Alzheimer’s disease (AD) is a neurodegenerative disorder characterized by beta-amyloid (Aβ) aggregation/oligomerization, biometal dyshomeostasis, oxidative stress, and neuroinflammation. The multifaceted nature of AD may indicate the therapeutic potential of multifunctional ligands that tackle various risk factors simultaneously as effective AD-modifying agents. This notion is further supported by the fact that while numerous AD-modifying agents targeting one single risk factor have been developed and a number of them entered clinical trials, none of them has been successfully approved by the FDA. Furthermore, neuronal cell membrane/lipid rafts (CM/LR) have been demonstrated to be associated with all the indicated risk factors, indicating that this relationship can be exploited therapeutically to design strategically distinct multifunctional ligands by incorporating CM/LR anchorage into molecular design. Recently, our lab has developed a bivalent strategy to bridge the CM/LR and multiple risk factors in AD. These bivalent compounds contain a CM/LR anchor moiety and a multifunctional “war head” with intrinsic Aβ inhibition as well as antioxidant/metal chelating functions linked by a spacer. Our results demonstrated that the bivalent strategy is a viable approach to provide novel and potent neuroprotectants. Further mechanistic studies revealed novel mechanism of actions for these bivalent compounds. An overview of this bivalent strategy and an update of our most recent findings will be presented.