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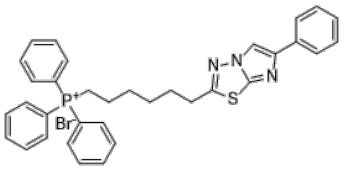
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AP-4-139B
Cat. No.	:	PC-28881
CAS No.	:	2716909-84-9
Molecular Formula	:	$C_{34}H_{33}BrN_3PS$
Molecular Weight	:	626.60
Target	:	Heat Shock Protein (HSP)
Solubility	:	10 mM in DMSO



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Biological Activity

AP-4-139B is a highly specific HSP70 inhibitor that targets the stress-induced HSP70, inhibits HSP70 mediated ATPase activity with IC50 of 180 nM.
AP-4-139B likely bind to the same allosteric pocket in the substrate-binding domain of HSP70.
AP-4-139B affects client proteins in multiple cellular compartments, including the mitochondria.
AP-4-139B affects mitochondrial function of tumor cells with minimal effects on non-transformed cells.
AP-4-139B affects expression of HSP70 client proteins in multiple cellular compartments of the cell in vitro and in vivo. has cytotoxicity (IC50=1.5-3 uM) against different CRC lines of different genotypes (KRas, BRAF, PTEN, p53) and different molecular phenotypes (MSI/MSS; CpG island methylator phenotype +/−).
AP-4-139B (12.5 mg/kg) significantly reduced the progression of HT-29 tumor xenografts.
AP-4-139B inhibits tumor cell migration and invasion on murine MC38 CRC line.
AP-4-139B is efficacious against CRC in an immunocompetent model and causes immune cell recruitment.

References

Ferretti GDS, et al. Cell Death Differ. 2024 Jul;31(7):881-896.

arnoud T, et al. Cancer Res. 2020;80:5270–81.

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

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