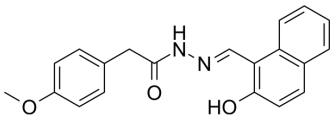
XS-060

Cat. No.:	HY-149085
CAS No.:	2787626-06-4
Molecular Formula:	$C_{20}H_{18}N_2O_3$
Molecular Weight:	334.37
Target:	RAR/RXR
Pathway:	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

XS-060 is a potent anticancer agent and RXRα antagonist. XS-060 significantly induces RXRα-dependent mitotic arrest by inhibiting pRXRα-PLK1 interaction[1].

IC_{50} & Target

RXRα (Retinoid X receptor alpha)[1]

In Vitro

XS-060 targeting the RXRα′ s coactivator binding site can inhibit pRXRα-PLK1 interaction and exhibits good antitumor activity as an anti-mitotic agent[1].

XS-060 shows anti-proliferative activity against MDA-MB 231 cancer cells, with an IC_{50} of 6.880 ± 0.059 μM[1].

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

Cell Proliferation Assay[1]

Cell Line:	MDA-MB 231, A549, and HepG2
Concentration:	5 μM
Incubation Time:	
Result:	Showed anti-proliferative activity at 5 μM against cancer cells (MDA-MB 231, A549, and HepG2), with cell viability rate (%) of 51.93 ± 4.32, 82.65 ± 2.84, and 48.65 ± 6.45, respectively.

In Vivo

XS-060 (25 mg/kg, IP or PO, once) displays good absorption by intraperitoneal injection, but oral absorption is very poor[1].

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

Animal Model:	Sprague-Dawley rats (10-14 weeks, 200-220g)[1]
Dosage:	25 mg/kg
Administration:	Oral absorption (p.o.) and intraperitoneal injection (i.p.), once, (Pharmacokinetic Analysis)
Result:	The oral absorption of XS-060 is very poor, while intraperitoneal injection displayed good absorption[1]. Pharmacokinetic Parameters of XS-060 in Sprague-Dawley rats[1].

	XS060 25 mg/kg (i.p.)
T_{max} (h)	2.67 ± 1.12
C_{max} (μg/L)	1061.50 ± 399.20
$AUC_{0-\infty}$ (μg⊠h/L)	7040.30 ± 1593.52
$T_{1/2}$ (h)	2.13 ± 0.05
CLz/F (L/(h⊠kg))	3.67 ± 0.81
Vd, z/F (L/kg)	11.31 ± 2.71

REFERENCES

[1]. Chen J, et al. Discovery of bipyridine amide derivatives targeting pRXRα-PLK1 interaction for anticancer therapy. Eur J Med Chem. 2023 Apr 6;254:115341.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA