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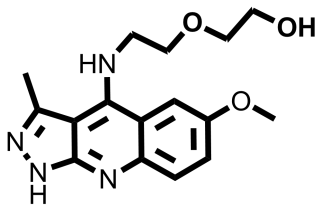
MTH1 Inhibitor SCH51344

Chemical Name: 2-(2-((6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-4-yl)amino)ethoxy)ethanol



Molecular Weight:	316.35
Formula:	$C_{16}H_{20}N_4O_3$
Purity:	≥98%
CAS#:	171927-40-5
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

SCH51344 is a novel potent, selective and cell permeable inhibitor of the nudix hydrolase family MTH1 protein with an IC_{50} of ~49 nM. It inhibits Ras-induced malignant transformation. It has no effect on Ras-induced ERK and JNK activation. SCH51344 inhibits Ras-induced membrane ruffling in REF-52 fibroblasts and blocks anchorage-independent growth of Ras-transformed tumor cell lines. It also induces DNA damage in SW480 colon cancer cells. Loss-of-function of MTH1 impaired growth of KRAS tumor cells, whereas MTH1 overexpression mitigated sensitivity towards SCH51344.

How to Use:

In vitro: SCH51344 was used at 5-20 μM final concentration in various in vitro and cellular assays.

In vivo: n/a

Reference:

1. Kumar CC, et al. SCH 51344 inhibits ras transformation by a novel mechanism. (1995) Cancer Res. 55, 5106–5117.
2. Walsh AB, et al. SCH 51344-induced reversal of RAS-transformation is accompanied by the specific inhibition of the RAS and RAC-dependent cell morphology pathway. (1997) Oncogene. 15(21):2553-60.
3. Kumar CC, et al. SCH 51344, an inhibitor of RAS/RAC-mediated cell morphology pathway. (1999) Ann N Y Acad Sci. 886:122-31.
4. Huber KV, et al. Stereospecific targeting of MTH1 by (S)-crizotinib as an anticancer strategy. (2014) Nature. 508(7495):222-7.