Quinotolast sodium

Cat. No.: HY-U00027

CAS No.: 101193-62-8

Molecular Formula: $C_{17}H_{12}N_6NaO_3$

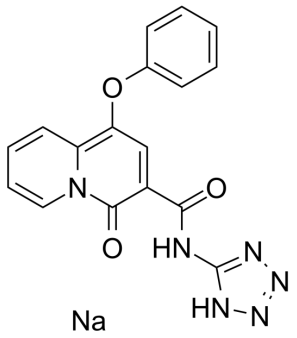
Molecular Weight: 371.31

Target: Histamine Receptor; Leukotriene Receptor; Prostaglandin Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 115 mg/mL (309.71 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6932 mL	13.4658 mL	26.9317 mL
	5 mM	0.5386 mL	2.6932 mL	5.3863 mL
	10 mM	0.2693 mL	1.3466 mL	2.6932 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 5.75 mg/mL (15.49 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5.75 mg/mL (15.49 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Quinotolast sodium in the concentration range of 1-100 μg/mL inhibits histamine, LTC_4 and PGD_2 release in a concentration-dependent manner.

IC_{50} & Target	Histamine	LTC_4	PGD_2

In Vitro

Quinotolast inhibits the release of histamine and the generation of leukotriene (LT) C_4 and prostaglandin (PG) D_2 from dispersed human lung cells. Quinotolast (100 μg/mL) significantly inhibits PGD_2 and LTC_4 release. Quinotolast inhibits PGD_2 release by 100% and LTC_4 release by 54%. The inhibitory effect of Quinotolast on histamine release from dispersed lung cells is largely independent of the preincubation period, no tachyphylaxis being observed. Quinotolast shows a significant inhibition of inflammatory mediators from human dispersed lung cells[1]. Quinotolast also shows strong inhibitory effects on histamine and peptide leukotrienes release from guinea pig lung fragments or mouse cultured mast cells. Quinotolast

	concentration-dependently inhibits pLTs release from cultured mast cells. The IC_{50} value for Quinotolast is 0.72 μg/mL[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Quinotolast potently inhibits such type I allergic reactions as passive cutaneous anaphylaxis (PCA) and anaphylactic bronchoconstriction in rats by both intravenous and oral dosing. When Quinotolast is given i.v. to rats, Quinotolast, dose-dependently inhibits PCA. The doses of Quinotolast required to inhibit the reaction by 50% (ED_{50}) is 0.0063 mg/kg. Given p.o., Quinotolast inhibits the reaction. ED_{50} value for Quinotolast is 0.0081 mg/kg. Although almost complete inhibition is observed with Quinotolast at a dose of 0.32 mg/kg, its effect is slightly attenuated at a dose of 1 mg/kg[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Mast cells are obtained after the culture of bone marrow cells from the femurs of female BDF_1 mice in the presence of conditioned medium from WEHI-3 cells containing interleukin 3. The cells are suspended with Tyrode's buffer (137 mM NaCl, 2.7 mM KC1, 1.8 mM $CaCl_2$, 1 mM $MgCl_2$, 0.4 mM NaH_2PO_4, 11.9 mM $NaHCO_3$, 5.6 mM glucose) containing 0.1% gelatin and are sensitized with mouse monoclonal anti-DNP IgE (50 μg/10^6 cells). Then the cells are washed and resuspended with Tyrode's buffer containing 0.25% BSA. The cells (2×10^6 cells) are incubated for 5 min at37°C and challenged with TNP-BSA (2 ng BSA/mL). Quinotolast (0.1, 1, 10, 100 ug/mL) is added to the reaction tube simultaneously with the antigen. Ten minutes later, the reaction is stopped by the addition of EDTA (2.7 mM). pLTs in the cell supernatant sre quantified as immunoreactive leukotriene C_4 ($iLTC_4$) with a leukotriene $C_4/D_4/E_4[^3H]$ assay system. % Inhibition is calculated in each experiment from the amount of immunoreactive leukotriene C_4 ($iLTC_4$)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Rats [2] Rats (8 week-old) are used. To study the presence of tachyphylaxis by Quinotolast, Quinotolast (0.001, 0.01, 0.1, 1,10 and 100 mg/kg) is given i.v. in a large dose 30 min before challenge, and again at a smaller dose simultaneously with the antigen challenge. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Okayama Y, et al. Inhibition of histamine and eicosanoid release from dispersed human lung cells in vitro byquinotolast. Jpn J Pharmacol. 1995 Dec;69(4):375-80.

[2]. Kobayashi K, et al. Effects of quinotolast, a new orally active antiallergic drug, on experimental allergic models. Jpn J Pharmacol. 1993 Sep;63(1):73-81.

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