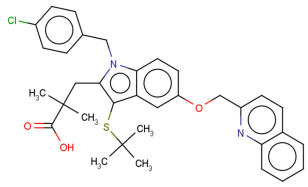
Data Sheet (Cat.No.TQ0098)

TargetMol

Quiflapon sodium

Chemical Properties



CAS No. :	147030-01-1
Formula:	C34H35ClN2NaO3S
Molecular Weight:	610.16
Storage:	Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	Quiflapon sodium (MK591) is a selective inhibitor of 5-Lipoxygenase-activating protein (FLAP).
Targets(IC50)	Apoptosis,FLAP
In vitro	Quiflapon sodium is able to block SEB-induced human PBMC cell proliferation. Quiflapon sodium down-regulates three genes (for cathepsin L, IL-17 and guanylate binding protein (GBP)-2) that are up-regulated by SEB [1]. Quiflapon sodium undergoes apoptosis within hours of treatment. Quiflapon sodium also induces rapid activation of the stress kinase, c-Jun N-terminal kinase (JNK), which plays an important role in the apoptosis process. Quiflapon sodium triggers apoptosis in prostate cancer cells without inhibition of PI3K-Akt or ERK. Moreover, MK591 and LY294002 (an inhibitor of PI3K) exert a synergistic effect in inducing apoptosis in prostate cancer cells [2]. Quiflapon sodium (MK591) influences cAMP response element-binding protein but not Sp1[4].
In vivo	In hyperoxia-exposed mice, treatment with Quiflapon sodium (20, 40 mg/kg) resulted in alveolar development similar to that observed in mice breathing room air, in contrast to untreated hyperoxia-exposed mice which exhibited altered alveolarization without inflammation [3]. Treatment with Quiflapon sodium (320 mg/kg) significantly reduced amyloid accumulation in the brain compared to placebo-treated mice. Additionally, Quiflapon sodium effectively decreased brain levels of IL-1β and led to a significant reduction in both total and phosphorylated Ser133 CREB levels [4].
Cell Research	LNCaP cells (appr 3×10^5) are plated and treated with inhibitors or solvent vehicle for varying periods of time. Then the cells are lysed in lysis buffer containing 0.2% CHAPS detergent plus protease and phosphatase inhibitors, and the enzymatic activity of Akt is measured by a kit following methods supplied by the manufacturer.
Animal Research	The Tg2576 transgenic mice expressing human APP with the Swedish mutation (K670N/M671L) are used. They are genotyped by PCR analysis using tail DNA and kept in a pathogen-free environment, on a 12-hour light/dark cycle and have access to food and water ad libitum. All the experiments presented in this paper are performed with female mice. Starting at 7 months of age, mice are randomized to receive MK-591 (40 mg/kg weight) (n=11) or vehicle (n=9) in their chow diet for 8 months until they are 15 months old. Considering that each mouse eats on average 5 g/day of chow diet and the diet is formulated for 320 mg Quiflapon sodium per kg diet, the final dose of the active drug is approximately 40 mg/kg weight/day. During the study, mice in both groups

Animal Research	gained weight regularly, and no significant difference in weight is detected between the two groups. No macroscopic effect on the overall general health is observed in the animals receiving active treatment. Post-mortem examination shows no sign of macroscopic pathology in any of the organs considered (spleen, liver, thymus, ileum).

Solubility Information

Solubility	H2O: Insoluble, DMSO: 50 mg/mL (81.95 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2.5 mg/mL (4.1 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6389 mL	8.1946 mL	16.3891 mL
5 mM	0.3278 mL	1.6389 mL	3.2778 mL
10 mM	0.1639 mL	0.8195 mL	1.6389 mL
50 mM	0.0328 mL	0.1639 mL	0.3278 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Mendis C, et al. Effect of 5-lipoxygenase inhibitor MK591 on early molecular and signaling events induced by staphylococcal enterotoxin B in human peripheral blood mononuclear cells. FEBS J. 2008 Jun;275(12):3088-98.
Sarveswaran S, et al. MK591, a leukotriene biosynthesis inhibitor, induces apoptosis in prostate cancer cells: synergistic action with LY294002, an inhibitor of phosphatidylinositol 3'-kinase. Cancer Lett. 2010 May 28;291(2): 167-76.
Park MS, et al. 5-Lipoxygenase-activating protein (FLAP) inhibitor MK-0591 prevents aberrant alveolarization in newborn mice exposed to 85% oxygen in a dose- and time-dependent manner. Lung. 2011 Feb;189(1):43-50.
Chu J, et al. Involvement of 5-lipoxygenase activating protein in the amyloidotic phenotype of an Alzheimer's disease mouse model. J Neuroinflammation. 2012 Jun 14;9:127.