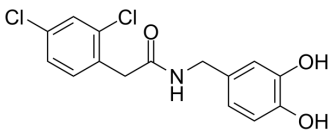
ERCC1-XPF-IN-2

Cat. No.:	HY-147356
CAS No.:	1808986-37-9
Molecular Formula:	$C_{15}H_{13}Cl_2NO_3$
Molecular Weight:	326.17
Target:	DNA/RNA Synthesis
Pathway:	Cell Cycle/DNA Damage
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 250 mg/mL (766.47 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	3.0659 mL	15.3294 mL	30.6589 mL
5 mM	0.6132 mL	3.0659 mL	6.1318 mL
10 mM	0.3066 mL	1.5329 mL	3.0659 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

ERCC1-XPF-IN-2 is a potent ERCC1-XPF endonuclease inhibitor with an IC_{50} value of 0.6 μM. ERCC1-XPF-IN-2 shows activity in nucleotide excision repair, cisplatin enhancement and γH2AX assays[1].

IC_{50} & Target

ERCC1-XPF[1]

In Vitro

ERCC1-XPF-IN-2 (compound 13) (0-100 μM) shows FEN-1 and DNase I activity with IC_{50}s of >100, >100 μM, respectively[1].
ERCC1-XPF-IN-2 slow binding kinetics with an K_d value of ~30 μM[1].
ERCC1-XPF-IN-2 shows not toxic to Hep-G2 cells at 10 μM and relatively short mouse and human microsomal half-lives with t $_{1/2}$ value of 23 min and 28 min for mouse and human, respectively.ERCC1-XPF-IN-2 (0-60 μM; 24 h) shows inhibition of nucleotide excision repair (NER) with an IC_{50} value of 15.6 μM in A375 cells[1].
ERCC1-XPF-IN-2 (0-60 μM) increases the cisplatin activity with no toxicity[1].
ERCC1-XPF-IN-2 (10 μM; 6h) causes a delay in DNA repair by a right shift towards higher numbers of γH2AX foci per cell[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	A375 cells
Concentration:	0-60 μM
Incubation Time:	
Result:	Showed no toxicity and increased the cisplatin activity up to 1.5-fold (PF50).

REFERENCES

[1]. Chapman TM, et al. Catechols and 3-hydroxypyridones as inhibitors of the DNA repair complex ERCC1-XPF. Bioorg Med Chem Lett. 2015 Oct 1;25(19):4097-103.

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