Selective Estrogen Receptor Modulators: Tissue Actions and Potential for CNS Protection

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ABSTRACT

Significant physiologic changes occur during menopause. Evidence exists to suggest that estrogen may be neuroprotective under specific conditions. However, there are limitations in the neuroprotection afforded by standard hormone therapy. Accordingly, alternative agents with selected estrogenic effects may hold even greater promise rather than conventional hormone replacement therapy for the prevention and treatment of CNS injury. Recently, a variety of selective estrogen receptor modulators (SERMs) have been developed to retain the favorable and minimize the adverse side effects of estrogens. This review focuses on the CNS and known neuroprotective effects of two specific SERMs, raloxifene and arzoxifene. Recent studies hint that raloxifene and arzoxifene are neuroprotective and may preserve some elements of cognitive function. However, the mechanism of action is not well described and it is unclear if the beneficial effects of SERMs rely on activation of estrogen receptors.