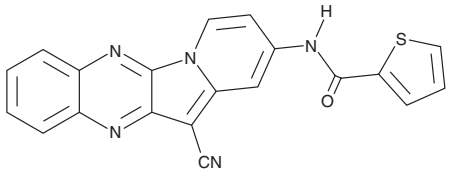
PRODUCT INFORMATION

HI TOPK 032

Item No. 19815

CAS Registry No.: 487020-03-1
Formal Name: N-(12-cyanoindolizino[2,3-b]quinoxalin-2-yl)-2-thiophenecarboxamide
MF: $C_{20}H_{11}N_5OS$
FW: 369.4
Purity: ≥95%
UV/Vis.: λ_{max}: 219, 272, 302, 369, 496 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

HI TOPK 032 is supplied as a crystalline solid. A stock solution may be made by dissolving the HI TOPK 032 in the solvent of choice, which should be purged with an inert gas. HI TOPK 032 is soluble in the organic solvent DMSO at a concentration of approximately 3 mg/ml warmed.

HI TOPK 032 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

HI TOPK 032 is an inhibitor of lymphokine-activated killer T cell-originated protein kinase (TOPK), blocking phosphorylation of the substrate histone H2AX with an IC_{50} value of ~2 µM and providing complete inhibition at 5 µM.[1] It also inhibits checkpoint kinase 1 (Chk1; IC_{50} = 9.6 µM).[2] In addition, HI TOPK 032 inhibits MEK1, achieving 40% inhibition at 5 µM, but it does not alter the activities of ERK1, JNK1, or p38 MAPK at 2 µM.[1] HI TOPK 032 decreases the growth of colon cancer and glioma initiating cells *in vitro* and suppresses tumor growth *in vivo*.[1,3]

References

1. Kim, D. J., Li, Y., Reddy, K., *et al.* Novel TOPK inhibitor HI-TOPK-032 effectively suppresses colon cancer growth. *Cancer Res.* **72(12)**, 3060-3068 (2012).
2. Li, Y., Kim, D. J., Ma, W., *et al.* Discovery of novel checkpoint kinase 1 inhibitors by virtual screening based on multiple crystal structures. *J. Chem. Inf. Model* **51(11)**, 2904-2914 (2011).
3. Joel, M., Mughal, A. A., Grieg, Z., *et al.* Targeting PBK/TOPK decreases growth and survival of glioma initiating cells *in vitro* and attenuates tumor growth *in vivo*. *Mol. Cancer* **14:121**, (2015).

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