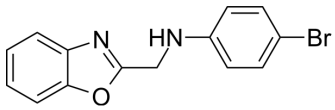
HDL-16

Cat. No.:	HY-161343
CAS No.:	2373280-36-3
Molecular Formula:	$C_{14}H_{11}BrN_2O$
Molecular Weight:	303.15
Target:	P2Y Receptor; Necroptosis
Pathway:	GPCR/G Protein; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	HDL-16 is a potent $P2Y_{14}R$ antagonist with an IC_{50} of 0.3095 nM. HDL-16 ameliorates DSS (HY-116282C)-induced colitis through suppressing necroptosis of intestinal epithelium cells (IECs) and protecting mucosal barrier function[1].
IC_{50} & Target	P2Y14 Receptor 0.3095 nM (IC_{50})
In Vitro	The N atom on benzoxazole ring of HDL-16 forms a hydrogen bond with Tyr102 residue, and the amine group also forms a hydrogen bond interaction with His184 residue in the binding pocket of $P2Y_{14}R$[1]. HDL-16 has almost no cytotoxicity under the concentration of 10 μM in HT-29 cells[1]. HDL-16 can inhibit the necroptosis of HT-29 cells (with 20 ng/mL TNF□α plus 20 μM z□VAD□fmk (HY-16658B) and Smac mimetic (BV6, 2 μM) for 8 h)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	HDL-16 (10 μM or 20 μM; 100 μL; Rectally administered; daily; 6 days) with high-dose significantly suppresses colitis symptoms and leads to maintained gut barrier integrity in the mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male, 7-8-week-old, $P2Y_{14}R^{fl/fl}$ Vil-cre ($P2Y_{14}R^{\Delta IEC}$), $P2Y_{14}R^{fl/fl}$ Lyz2-cre and WT mice with C57BL/6 J background[1]
Dosage:	10 μM or 20 μM; 100 μL
Administration:	Rectally administered; daily; 6 days
Result:	With high-dose showed dramatically less body weight loss and lower Disease Activity Index (DAI) than Dextran sodium sulfate (DSS; 36-50 kDa; 3% w/v; drinking water for 7 days)-exposed mice. With high-dose improved DSS-induced inflammatory infiltration and tissue damage. With high-dose resulted in a prominently suppression in necroptosis of the IECs in DSS-treated mice.

REFERENCES

[1]. Chunxiao Liu, et al. Targeting $P2Y_{14}R$ protects against necroptosis of intestinal epithelial cells through PKA/CREB/RIPK1 axis in ulcerative colitis. Nat Commun. 2024 Mar 7;15(1):2083.

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