



GSK-923295

Catalog No: tcsc0056

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Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1088965-37-0

Formula:

 $C_{32}H_{38}CIN_5O_4$

Pathway:

Cytoskeleton; Cell Cycle/DNA Damage

Target:

Kinesin; Kinesin

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

592.13

Product Description

GSK-923295 is a special, allosteric inhibitor of **CENP-E** kinesin motor ATPase activity, with $\mathbf{K_i}$ of 3.2±0.2 nM and 1.6± 0.1 nM for



human and canine, respectively.

IC50 & Target: Ki: 3.2 nM (human CENP-E), 1.6 nM (canine CENP-E)^[1]

In Vitro: GSK-923295 (GSK923295) is a first-in-class, specific, allosteric inhibitor of CENP-E kinesin motor function. GSK923295 is uncompetitive with both ATP and MT, inhibiting CENP-E MT-stimulated ATPase activity with a K_i of 3.2 ± 0.2 nM and 1.6 ± 0.1 nM for human and canine, respectively. GSK923295 inhibits release of inorganic phosphate and stabilized CENP-E motor domain interaction with microtubules [1]. GSK923295 has broad growth inhibitory activity in a panel of 237 cancer cell lines and produces significant tumor growth-delay in 8 of the 11 mouse xenograft tumor models with IC_{50} s of 17.2 nM, 55.6 nM, 42 nM, and 51.9 nM for SW48, RKO (BRAF mutant), SW620 (KRAS mutant), and HCT116 (KRAS mutant), respectively [2]. GSK923295 is a potent and selective small molecule inhibitor of human CENPE with a K_i of 3.2 nM. GSK923295 demonstrates broad efficacy against a panel of 19 human neuroblastoma derived cell lines with an average growth IC_{50} of 41 nM^[3].

In Vivo: Xenografts of mice treated with GSK-923295 (GSK923295) shows significant tumor growth delay compared to the control arm (NB-EBc1 p[3].

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!