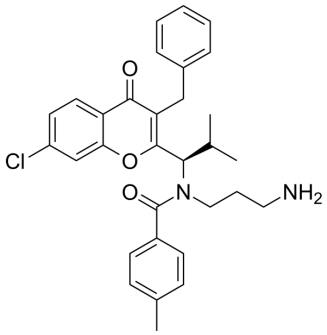
SB-743921 free base

Cat. No.:	HY-14661
CAS No.:	618430-39-0
Molecular Formula:	$C_{31}H_{33}ClN_2O_3$
Molecular Weight:	517.06
Target:	Kinesin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SB-743921 free base is a potent selective inhibitor of the mitotic kinesin KSP (Eg5), with a K_i of 0.1 nM. SB-743921 free base can induce mitotic arrest, block cell cycle progression, induce apoptosis, and can be used in the research of myeloma, leukemia and other diseases[1][2].
In Vitro	SB-743921 is a potent inhibitor of Eg5, with a K_i of 0.1 nM[1]. SB-743921 (1 nM) potently inhibits colony forming cell (CFC) formation of chronic myeloid leukemia (CML) primary cells, but exhibits slight inhibitory activities on the colony-forming ability of normal bone marrow progenitors[2]. SB-743921 (1-3 nM) induces apoptosis of CML primary $CD34^+$ cells, and shows slight effect on normal $CD34^+$ cells. SB-743921 in combination with imatinib displays additive anti-proliferative effect in KCL22 and CML $CD34^+$ cells. Furthermore, SB-743921 overcomes imatinib resistance in CML cells[2]. SB-743921 (0.5 nM, 1 nM, 3 nM) inhibits MEK/ERK and AKT signaling in CML cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SB-743921 has good oral bioavailability and pharmacokinetics and induces complete tumor regression in nude mice bearing lung cancer patient xenografts[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Cancer. 2022; 13(2):641-652.

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REFERENCES

[1]. Jeffrey R. Jackson, et al. A second generation KSP inhibitor, SB-743921, is a highly potent and active therapeutic in preclinical models of cancer. First AACR International Conference on Molecular Diagnostics in Cancer Therapeutic Development, Sep 12-15, 2006.

[2]. Yin Y, et al. Kinesin spindle protein inhibitor SB743921 induces mitotic arrest and apoptosis and overcomes imatinib resistance of chronic myeloid leukemia cells. Leuk Lymphoma. 2015 Jun;56(6):1813-20.

[3]. Good JA, et al. Optimized S-trityl-L-cysteine-based inhibitors of kinesin spindle protein with potent in vivo antitumor activity in lung cancer xenograft models. J Med Chem. 2013 Mar 14;56(5):1878-93.

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