Z-Endoxifen Hydrochloride

National Cancer Institute

Source

National Cancer Institute. Z-Endoxifen Hydrochloride. NCI Thesaurus. Code C95713.

The hydrochloride salt and the z (cis-) stereoisomer of endoxifen with potential antineoplastic activity. Endoxifen, the active metabolite of tamoxifen, competitively inhibits the binding of estradiol to estrogen receptors, thereby preventing the receptor from binding to the estrogen-response element on DNA and thus reducing DNA synthesis. Unlike tamoxifen, however, which relies on CYP2D6 activity for its conversion to the active metabolite endoxifen, the direct administration of endoxifen bypasses the CYP2D6 route. As CYP2D6 activity can vary widely among individuals due to genetic CYP2D6 polymorphisms, endoxifen is therefore theoretically more potent and more uniform in its bioavailability across patient populations.