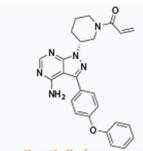
New Products - April 2023

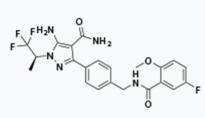




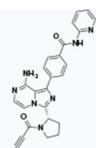
Bruton's tyrosine kinase (BTK), a member of the TEC family of tyrosine kinases, is expressed in many cells of hematopoietic origin, including B cells, monocytes, macrophages, neutrophils, mast cells, eosinophils, and platelets but not T cells or plasma cells, and participates in both adaptive and innate immune responses^[1]. BTK is an essential protein for signaling of the B cell receptor. As such, it plays an important role in B cell differentiation and proliferation. This has made BTK a highly attractive drug target for B-cell malignancies, such as chronic lymphocytic leukemia (CLL) and mantle cell lymphoma (MCL), as well as autoimmune diseases, including rheumatoid arthritis (RA), multiple sclerosis (MS), asthma, and lupus. To date, five covalent BTK inhibitors and one non-covalent BTK inhibitor have been approved and more than 50 BTK inhibitors have entered into clinical trials. Over the past several years, PROTAC technology was more and more used in the design of BTK inhibitors to solve the problem of drug resistance^[2-10].



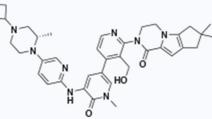
Ibrutinib (approved) the first-generation BTK inhibitor



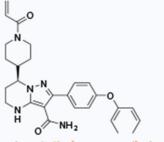
Pirtobrutinib (approved) the third-generation BTK inhibitor the third-generation BTK inhibitor the third-generation BTK inhibitor



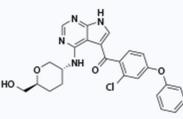
Acalabrutinib (approved) the second-generation BTK inhibitor



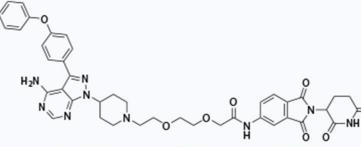
Fenebrutinib (Phase III)



Zanubrutinib (approved) the second-generation BTK inhibitor



Nemtabrutinib (Phase III)



MT-802 (Pre-clinical) BTK-targeted PROTAC

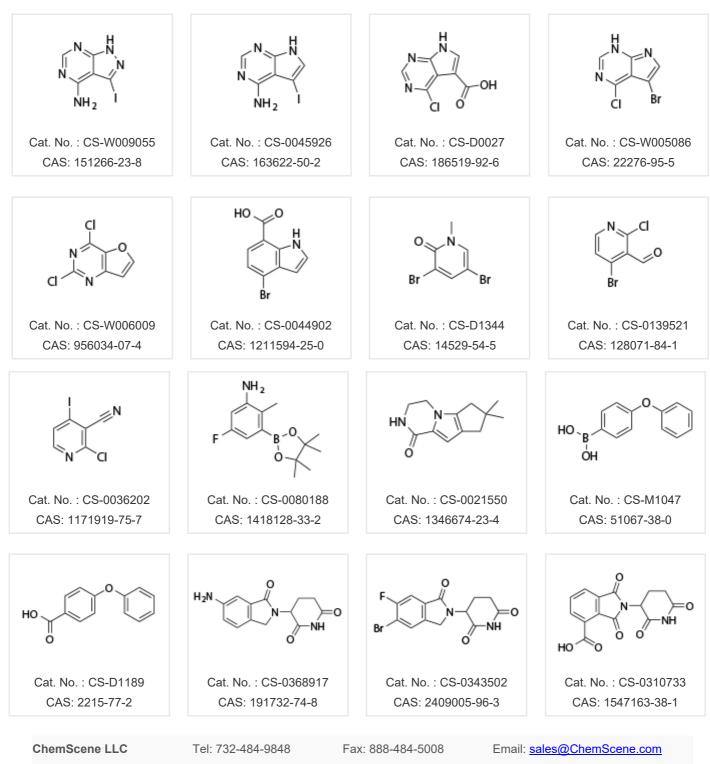
A series of building blocks will be used as molecular fragments in the design of BTK inhibitors.

- [1] Journal of Medicinal Chemistry (2016), 59, 19, 9173-9200.
- [2] Journal of Medicinal Chemistry (2022), 65, 7, 5300-5316.
- [3] Journal of Medicinal Chemistry (2023), 66, 6, 4025-4044.
- [7] European Journal of Medicinal Chemistry (2022), 229, 114009.
- [8] European Journal of Medicinal Chemistry (2022), 241, 114611.
- [9] European Journal of Medicinal Chemistry (2021), 225, 113820.

[4] Journal of Medicinal Chemistry (2021), 64, 19, 14129-14141. [5] Journal of Medicinal Chemistry (2020), 63, 21, 12526-12541.

[6] Journal of Medicinal Chemistry (2022), 65, 21, 14326-14336.

[10] WO2023004163A1.



Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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