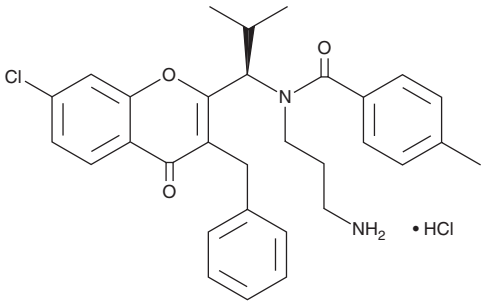
PRODUCT INFORMATION

SB-743921 (hydrochloride)

Item No. 18384

CAS Registry No.:	940929-33-9
Formal Name:	N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-4-oxo-3-(phenylmethyl)-4H-1-benzopyran-2-yl]-2-methylpropyl]-4-methyl-benzamide, monohydrochloride
MF:	$C_{31}H_{33}ClN_2O_3 \bullet HCl$
FW:	553.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 203, 309 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SB-743921 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the SB-743921 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. SB-743921 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SB-743921 (hydrochloride) in ethanol is approximately 20 mg/ml and approximately 50 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of SB-743921 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of SB-743921 (hydrochloride) in PBS (pH 7.2) is approximately 0.2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

SB-743921 is a potent inhibitor of the kinesin spindle protein Eg5 (K_i = 0.5 nM for microtubule-stimulated ATPase activity).[1] It inhibits the growth of HCT116 colon, LNCaP and PC3 prostate, K562 leukemia, BxPC-3 pancreas, and NCI-H1299 lung cancer cell lines *in vitro* (GI_{50}s = 25, 22, 48, 50, 80, and 82 nM, respectively). *In vivo*, SB-743921 induces complete tumor regression in a COLO 205 mouse xenograft model and partial regression in MCF-7, SK-MES, H69, and OVCAR-3 mouse xenograft models.[2] SB-743921 (2.5-10 mg/kg) also reduces tumor volume in a dose-dependent manner in a diffuse large B cell lymphoma (DLBCL) mouse xenograft model.[3]

References

1. Good, J.A.D., Wang, F., Rath, O., *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.* **56(5)**, 1878-1893 (2015).
2. Jackson, J.R., Gilmartin, A., Dhanak, D., *et al.* Abstract B11: A second generation KSP inhibitor, SB-743921, is a highly potent and active therapeutic in preclinical models of cancer. *Clin. Cancer Res.* **12(19 Suppl)** (2006).
3. Bongero, D., Paoluzzi, L., Marchi, E., *et al.* The novel kinesin spindle protein (KSP) inhibitor SB-743921 exhibits marked activity in in vivo and in vitro models of aggressive large B-cell lymphoma. *Leuk. Lymphoma* **56(10)**, 2945-2952 (2015).

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