

## Product Data Sheet

Product Name: D609  
Cat. No.: GC17851

### Chemical Properties

Cas. No. 83373-60-8

Chemical Name potassium O-(octahydro-1H-4,7-methanoinden-5-yl) carbonodithioate

SMILES S=C(S[K])OC1CC2C3C(CCC3)C1C2

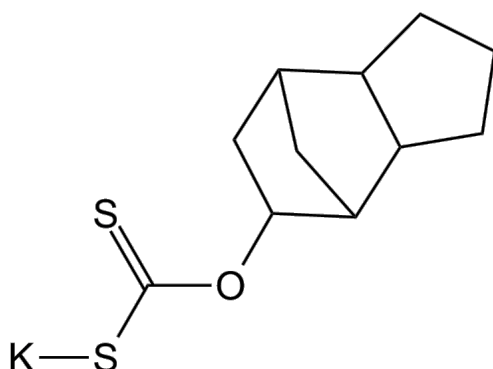
Formula  $C_{11}H_{15}KOS_2$  M.Wt 266.47

Solubility  $\geq 35.33$  mg/mL in DMSO with gentle warming,  $\geq 24.5$  mg/mL in EtOH with ultrasonic and warming,  $\geq 12.7$  mg/mL in Water  
Storage Store at  $-20^{\circ}\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  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

#### Cell experiment [1]:

Cell lines HER2-overexpressing SKBr3 cells and various cancer cells

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**Preparation method** The solubility of this compound in DMSO is > 12.7 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

**Reacting condition** 50 µg/mL

**Applications** D609 inhibited PC-PLC, which enhanced HER2 internalization and lysosomal degradation, inducing down-regulation of HER2 expression on the membrane. Moreover, D609-induced PC-PLC inhibition significantly delayed HER2 re-expression on the membrane and reduced the overall cellular contents of HER2, HER2-HER3 and HER2-EGFR heterodimers. In addition, D609 also exhibited antiproliferative effects, especially in Trastuzumab-resistant cells, via PC-PLC inhibition. In breast cancer cells, D609 at the dose of 50 µg/mL decreased the activity of PC-PLC by 3.5 folds within 1hr.

### References:

[1]. Paris L, Cecchetti S, Spadaro F, Abalsamo L, Lugini L, Pisanu ME, Iorio E, Natali PG, Ramoni C, Podo F. Inhibition of phosphatidylcholine-specific phospholipase C downregulates HER2 overexpression on plasma membrane of breast cancer cells. *Breast Cancer Res.* 2010;12(3):R27.

### Background

D609 is a specific and competitive inhibitor of phosphatidylcholine-specific phospholipase C (PC-PLC) with a  $K_i$  value of 6.4 µM. [1]

PC-PLC hydrolyzes (phosphatidylcholine) PC to generate 1, 2-diacylglycerol (DAG) and phosphocholine. D609 is a widely known inhibitor of PC-PLC and also inhibit sphingomyelin synthase (SMS). Due to these actions, it has antiviral and antitumor properties. D609 does not inhibit bacterial phosphatidylinositol (PI)-PLC, bovine pancreatic PLA2 or phospholipase D from cabbage[1b]. In OVCAR3 cells treated with (53 µg/mL) for 24 h, PC-PLC activity was significantly inhibited and cell

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proliferation was affected. In breast cancer cells, the activity of PC-PLC decreased 3.5 fold after the incubation with D609 (50 µg/ml) within 1h. The human epidermal growth factor receptor 2 (HER2) was also down-regulated [2]. D609 also has anti-inflammatory functions. It blocked the nitric oxide synthase induced by LPS (IC<sub>50</sub>=20 µg/mL) and IL-1β induced vascular cell adhesion molecule 1 gene expression in human endothelial cells.[3]

D609 can reduce sphingomyelin synthase, thereby it inhibits bFGF-stimulated astrocyte proliferation. Because of the presence of the thiol function, D609 also has antioxidant/glutathione mimetic properties[1b].

### References:

1. a) E. Amtmann, Drugs Exp Clin Res 1996, 22, 287-294; b) R. M. Adibhatla, J. F. Hatcher and A. Gusain, Neurochem Res 2012, 37, 671-679.
2. L. Paris, S. Cecchetti, F. Spadaro, L. Abalsamo, L. Lugini, M. E. Pisanu, E. Iorio, P. G. Natali, C. Ramoni and F. Podo, Breast Cancer Res 2010, 12, R27.
3. a) K. Tschaikowsky, M. Meisner, F. Schonhuber and E. Rugheimer, Br J Pharmacol 1994, 113, 664-668; b) R. R. Cobb, K. A. Felts, G. C. Parry and N. Mackman, Mol Pharmacol 1996, 49, 998-1004. >

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