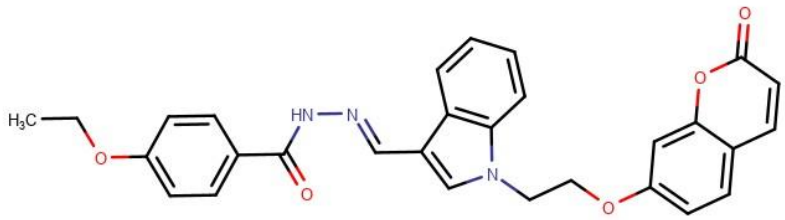
7

Rajendra Prasad et. al.(2012) developed substituted pyrazolo-, isoxazolo-, pyrimido- and mercaptopyrimidocyclohepta [b]indoles as antimicrobial agents and reported their SAR studies. 8-Chloro-4,5,6,11-tetrahydroisoxazolo[40,30:6,7]cyclohepta[b]indole(8a), 8-Chloro-1-phenyl-4,5,6,11-tetrahydro pyrazolo[4',3':6,7] cyclohepta[b]indole(8b), 9-Chloro-2-hydroxy-5,6,7,12-tetrahydropyrimido[5',6':6,7]cyclohepta[b]indole (8c) are promising derivatives.

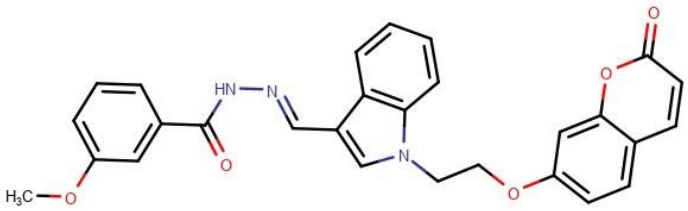


8a 8b 8c

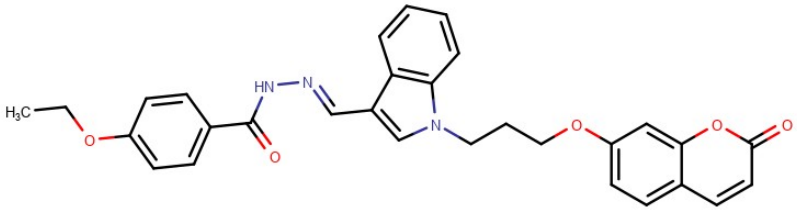
Singh et. al. (2020) developed N-substituted indole derivatives as antimicrobial agents. (E)-3-methoxy-N'-((1-(2-((2-oxo-2H-chromen-7-yl)oxy)ethyl)-1H-indol-3- yl)methylene) benzohydrazide (9a), (E)-4-ethoxy-N'-((1-(2-((2-oxo-2H-chromen-7-yl)oxy)ethyl)-1H-indol-3-yl)methylene) benzohydrazide(9b), (E)-4-ethoxy-N'-((1-(3-((2-oxo-2H-chromen-7-yl)oxy)propyl)-1H-indol-3-yl)methylene) benzohydrazide (9c) are promising derivatives.



9b



9a



9c

SUMMARY:

Indole is another promising heterocyclic agent utilized as a synthetic intermediate or scaffold. Various indole compounds had shown antimicrobial activity, so the indole nucleus will be attractive heterocycles for antimicrobial drug design.

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