

Product Data Sheet

Product Name: MK2 Inhibitor IV

Cat. No.: GC16723

Chemical Properties

Cas. No. 1314118-94-9

Chemical Name 5-(4-chlorophenyl)-N-[4-(1-piperazinyl)phenyl]-N-(2-pyridinylmethyl)-2-furancarboxamide, monohydrochloride

SMILES O=C(N(C1=CC=C(N2CCNCC2)C=C1)CC3=NC=CC=C3)C4=CC=C(C5=CC=C(Cl)C=C5)O4.Cl

Formula $C_{27}H_{25}ClN_4O_2 \cdot HCl$

M.Wt 509.4

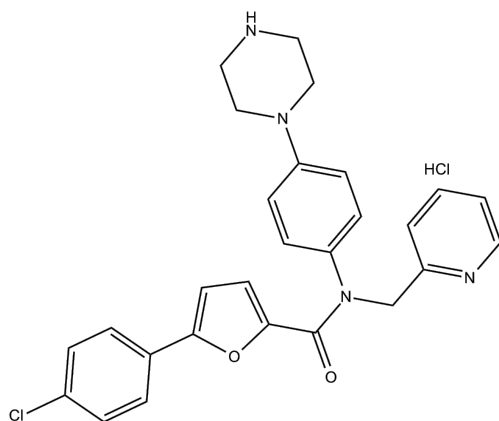
Solubility <5.09mg/mL in DMSO

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

MK2 Inhibitor IV is a highly selective and non-ATP competitive MK2 inhibitor with IC₅₀ value of 0.11 μM [1].

MAP kinase-activated protein kinase 2 (MAPKAPK2 or MK2) is a member of the Ser/Thr protein kinase family and regulated through direct phosphorylation by p38 MAP kinase. Activation of the

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

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

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

Product Data Sheet

p38/MK2 pathway involved in promoting pro-inflammatory cytokine production and related inflammatory diseases [1].

MK2 Inhibitor IV is a highly selective and non-ATP competitive MK2 inhibitor. In a broad panel of 150 protein kinases, MK2 Inhibitor IV only significantly inhibited CK1 γ 3 at greater than 50%. MK2 Inhibitor IV also demonstrated no inhibition against a panel of cytochrome P450 (CYP) enzymes up to 30 μ M. In the human THP1 acute monocytic leukemia cell line, MK2 Inhibitor IV inhibited pro-inflammatory cytokine secretion. MK2 Inhibitor IV also dose-dependently inhibited LPS-stimulated TNF α and IL6 secretion with IC50 values of 4.4 μ M and 5.2 μ M, respectively. In the SW1353 chondrosarcoma cell line and human primary chondrocyte cultures, MK2 Inhibitor IV dose dependently inhibited IL1 β -stimulated matrixmetalloprotease (MMP)13 secretion with IC50 values of 5.7 μ M and 2.2 μ M, respectively.

Reference:

[1]. Huang X, Shipps GW Jr, Cheng CC, et al. Discovery and Hit-to-Lead Optimization of Non-ATP Competitive MK2 (MAPKAPK2) Inhibitors. ACS Med Chem Lett. 2011 Jun 24;2(8):632-7.

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

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

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