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Gwt1 Inhibitor APX001

National Cancer Institute

Source

National Cancer Institute. *Gwt1 Inhibitor APX001*. NCI Thesaurus. Code C155900.

An orally available small molecule inhibitor of the Gwt1 fungal enzyme with potential antifungal activity. Upon administration APX001, a N-phosphonooxymethyl prodrug, is rapidly and completely metabolized by systemic alkaline phosphatases to its active moiety, APX001A (E1210). The active prodrug targets Gwt1, a highly conserved inositol acylase which catalyzes an essential step in the glycosylphosphatidylinositol (GPI)-anchor biosynthesis pathway. Inhibition of Gwt1 prevents localization of cell wall mannoproteins, which compromises cell wall integrity, biofilm formation, germ tube formation, and fungal growth.