# PRODUCT INFORMATION

## EPI-001

*Item No. 16970*

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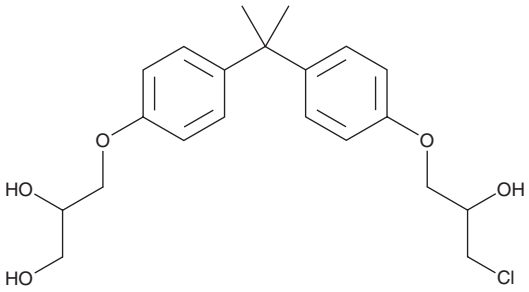
| **CAS Registry No.:** | 227947-06-0 |
| **Formal Name:** | 3-[4-[1-[4-(3-chloro-2-hydroxypropoxy)phenyl]-1-methylethyl]phenoxy]-1,2-propanediol |
| **MF:** | $C_{21}H_{27}ClO_5$ |
| **FW:** | 394.9 |
| **Purity:** | ≥95% |
| **UV/Vis.:** | $\lambda_{max}$: 229, 276 nm |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |




*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).



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