

Product Data Sheet

Product Name: Vesnarinone (OPC-8212)

Cat. No.: GC32110

Chemical Properties

Cas. No. 81840-15-5

SMILES O=C1NC2=C(C=C(N3CCN(C(C4=CC=C(OC)C(OC)=C4)=O)CC3)C=C2)CC1

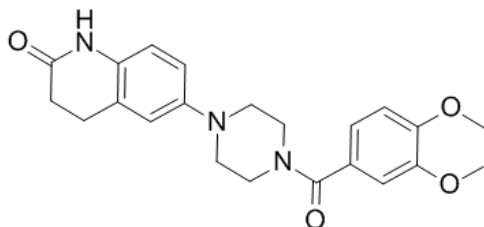
Formula $C_{22}H_{25}N_3O_4$ M.Wt 395.45

Solubility DMSO : 16.67 mg/mL (42.15 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Vesnarinone is a quinolinone derivative, and its pharmacodynamic effects include inhibition of phosphodiesterase III (PDE3) activity, increases in calcium flux and decreases in potassium flux. IC₅₀ value: 1.1 μM (for HERG current). Target: PDE3. In vitro: HERG current is inhibited by Vesnarinone with an IC₅₀ of 1.1 μM, whereas KvLQT1/minK current is not significantly depressed by Vesnarinone even at 30 μM. The IC₅₀ value for Vesnarinone inhibition of HERG channels is 1 μM. The IC₅₀ for Vesnarinone inhibition of PDE is reported to be 300 μM. [1] Vesnarinone is a novel cytokine inhibitor, for the treatment of lung fibrosis using a murine model of bleomycin (BLM)-induced pulmonary

Caution: Product has not been fully validated for medical applications. For research use only.

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fibrosis. Vesnarinone inhibits BLM-induced pulmonary fibrosis, at least in part, by the inhibition of acute lung injuries in the early phase. [2] Vesnarinone is a new and novel inotropic drug that has unique and complex mechanisms of action. Vesnarinone inhibits phosphodiesterase, thereby leading to increased intracellular calcium, and also affects numerous myocardial ion channels, resulting in the prolongation of the opening time of sodium channels and the decrease in the delayed outward and inward rectifying potassium current. Vesnarinone has also demonstrated significant effects on cytokine production, which may account for some of its observed clinical benefits.[3] Vesnarinone plays an important role in the regulation of cytokines and suggest that the reduction of cytokine release may contribute to the beneficial effects of the drug in the treatment of heart failure.

Vesnarinone inhibits the production of TFN- α and IFN- γ by LPS stimulated whole blood from patients with heart failure and from healthy volunteers. [4]in vivo: Vesnarinone reduces the circulating levels of TNF- α . Cumulative evidence showed that a variety of cytokine are involved in the pathogenesis of pulmonary fibrosis. [2]

[1]. Katayama Y, et al. Inhibitory effects of Vesnarinone on cloned cardiac delayed rectifier K(+) channels expressed in a mammalian cell line. J Pharmacol Exp Ther. 2000 Jul;294(1):339-46. [2]. Inage M, et al. Vesnarinone represses the fibrotic changes in murine lung injury induced by bleomycin. Int J Biol Sci. 2009;5(4):304-10. [3]. Cavusoglu E, et al. Vesnarinone: a new inotropic agent for treating congestive heart failure. J Card Fail. 1995 Jun;1(3):249-57. [4]. Matsumori A, et al. Vesnarinone, a new inotropic agent, inhibits cytokine production by stimulated human blood from patients with heart failure. Circulation. 1994 Mar;89(3):955-8.

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