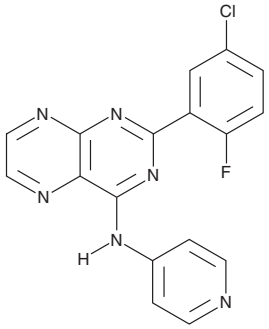
PRODUCT INFORMATION

SD 208

Item No. 16619

CAS Registry No.:	627536-09-8
Formal Name:	2-(5-chloro-2-fluorophenyl)-N-4-pyridinyl-4-pteridinamine
Synonym:	TGF-β RI Kinase Inhibitor V
MF:	$C_{17}H_{10}ClFN_6$
FW:	352.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 205, 258, 362 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

SD 208 is supplied as a crystalline solid. A stock solution may be made by dissolving the SD 208 in the solvent of choice, which should be purged with an inert gas. SD 208 is soluble in the organic solvent dimethyl formamide at a concentration of approximately 0.3 mg/ml.

Description

TGF-β is a cell growth and differentiation factor that has roles in cancer, fibrosis, and numerous other pathologies.[1,2] TGF-β signals through two receptor tyrosine kinases, TGF-βRI and TGF-βRII. SD 208 is a potent inhibitor of TGF-βRI kinase (EC_{50} = 48 nM) that has minimal or no effect at a variety of other tyrosine or serine/threonine kinases, including TGF-βRII kinase.[3] It blocks both autocrine and paracrine TGF-β signaling in glioma cells, inhibiting TGF-β-induced migration and invasion without affecting viability or proliferation.[3] SD 208 is orally bioavailable and prevents TGF-β-induced Smad phosphorylation in spleens and brains of mice.[3] It improves survival in mice with xenografted glioma by heightening the immune response against tumor cells and reverses bronchial hyperresponsiveness to allergens in ovalbumin-exposed mice.[3,4] SD 208 also suppresses TGF-β-induced differentiation of proliferating myofibroblasts and induces dedifferentiation in the absence of TGF-β.[5,6]

References

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2. Distler, J.H.W. and Distler, O. Intracellular tyrosine kinases as novel targets for anti-fibrotic therapy in systemic sclerosis. *Rheumatology* **47(Suppl 5)**, 10-11 (2008).
3. Uhl, M., Aulwurm, S., Wischhusen, J., *et al.* SD-208, a novel transforming growth factor β receptor I kinase inhibitor, inhibits growth and invasiveness and enhances immunogenicity of murine and human glioma cells *in vitro* and *in vivo*. *Cancer Res.* **64(21)**, 7954-7961 (2004).
4. Leung, S.Y., Niimi, A., Noble, A., *et al.* Effect of transforming growth factor-β receptor I kinase inhibitor 2,4-disubstituted pteridine (SD-208) in chronic allergic airway inflammation and remodeling. *J. Pharmacol. Exp. Ther.* **319(2)**, 586-594 (2006).
5. Driesen, R.B., Nagaraju, C.K., Abi-Char, J., *et al.* Reversible and irreversible differentiation of cardiac fibroblasts. *Cardiovasc. Res.* **101(3)**, 411-422 (2014).
6. Kapoun, A.M., Gaspar, N.J., Wang, Y., *et al.* Transforming growth factor-*β* receptor type 1 (TGF*β*RI) kinase activity but not p38 activation is required for TGF*β*RI-induced myofibroblast differentiation and profibrotic gene expression. *Mol. Pharmacol.* **70(2)**, 518-531 (2006).

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