

Product Datasheet

GSK923295

Catalog No. **BP-02476** · CAS **1088965-37-0** · Form **free base** · Physical state **solid** · Color **White to yellow** · Version **1.0** · Revision **2026-09-10**

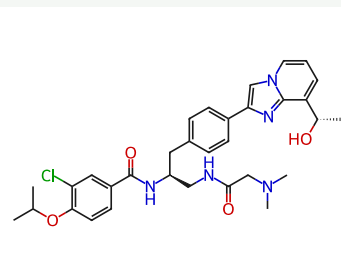
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Target Kinesin; Apoptosis	Research area Cancer
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Molecular formula **C₃₂H₃₈ClN₅O₄**

Molecular weight **592.1280**

STRUCTURE



DESCRIPTION

GSK-923295 is a special, allosteric inhibitor of centromere-associated protein-E (CENP-E) kinesin motor ATPase activity, with K_i of 3.2 ± 0.2 nM and 1.6 ± 0.1 nM for human and canine, respectively.

BIOLOGICAL ACTIVITY

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 IC₅₀s 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 IC₅₀ of 41 nM.

n Vivo

Xenografts of mice treated with GSK-923295 (GSK923295) shows significant tumor growth delay compared to the control arm (NB-EBc1 $p < 0.0001$; NB-1643 $p = 0.018$; NB-1691 $p = 0.0018$).

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Wood KW, et al. Antitumor activity of an allosteric inhibitor of centromere-associated protein-E. Proc Natl Acad Sci U S A. 2010 Mar 30;107(13):5839-44.

[2]. Mayes PA, et al. Mitogen-activated protein kinase (MEK/ERK) inhibition sensitizes cancer cells to centromere-associated protein E (CENP-E) inhibition. *Int J Cancer*. 2013 Feb 1;132(3):E149-57.

[3]. Balamuth NJ, et al. Serial transcriptome analysis and cross-species integration identifies centromere-associated protein E as a novel neuroblastoma target. *Cancer Res*. 2010 Apr 1;70(7):2749-58.

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