Web: www.taiclone.com
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GSK-923295

Catalog No: tcsc0056

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1088965-37-0

Formula:
$C_{32}H_{38}ClN_5O_4$

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

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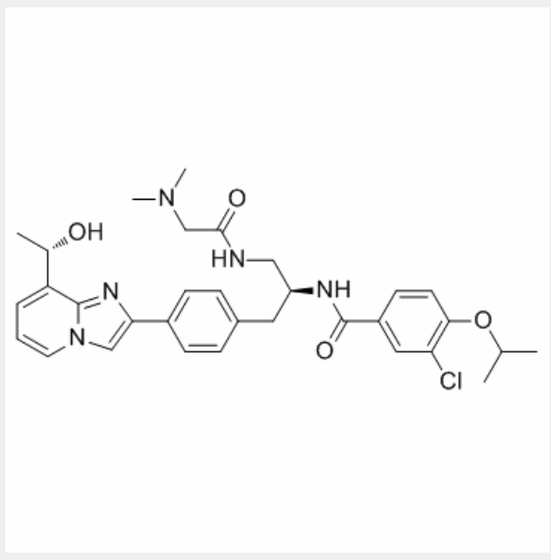
human and canine, respectively.

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].



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