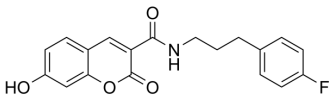
AKR1B10-IN-1

Cat. No.:	HY-139696
CAS No.:	2136579-33-2
Molecular Formula:	$C_{19}H_{16}FNO_4$
Molecular Weight:	341.33
Target:	Aldose Reductase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AKR1B10-IN-1 is a potent inhibitor of AKR1B10 (Aldo-Keto Reductase 1B10) with an IC_{50} of 3.5 nM. AKR1B10-IN-1 suppresses proliferation, metastasis, and Cisplatin (CDDP) resistance of lung cancer cells[1].
IC_{50} & Target	3.5 nM (AKR1B10); 277 nM (AKR1B1)[1]

In Vitro

AKR1B10-IN-1 (compound 4e) (0-20 μM; 96 hours) dose-dependently suppresses the growth of both A549 and A549/1B10 cells[1].

AKR1B10-IN-1 (compound 4e) (0-20 μM; 96 hours) completely suppresses increased cell proliferation by the overexpressing AKR1B10 as well as the endogenous protein[1].

AKR1B10-IN-1 (compound 4e) (0-40 μM; 26 hours; pretreatment with AKR1B10-IN-1 for 2 hours, then incubated with CDDP for 24 hours) decreases the cell viability of CDDP-R-A549 cells in a dose-dependent manner[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	A549 cells, A549/1B10 cells (AKR1B10-stably overexpressing A549 cells)
Concentration:	0, 10, 20 μM
Incubation Time:	96 hours
Result:	Dose-dependently suppressed the growth of both A549 and A549/1B10 cells, and statistically significant at 20 μM.

Cell Viability Assay[1]

Cell Line:	CDDP-resistance (cisplatin-resisitance) of A549 cells
Concentration:	0, 10, 20, 40 μM
Incubation Time:	Pretreatment with AKR1B10-IN-1 for 2 hours, then incubated with CDDP for 24 hours
Result:	Decreased the cell viability of CDDP-R-A549 cells in a dose-dependent manner, and most obvious in the treatment of 40 μM.

REFERENCES

[1]. Endo S, et al. Synthesis of Potent and Selective Inhibitors of Aldo-Keto Reductase 1B10 and Their Efficacy against Proliferation, Metastasis, and Cisplatin Resistance of Lung Cancer Cells [published correction appears in J Med Chem. 2018 Feb 8;61(3):1380]. J Med Chem. 2017;60(20):8441-8455.

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