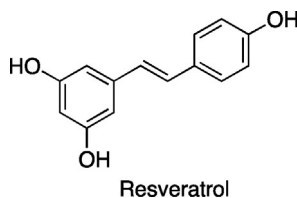


FIGURE 15.8

Bioactivation of glucoraphanin.



6 LIGANDS FOR NUCLEAR RECEPTORS IN CANCER CHEMOPREVENTION

Nuclear receptors are transcription factors that regulate cell differentiation and proliferation in specific organs and are also important for carcinogenesis. They may be directly activated after the binding of specific ligands, but this binding may also trigger transcription in other cellular contexts because of the selective recruitment of other proteins, such as transcriptional coactivators and co-repressors that interact with transcription factors. Nuclear receptors are ideal targets for chemoprevention, the most studied of which are the estrogen receptors (ER α and ER β); the androgen receptor (AR); the retinoic receptors RAR- α , - β , and - γ ; the retinoid X receptors RXR α , - β , and - γ ; the vitamin D receptor (VDR); and PPAR γ .⁸⁷

The development of a malignant phenotype frequently includes a block in the normal differentiation process, and numerous compounds, such as retinoids or vitamin D₃ analogs, have been studied with this