产品名称：7-Oxo-7H-benzimidazo[2,1-a]benz[de]isoquinoline-3-carboxylic Acid
产品别名：STO-609

生物活性：

Description	STO-609 is a selective and cell-permeable inhibitor of the Ca^{2+}/calmodulin-dependent protein kinase kinase (CaM-KK), with Ki 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.
IC_{50} & 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 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 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].
Solvent&Solubility	***In Vitro:*** **DMSO : 5.6 mg/mL** (**17.82 mM;** Need ultrasonic and warming) **H_2O : < 0.1 mg/mL** (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1818 mL	15.9089 mL	31.8177 mL
	5 mM	0.6364 mL	3.1818 mL	6.3635 mL
	10 mM	0.3182 mL	1.5909 mL	3.1818 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Kinase Assay	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??/calmodulin-dependent protein kinase kinase in complex with the inhibitor STO-609. J Biol Chem. 2011 Jun 24;286(25):22570-9.