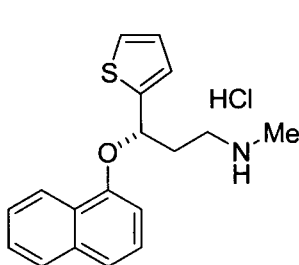
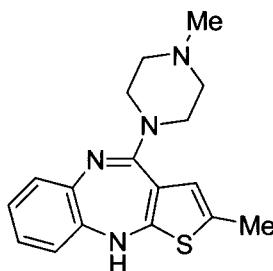


raloxifene (Evista)

Thiophene seems to be very popular in Li Lilly drugs. Its dual selective serotonin and norepinephrine reuptake inhibitor (SSNRI) for depression, duloxetine (Cymbalta), contains a thiophene. And its atypical antipsychotic drug olanzapine (Zyprexa) has a fused thiophene as its core structure.



duloxetine (Cymbalta)



olanzapine (Zyprexa)

1.4.2 Five-Membered Heterocycles with Two Heteroatoms

Histamine-2 (H_2) receptor antagonists as anti-ulcer drugs best showcased the versatility of heterocycles in drug discovery. Marketed in the United States in 1977, SmithKline & French's cimetidine (Tagamet) became the first blockbuster drug ever in medical history in 1985. Transforming the imidazole ring into the dimethylamino-furan in combination with replacing cyanoguanidine with nitrovinyl guanidine gave rise to ranitidine (Zantac). Later on, Yamanouchi arrived at famotidine (Pepcid) using guanidinothiazole as its core structure and sulfamoyl-amidine as its side chain. All of these drugs went on to become blockbuster drugs.

