Levoketoconazole

National Cancer Institute

Source

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An orally available levorotary enantiomer of ketoconazole with potential steroidogenesis inhibitory activity. Following oral administration, levoketoconazole inhibits three cytochrome P450 family enzymes involved in glucocorticoid biosynthesis, 11beta-hydroxylase (CYP11B1), 17alpha-hydroxylase/17,20-lyase (CYP17A1) and steroid 21-hydroxylase (CYP21A2), which reduces the circulating levels of glucocorticoids. Therefore, this agent may normalize the high concentration of cortisol in the blood seen in patients with Cushing syndrome. Compared to racemic ketoconazole, the levo form is a more potent inhibitor of glucocorticoid synthesis. Additionally, this enantiomer is less likely to inhibit cholesterol 7alpha-hydroxylase (CYP7A1); therefore, its use may reduce the risk of hepatoxicity. Cushing syndrome is caused by high levels of cortisol in the blood either due to excessive production and secretion of corticosteroids, which is often the result of adrenocorticotropic hormone (ACTH)-secreting pituitary or adrenocortical neoplasms, or the use of synthetic corticosteroids.