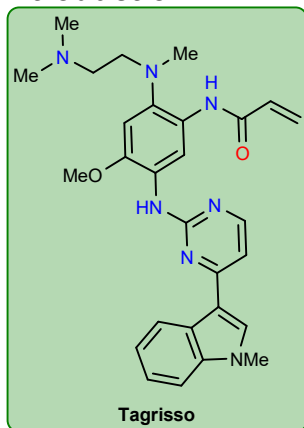
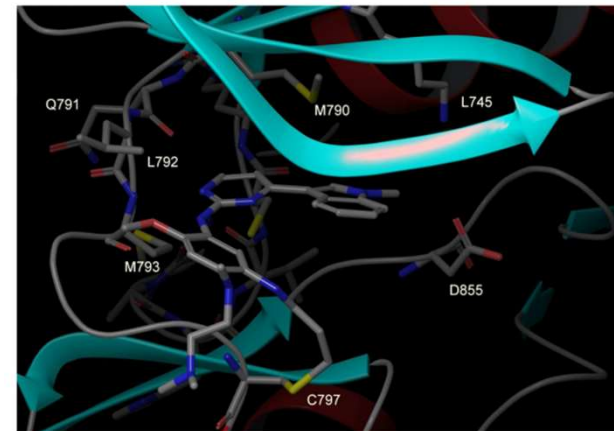


## Introduction



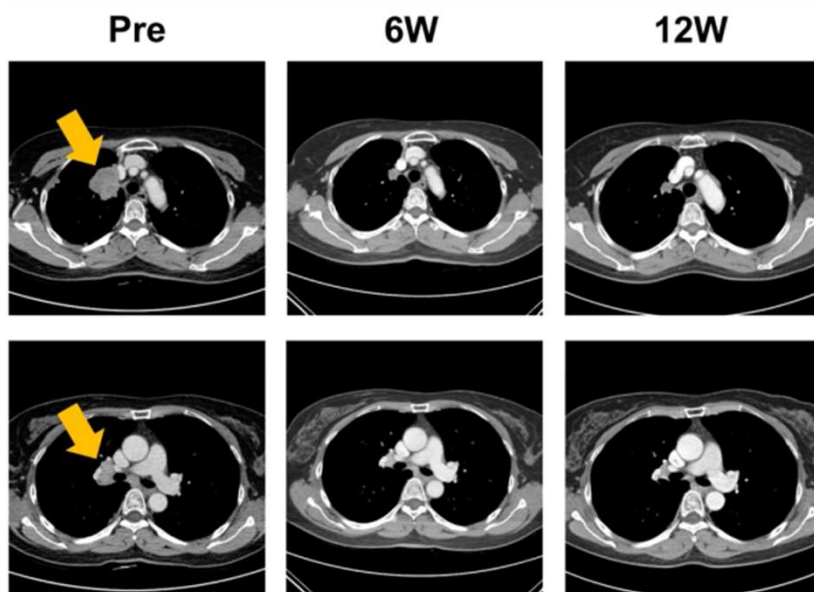
**AstraZeneca**

- Tagrisso is a targeted therapy for epithelial growth factor receptor (EGFR) T790M non-small cell lung cancer.
- Approved by the FDA in 2016 only after 2.5 years
- Currently being explored for application in early-stage EGFR non-stage lung cancer (data expected 2022)



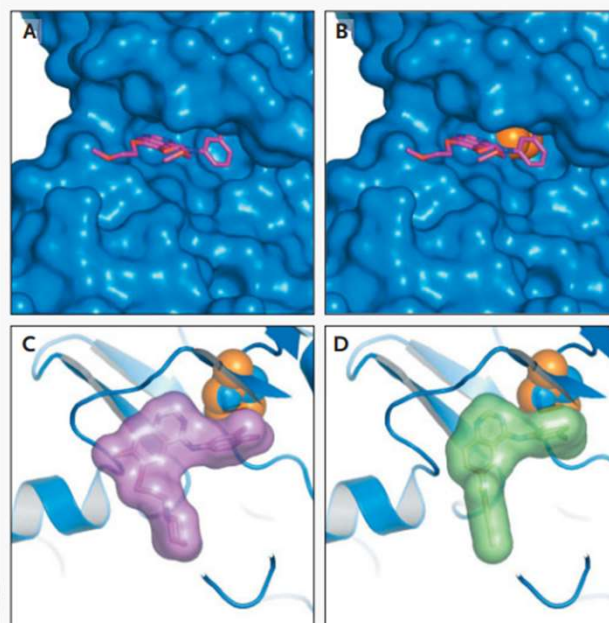
*Cancer Discov.* 2014, 4, 1046–1061.  
<https://doi.org/10.1158/2159-8290.CD-14-0337>

## Clinical Evidence:



*J. Med. Chem.* 2014, 57, 8249–8267. <https://doi.org/10.1021/jm500973a>

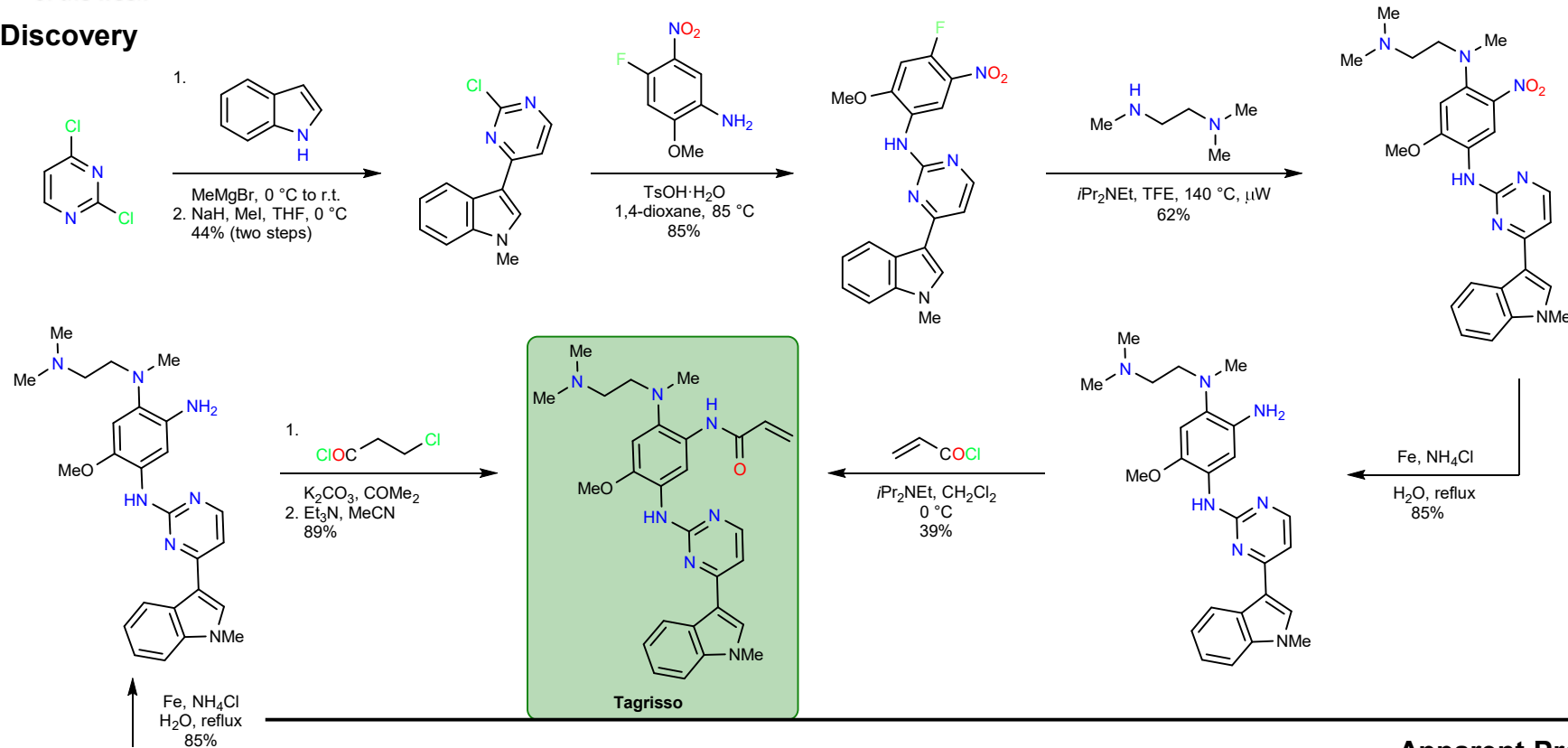
## T790M Mutation: Complications for Standard Treatment



- A: Binding of erlotinib with wild-type
- B: Binding of erlotinib with T790M mutation
- C: Predicted sterics with gefitinib
- D: Predicted sterics with CL-387785

*N. Engl. J. Med.* 2005, 332, 786–792.  
<https://doi.org/10.1056/NEJMoa044238>

## Discovery



## Apparent Process

OPRD, 2016, 20, 2028-2042. <https://doi.org/10.1021/acs.oprd.6b00374>.