Selective Glucocorticoid Receptor Antagonist CORT125281

National Cancer Institute

Source


An orally available, selective glucocorticoid receptor (GR) antagonist, with potential antineoplastic activity. Upon oral administration, CORT125281 competitively and selectively binds to GRs, inhibiting the activation of GR-mediated proliferative and anti-apoptotic gene expression pathways. The GR, a member of the nuclear receptor superfamily of ligand-dependent transcription factors, is overexpressed in certain tumor types and may be associated with tumor cell proliferation and treatment resistance. Inhibition of GR activity may potentially slow tumor cell growth and disease progression in certain cancers.