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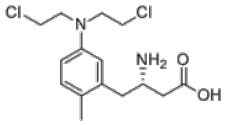
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	QBS10072S
Cat. No.	:	PC-49169
CAS No.	:	1802735-28-9
Molecular Formula	:	$C_{15}H_{22}Cl_2N_2O_2$
Molecular Weight	:	333.253
Target	:	DNA Alkylator/Crosslinker
Solubility	:	10 mM in DMSO



Biological Activity

QBS10072S is a novel dual-function, BBB permeable **chemotherapeutic agent** with alkylating moiety and a selective large neutral amino acid transporter 1 (LAT1, SLC7A5) substrate, shows excellent BBB penetration and promising efficacy in vitro (U251 cell IC50=12 uM) and in vivo against glioblastoma (GBM).
QBS10072S is 50-fold more selective for LAT1 vs. LAT2 in transport assays and demonstrates significant growth suppression in vitro of LAT1-expressing GBM cell lines.
QBS10072S inhibits substrate transport in high LAT1-expressing cells 50-fold more potently compared to LAT2-expressing cells (IC50s=21 µM vs. 1100 µM, respectively.
QBS10072S is 5.5 times more potent at suppressing viability in high LAT1 expressing cells (EC50 = 1.0 µM) vs. non-induced cells (EC50 = 5.5 µM), which had low, endogenous levels of LAT1 expression.
QBS10072S induces dose-dependent viability loss on multiple GBM cell lines with EC50 of 12-40 uM.
QBS10072S treatment demonstrates dose-dependent phosphorylation of H2AX in U251 and LN229 GBM cells.
Unlike temozolomide (TMZ), QBS10072S is cytotoxic to cells with both high and low levels of MGMT expression.
QBS10072S (10 mg/kg, IV, once a week for six weeks) significantly inhibits tumor growth and prolongs survival in orthotopic murine models of GBM.

References

Ozawa T, et al. ***Cureus.*** 2021 Aug 31;13(8):e17595.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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