PRODUCT INFORMATION



EPI-001

Item No. 16970

CAS Registry No.: 227947-06-0

Formal Name: 3-[4-[1-[4-(3-chloro-2-hydroxypropoxy)phenyl]-1-

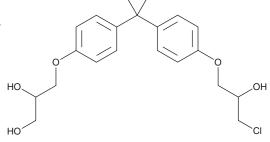
methylethyl]phenoxy]-1,2-propanediol

MF: C₂₁H₂₇ClO₅ 394.9 FW: **Purity:** ≥95%

 λ_{max} : 229, 276 nm A crystalline solid UV/Vis.: Supplied as:

Storage: -20°C Stability: ≥4 vears

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

EPI-001 is supplied as a crystalline solid. A stock solution may be made by dissolving the EPI-001 in the solvent of choice, which should be purged with an inert gas. EPI-001 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of EPI-001 in these solvents is approximately 20 mg/ml.

Description

EPI-001 is an androgen receptor antagonist with an IC $_{50}$ value of approximately 6 μM for inhibition of transactivation of the androgen receptor N-terminal domain (NTD).1 It inhibits androgen-, FSK-, and IL-6-induced, as well as ligand-independent, prostate-specific antigen (PSA) reporter gene expression in LNCaP cells expressing either the full length androgen receptor or a constitutively active mutant that lacks the ligand binding domain in a dose-dependent manner. EPI-001 inhibits androgen receptor interaction with the PSA androgen response element and blocks androgen-stimulated induction of endogenous PSA and 17 other androgen regulated genes in LNCaP cells. It inhibits androgen-dependent and -independent growth of LNCaP and MDA-PCa-2B cells, but not 22Rv1, DU145, or PC3 cells that do not express the androgen receptor. EPI-001 (50 mg/kg) reduces serum PSA and tumor growth in an LNCaP prostate cancer xenograft model in castrated mice. It also reduces serum PSA in a patient-derived LT313 prostate cancer mouse xenograft model. Formulations containing EPI-001 are under clinical investigation for the treatment of castration-resistant prostate cancer.

Reference

1. Andersen, R.J., Mawji, N.R., Wang, J., et al. Regression of castrate-recurrent prostate cancer by a small-molecule inhibitor of the amino-terminus domain of the androgen receptor. Cancer Cell 17(6), 535-546 (2010).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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