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Review Article

BIOLOGICAL ACTIVITY OF QUINAZOLINONE DERIVATIVES: A REVIEW

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ABSTRACT

The heterocyclic compounds have a great importance in medicinal chemistry. Quinazolinone is a heterocyclic chemical compound. A quinazolinone with a carbonyl group in the C_4N_2 ring. The two isomers are possible: 2-Quinazolinone and 4-Quinazolinone, with the 4-isomer being the more common. These compounds are of interest in medicinal chemistry. Quinazolinone derivatives were reported to possess analgesic and anti-inflammatory activity, Antibacterial, Diuretic, Antihypertensive, Anti-diabetics, Anticancer, Antitumor, Anti-fungal, Anti-malarial, Anti-protozoal agent and many other biological Action. This skeleton is an important pharmacophore considered as a privileged structure.

Keywords: Quinazolinone, Antibacterial, Diuretic, Antifungal, Antitumor, Anticancer, Anti-diabetics, Antihypertensive, Anti-malarial

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INTRODUCTION

Quinazolinone are the class of heterocycles that are of considerable interest because of diverse range of their biological properties [1]. Quinazolinone will be classified into following five categoriers based on their substitution partition of the ring system.

There are 2 substituted-4(3H)-quinazolinone, 3substituted-4(3H)-quinazolinone, 4substituted quinazolinone,2,3-disubstituted-4-(3H)quinazolinone, 2,4-disubstituted-4-(3H) quinazolinone [2]. Quinazolinone derivative passes a wide range of bioavtivities, Antibacterial, Diuretic, Antihypertensive, Antidiabetics, Anticancer, Antitumor, Anti fungal, Anti malerial, Anti protozamol agent and many other biological Action [3]. For medical preparation as, the natural and synthetic origins of quinazolinone 4 derivative Quinazolinone derivative are reported to be physiological and pharmaceutical Action [4].

Osol A. and J. E hoover studies on 2 substituted 7-chloro-2ethyl-4-oxo-1,2,3,4-tetrahydroquinazoline and check antihypertensive activity. This compound shows maximum activity used as reference drug [5].

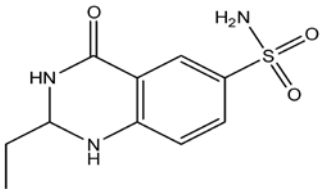

Fig. 1: 7-chloro-2ethyl-4-oxo-1,2,3,4-tetrahydroquinazoline

Molecular formula: $C_{10}H_{12}ClN_3NO_3S$

Molecular weight: 289.73 gm/mol

Common name: Quinethazone.

Melting point: 482–486 °F

Properties: It is oral drug administration. It is White to yellowish white crystalline powder [5]. It is odourless Bitter taste.

Solubility: Less than 1 mg/ml at 67.1 °F Soluble in acetone and Alcohol but slightly soluble in water [6].

Pharmacological action: Quinethazone solid under the brand name hydromox. It is a Thiazide like diuretic used to treat hypertension [7]. Common side effect are Dizziness, Dry mouth, nausea, and low potassium level.

B. Vijayakumar, P. Prasanthi, K. M. Teja et al. studies on 3 substituted 7-chloro-4-oxo-2phenyl 2,3 dihydro 1*H* quinazoline-6-sulphonamide and checkthe antihypertensive and diuretic activity. This compound show maximum activity used as a reference [8].

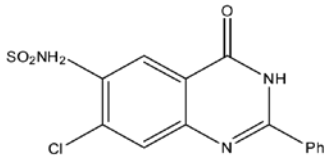

Fig. 2: 7-chloro-4-oxo-2phenyl2,3dihydro1Hquinazoline-6 sulphonamide

Molecular formula: $C_{14}H_{12}CLN_3O_3S$

Molecular Weight: 337.8 gm

Common name: Fenquizone.

Melting Point: 72.96 °C

Properties: Fenquizone is member of quinazolinone entities of biologicalinterest.

Phaemacological action: It is diuretics part of the class of low celling sulphonamide. Diuretics [8]. Fenquizone is used primary in the treatment of hypertension [9].

B. Vijayakumar, P. Prasanthi, K. M. Teja et al. studied on 5 substituted 5-Fluro-3phenyl-2-[(1s)-1-(7*H*)-purin-6-ylaminol propyl]-4(3*H*)-quinazolinone and check the anti-cancer activity. This compound shows maximum activity and used as a referenc [12].

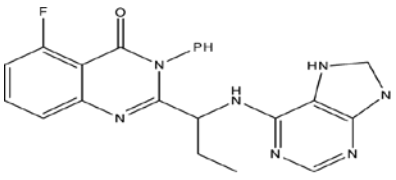

Fig. 3: 5-Fluro-3phenyl-2-[(1s)-1-(7H)-purin-6-ylaminolpropyl]-4(3H) quinazolinone

Molecular formula: $C_{22}H_{18}FN_7O$

Molecular weight: 415–432 gm/mol

Common name: Idelalisib.

Melting Point: 250-252 °C

Properties: It is white to off-white powder,with pH-dependent aqeous solubility ranging.

Solubility: Soluble in water [10] sparingly soluble in ethanol [11].

Pharmacological action: Idelalisib sold under the brand name zydelig is medication used the certain blood cancer [12]. It treat different type of leukemia [13].

V. K. Srivastava and A. Kumar, studies on 5 substituted-[(4-(3-methyl-4-oxoquinazolin-2-yl)methoxy)phenyl]-1,3 thiazolidine-2,4dioneand check the anti diabetic activity. This compound show maximum activity on used as a reference drug [14].

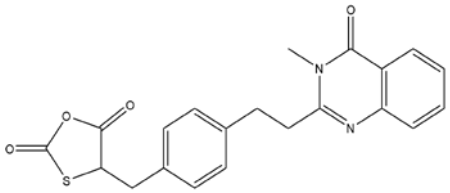

Fig. 4:5-[(4-(3-methyl-4-oxoquinazolin-2-yl)methoxy)phenyl]-1,3 thiazolidine-2,4dione

Molecular Formula: $C_{20}H_{17}N_3O_4S$

Molecular weight: 395.4 gm/mol**.**

Common name: Balaglitazone.

Properties: It is second generation peroxisomes proliferates receptor gamma agonist with only partical agonistic properties.

Pharmacological action: It has been used in trails studing the treatment of diabetes mellitus. Type 2 blood glucose lowering agent.

W. L. Armarego studies on 2 methyl-3-otolyl-4(3*H*)-quinazolinone and check the activity of sedative and hypnotic. This compound show maximum activity and used as a reference drug [16].

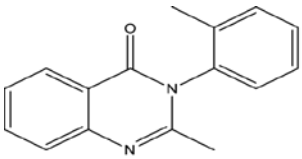

Fig. 5:2 methyl-3-otolyl-4(3*H*)-quinazolinone

Molecular Formula: $C_{16}H_{14}N_2O$

Molecular weight: 250.30 gm/mol**.**

Common name: Methaqualone

Melting Point: 113 °C

Properties: Crystals white or almost white crystalline powder, no odour,bitter taste [14].

Solubility: Soluble in alcohol, chloroform and ether but insoluble in water [15].

Pharmacological action: It is used in the treatment of insomnia and as sedative and hypnotics it increases GABA Action.

*K. C. Agarwal, V. Sharma, N. Shakya, and S. Gupta*studied on 3 substituted (2,3-dihydroxypropyl)-2-methyl-quinazolin-4-one and check the anti-inflammatory activity. This compound shows maximum activity and used as reference drug [17].

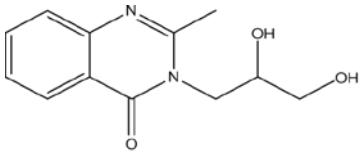

Fig. 6: 3-(2,3-dihydroxypropyl)-2-methyl-quinazolin-4-one

Molecular Formula: $C_{12}H_{14}N_2O_3$

Molecular weight: 234-251 gm/mol

Common name: Diproqualone.

Properties: It is quinazolinone class and analogue of methaqualone developed in late 1950's by a team at nogenataise de product chimique

Pharmacological action: It has sedative, anxiolytic, antihistamine and analgesic properties It is used primarily for the treatment of inflammation [16].

*D. Kohli, S. R. Hashim, S. Vishal, M. Sharma, and A. K. Singh*studied on 3 substituted (2,6-diclorophenyl)-2-ethyl-4-quinazolinone and check anti-tissue activity. This compound shows maximum activity [18].

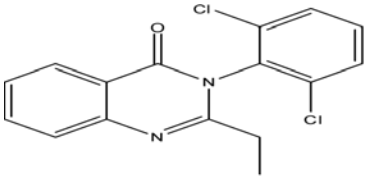

Fig. 7: 3-(2,6-diclorophenyl)-2-ethyl-4-quinazolinone

Molecular formula: $C_{16}H_{12}CL_2N_2O$

Molecular weight: 319.185 gm/mol

Common name: choloroqualone

Properties: choloroqualone has weaker sedative properties than methaqualone it has sold either alone or in combination with other ingredients as cough medicine.

Pharmacological action: It shows sedative and Antitissue properties resulting from agonist Action. It useful in the cough-suppressing effect [17].

A. Omar, M. F. Fattah, M. M. Emad, M. I. Neama, and M. K. Mohsen, studied 3 substituted 7-Bromo-6choro-3-[3-[(2S,3R)-3-hydroxy-2piperadinyl]-2oxopropyl]4-quinaxoline and check anti-inflammatory activity [19].

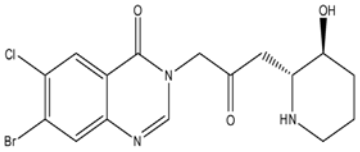

Fig. 8: 7-Bromo-6-chloro-3-[3-[(2S,3R)-3-hydroxy-2-piperadinyl]2oxopropyl]4-quinaxoline

Molecular formula: $C_{16}H_{17}BrCLN_3O_3$

Molecular weight: 414.68 gm/mol

Common name: Halofuginane

Melting point: 105 °C

Properties: A potent inhibiter of collagen alpha 1 and matrix metalloproteinase 2 gene expression

Solubility: soluble in water

Pharmacological action: It is used in veterinary medicine Halofuginone, therefore, has the potential for the treatment of an autoimmune disorder. It is also used for anti-inflammatory and anti fibrotic effect.

*A. Gürsoy and N. Terzioğlu*studied on 3 substituted {3-[(2R,3S)-3-hydroxypiperidin-2yl]-2-oxopropyl}quinazaline-4(3*H*)-one and check the anti-material, anticancer and anti-inflammatory activity. This compound shows maximum activity and used as a reference drug [20].

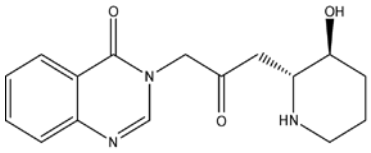

Fig. 9: 3-{3-[(2R,3S)-3hydroxypiperidin-2yl]-2-oxopropyl}quinazaline-4(3H)-one

Molecular formula: $C_{16}H_{19}N_3O_3$

Molecular weight: 301.346 gm/mol

Common name: febrifugine

Melting point: 139–140 °C

Solubility: Soluble in ethanol

Properties: Potent antimalarial Action; febrifugine is a natural product found in Hydrangedfebrifuga.

Pharmacological action: It is used as veterinary medicine and also used against malaria, cancer and inflammatory disease [18].

C*. Balakumar, P. Lamba, D. Pran Kishore,* studied on 3 substituted [(E)2-phenylethenyl]quinazolin-4-oneand check antiseptic activity. This compound shows maximum activity and used as a reference drug [21].

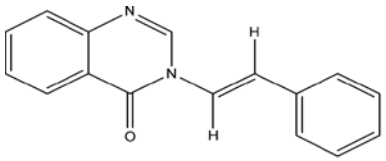

Fig. 10: 3-[(E)2-phenylethenyl]quinazolin-4-one

Molecular Formula: $C_{16}H_{12}N_2O$

Molecular weight: 248.28 gm/mol

Common name: Bogorine

Melting point: 2076 °C

Solubility: slightly soluble in water

Properties: Amorphous dark brown to black powder brittle crystalline metal occur as a high-purity bogorine [19].

Pharmacological action: It is used in eye drops, mild anti-septic and also used in food preservative.

CONCLUSION

On the basis of various literature survey, Quinazolinone Derivatives shows various Pharmacological Action against Antibacterial, Anti septic, Anti-fungal, Anti-cancer, Anti-hypertensive and Anti-inflammatory agent. Various recent new drug developments in quinazolinone derivatives shows greater effect and less toxicity.

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AUTHORS CONTRIBUTIONS

All the authors have contributed equally.

CONFLICT OF INTERESTS

Declared none

REFERENCES

1. Connolly DJ, D Causack, T PO'sullivan, PJ Guiry. Synthesis of quinazolineandquinazolinone. Tetrahedron. 2005;61(43):10153-202.
2. Mhaske SB, Argade NP. The chemistry of recently isolated naturally occurring quinazolinone alkaloids. Tetrahedron. 2006;62(42):9787-826. doi: 10.1016/j.tet.2006.07.098.
3. Abida P Nayyar, M Arpanarana. An updated review: newer quinazoline derivatives under clinical trial. International Journal of Pharmaceutical and Biological Archive. 2011;2(6):1651-7.
4. Rajput R, Mishra AP. A review on the biological activity of quinazolinones. Int J Pharm Pharm Sci. 2012;4(2):66-70.
5. Osol A, JE Hoover. Remington·s pharmaceutical science. 15th ed. Easton Pennsylvania: Mack publishing Co; 1975. p. 870.
6. Armarego WLF. A text book of Quinazolines; 1963.
7. Budaviri S. editor. The merckIndex. An Encyclopedia of chemical drug, and Biologycal. White house Station, NJ. Merck and CO, Inc; 1996. p. 1385.
8. Vijayakumar B, Prasanthi P, Teja KM. Quinazoline derivatives and pharmacological activities: a review. Int J Med Chem Anal. 2013;3(1):10-21.
9. Pati B, Banerjee S. Quinazolines: an illustrated review journal of advanced pharmacy. Educ Res. 2013;3(3):136-51.
10. Patel NB, Patel JC. Synthesis and antimicrobial activity of schiff bases and 2-azetidinones derived from quinazolin-4(3H)-one. Arab J Chem. 2011;4(4):403-11. doi: 10.1016/j.arabjc.2010.07.005.
11. Alafeefy AM, El-Azab AS, Mohamed MA, Bakhat MA, Abdel Hamid SG. Synthesis of some new substituted iodoquinazoline derivatives and their antimicrobial screening. J Saudi Chem Soc. 2011;15(4):319-25. doi: 10.1016/j.jscs.2011.07.005.
12. Kunes J, Bazant J, Pour M, Waisser K, Slosarek M, Janota J. Quinazoline derivatives with antitubercular activity. Farmaco. 2000;55(11-12):725-9. doi: 10.1016/s0014-827x(00)00100-2, PMID 11204949.
13. MSM, MKI, AMA, SGA, AMM. Synthesis and anti-inflammatory evaluation of some new quinazoline derivatives. Int J Pharmacol. 2005;1(3):261-6. doi: 10.3923/ijp.2005.261.266.
14. Archana, Srivastava VK, Kumar A. Synthesis of some newer derivatives of substituted quinazolinonyl-2-oxo/thiobarbituric acid as potent anticonvulsant agents. Bioorg Med Chem. 2004;12(5):1257-64. doi: 10.1016/j.bmc.2003.08.035, PMID 14980637.
15. Kabri Y, Azas N, Dumètre A, Hutter S, Laget M, Verhaeghe P. Original quinazoline derivatives displaying antiplasmodial properties. Eur J Med Chem. 2010;45(2):616-22. doi: 10.1016/j.ejmech.2009.11.005, PMID 19926173.
16. Armarego WL. The chemistry of heterocyclic compound fused pyrimidines; 1967.
17. Agarwal KC, Sharma V, Shakya N, Gupta S. Design and synthesis of novel substituted quinazoline derivatives as antileishmanial agents. Bioorg Med Chem Lett. 2009;19(18):5474-7. doi: 10.1016/j.bmcl.2009.07.081, PMID 19692240.
18. Kohli D, Hashim SR, Vishal S, Sharma M, Singh AK. Synthesis and antibacterial activity of quinazolinone derivatives. Int J Pharm Pharm Sci. 2009;1:163-9.
19. Omar A, Fattah MF, Emad MM, Neama MI, Mohsen MK. Synthesis of some new quinazolin-4-one derivatives and

evaluation of their antimicrobial and antiinflammatory effects. Acta Pol Pharm Drug Res. 2008;65(1):11-20.

20. Gursoy A, Terzioglu N. Synthesis and isolation of new regioisomeric 4-thiazolidinones and their anticonvulsant activity. Turk J Chem. 2005;29(3):247-54.
21. Balakumar C, Lamba P, Kishore DP, Narayana BL, Rao KV, Rajwinder K. Synthesis, anti-inflammatory evaluation and docking studies of some new fluorinated fused quinazolines. Eur J Med Chem. 2010;45(11):4904-13. doi: 10.1016/j.ejmech.2010.07.063, PMID 20800934.