

Product Data Sheet

Product Name: EA4
Cat. No.: GC18141

Chemical Properties

Cas. No. 389614-94-2

Chemical Name 7-chloro-6-[4-(diethylamino)phenyl]-5,8-quinolinedione

SMILES CCN(CC)c1ccc(cc1)C1=C(Cl)C(=O)c2ncccc2C1=O

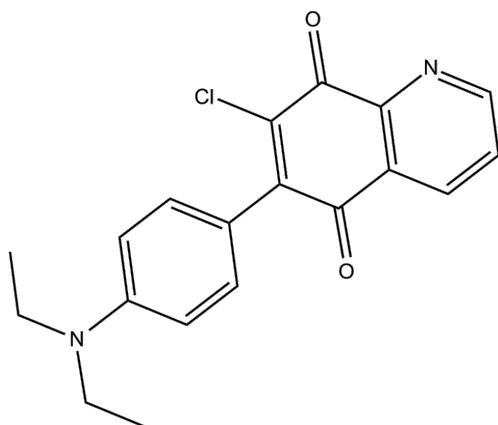
Formula $C_{19}H_{17}ClN_2O_2$ M.Wt 340.8

Solubility $\leq 1\text{mg/ml}$ in DMSO; 20mg/ml in dimethyl formamide Storage Store at -20°C , sealed storage, away from moisture and light

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

Ki: $130\ \mu\text{M}$

EA4 is a rPLA2 inhibitor.

rPLA2, a calcium-dependent cytosolic phospholipase A2 (cPLA2), was initially isolated and

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Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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characterized from bovine and human red blood cells. With a molecular mass of 42 kDa, cPLA2 shows biochemical properties similar to cPLA2 Type IV.

In vitro: It was found that EA4 was able to inhibit a $\text{Ca}(2+)$ ionophore-induced arachidonic acid release from both human and bovine red blood cells, demonstrating that this enzyme was responsible for the $\text{Ca}(2+)$ -dependent arachidonic acid release from mammalian red blood cells [1]. Another study found that in mouse hepatoma Hepa-1c1c7 cells, EA4 could cause a significant induction of the CYP1A1-mediated ethoxyresorufin O-deethylase activity time- and concentration-dependently, and such induction was accompanied by an increase of the Cyp1a1 mRNA transcription. Moreover, in human cells including MCF-7 (human breast adenocarcinoma cell line), HepG2 (human hepatocarcinoma), and HL-60 (human promyelocytic cell line), the expression of CYP1A1 mRNA could be also induced by EA4 treatment. In addition, CYP1B1 mRNA was increased by EA4 in MCF-7 cells [2].

In vivo: Up to now, there is no animal in vivo data reported.

Clinical trial: So far, no clinical study has been conducted.

References:

1. Shin, H.S.,Chin, M.R.,Kim, J.S., et al. Purification and characterization of a cytosolic, 42-kDa and Ca^{2+} -dependent phospholipase A2 from bovine red blood cells. The Journal of Biological Chemistry 277, 21086-21094 (2002).
2. Chun, Y.J.,Lee, B.Y.,Yang, S.A., et al. Induction of cytochrome P450 1A1 gene expression by a vitamin K3 analog in mouse hepatoma Hepa-1c1c7 cells. Molecules and Cells 12, 190-196 (2001).

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