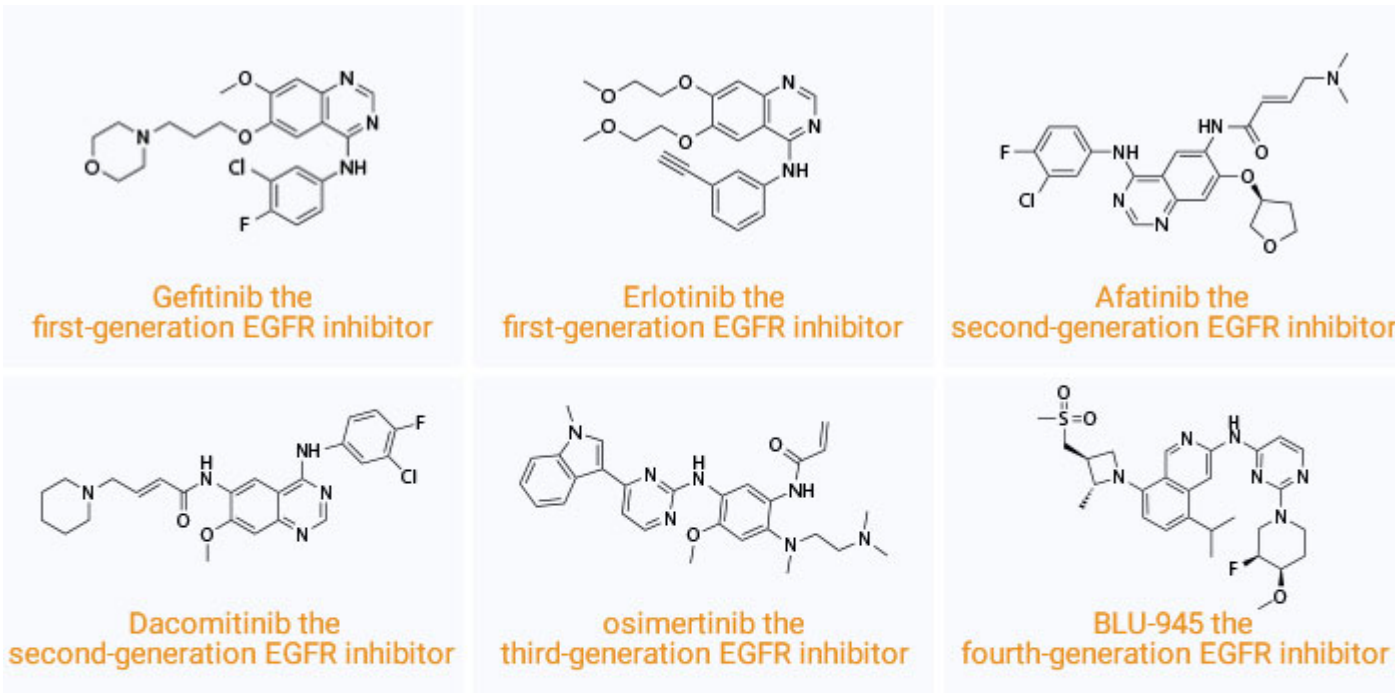
Epidermal growth factor receptor (EGFR) is a transmembrane protein tyrosine kinase that functions as a receptor for members of the EGF family to trigger EGFR signal pathway in human epithelial cells, thereby regulating cell proliferation, invasion, metastasis, apoptosis, and angiogenesis[1]. Overexpression and mutation of EGFR are closely related to the development of non-small cell lung cancer (NSCLC), and is also one of the important and valuable drug targets in NSCLC[2]. To date, three generations of EGFR inhibitors, e.g., gefitinib, erlotinib, afatinib, dacomitinib and osimertinib, have been approved by FDA. At the AACR annual meeting 2022, Blueprint Medicines disclosed the clinical trial results of BLU-945. As the fourth-generation EGFR inhibitor, Blu-945 has shown encouraging efficacy in people who are resistant to osimertinib. And over the past several years, PROTAC technology was more and more used in the design of EGFR inhibitors to solve the problem of drug resistance[3-8].



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

[1] Journal of Medicinal Chemistry (**2020**), 63, 3, 1216-1232.

[2] Bioorganic & Medicinal Chemistry Letters (**2020**), 30, 12, 127167.

[3] WO2021133809A1.

[4] Angewandte Chemie International Edition (**2020**), 59, 34, 14481-14489.

[5] European Journal of Medicinal Chemistry (**2020**), 192, 112199.

[6] European Journal of Medicinal Chemistry (**2021**), 218, 113328.

[7] ACS Medicinal Chemistry Letters (**2022**), 13, 2, 278-283.

[8] Journal of Medicinal Chemistry (**2019**), 62(22), 10272-10293.

Cat. No. : CS-0433765
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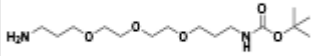

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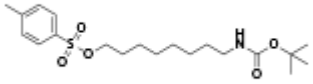

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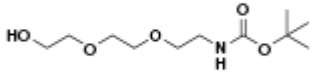

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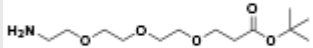

Cat. No. : CS-0114210
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