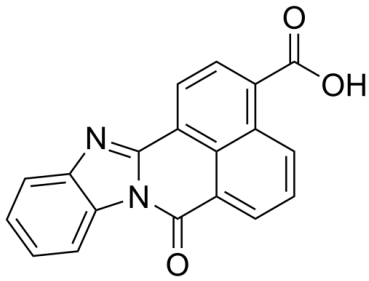
Data Sheet

Product Name:	STO-609
Cat. No.:	CS-6241
CAS No.:	52029-86-4
Molecular Formula:	$C_{19}H_{10}N_2O_3$
Molecular Weight:	314.29
Target:	AMPK; Autophagy; CaMK
Pathway:	Autophagy; Epigenetics; Neuronal Signaling; PI3K/Akt/mTOR
Solubility:	DMF : 5.56 mg/mL (ultrasonic);H_2O : 0.1 mg/mL (ultrasonic);



BIOLOGICAL ACTIVITY:

STO-609 is a selective and cell-permeable inhibitor of the Ca^{2+}/calmodulin-dependent protein kinase kinase (**CaM-KK**), with **K_i** values of 80 and 15 ng/mL for recombinant CaM-KKα and CaM-KKβ, respectively. STO-609 inhibits AMP-activated protein kinase kinase **(AMPKK)** activity in HeLa cell lysates with an **IC_{50}** ~0.02 g/ml. IC50 & Target: Ki: 80 ng/mL (CaM-KKα), 15 ng/mL (CaM-KKβ) [1] ***In Vitro:*** STO-609 inhibits the activities of recombinant CaM-KKα and CaM-KKβ isoforms, with K_i 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 IC_{50} value of the compound against CaM-KII is 10 μg/mL. STO-609 inhibits constitutively active CaM-KKα as well as the wild-type enzyme. In transfected HeLa cells, STO-609 suppresses the Ca^{2+}-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].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [2]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-^{32}P]ATP (4500 cpm/pmol) with various concentrations of STO-609 (0–10 μg/mL)in Me_2SO at a final concentration of 4%) in the presence of 1 mM $CaCl_2$, 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 CaMKKβ KD. The inhibition mechanism of STO-609 is ATP-competitive[2].

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^{2+}/calmodulin-dependent protein kinase kinase in complex with the inhibitor STO-609. J Biol Chem. 2011 Jun 24;286(25):22570-9.

CAIndexNames:

7H-Benzimidazo[2,1-a]benz[de]isoquinoline-3-carboxylic acid, 7-oxo-

SMILES:

O=C(C1=C2C3=C(C4=NC5=CC=CC=C5N4C(C3=CC=C2)=O)C=C1)O

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

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