

## GSK-923295

Catalog No: tcsc0056

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

**Size:** 100mg

**Specifications** 

CAS No:

1088965-37-0

Formula:

 $\mathsf{C}_{32}\mathsf{H}_{38}\mathsf{CIN}_5\mathsf{O}_4$ 

**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 **K**<sub>i</sub> of 3.2±0.2 nM and 1.6± 0.1 nM for

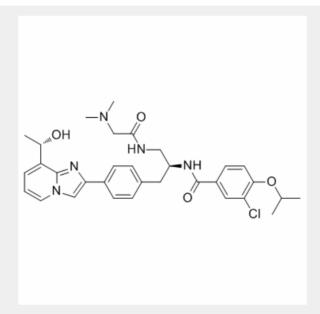


human and canine, respectively.

IC50 & Target: Ki: 3.2 nM (human CENP-E), 1.6 nM (canine CENP-E)<sup>[1]</sup>

*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<sub>i</sub> 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<sup>[1]</sup>. 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<sub>50</sub>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<sup>[2]</sup>. GSK923295 is a potent and selective small molecule inhibitor of human CENPE with a K<sub>i</sub> of 3.2 nM. GSK923295 demonstrates broad efficacy against a panel of 19 human neuroblastoma derived cell lines with an average growth IC<sub>50</sub> of 41 nM<sup>[3]</sup>.

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



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