

New Products - April 2020



Oxazoline-based ligands

In 1986, Brunner et al. used PyOX as a ligand for asymmetric reactions, which was the first reported oxazoline-based ligand used in asymmetric reactions^[1]. In 1991, in back-to-back communications in the Journal of the American Chemical Society, the groups of Evans and Corey reported the synthesis and utility of bisoxazoline ligands^[2], since then, oxazoline-based ligand become the most heavily studied in the literature on the application of asymmetric catalysis, especially in enantioselective aldol reactions^[3], mannich reactions^[4], Diels–Alder cycloadditions^[5], Michael addition^[6], free radical reactions^[7], and other reactions. So far, there are PHOX, FeOX, BOX, PyBOX and other kinds of chiral oxazoline-based ligands.



[1] J. Organomet. Chem., 1986, 316, C1.

- [2] (a) J. Am. Chem. Soc., 1991,113, 726. (b) J. Am. Chem. Soc., 1991,113, 728.
- [3] J. Am. Chem. Soc., 2012, 134, 15233.
- [4] Angew. Chem. Int. Ed., 2001, 40, 2995.
- [5] J. Am. Chem. Soc., **1991**, 113, 728.
- [6] Angew. Chem., Int. Ed., 2011, 50, 6392.
- [7] Nature, 2019, 574, 86.



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New Products - May 2020



Morpholine, a six-membered heterocycle containing one nitrogen and one oxygen atom, is a moiety of great significance. It possesses both amine as well as ether functional groups and is extensively used in many pharmaceutical as well industrial preparations. Meanwhile, as a fairly strong base with pKa of 8.7, its widely used as an intermediate in many organic syntheses^[1]. Morpholine containing drugs are of high therapeutic value. Its wide array of pharmacological activity includes anti-depressant^[1], bronchodilator^[1], anti-autoimmune diseases^[2], anti-HIV^[3], antitubercular^[4-5] and anticancer^[1,6].



RORyt Inverse Agonist





HIV-1 Protease Inhibitor



Antitubercular agent

JSF-2513(Antitubercular agent)



Anticancer agent

A series of medicinal products containing morpholine has been firmly incorporated in medical practice.

[1] European Journal of Medicinal Chemistry (2019), 167, 324-356.

- [2] ChemMedChem (2019), 14, 1917-1932.
- [3] ACS Medicinal Chemistry Letters (2020), Ahead of Print.
- [4] Cell Chemical Biology (2020), 27, 172-185.
- [5] European Journal of Medicinal Chemistry (2020), 190, Article 112106.
- [6] Bioorganic & Medicinal Chemistry Letters (2020), 30, Article 127076.



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New Products - June 2020



Since the proposition of the correct structure of pyridine by KÖner (1869) and Dewar (1871), this ring really became one of the most studied aromatics^[1]. To date, pyridines are among the most prevalent heterocyclic structural units in pharmaceutical and agrochemical targets, as well as in materials science. Pyridines also provide convenient synthetic precursors to chiral dihydro- and tetrahydropyridines, as well as piperidines, which continue to be of interest as intermediates in alkaloid synthesis, in NADH models, and as important biologically active structures^[2-7].



P-gp inhibitor



Anti-HIV-1 agent



Tubulin polymerization inhibitor



PI3K/mTOR inhibitor



Thrombin and Trypsin inhibitor

A series of medicinal products containing pyridine has been firmly incorporated in medical practice.

[1] Chemical Reviews (2014), 114(21), 10829-10868.

- [2] Chemical Reviews (2012), 112(5), 2642-2713.
- [3] Journal of Medicinal Chemistry (2020), 10.1021/acs.jmedchem.0c00337.
- [4] Journal of Medicinal Chemistry (2020), 63(2), 827-846.
- [5] Journal of Medicinal Chemistry (2020), 63(4), 1724-1749.
- [6] Journal of Medicinal Chemistry (2020), 63(6), 3274-3289.
- [7] Journal of Medicinal Chemistry (2020), 10.1021/acs.jmedchem.9b01736.



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New Products - July 2020



Cyclobutane derivatives, as molecular building blocks, has gained increasing importance. They can be used as starting materials for the synthesis of both acyclic and cyclic systems, including carbo- and heterobicyclic and oligocylic compounds^[1]. They aslo are witnessing significant prominence in medicinal chemistry discovery programs, and the various reports that have documented the benefits accompanying their use in discovery candidates are driving the increased visibility. The range of advantages includes structural novelty along with improved physicochemical and pharmaco-kinetic properties^[2]. More and more lead compounds containing cyclobutane skeletons have been designed, synthesized and separated^[3-7].



Antibacterial agent



TLR4 receptor agonist



Anticancer agent



Oxyfadichalcone D



GLP-1 receptor agonist

A series of medicinal products containing cyclobutane has been firmly incorporated in medical practice.

- [1] Chemical Reviews (2003), 103(4), 1485-1537.
- [2] Chemical Reviews (2014), 114(16), 8257-8322.
- [3] European Journal of Medicinal Chemistry (2006), 41(2), 201-207.
- [4] European Journal of Medicinal Chemistry (2006), 41(5), 664-669.
- [5] Journal of Medicinal Chemistry (2012), 55(1), 250-267.
- [6] Journal of Medicinal Chemistry (2014), 57(4), 1252-1275.
- [7] Journal of Natural Products (2018), 81(2), 307-315.



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New Products - August 2020



Pyridazine is one of the important six-membered ring systems and an important chemical entity with the potentials to obtain its analogs with more advanced pharmacological effects^[1]. As so far, pyridazines and their oxo-derivatives are known as the privileged heteroaromatic skeleton due to the important bioactivities associated with these compounds involving antihypertensive, antifungal, antiviral, anti-inflammatory, anticancer, anti-diabetic and antimicrobial activities^[2-7]. Regarding this fact, there has been extensive attention toward the synthesis of novel compounds containing pyridazine moiety and evaluation their bioactivities^[2].



A-glucosidase inhibitor



IRAK4 Inhibitor



Hepatitis B Virus Capsid Inhibitor



Anti-diabetic Agent



Human African Trypanosomiasis Agent



COX-2 Inhibitor

A series of medicinal products containing pyridazine or pyridazinone has been firmly incorporated in medical practice.

[1] RSC Advances (2011), 1(3), 364-388.

- [2] Bioorganic Chemistry (2020), 102, 104071. Doi: 10.1016/j.bioorg.2020.104071.
- [3] Journal of Medicinal Chemistry (2020). Doi: 10.1021/acs.jmedchem.0c00346.
- [4] Journal of Medicinal Chemistry (2020), 63(2), 756-783.
- [5] European Journal of Medicinal Chemistry (2020), 190, 112092. Doi: 10.1016/j.ejmech. 2020.112092.
- [6] European Journal of Medicinal Chemistry (2020), 187, 111912. Doi: 10.1016/j.ejmech. 2019.111912.
- [7] Bioorganic Chemistry (2020), 95, 103497. Doi: 10.1016/j.bioorg. 2019.103497.



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New Products - September 2020



In the last few years, increasing attention has been paid to the imidazo[1,5-a]pyridine nucleus^[1]. The imidazo[1,5-a]pyridines are an important class of heterocyclic compounds owing to their photophysical and biological properties. They have found utility in a number of areas of research including potential applications in organic light-emitting diodes (OLED) and thin-layer field effect transistors (FET). In addition they have been investigated in a wide range of potential pharmaceutical applications, including HIV-protease inhibitors, and Thromboxane A2 synthesis inhibitors^[2-6].



A series of medicinal products containing imidazo[1,5-a]pyridine has been firmly incorporated in medical practice.

[1] Dyes and Pigments (2019), 171, 107713.

- [2] European Journal of Medicinal Chemistry (2011), 46(6), 2427-2435.
- [3] European Journal of Medicinal Chemistry (2015), 103, 289-301.
- [4] Bioorganic & Medicinal Chemistry Letters (2005), 15(8), 2129-2134.
- [5] Bioorganic & Medicinal Chemistry Letters (2015), 25(15), 2907-2912.
- [6] Bioorganic & Medicinal Chemistry Letters (2016), 26(24), 5887-5890.



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New Products - November 2020



The pyrazine unit is an important structural motif that widely existed in many bioactive and nature compounds.^[1] Pyrazine derivatives have attracted wide pharmaceutical interests because of their potential uses in the treatment of psychiatric disorders, neurological diseases (e.g., stress, anxiety and depression), and cardiovascular disorders.^[2] They are reported to modulate the activity of CRF1 (corticotropin-releasing factor 1) receptors. Besides, they are also versatile building blocks in the synthesis of VCAM-1 (vascular cell adhesion molecule-1) inhibitors, pyrazine alkaloids (antineoplasmic activity), and imidazopyrazin coelenterazine (bioluminescent).[2] In recent years, pyrazine scaffold has been found in more and more drug molecules.^[3-7]

NH₂

Allosteric SHP2 Inhibitor

Trypanosoma brucei Inhibitor

OH

Antimalarial Agent

BACE1 Inhibitor

Anticancer Agent

A series of medicinal products containing pyrazine has been firmly incorporated in medical practice.

[1] Advanced Synthesis & Catalysis (2020), 362(17), 3621-3626.

- [2] Tetrahedron Letters (2009), 50(14), 1618-1621.
- [3] Journal of Medicinal Chemistry (2020), Ahead of Print.DOI: 10.1021/acs.jmedchem.0c01170
- [4] Journal of Medicinal Chemistry (2015), 58(17), 6753-6765.
- [5] Journal of Medicinal Chemistry (2015), 58(13), 5344-5354.
- [6] Journal of Medicinal Chemistry (2018), 61(5), 1821-1832.
- [7] Journal of Medicinal Chemistry (2018), 61(13), 5525-5546.



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New Products - February 2021



Benzothiazole is a class of heterocyclic compounds containing nitrogen and sulphur as heteroatoms. Structurally, benzothiazole skeleton is a fusion of benzene ring and thiazole moiety^[1]. They are pharmacologically active compounds with a wide spectrum of activities, such as anti-tuberculosis, anti-tumor, anticancer, antiviral, analgesic, LTD4 receptor antagonist anticonvulsant and antifungal properties etc.^[1-5]. Benzothiazoles also have importance in the field of polymer chemistry, medicines and dyes^[1].



A series of medicinal products containing benzothiazole has been firmly incorporated in medical practice.

[1] Bioorganic Chemistry (2018), 78, 269-279

- [2] Bioorganic & Medicinal Chemistry (2017), 25(13), 3406-3430.
- [3] ChemMedChem (2018), 13(1), 37-47.
- [4] Journal of Enzyme Inhibition and Medicinal Chemistry (2019), 34(1), 829-837.
- [5] Bioorganic Chemistry (2020), 98, 103733.



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New Products - March 2021

Quinazoline is a compound made up of two fused six-membered simple aromatic rings, a benzene ring and a pyrimidine ring and is also called benzopyrimidine^[1]. It is a biologically imperative scaffold known to be linked with several pharmacological activities. Some of the protuberant pharmacological responses attributed to this system are analgesic, anti-inflammatory, anti-convulsant, sedative-hypnotic, anti-histaminic, anti-hypertensive, anti-cancer, anti-microbial, anti-tubercular, anti-viral activities etc.. This multiplicity in the pharmacological response contours of quinazoline has attracted the consideration of medicinal chemists to explore this system to its multiple potential against numerous activities^[2-7].

A series of medicinal products containing quinazoline has been firmly incorporated in medical practice.

- [1] European Journal of Medicinal Chemistry (2021), 211, 113016.
- [2] European Journal of Medicinal Chemistry (2018), 151, 628-685.
- [3] European Journal of Medicinal Chemistry (2017), 130, 320-327.
- [4] Journal of Medicinal Chemistry (2017), 60(3), 1171-1188.
- [5] Journal of Medicinal Chemistry (2018), 61(10), 4635-4640.
- [6] Journal of Medicinal Chemistry (**2018**), 61(17), 7952-7976.
- [7] Journal of Medicinal Chemistry (**2019**), 62(3), 1218-1230.

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New Products - April 2021

Quinoxaline, also known as benzopyrazine, is important nitrogen containing heterocyclic compounds, which a ring compound composed of a benzene ring and a pyrazine ring. Its derivatives have gained considerable attention in the field of contemporary medicinal chemistry. The moiety is of substantial importance because of its wide array of pharmacological activities such as anti-tumor, anti-cancer, antimalarial, anti-inflammatory, antimicrobial, anti-HIV etc. Diversely substituted quinoxalines are important therapeutic agents in the pharmaceutical industry^[1-6].

A series of medicinal products containing quinoxaline has been firmly incorporated in medical practice.

- [1] European Journal of Medicinal Chemistry (2018), 143, 542-557.
- [2] Journal of Medicinal Chemistry (2020), 63(13), 7243-7251.
- [3] European Journal of Medicinal Chemistry (2018), 145, 559-569.
- [4] Journal of Medicinal Chemistry (2010), 53(8), 3296-3304.
- [5] European Journal of Medicinal Chemistry (2016), 117, 230-240.
- [6] Journal of Medicinal Chemistry (2009), 52(7), 2148-2152.

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Benzoxazole is an organic heterocyclic aromatic compound formed by the fusion of benzene with oxazole ring. It has pyridine like smell, un-dissolved in water but soluble in organic solvents^[1]. Among different aromatic heterocyclic compounds, benzoxazole has significant importance due to its remarkable pharmacological activities like antibiotic, antifungal, antiviral, antitumor, antiulcer, antibacterial, anti-inflammatory, anti-tubercular, and analgesic^[1-6]. It is commonly used in research as starting material for the manufacturing of bioactive structures and also found in the chemical structures of pharmaceutical drugs such as flunoxaprofen and has vast therapeutic significance^[1].

A series of medicinal products containing benzoxazole has been firmly incorporated in medical practice

- [1] Journal of Heterocyclic Chemistry (2020), 57(5), 2079-2107.
- [2] Journal of Medicinal Chemistry (2020), 63(14), 7880-7891.
- [3] Bioorganic Chemistry (2020), 94, 103248.
- [4] European Journal of Medicinal Chemistry (2019), 182, 111613.
- [5] European Journal of Medicinal Chemistry (**2016**), 115, 191-200.
- [6] European Journal of Medicinal Chemistry (2019), 182, 111656.

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New Products - July 2021

Isoxazole moiety is an important five-membered heterocycle containing one oxygen atom with nitrogen^[1]. Due to its relatively easy synthesis, isoxazole ring has been as an object of interest for chemists and pharmacologists from research groups all over the world^[2]. Isoxazole-based heterocycles are most bountiful among the biologically active compounds^[1], and thanks to their usually low cytotoxicity, isoxazole derivatives are popular scaffolds for the development of new agents with variable biological activities, such as antimicrobial, antiviral, anticancer, anti-inflammatory, immunomodulatory, anticonvulsant or anti-diabetic properties^[2-7].

A series of medicinal products containing isoxazole has been firmly incorporated in medical practice

- [1] European Journal of Medicinal Chemistry (2021), 221, 113511.
- [2] European Journal of Medicinal Chemistry (2017), 137, 292-309.
- [3] Journal of Medicinal Chemistry (2021), DOI: 10.1021/acs.jmedchem.1c00475.
- [4] Journal of Medicinal Chemistry (2016), 59(6), 2820-2840.
- [5] Journal of Medicinal Chemistry (**2017**), 60(12), 5086-5098.
- [6] Journal of Medicinal Chemistry (**2019**), 62(17), 8284-8310.
- [7] Journal of Medicinal Chemistry (2019), 62(18), 8557-8577.

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New Products - August 2021

Antibody-Drug conjugates (ADCs) have become a promising targeted therapy strategy that combines the specificity, favorable pharmacokinetics and biodistributions of antibodies with the destructive potential of highly potent drugs[1].

ADCs consist of a desirable monoclonal antibody, an active cytotoxic drug and an appropriate linker. The monoclonal antibodies lead the drug precursors to the target cancer cells, in which the prodrugs can be chemically or enzymatically converted to drugs in their active forms. Conjugating cytotoxins to monoclonal antibodies that specifically tie to tumor cell surface antigens enables the drugs to be target-delivered to cancer cells and leaves normal cells unaffected. More important, many of the cytotoxic drugs that are too toxic for use in traditional chemotherapy can also be used in the construction of antibody-drug conjugates. The linkers are essential parts of antibody-drug conjugates of toxic drugs in the tumor cells[2,3,4].

[1] Houzong Yao , Feng Jiang, Aiping Lu, and Ge Zhang; Methods to design and synthesize Antibody-Drug Conjugates(ADCs). Int.J.MOL.Sci.2016,17,194;

[2] Laurent, D.; Bernhard, S. Antibody-drug conjugates: Linking cytotoxic payloads to monoclonal antibodies.Bioconjug. Chem.2010,21, 5-13.

[3] Perez, H.L.; Cardarelli, P.M.; Deshpande, S.; Gangwar, S.; Schroeder, G.M.; Vite, G.D.; Borzilleri, R.M. Antibody-drug conjugates: Current status and future directions. Drug Discov. Today 2014, 19, 869-881.[CrossRef] [PubMed]

[4] Chari, R.V.J.; Miller, M.L.; Widdison, W.C. Antibody-drug conjugates: An emerging concept in cancer therapy. Angew Chem. Int.Ed. Engl. 2014, 53, 3796-3827. [CrossRef] [PubMed]

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New Products - December 2021

Pyrazoles are a kind of important five-member nitrogen heterocyclic compounds, which have important application value in medicine. Pyrazole heterocyclic ring represents an important building block in organic chemistry, bioorganic and medicinal chemistry. It gained a lot of attention for its therapeutic potential as a backbone in advanced medical applications and ease of preparation. Pyrazole containing scaffolds displayed broad range of biological activities, such as anti-inflammatory, anticonvulsant, anticancer, antiviral, antidepressant, antipyretic, and selective enzyme inhibition.

A series of medicinal products containing pyrazoles has been firmly incorporated in medical practice

- [1] Journal of Medicinal Chemistry 2019, 62, 15, 7264-7288.
- [2] Journal of Medicinal Chemistry 2019, 62, 22, 10272-10293.
- [3] Journal of Medicinal Chemistry 2019, 62, 22, 10305-10320.
- [4] Journal of Medicinal Chemistry 2020, 63, 2, 621-637.
- [5] Journal of Medicinal Chemistry 2020, 63, 9, 4517-4527.
- [6] Journal of Medicinal Chemistry 2021, 64, 4, 1966-1988.
- [7] Journal of Medicinal Chemistry 2021, 64, 9, 6037-6058.
- [8] European Journal of Medicinal Chemistry 212 (2021) 113134.

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