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Omaveloxolone

National Cancer Institute

Source

National Cancer Institute. *Omaveloxolone*. NCI Thesaurus. Code C113443.

A member of the synthetic oleanane triterpenoid class of compounds and an activator of nuclear factor erythroid 2 [NF-E2]-related factor 2 (Nrf2, Nfe2l2), with potential chemopreventive activity. Upon administration, omaveloxolone activates the cytoprotective transcription factor Nrf2. In turn, Nrf2 translocates to the nucleus, dimerizes with a small Maf protein (sMaf), and binds to the antioxidant response element (ARE). This induces the expression of a number of cytoprotective genes, including NAD(P)H quinone oxidoreductase 1 (NQO1), sulfiredoxin 1 (Srxn1), heme oxygenase-1 (HO1, HMOX1), superoxide dismutase 1 (SOD1), gamma-glutamylcysteine synthetase (gamma-GCS), thioredoxin reductase-1 (TXNRD1), glutathione S-transferase (GST), glutamate-cysteine ligase catalytic subunit (Gclc) and glutamate-cysteine ligase regulatory subunit (Gclm), and increases the synthesis of the antioxidant glutathione (GSH). Nrf2, a leucine zipper transcription factor, plays a key role in the maintenance of redox balance and cytoprotection against oxidative stress.