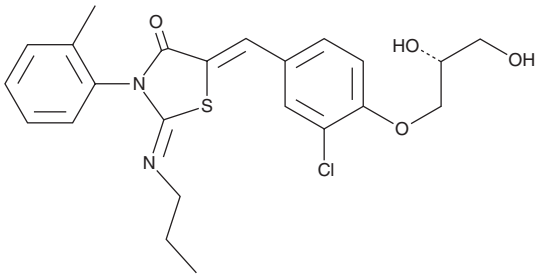
PRODUCT INFORMATION

Ponesimod

Item No. 22053

CAS Registry No.: 854107-55-4
Formal Name: (2Z)-5Z-[[3-chloro-4-[(2R)-2,3-dihydroxypropoxy] phenyl]methylene]-3-(2-methylphenyl)-2-(propylimino)-4-thiazolidinone
MF: $C_{23}H_{25}ClN_2O_4S$
FW: 461.0
Purity: ≥98%
UV/Vis.: λ_{max}: 242, 345 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

Ponesimod is supplied as a crystalline solid. A stock solution may be made by dissolving the ponesimod in the solvent of choice, which should be purged with an inert gas. Ponesimod is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ponesimod in these solvents is approximately 5 mg/ml.

Ponesimod is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ponesimod should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Ponesimod has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ponesimod is a potent agonist of sphingosine-1-phosphate receptor 1 ($S1P_1$/EDG-1; IC_{50}s = 6, >10,000, 2,068, 1,956, and 142 nM for $S1P_1$-$S1P_5$, respectively, in a radioligand binding assay).[1] It selectively activates $S1P_1$ in a GTPγS assay (EC_{50}s = 5.7, >10,000, 105, 1,108, and 59.1 nM, for $S1P_1$-$S1P_5$, respectively). Ponesimod (3-100 mg/kg) reduces the number of circulating lymphocytes in rats in a dose-dependent manner. It reduces edema, protein extravasation, neutrophil activity, and skin levels of the proinflammatory cytokines IL-1β, IL-6, IFN-γ, and TNF-α in a mouse model of delayed-type hypersensitivity at a dose of 30 mg/kg.[2] Ponesimod (30 mg/kg) also prevents footpad swelling in a rat model of adjuvant-induced arthritis.

References

1. Bolli, M.H., Abele, S., Binkert, C., *et al.* 2-Imino-thiazolidin-4-one derivatives as potent, orally active $S1P_1$ receptor agonists. *J. Med. Chem.* **53(10)**, 4198-4211 (2010).
2. Piali, L., Froidevaux, S., Hess, P., *et al.* The selective sphingosine 1-phosphate receptor 1 agonist ponesimod protects against lymphocyte-mediated tissue inflammation. *J. Pharmacol. Exp. Ther.* **337(2)**, 547-556 (2011).

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