

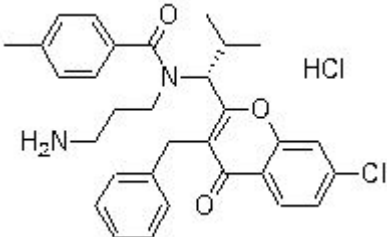


Product Introduction

SB743921

SB743921 is a **kinesin spindle protein (KSP)** inhibitor with K_i of 0.1 nM, almost no affinity to MKLP1, Kin2, Kif1A, Kif15, KHC, Kif4 and CENP-E. Phase 1/2.

Technical Data:

Molecular Weight (MW):	553.52	
Formula:	C ₃₁ H ₃₃ N ₂ O ₃ .HCl	
Solubility (25°C)	DMSO 111 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water 22 mg/mL	
	Ethanol 111 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	940929-33-9	

Biological Activity

The K_i of SB 743921 for human and mouse KSP is 0.1 nM and 0.12 nM, respectively, while the K_i of SB 743921 for other kinesins including MKLP1, Kin2 is more than 70 μ M. SB 743921 blocks assembly of a functional mitotic spindle, thereby causing cell cycle arrest in mitosis and subsequent cell death. SB-743921 has improved potency over ispinesib in both biochemical and cellular assays. ^[1]

SB-743921 is greater efficacy in vivo against P388 leukemia. SB-743921 has significant efficacy in a broad spectrum of tumor models differing from that of taxanes. SB-743921 is shown to have activity against

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advanced human tumor xenografts Colo205 (complete regressions), MCF-7, SK-MES, H69, OVCAR-3 (complete and partial regressions), and HT-29, MX-1, MDA-MB-231, A2780 (tumor growth delay). SB-743921 doesn't cause the neuropathy often associated with the tubulin agents.

[1]

References

[1] Jackson JR, et al. AACR, 2006, Abst 0906.

[2] Sakowicz R, et al. Cancer Res, 2004, 64(9), 3276-3280.



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