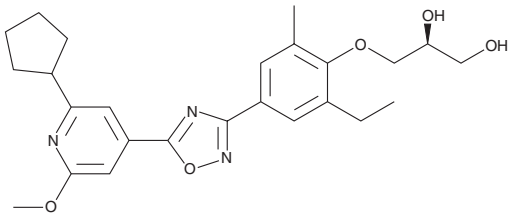
PRODUCT INFORMATION

Cenerimod

Item No. 29665

CAS Registry No.:	1262414-04-9
Formal Name:	(2S)-3-[4-[5-(2-cyclopentyl-6-methoxy-4-pyridinyl)-1,2,4-oxadiazol-3-yl]-2-ethyl-6-methylphenoxy]-1,2-propanediol
MF:	$C_{25}H_{31}N_3O_5$
FW:	453.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 249 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

Cenerimod is supplied as a crystalline solid. A stock solution may be made by dissolving the cenerimod in the solvent of choice, which should be purged with an inert gas. Cenerimod is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of cenerimod in these solvents is approximately 10, 20, and 25 mg/ml, respectively.

Cenerimod is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, cenerimod should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Cenerimod has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cenerimod is a sphingosine-1-phosphate receptor 1 ($S1P_1$) modulator with an EC_{50} value of 1 nM in a [^{35}S]GTPγS binding assay.[1] It is selective for $S1P_1$ over $S1P_2$, -3, -4, and -5 (EC_{50}s = >10,000, 228, 2,137, and 36 nM, respectively). Cenerimod (5 µM) decreases TGF-β-induced increases in collagen levels in primary mouse skin fibroblasts.[2] It inhibits $CD4^+$ T cell, $CD8^+$ T cell, and $CD11b^+$ cell infiltration, reduces *Il1b*, *Il6*, and *Il13* expression, as well as decreases fibrosis in the skin of a mouse model of sclerodermatous chronic graft *versus* host disease induced by allogenic bone marrow transplant when administered at a dose of 10 mg/kg per day. Cenerimod (6 mg/kg per day for 32 days) reduces paralysis and spinal cord demyelination in a mouse model of experimental autoimmune encephalitis (EAE).

References

1. Piali, L., Birker-Robaczewska, M., Lescop, C., *et al*. Cenerimod, a novel selective $S1P_1$ receptor modulator with unique signaling properties. *Pharmacol. Res. Perspec.* **5(6)**, e00370 (2017).
2. Kano, M., Kobayashi, T., Date, M., *et al*. Attenuation of murine sclerodermatous models by the selective $S1P_1$ receptor modulator cenerimod. *Sci. Rep.* **9(1)**, 658 (2019).

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