

Product Data Sheet

Product Name: CEP-37440

Cat. No.: GC15273

Chemical Properties

Cas. No. 1391712-60-9

Chemical Name (Z)-2-((E)-(5-chloro-2-(((S)-6-(4-(2-hydroxyethyl)piperazin-1-yl)-1-methoxy-6,7,8,9-tetrahydro-5H-benzo[7]annulen-2-yl)amino)pyrimidin-4(3H)-ylidene)amino)-N-methylbenzimidic acid

SMILES C/N=C(O)/C1=CC=CC=C1/N=C(N2)\C(Cl)=CN=C2NC3=C(OC)C(CCC[C@@](N4CCN(CCO)CC4)([H])C5)=C5C=C3

Formula $C_{30}H_{38}ClN_7O_3$

M.Wt 580.12

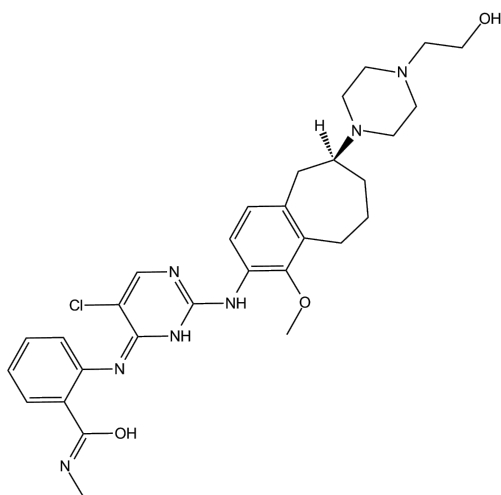
Solubility $\geq 9.25\text{mg/mL}$ in DMSO with gentle warming

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

IC₅₀: 1000 nM for the proliferation in SUM190 cell lines

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

The focal adhesion kinase FAK1 is a cytoplasmic tyrosine kinase that localizes to focal adhesions, and controls a number of cell pathways including proliferation, viability and survival. Anaplastic lymphoma kinase 1 (ALK-1) is a member of the insulin receptor tyrosine kinase family. ALK mutations have also been implicated in the pathogenesis of non-small cell lung cancer (NSCLC) and other solid tumors. CEP-37440 is a highly selective and potent dual inhibitor of ALK and FAK1.

In vitro: 300 nM CEP-37440 was able to decrease the proliferation of the triple negative FC-IBC02 cell line and, 1000 nM CEP-37440 was able to completely inhibit the proliferation of these cells. Genes involved in functions such as cellular growth and proliferation, cell cycle, cell death and survival and cellular movement and cell-to cell signaling and interaction were differentially regulated by CEP-37440 in sensitive IBC cell lines. Among them, TGFβ1 and MMP3 were down-regulated and DKK3, CAV1 and TFPI2 were up-regulated by CEP-37440, which might be probably caused by its dual inhibition of ALK and FAK1 [1].

In vivo: In FC-IBC02 orthotopic breast cancer xenograft models, CEP-37440 was able to reduce the growth of the primary tumor and inhibit the development of spontaneous metastases in brain [1].

Clinical trials: CEP-37440 is a dual ALK/FAK inhibitor currently under investigation in a phase I trial to determine its MTD in patients with advanced or metastatic solid tumors (NCT01922752).

Reference:

[1] Abstract 3232: CEP-37440, a highly selective and potent dual inhibitor of ALK and FAK1 inhibits the proliferation of inflammatory breast cancer cells. Proceedings: AACR 106th Annual Meeting 2015; April 18-22, 2015; Philadelphia, PA

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