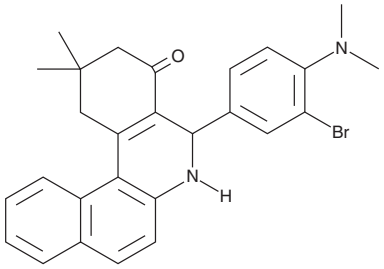
PRODUCT INFORMATION

Glutaminase Inhibitor Compound 968

Item No. 17199

CAS Registry No.:	311795-38-7
Formal Name:	5-[3-bromo-4-(dimethylamino)phenyl]-2,3,5,6-tetrahydro-2,2-dimethyl-benzo[a]phenanthridin-4(1H)-one
MF:	$C_{27}H_{27}BrN_2O$
FW:	475.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 232, 281, 292, 339, 371 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

Glutaminase inhibitor compound 968 is supplied as a crystalline solid. A stock solution may be made by dissolving the glutaminase inhibitor compound 968 in the solvent of choice, which should be purged with an inert gas. Glutaminase inhibitor compound 968 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of glutaminase inhibitor compound 968 in these solvents is approximately 0.5, 14, and 16 mg/ml, respectively.

Glutaminase inhibitor compound 968 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, glutaminase inhibitor compound 968 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Glutaminase inhibitor compound 968 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Glutaminase inhibitor compound 968 is a cell-permeable, reversible inhibitor of a mitochondrial glutaminase splice variant, glutaminase C (IC_{50} = ~2.5 µM), which is commonly found in cancer cells.[1,2] Through this action, glutaminase inhibitor compound 968 blocks transformation induced by Rho GTPases and inhibits the proliferation of non-invasive epithelial (T-47D and MDA-MB-361) and invasive mesenchymal (MDA-MB-231 and Hs578T) cancer cells, without affecting normal cells.[2-4] Glutaminase inhibitor compound 968 induces apoptosis and alters both histone methylation and gene expression in cancer cells.[4]

References

1. Stalnecker, C. A., Ulrich, S. M., Li, Y., *et al.* Mechanism by which a recently discovered allosteric inhibitor blocks glutamine metabolism in transformed cells. *Proc. Natl. Acad. Sci. USA* **112(2)**, 394-399 (2015).
2. Wang, J. B., Erickson, J. W., Fuji, R., *et al.* Targeting mitochondrial glutaminase activity inhibits oncogenic transformation. *Cancer Cell* **18(3)**, 2007-219 (2010).
3. Katt, W. P., Ramachandran, S., Erickson, J. W., *et al.* Dibenzophenanthridines as inhibitors of glutaminase C and cancer cell proliferation. *Mol. Cancer Ther.* **11(6)**, 1269-1278 (2012).
4. Simpson, N. E., Tryndyak, V. P., Pogribna, M., *et al.* Modifying metabolically sensitive histone marks by inhibiting glutamine metabolism affects gene expression and alters cancer cell phenotype. *Epigenetics* **7(12)**, 1413-1420 (2012).

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