PRODUCT INFORMATION



Ponesimod

Item No. 22053

CAS Registry No.:	854107-55-4	,		
Formal Name:	(2Z)-5Z-[[3-chloro-4-[(2R)-2,3-dihydroxypropoxy]		0	
	phenyl]methylene]-3-(2-methylphenyl)-2-			НО.,
	(propylimino)-4-thiazolidinone		-N	
MF:	$C_{23}H_{25}CIN_2O_4S$		S	
FW:	461.0		//	Į U
Purity:	≥98%		N	ĊI
UV/Vis.:	λ _{max} : 242, 345 nm		\rangle	
Supplied as:	A crystalline solid		Į	
Storage:	-20°C			
Stability:	≥4 years			
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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 (S1P₁/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 S1P₁ in a GTP γ S assay (EC₅₀s = 5.7, >10,000, 105, 1,108, and 59.1 nM, for $S1P_1$ -S1P₅, 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-1 β , 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 S1P₁ 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).

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

SAFFTY 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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