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%

 λ_{max} : 219, 272, 302, 369, 496 nm A crystalline solid UV/Vis.:

Supplied as:

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 μ M.¹ It also inhibits checkpoint kinase 1 (Chk1; IC₅₀ = 9.6 μ M).² In addition, HI TOPK 032 inhibits MEK1, achieving 40% inhibition at 5 μ M, but it does not alter the activities of ERK1, JNK1, or p38 MAPK at $2 \mu M$. 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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.**CAYMANCHEM**.COM