
Product Data Sheet

Product Name: STO-609 acetate

Cat. No.: GC15678

Chemical Properties

Cas. No. 1173022-21-3

Chemical Name 7-oxo-7H-benzo[de]benzo[4,5]imidazo[2,1-a]isoquinoline-3-carboxylic acid compound with acetic acid (1:1)

SMILES O=C1N2C(C3=CC=C(C(O)=O)C4=CC=CC1=C34)=NC5=CC=CC=C25.OC(C)=O

Formula $C_{19}H_{10}N_2O_3 \cdot C_2H_4O_2$ M.Wt 374.35

Solubility 100 mM NaOH: 10 mg/ml, DMSO: 1 mg/ml Storage Desiccate at RT

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

Protocol

Kinase experiment:

CaM-KI (2.5 µg/mL), CaM-KII (0.75 µg/mL), CaM-KIV (9 µg/mL), and mLCK (0.6 µg/mL) are incubated with 40 µM syntide-2 or 50 µM mLC peptide (for mLCK) at 30 °C for 5 min in a solution (25 µL) containing 50 mM HEPES (pH 7.5), 10 mM Mg(Ac)₂, 1 mM DTT, 50 µM [γ -³²P]ATP (4500 cpm/pmol) with various concentrations of STO-609 (0-10 µg/mL) in Me₂SO at a final concentration of 4% in the presence of 1 mM CaCl₂, 2 µM CaM. Protein kinase activity is measured by the phosphocellulose filter method. Specific activities of CaM-KI, CaM-KII, CaM-KIV, and mLCK in the absence of STO-609 are calculated[1]. STO-609 is bound in the ATP-binding pocket of the CaMKK β KD. The inhibition mechanism of STO-609 is ATP-competitive[2].

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

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References:

- [1]. Tokumitsu H, et al. STO-609, a specific inhibitor of the Ca(2+)/calmodulin-dependent protein kinase kinase. J Biol Chem. 2002 May 3;277(18):15813-8.
- [2]. Kukimoto-Niino M, et al. Crystal structure of the Ca²⁺/calmodulin-dependent protein kinase kinase in complex with the inhibitor STO-609. J Biol Chem. 2011 Jun 24;286(25):22570-9.

Background

STO-609 is a selective and cell-permeable inhibitor of the Ca²⁺/calmodulin-dependent protein kinase kinase (CaM-KK), with Ki values of 80 and 15 ng/mL for recombinant CaM-KK α and CaM-KK β , respectively. STO-609 inhibits AMP-activated protein kinase kinase (AMPKK) activity in HeLa cell lysates with an IC₅₀ ~0.02 g/ml.

STO-609 inhibits the activities of recombinant CaM-KK α and CaM-KK β isoforms, with Ki values of 80 and 15 ng/mL, respectively, and also inhibits their autophosphorylation activities. STO-609 is highly selective for CaM-KK without any significant effect on the downstream CaM kinases (CaM-KI and -IV), and the IC₅₀ value of the compound against

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CaM-KII is 10 µg/mL. STO-609 inhibits constitutively active CaM-KK α as well as the wild-type enzyme. In transfected HeLa cells, STO-609 suppresses the Ca²⁺-induced activation of CaM-KIV in a dose-dependent manner. STO-609 significantly reduces the endogenous activity of CaM-KK in SH-SY5Y neuroblastoma cells at a concentration of 1µg/mL (80% inhibitory rate)[1].

References:

[1]. Tokumitsu H, et al. STO-609, a specific inhibitor of the Ca(2+)/calmodulin-dependent protein kinase kinase. J Biol Chem. 2002 May 3;277(18):15813-8.

[2]. Kukimoto-Niino M, et al. Crystal structure of the Ca /calmodulin-dependent protein kinase kinase in complex with the inhibitor STO-609. J Biol Chem. 2011 Jun 24;286(25):22570-9.

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