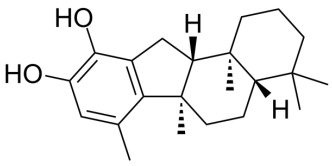
AQX-016A

Cat. No.:	HY-115620
CAS No.:	849669-54-1
Molecular Formula:	$C_{22}H_{32}O_2$
Molecular Weight:	328.49
Target:	Phosphatase; PI3K; TNF Receptor
Pathway:	Metabolic Enzyme/Protease; PI3K/Akt/mTOR; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description

AQX-016A is an orally active and potent SHIP1 agonist. AQX-016A can activate recombinant SHIP1 enzyme in vitro and stimulate SHIP1 activity. AQX-016A also can inhibit the PI3K pathway and TNFa production, can be useful for various inflammatory diseases research[1][2].

IC_{50} & Target	CD40	PI3K

In Vitro

AQX-016A (5 μg/mL, 30 min) inhibits the PI3K-mediated increase in intracellular PIP3 levels, and reduces PIP3 levels through activation of a 5 'phosphatase enzyme[1].
AQX-01 6A (0-5 μg/mL, 30 min) inhibits LPS-induced PKB phosphorylation in a SHIP dependent manner[1].
AQX-016A (0-5 μg/mL, 2 h) significantly inhibits TNFa in both J774 and peritoneal macrophages at both 1 and 5 μg/mL[1].
AQX-016A (0-6 μg/mL, 30 min) requires SHIP to maximally inhibit TNFa production in BMDM, selectively inhibits TNF production from $SHIP1^{+/+}$ but not $SHIP1^{-/-}$ macrophages[1].
AQX-016A (0-5 μg/mL, 0-90 min) inhibits TNFa translation[1].
AQX-016A (0-15 μM, 30 min) increases SHIP1 enzyme activity in vitro and in intact cells, inhibits macrophage and mast-cell activation[2].
AQX-016A (15 μM, 30 min) inhibits immune cell activation, and inhibits PI3K-dependent macrophage and mast-cell responses in a SHIP-dependent manner[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis

Cell Line:	$SHIP1^{+/+}$ and $SHIP1^{-/-}$ mast cells[2]
Concentration:	0, 3, 6, 15, 30 μM
Incubation Time:	30 min
Result:	Inhibited PIP3-regulated intracellular signal transduction events in SHIP-expressing hematopoietic cells, but not in SHIP-deficient hematopoietic or nonhematopoietic cells. Preferentially inhibited, in a dose-dependent manner, LPS-stimulated PKB phosphorylation in $SHIP1^{+/+}$ but not in $SHIP1^{-/-}$macrophages, and inhibited the phosphorylation of PKB, $p38^{MAPK}$ and extracellular signal-regulated kinase (ERK) in $SHIP1^{+/+}$ but not in $SHIP1^{-/-}$ mast cells.

In Vivo	AQX-016A (20 mg/kg, Orally, once) significantly inhibits plasma TNFa levels, and inhibits inflammation in a mouse model endotoxemia[1][2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. [1]Yau, Tien Yin. Novel strategies for antagonizing the phosphatidylinositol-3-kinase pathway in disease. University of British Columbia. 2010.

[2]. Ong CJ, et al. Small-molecule agonists of SHIP1 inhibit the phosphoinositide 3-kinase pathway in hematopoietic cells. Blood. 2007 Sep 15;110(6):1942-9.

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