# Product data sheet

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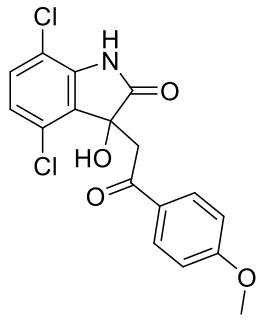
|---|---|
| MedKoo Cat#: 406807<br>Name: YK-4-279<br>CAS#: 1037184-44-3<br>Chemical Formula: $C_{17}H_{13}Cl_2NO$<br>Exact Mass: 365.0222<br>Molecular Weight: 366.194 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
YK-4-279 is a ETV1 inhibitor, which inhibitor protein-protein interactions between ES-FLI1 and RHA.YK-4-279 effectively antagonizes EWS-FLI1 induced leukemia in a transgenic mouse model. YK-4-279 demonstrates specificity in targeting the oncogene EWS-FLI1. YK-4-279, prevents prostate cancer growth and metastasis in a mouse xenograft model.

**2. CoA, QC data, SDS, and handling instruction**
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMSO | 36.62 | 100 |
| Ethanol | 25 | 68.27 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.73 mL | 13.65 mL | 27.31 mL |
| 5 mM | 0.55 mL | 2.73 mL | 5.46 mL |
| 10 mM | 0.27 mL | 1.37 mL | 2.73 mL |
| 50 mM | 0.05 mL | 0.27 mL | 0.55 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**
Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**
In vitro study

1. Rahim S, Beauchamp EM, Kong Y, Brown ML, Toretsky JA, Üren A. YK-4-279 inhibits ERG and ETV1 mediated prostate cancer cell invasion. PLoS One. 2011 Apr 29;6(4):e19343. doi: 10.1371/journal.pone.0019343. PMID: 21559405; PMCID: PMC3084826.

2. Erkizan HV, Kong Y, Merchant M, Schlottmann S, Barber-Rotenberg JS, Yuan L, Abaan OD, Chou TH, Dakshanamurthy S, Brown ML, Uren A, Toretsky JA. A small molecule blocking oncogenic protein EWS-FLI1 interaction with RNA helicase A inhibits growth of Ewing's sarcoma. Nat Med. 2009 Jul;15(7):750-6. doi: 10.1038/nm.1983. Epub 2009 Jul 5. PMID: 19584866; PMCID: PMC2777681.

In vivo study

1. Rahim S, Minas T, Hong SH, Justvig S, Çelik H, Kont YS, Han J, Kallarakal AT, Kong Y, Rudek MA, Brown ML, Kallakury B, Toretsky JA, Üren A. A small molecule inhibitor of ETV1, YK-4-279, prevents prostate cancer growth and metastasis in a mouse xenograft model. PLoS One. 2014 Dec 5;9(12):e114260. doi: 10.1371/journal.pone.0114260. PMID: 25479232; PMCID: PMC4257561.

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2. Erkizan HV, Kong Y, Merchant M, Schlottmann S, Barber-Rotenberg JS, Yuan L, Abaan OD, Chou TH, Dakshanamurthy S, Brown ML, Uren A, Toretsky JA. A small molecule blocking oncogenic protein EWS-FLI1 interaction with RNA helicase A inhibits growth of Ewing's sarcoma. Nat Med. 2009 Jul;15(7):750-6. doi: 10.1038/nm.1983. Epub 2009 Jul 5. PMID: 19584866; PMCID: PMC2777681.

**7. Bioactivity**

Biological target:

YK-4-279 is a potent inhibitor of EWS-FLI1 binding to RNA helicase A (RHA).

In vitro activity

The ability of YK-4-279 to inhibit biological functions of ERG and ETV1 proteins in prostate cancer was tested. YK-4-279 inhibited ERG and ETV1 mediated transcriptional activity in a luciferase assay. YK-4-279 also decreased ERG and ETV1 downstream target mRNA and protein expression in ETV1-fusion positive LNCaP and ERG fusion positive VCaP cells. YK-4-279 reduced the motility of LNCaP cells in a scratch assay and the invasive phenotype of both LNCaP and VCaP cells in a HUVEC invasion assay. Fusion-negative PC3 cells were unresponsive to YK-4-279. SiRNA mediated ERG knockdown in VCaP cells resulted in a loss of drug responsiveness. Concurrently, transient ERG expression in PC-3 cells resulted in increased invasive potential, which was reduced by YK-4-279.

Reference: PLoS One. 2011 Apr 29;6(4):e19343. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/21559405/

In vivo activity

CID-beige mice were subcutaneously implanted with fusion-positive LNCaP-luc-M6 and fusion-negative PC-3M-luc-C6 tumors. Animals were treated with YK-4-279, and its effects on primary tumor growth and lung metastasis were evaluated. YK-4-279 treatment resulted in decreased growth of the primary tumor only in LNCaP-luc-M6 cohort. When primary tumors were grown to comparable sizes, YK-4-279 inhibited tumor metastasis to the lungs. Expression of ETV1 target genes MMP7, FKBP10 and GLYATL2 were reduced in YK-4-279 treated animals. ETS fusion-negative PC-3M-luc-C6 xenografts were unresponsive to the compound. Furthermore, YK-4-279 is a chiral molecule that exists as a racemic mixture of R and S enantiomers. It was established that (S)-YK-4-279 is the active enantiomer in prostate cancer cells.

Reference: PLoS One. 2014 Dec 5;9(12):e114260. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/25479232/

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*