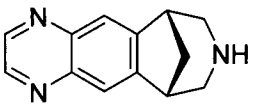
AstraZeneca's gefitinib (Iressa)'s core structure is a quinazoline. It is an epidermal growth factor receptor (EGFR) tyrosine kinase inhibitor (TKI) indicated for the treatment of cancers. Several other protein kinase inhibitors also used the quinazoline ring as their core structure. They include OSI's erlotinib (Tarceva) and GSK's lapatinib (Tykerb).

Sepracor's eszopiclone (Lunesta) contains a pyrazine ring. It is a $GABA_A$ receptor agonist for the treatment of insomia.

Finally, Pfizer's varenicline (Chantix) used a fused quinoxaline ring. It is an $\alpha 4\beta 2$ nicotinic receptor partial agonist for smoking cessation.



varenicline (Chantix)

In this section, only a small portion of marketed drugs are shown to illustrate the importance of hetereocyclic chemistry in drug discovery. Many drugs containing saturated heterocycles, heterocycles with more than two heteroatoms, and non-heterocycles. In the ensuing chapters, the most popular types of heterocycles in drug discovery are reviewed for their physical and chemical properties, their constructions in the context of medicinal chemistry, and their potential liabilities as drugs when applicable.