Proteins

ERCC1-XPF-IN-1

Cat. No.: HY-143498 CAS No.: 2411584-25-1 Molecular Formula: $\mathsf{C}_{28}\mathsf{H}_{32}\mathsf{CIN}_5\mathsf{O}_2$

Molecular Weight: 506.04

Target: **DNA/RNA Synthesis** Pathway: Cell Cycle/DNA Damage

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description	ERCC1-XPF-IN-1 is a potent and high-affinity ERCC1-XPF inhibitor with IC ₅₀ value of 0.49 μM. ERCC1-XPF-IN-1 has the	
	capacity to potentiate the cytotoxicity effect of UV radiation and inhibiting DAN repair, by the inhibition of removal of CPDs, and cyclophosphamide toxicity to colorectal cancer cells ^[1] .	

IC₅₀ & Target IC₅₀: 0.49 μM (ERCC1-XPF)^[1]

In Vitro ERCC1-XPF-IN-1 (compound B7) (2 μ M; 0-24 hours) significantly inhibits the removal of CPDs in UV-irradiated HCT-116 cells^[1]

> ERCC1-XPF-IN-1 (5-20 μ M; 72 hours) exhibits approximately 95% of the HCT116 cells survived at 5 μ M^[1]. ERCC1-XPF-IN-1 (2 and 4 μM; 72 hours) significantly sensitizes HCT 116 cells to cyclophosphamide^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay

Cell Line:	HCT-116 ^[1]
Concentration:	5, 10, 15 and 20 μM
Incubation Time:	72 hours
Result:	Exhibited approximately 95% of the HCT116 cells survived at 5 μM.

In Vivo

ERCC1-XPF-IN-1 has a moderate rate of metabolism in human liver microsomes, with log D value of 2.01 at pH 7.4 and an efflux ratio $\geq 43.39^{[1]}$.

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REFERENCES

[1]. Elmenoufy AH, Gentile F, Jay D, et al. Design, synthesis and in vitro cell-free/cell-based biological evaluations of novel ERCC1-XPF inhibitors targeting DNA repair pathway. Eur J Med Chem. 2020;204:112658.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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