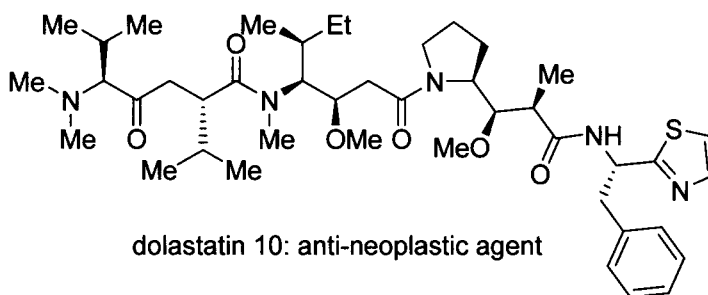
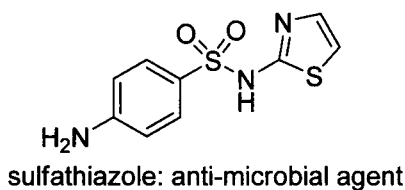


of protein synthesis against Gram-positive bacteria while showing little activity against Gram-negative bacteria. A case in point is micrococcin P₁, shown below. Structural ambiguities with respect to stereochemistry of this compound surrounded micrococcin P₁. Recently Ciufolini and co-workers settled them by confirming the structure.¹⁰ Other thiopeptide antibiotics have also been subjects of landmark total synthetic endeavors.¹¹

Thiazoles have made their presence felt in peptide research, an example of which is the pseudopeptide dolastatin 10, a powerful anti-neoplastic agent that has been in the human clinical trials for the treatment of cancer.^{12a}



In the early twentieth century, one of the first commercial synthetic drugs containing thiazole was sulfathiazole, a short-acting sulfa drug.¹³



Several drugs that are on the market incorporate the thiazole or benzothiazole substructure and a few examples are shown below. For instance, the orally administered, semisynthetic, and broad-spectrum third-generation cephalosporin cefdinir showing antibacterial activity against *Staphylococcus* species was the first thiazole drug to enter the list of Top 200 Drugs.¹⁴ It was approved by the Food and Drug Administration (FDA) in 1997. Cefotaxime and ceftriaxone are also third-generation cephalosporins, showing broad-spectrum activity against Gram-positive and Gram-negative bacteria for the treatment of bacterial meningitis and pneumonia among other infections.^{15,16} The thiazole structure here influences the pharmacodynamics and kinetics, resulting in a decrease in bioavailability.¹⁷

HIV-1 protease inhibitor ritonavir (Norvir), a peptidomimetic antiviral compound approved in 1996 possesses two different thiazoles in the same molecule.^{18a} Although approved as an HIV protease inhibitor, ritonavir