# Product data sheet

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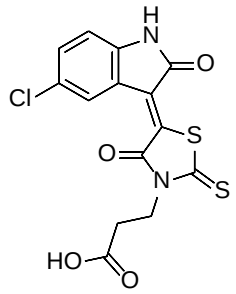
|---|---|
| MedKoo Cat#: 407501<br>Name: FX1<br>CAS#: 1426138-42-2<br>Chemical Formula: $C_{14}H_9ClN_2O_4S_2$<br>Exact Mass: 367.9690<br>Molecular Weight: 368.81 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
FX1 is an inhibitor of the B cell lymphoma 6 (BCL6). FX1 has 10-fold greater potency than endogenous corepressors and binds an essential region of the BCL6 lateral groove. FX1 disrupted formation of the BCL6 repression complex, reactivated BCL6 target genes, and mimicked the phenotype of mice engineered to express BCL6 with corepressor binding site mutations. Low doses of FX1 induced regression of established tumors in mice bearing DLBCL xenografts. Furthermore, FX1 suppressed ABC-DLBCL cells in vitro and in vivo, as well as primary human ABC-DLBCL specimens ex vivo.

**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 | 24.22 | 65.67 |
| DMF | 30.0 | 81.34 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.71 mL | 13.56 mL | 27.11 mL |
| 5 mM | 0.54 mL | 2.71 mL | 5.42 mL |
| 10 mM | 0.27 mL | 1.36 mL | 2.71 mL |
| 50 mM | 0.05 mL | 0.27 mL | 0.54 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. Cardenas MG, Yu W, Beguelin W, Teater MR, Geng H, Goldstein RL, Oswald E, Hatzi K, Yang SN, Cohen J, Shaknovich R, Vanommeslaeghe K, Cheng H, Liang D, Cho HJ, Abbott J, Tam W, Du W, Leonard JP, Elemento O, Cerchietti L, Cierpicki T, Xue F, MacKerell AD Jr, Melnick AM. Rationally designed BCL6 inhibitors target activated B cell diffuse large B cell lymphoma. J Clin Invest. 2016 Sep 1;126(9):3351-62. doi: 10.1172/JCI85795. Epub 2016 Aug 2. PMID: 27482887; PMCID: PMC5004937.

In vivo study

1. Zhang H, Qi X, Wu J, Huang X, Zhang A, Chen S, Ding X, Chen S, Le S, Zou Y, Xu H, Ye P, Xia J. BCL6 inhibitor FX1 attenuates inflammatory responses in murine sepsis through strengthening BCL6 binding affinity to downstream target gene promoters. Int Immunopharmacol. 2019 Oct;75:105789. doi: 10.1016/j.intimp.2019.105789. Epub 2019 Aug 8. PMID: 31401377.

**7. Bioactivity**
Biological target: FX1 is a BCL6 inhibitor with an IC50 of around 35 μM.

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In vitro activity

To assess the capacity of FX1 to suppress DLBCLs (diffuse large B cell lymphomas), a panel of GCB-DLBCL cell lines (8 BCL6 dependent and 4 BCL6 independent) was treated with different concentrations of FX1 for 48 hours and the concentration of compound required to inhibit 50% of growth in comparison with vehicle-treated cells (GI50) was determined. FX1 showed a selective effect on BCL6-dependent DLBCLs with average GI50 values of about 36 μM, whereas GI50 values could not be determined in BCL6-independent DLBCLs, since they did not even reach 50% growth inhibition at concentrations of drug higher than 125 μM (Figure 4A).

Reference: J Clin Invest. 2016 Sep 1;126(9):3351-62. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5004937/

In vivo activity

The survival rate of mice models of LPS-induced sepsis was improved in following FX1 administration. FX1 decreased the production of inflammatory cytokines, attenuated macrophage infiltration activities and reduced monocytes chemotaxis activities, all of which suggest that FX1 exert anti-inflammatory effects. Mechanistically, FX1 may enhance the affinity of BCL6 binding to downstream target pro-inflammatory genes.

Reference: Int Immunopharmacol. 2019 Oct;75:105789.
https://www.sciencedirect.com/science/article/pii/S1567576919301717?via%3Dihub

*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.*