

## Product Data Sheet

Product Name: TK216  
Cat. No.: GC38863

### Chemical Properties

Cas. No. 1903783-48-1

SMILES O=C1NC2=C(C(CI)=CC=C2Cl)C1(CC(C3=CC=C(C4CC4)C=C3)=O)O

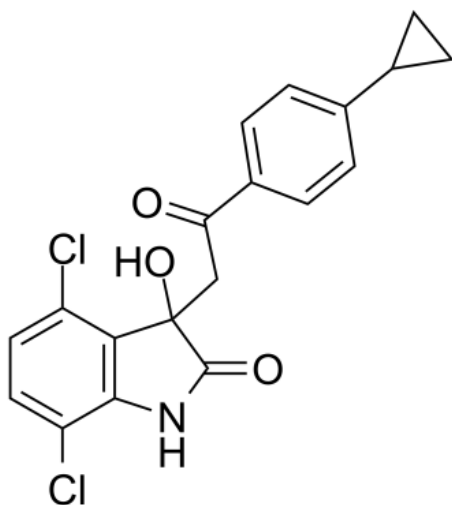
Formula  $C_{19}H_{15}Cl_2NO_3$  M.Wt 376.23

Solubility DMSO:  $\geq 250$  mg/mL (664.49 mM) Storage Store at  $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}C$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}C$  for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



### Background

TK216 is a potent E26 transformation specific (ETS) inhibitor[1]. TK216 directly binds EWS-FLI1 and inhibits EWS-FLI1 protein interactions. TK216 blocks the binding between EWS-FLI1 and RNA helicase A. TK216 has anticancer activity[2].

TK216 (500 nM; for 24-72 hours) induces apoptosis in DLBCL cell lines[1]. TK216 (0.03, 0.06, 0.125, 0.25, 0.5  $\mu M$ ) results in a dose-dependent inhibition of proliferation in Ewing Sarcoma A4573 cell line[1]. TK216 (0.1, 0.3, 1  $\mu M$ ) induces apoptosis in DLBCL cell lines, with the amount of cleaved-

**Caution: Product has not been fully validated for medical applications. For research use only.**

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Caspase 3 normalized to b-actin and presented as fold over control[1]. TK216 has IC50s of 0.363  $\mu$ M and 0.152  $\mu$ M for HL-60 AML cell line and TMD-8 DLBCL cell line[1]. TK216 inhibits EWS-FLI1 (Ewing sarcoma breakpoint region 1/Friend leukemia virus integration 1 fusion protein) protein interactions, leading to a decrease in transcription and proliferation[1]. Apoptosis Analysis[1] Cell Line: DLBCL cell lines

TK216 (po; 100 mg/kg; twice daily for 13 days) results in tumor growth inhibition of the TMD-8 xenograft model[1]. Animal Model: NOD-Scid mice subcutaneously inoculated with TMD8 cells[1]

[1]. Spriano F, et al. The ETS Inhibitors YK-4-279 and TK-216 Are Novel Antilymphoma Agents. Clin Cancer Res. 2019 Aug 15;25(16):5167-5176. [2]. Brian Lannutti, et al. Uses of indolinone compounds. US10159660B2.

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