PRODUCT INFORMATION



C188-9

Item No. 30928

CAS Registry No.:	432001-19-9	
Formal Name:	N-(1',2-dihydroxy[1,2'-binaphthalen]-4'-	
	yl)-4-methoxy-benzenesulfonamide	
MF:	$C_{27}H_{21}NO_5S$	Š Š
FW:	471.5	0 0 1
Purity:	≥98%	ОН
UV/Vis.:	λ _{max} : 229 nm	Л
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		

Laboratory Procedures

C188-9 is supplied as a crystalline solid. A stock solution may be made by dissolving the C188-9 in the solvent of choice, which should be purged with an inert gas. C188-9 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of C188-9 in these solvents is approximately 10 mg/ml.

C188-9 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, C188-9 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. C188-9 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

C188-9 is a STAT3 inhibitor.^{1,2} It binds to the phosphotyrosyl peptide binding site in the STAT3 Src homology 2 (SH2) domain (K_i = 136 nM) and inhibits G-CSF-induced activation of STAT3 in patient-derived acute myeloid leukemia (AML) cells ($IC_{50}s = 8-18 \ \mu$ M). C188-9 induces apoptosis in patient-derived AML cells ($EC_{50}s = 6-50 \ \mu$ M) and reduces viability of HepG2, Huh7, and PLC/PRF/5 hepatoma cells (IC₅₀s = 10.19, 11.27, and 11.83 μM, respectively).^{2,3} In vivo, C188-9 (100 mg/kg) reduces hepatic Pten deletion-induced hepatic macro- and microsteatosis, which reduces the development of hepatocellular carcinomas in mice. C188-9 (12.5 mg/kg) increases muscle fiber size in a murine Lewis lung carcinoma model of cancer cachexia.1

References

- 1. Silva, K.A.S., Dong, J., Dong, Y., et al. Inhibition of Stat3 activation suppresses caspase-3 and the ubiquitin-proteasome system, leading to preservation of muscle mass in cancer cachexia. J. Biol. Chem. **290(17)**, 11177-11187 (2015).
- 2. Redell, M.S., Ruiz, M.J., Alonzo, T.A., et al. Stat3 signaling in acute myeloid leukemia: Ligand-dependent and -independent activation and induction of apoptosis by a novel small-molecule Stat3 inhibitor. Blood 117(21), 5701-5709 (2011).
- 3. Jung, K.H., Yoo, W., Stevenson, H.L., et al. Multifunctional effects of a small-molecule STAT3 inhibitor on NASH and hepatocellular carcinoma in mice. Clin. Cancer Res. 23(18), 5537-5546 (2017).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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