Trends in Oncology Vol 7 Issue 1 2025

Original Research Article

Open Access

Omniscient Pte.Ltd.

Patent Analysis of Osimertinib in China

Wei-Xing Chen*

Patent Examination Cooperation (Beijing) Center of the Patent Office, CNIPA, Beijing, 100070, China

***Correspondence to:** Wei-Xing Chen, Patent Examination Cooperation (Beijing) Center of the Patent Office, CNIPA, Beijing, 100070, China, E-mail: cwxyeah@qq.com

Abstract: Osimertinib is a third-generation epidermal growth factor receptor (EGFR) tyrosine kinase inhibitor (TKI), used in the treatment of non-small cell lung cancer (NSCLC) patients harboring the EGFR T790M mutation. This paper conducts a comprehensive analysis of invention patents related to osimertinib in China. It includes statistics and evaluations on applicant rankings, applicant countries, application-publication trends, technical distribution, and authorization rates. Furthermore, a focused analysis is carried out on AstraZeneca's key patent portfolio in China. This study aims to provide insight into the technological development trends, hotspots, and focal points in this field, offering valuable reference for pharmaceutical enterprises engaging in related R&D.

Keywords: Osimertinib; Non-small cell lung cancer; Lung cancer; Patent

Introduction

Osimertinib is a third-generation TKI targeted therapy developed by AstraZeneca for the treatment of advanced NSCLC. It was approved by the U.S. Food and Drug Administration (FDA) on November 13, 2015. Osimertinib was the first third-generation TKI approved and was granted FDA designations including Breakthrough Therapy, Priority Review, and Orphan Drug status [1]. In 2024, its global sales reached USD 6.58 billion, ranking fourth among the top ten oncology products worldwide, demonstrating tremendous market potential. Mechanistically, osimertinib selectively and irreversibly binds to mutated forms of EGFR (exon 19 deletion, L858R, T790M) via covalent interaction with the cysteine-797 residue in the ATP-binding domain of the EGFR kinase region, thereby inhibiting downstream signaling pathways and suppressing tumor proliferation [2]. Osimertinib has shown clear short- and long-term efficacy in treating NSCLC by inhibiting serum tumor marker expression, enhancing immune function, and prolonging survival [3]. Its advantages include overcoming resistance to first- and second-generation EGFR-TKIs, improved selectivity, high target specificity, and low incidence of adverse reactions. It is also a potential first-line treatment for EGFR-T790M positive NSCLC patients with brain metastases [4]. Given its strong market performance and growth, analyzing the patent landscape of osimertinib in China is essential to help enterprises identify R&D opportunities and avoid infringement risks.

1. Patent Data Sources

This article utilized publicly available online search tools combined with the IncoPat patent database

for data validation. The search keywords included: Tagrisso, osimertinib, 奥西替尼, AZD9291, 迈瑞替尼, and 2-Propenamide. For compound-specific searches, the CAS registry numbers of osimertinib-1421373-65-0 (osimertinib) and 1421373-66-1 (osimertinib mesylate)-were used to search the STN database. A total of 582 Chinese invention patent documents related to osimertinib were retrieved: 411 from mainland China, 149 from Taiwan, China, 19 from Hong Kong, China, and 3 from Macau, China. Among them, 123 patents were granted. The data cut-off date was May 20, 2025.

2. Patent Application Trend Analysis

2.1 Top Applicants in China

Figure 1

As shown in **Figure 1**, AstraZeneca ranks first in terms of patent filings related to osimertinib in China, which aligns with its role as the original developer. The top three applicants are AstraZeneca, Novartis, and the Shanghai Institute of Materia Medica. These organizations have strong capabilities in pharmaceutical R&D. Among the top nine applicants, five are domestic Chinese entities, indicating strong local interest and a rapid catch-up trend in R&D and patent filings.

2.2 Applicant Nationality Distribution

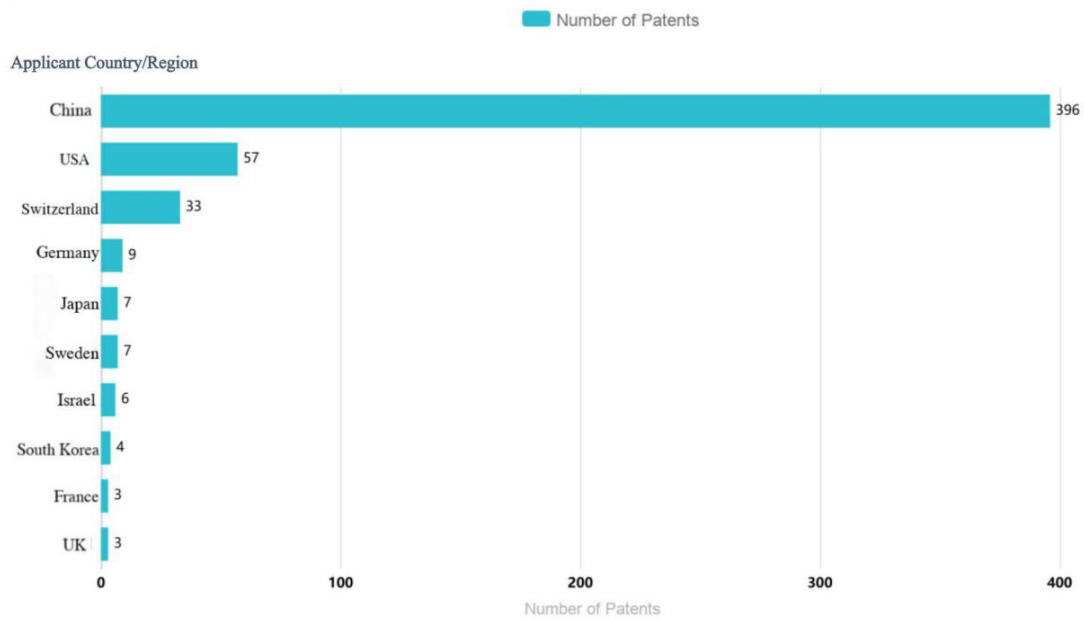

Figure 2

Figure 2 shows that the top ten countries of origin for Chinese osimertinib patent applicants are China, the United States, Switzerland, Germany, Japan, Sweden, Israel, South Korea, France, and the United Kingdom. These countries are leaders in global pharmaceutical innovation. China ranks first, reflecting the country's large cancer patient population and its role as a key target market, as well as foreign firms' strategic emphasis on China.

2.3 Filing and Publication Trend

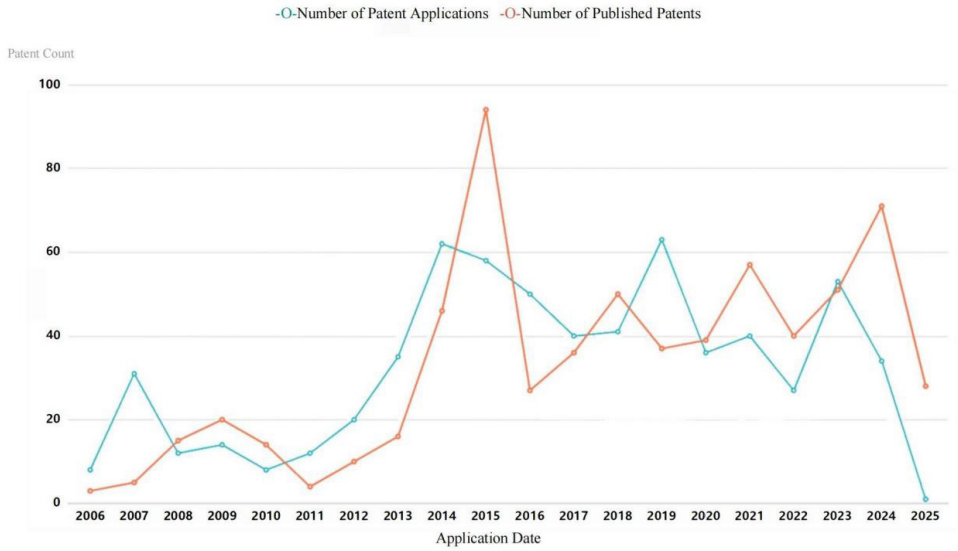

Figure 3

As depicted in **Figure 3**, the earliest patent applications related to osimertinib date back to 2006, showing a minor peak during 2006–2007. Applications then declined gradually from 2007 to 2011, followed by a sharp increase between 2011 and 2015. From 2016 to 2025, filings and publications remained relatively stable. Notably, osimertinib was approved by the U.S. FDA on November 13, 2015. The 2006–2015 timeframe corresponds to the typical 10-year development cycle from compound discovery to drug approval. During 2006–2011, initial pharmacological research was conducted; from 2011 onwards, the compound was prioritized and received increased investment and clinical trial efforts, ultimately leading to FDA approval in 2015. The rapid increase in patent filings between 2011–2015 reflects the momentum of clinical validation and market potential, in line with general trends in innovative drug development.

2.4 Technical Field Distribution

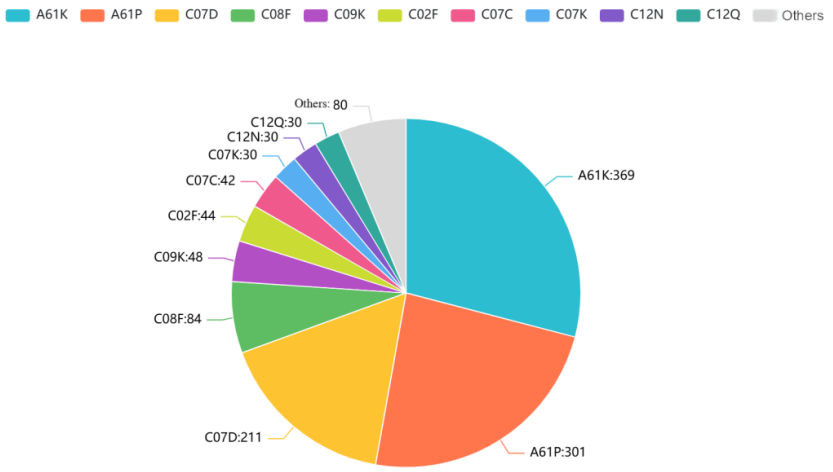

Figure 4

According to **Figure 4**, the top three IPC (International Patent Classification) codes associated with osimertinib patents are A61K (369 patents), A61P (301 patents), and C07D (211 patents). A61K mainly covers pharmaceutical compositions and products, A61P relates to therapeutic applications-including both monotherapy and combination therapies-and C07D focuses on chemical compounds. The prominence of C07D reflects the importance of compound structure optimization in osimertinib's patent strategy.

2.5 Patent Grant Rate

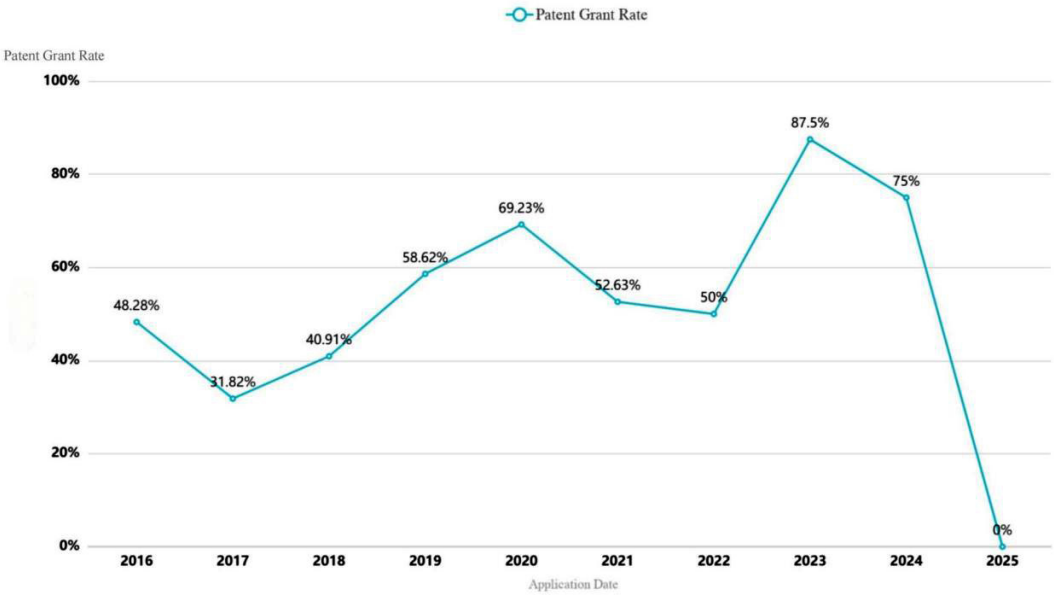

Figure 5

As shown in **Figure 5**, the grant rate for osimertinib invention patents in China is relatively high, significantly exceeding the average for pharmaceutical patent applications.

3. Patent Portfolio Analysis of AstraZeneca for Osimertinib in China

3.1 Compound Family Patents

AstraZeneca's primary compound patent for osimertinib in China is application No. 201280033773.9 (publication CN103702990A), filed on July 25, 2012, with priority dates of January 27, 2011 and January 27, 2012. This patent family includes 182 global applications, reflecting AstraZeneca's strong emphasis on this core invention. In China, the patent family comprises 10 filings, including CN105198862A,B; CN105254616A,B; CN104109151A,B; CN103702990A,B; CN104109161A,B; CN105348266A,B; CN105117539 6A,B; CN104109151A; CN103702990A; and CN103702990A. Among these, the 'B' type refers to granted patents, with 7 out of 10 applications approved, resulting in a high grant rate of 70%. AstraZeneca's strategy for compound patent protection is based on broad Markush claims, followed by continuous divisional filings. In China alone, one parent application was divided into 10 separate cases to achieve comprehensive protection of the compound. Globally, this patent family includes 182 related applications, underscoring AstraZeneca's strong emphasis on core compound protection. This layout strategy offers valuable insight for pharmaceutical companies engaged in new drug development.

3.2 Patents for Derivatives, Salts, Compositions, Formulations, Preparation Methods, and Therapeutic Uses (including Combination Therapies)

Based on the core compound patents, AstraZeneca has further filed derivative and salt patents such as CN117582521A and CN110312531A related to therapeutic dendrimers, and CN119156385A concerning fused bicyclic heteroaromatic compounds and their use in cancer treatment; CN108495632A discloses an improved chemical process for synthesizing compounds of Formula (I), which serve as late-stage intermediates in the production of osimertinib (AZD9291) and its

pharmaceutically acceptable salts.

In terms of compositions and formulations, CN114712362A relates to a pharmaceutical composition containing AZD9291 suitable for oral administration.

Process patents, such as CN119317624A, relate to improved methods for the production of osimertinib.

Use patents, including those involving combination therapies, include CN119654152A, which discloses the use of EGFR TKIs in cancer treatment, administered in combination with c-MET inhibitors; CN106456774A, which covers the combination of EGFR inhibitors and MEK inhibitors for treating NRAS-mutated cancers; and CN113645976A, which involves the use of EGFR TKIs in combination with pemetrexed and platinum-based chemotherapies for the treatment of previously untreated, locally advanced or metastatic EGFR mutation-positive NSCLC.

The derivative and salt patents, composition patents, formulation patents, preparation method patents, and use patents -including those for combination therapies -constitute a surrounding and integrated extension based on the aforementioned family of compound patents. Together, they form a tightly woven patent protection network and patent pool. Through continuous improvement and in-depth research, this strategy not only extends the duration of patent protection but also broadens the scope of coverage, effectively "staking out territory." This enables AstraZeneca to maintain a monopoly on the osimertinib market in China. Such a patent strategy is also worth learning from for new drug development enterprises.

Conclusion

This study, through statistical and analytical methods, provides a clear overview of the main applicants for osimertinib patents in China, the countries of origin, timing of filings and publications, key technological areas, grant status, legal status, and technical applications. This macro-level analysis allows stakeholders to grasp the overall landscape of osimertinib patent activity in China. Furthermore, AstraZeneca's patent strategy is analyzed in depth, centered on its compound patent ZL201280033773.9. The company employs a broad Markush structure in its core compound patent and pursues multiple divisional applications-splitting one parent application into ten-to ensure tight protection around the chemical entity. Beyond that, the firm has expanded outward with derivative and salt patents, composition patents, formulation patents, process patents, and therapeutic use patents including combination therapy claims, thereby extending the protection term and broadening the patent scope. This approach constructs a multi-layered protection network and patent pool built upon a central compound patent cluster, effectively deterring competitors by creating a landscape full of potential infringement traps.

However, it is important to recognize that innovation is ongoing. At present, osimertinib is mainly used in lung cancer treatment. Whether it is effective against other cancers and whether it shows synergistic effects in combination therapies are potential directions for further research and innovation by other companies. Moreover, there is room for improvement in formulation types. Formulation-related patents-including prescription, process, and dosage form patents-mainly focus on changes in dosage form, excipient selection and quantity, and address technical challenges such as enhancing solubility, stability, bioavailability, and minimizing side effects. Given the need for long-term medication in cancer patients, dosage forms such as implants, liposomes, microspheres, and nanoparticles represent underexplored areas in the context of osimertinib patents. These formulation innovations may offer valuable opportunities for pharmaceutical companies to explore further.

References

[1] U.S. Food and Drug Administration. FDA approves new pill to treat certain patients with non-small cell lung cancer [EB/OL]. [2015-11-13]. Available from: http://www.fda.gov/NewsEvents/Newsroom/PressAnnouncements/ucm472525.htm.

[2] Remon J, Planchard D. AZD9291 in EGFR-mutant advanced non-small-cell lung cancer patients. Future Oncol. 2015;11(22):3069–3081.

[3] He Ruilian, Zhang Guoji, He Wenjie. Observation on the Short- and Long-Term Efficacy of Osimertinib in Targeted Therapy for NSCLC. Journal of Practical Oncology, 2021, 36(3): 486–489.

[4] Li Ping, Wang Li, Jia Lechuan. Research Progress on the Third-Generation Epidermal Growth Factor Receptor Inhibitor—Osimertinib. Chinese Journal of Clinical Pharmacology, 2017, 33(14): 1371–1373.