| | | |
|---|---|---|
| **Product Name** | : | UT-34 |
| **Synonyms** | : | —— |
| **Cat No.** | : | M22452 |
| **CAS Number** | : | 2168525-92-4 |
| **Molecular Formula** | : | C15H12F4N4O2 |
| **Formula Weight** | : | 356.27 |
| **Chemical Name** | : | —— |
| **Description** | : | UT-34 is a selective and orally active antagonist of second-generation pan-androgen receptor (AR) and degrader(IC50s of 211.7 nM, 262.4 nM and 215.7 nM for wild-type, F876L and W741L AR, respectively), and has anti-prostate cancer efficacy. In LNCaP cells, UT-34 (3-10 μM; 24 hours) treatment inhibits the expression of PSA and FKBP5 and growth of LNCaP cells starting from 100 nM with maximum effect observed at 10 μM.In LNCaP cells UT-34 (0.1-10 μM; 24 hours; LNCaP cells) treatment results in a reduction of AR levels at 1000 nM. Treatment of ZR-75-1 cells maintained in serum-containing growth medium with UT-34 results in downregulation of AR protein levels, but not estrogen receptor (ER) or progesterone receptor (PR) levels. Furthermore, in MDA-MB-453 breast cancer cells that express AR and glucocorticoid receptor (GR), UT-34 induces the downregulation of AR, but not GR. UT-34 is an effective degrader of both AR and AR-V7.LNCaP-ARV7 cells were treated in the presence of 0.1 nM R1881 or 10 ng/mL doxycycline for 24 hours. Doxycycline induces the expression of EDN2, which is inhibited by UT-34, and UT-34 inhibits the expression of FKBP5 gene expression induced by R1881.In NSG mice, UT-34 (20-40 mg/kg; oral administration; daily; for 14 days ) at 20 and 40 mg/kg reduces the seminal vesicle weight by 10%-20% and 50%-60 %, respectively[1]. in rats,UT-34 inhibits androgen-dependent tissues such as prostate and seminal vesicles , and the growth of Enzalutamide-resistant castration-resistant prostate cancer (CRPC) xenografts. In intact immunocompromised rats, UT-34 also induces tumor regression. |
| **Pathway** | : | Endocrinology/Hormones |
| **Target** | : | Androgen Receptor (AR) |
| **Receptor** | : | androgen receptor |
| **Solubility** | : | DMSO:71 mg/mL (199.29 mM) |
| **SMILES** | : | C[C@](O)(Cn1cc(F)cn1)C(=O)Nc1ccc(C#N)c(c1)C(F)(F)F |
| **Storage** | : | (-20℃) |
| **Stability** | : | ≥ 2 years |
| **Reference** | : | |

1. Ponnusamy S, et al. Orally Bioavailable Androgen Receptor Degrader, Potential Next-Generation Therapeutic for Enzalutamide-Resistant Prostate Cancer. Clin Cancer Res. 2019 Nov 15;25(22):6764-6780.