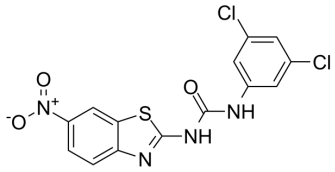
CXCL-CXCR1/2-IN-1

Cat. No.:	HY-163475
CAS No.:	2415653-55-1
Molecular Formula:	$C_{14}H_8Cl_2N_4O_3S$
Molecular Weight:	383.21
Target:	CXCR
Pathway:	GPCR/G Protein; Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CXCL-CXCR1/2-IN-1 is an orally active ELR$^+$CXCL-CXCR1/2 pathway inhibitor with an EC_{50} of 42.7 nM for CXCR2[1]. CXCL-CXCR1/2-IN-1 shows anticancer and antiangiogenic effects[1].

In Vitro

In renal cell carcinoma (RCC) cell lines (A498, RCC4, 786, and Sunitinib-resistant RCC cell line 786-R) and neck squamous cell carcinoma (HNSCC) cell lines (CAL33, CAL27, Cisplatin- and radiotherapy-resistant cell lines CAL33RR and CAL27RR), CXCL-CXCR1/2-IN-1 (compound 10) shows IC_{50} values of 2 μM, 2 μM, 2.5 μM, 2 μM, 3 μM, 4 μM, 4 μM, 2.5 μM, and 2.5 μM against A498, RCC4, 786, 786-R, CAL33, CAL27, CAL33RR, and CAL27RR, respectively[1].

CXCL-CXCR1/2-IN-1 inhibits the migration of A498 cancer cells in vitro[1].

CXCL-CXCR1/2-IN-1 (1-2.5 μM; 24-48 h) shows a reduction in the phosphorylation of ERK and AKT in A498 cells. CXCL-CXCR1/2-IN-1 also exhibits the capability to inhibit the secretion of CXCL1, CXCL5, and CXCL8, which are representative proangiogenic ELR$^+$CXCL cytokines[1].

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

Western Blot Analysis[1]

Cell Line:	A498 cells
Concentration:	2.5 μM
Incubation Time:	24 or 48 h
Result:	Showed a reduction in the phosphorylation of ERK and AKT.

RT-PCR[1]

Cell Line:	A498 cells
Concentration:	1 or 2.5 μM
Incubation Time:	48 h
Result:	Inhibited the levels of CXCL1, CXCL5, CXCL8, and VEGFA mRNA.

In Vivo

CXCL-CXCR1/2-IN-1 (1 μM; 48 h) reduces metastasis area in zebrafish embryos injected with A498 cells[1].

CXCL-CXCR1/2-IN-1 (100 mg/kg; oral gavage; twice a day; for 28 days) inhibits tumor growth in mice[1].

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

Animal Model:	Female NOD SCID mice injected with 786 RCC cells[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; twice a day; for 28 days
Result:	Exhibited remarkable results, with a tumor growth inhibition rate of 87%.

REFERENCES

[1]. Oleksandr Grytsai, et al. A Potent Solution for Tumor Growth and Angiogenesis Suppression via an ELR+CXCL-CXCR1/2 Pathway Inhibitor. ACS Med. Chem. Lett. April 3, 2024.

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