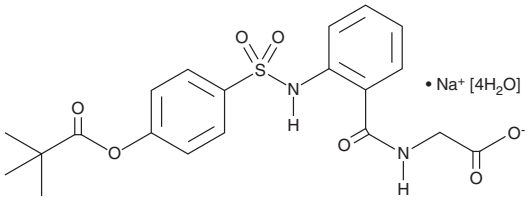
PRODUCT INFORMATION

Sivelestat (sodium salt hydrate)

Item No. 17779

CAS Registry No.: 201677-61-4
Formal Name: 2,2-dimethyl-propanoic acid, 4-[[[2-[[(carboxymethyl)amino] carbonyl]phenyl]amino]sulfonyl] phenyl ester, monosodium salt, tetrahydrate
Synonyms: LY544349, ONO-5046
MF: $C_{20}H_{21}N_2O_7S \bullet Na\ [4H_2O]$
FW: 528.5
Purity: ≥98%
UV/Vis.: λ_{max}: 210 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

Sivelestat (sodium salt hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the sivelestat (sodium salt hydrate) in the solvent of choice, which should be purged with an inert gas. Sivelestat (sodium salt hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of sivelestat (sodium salt hydrate) in these solvents is approximately 0.3, 15, and 25 mg/ml, respectively.

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 sivelestat (sodium salt hydrate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of sivelestat (sodium salt hydrate) in PBS (pH 7.2) is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Sivelestat is an inhibitor of neutrophil elastase (IC_{50} = 44 nM for the human enzyme).[1] It is selective for neutrophil elastase over trypsin, thrombin, plasmin, kallikrein, chymotrypsin, and cathepsin G at 100 µM. Sivelestat inhibits the formation of neutrophil extracellular traps (NETs) induced by ionomycin (Item Nos. 10004974 | 11932) in isolated mouse neutrophils.[2] It decreases LPS-induced NF-κB nuclear translocation in isolated rat pulmonary microvascular endothelial cells (PMVECs) at 50 mM.[3] Sivelestat (10 mg/kg) reduces pulmonary edema, increases in the number of cells in the lung tissue positive for myeloperoxidase (MPO), a marker of neutrophil infiltration, and the severity of lung injury in a rat model of LPS-induced acute lung injury.

References

1. Basse, L.H., Groen, D., and Bouwstra, J.A. Permeability and lipid organization of a novel psoriasis stratum corneum substitute. *Int. J. Pharm.* **457(1)**, 275-282 (2013).
2. Martinod, K., Witsch, T., Farley, K., *et al.* Neutrophil elastase-deficient mice form neutrophil extracellular traps in an experimental model of deep vein thrombosis. *J. Thromb. Haemost.* **14(3)**, 551-558 (2016).
3. Yuan, Q., Jiang, Y.-W., and Fang, Q.-H. Improving effect of Sivelestat on lipopolysaccharide-induced lung injury in rats. *APMIS* **122(9)**, 810-817 (2014).

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