

# PRODUCT INFORMATION



## Ceralifimod

Item No. 24159

CAS Registry No.: 891859-12-4

Formal Name: 1-[[[3,4-dihydro-6-[(2-methoxy-4-propylphenyl)methoxy]-1-methyl-2-naphthalenyl]methyl]-3-azetidinecarboxylic acid

Synonym: ONO-4641

MF:  $C_{27}H_{33}NO_4$

FW: 435.6

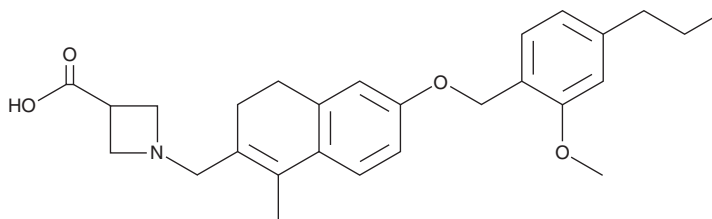
Purity:  $\geq 95\%$

UV/Vis.:  $\lambda_{max}$ : 280 nm

Supplied as: A crystalline solid

Storage:  $-20^{\circ}C$

Stability:  $\geq 4$  years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

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

Ceralifimod is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ceralifimod should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Ceralifimod has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Ceralifimod is an agonist of the sphingosine-1-phosphate (S1P) receptors  $S1P_1$  and  $S1P_5$  ( $K_i$ s = 0.626 and 0.574 nM, respectively).<sup>1</sup> It is selective for  $S1P_1$  and  $S1P_5$  over  $S1P_{2-4}$  ( $K_i$ s =  $>5,450$ ,  $>5,630$ , and 28.8 nM, respectively). Ceralifimod induces calcium influx in CHO-K1 cells overexpressing  $S1P_1$  ( $EC_{50}$  = 1 nM) and cAMP accumulation in CHO-K1 cells overexpressing  $S1P_1$  or  $S1P_5$  ( $EC_{50}$ s = 0.027 and 0.33 nM, respectively). *In vivo*, ceralifimod (0.03 and 0.1 mg/kg) reduces paw edema in a rat model of collagen induced arthritis (CIA). It also delays disease onset and inhibits lymphocyte infiltration of the spinal cord in a rat model of experimental autoimmune encephalomyelitis (EAE) and prevents disease relapse in a non-obese diabetic mouse model of relapsing-remitting EAE.<sup>2</sup>

### References

1. Kurata, H., Kusumi, K., Otsuki, K., *et al.* Discovery of a 1-methyl-3,4-dihydronaphthalene-based sphingosine-1-phosphate (S1P) receptor agonist ceralifimod (ONO-4641). A  $S1P_1$  and  $S1P_5$  selective agonist for the treatment of autoimmune disease. *J. Med. Chem.* **60**(23), 9508-9530 (2017).
2. Komiya, T., Sato, K., Shioya, H., *et al.* Efficacy and immunomodulatory actions of ONO-4641, a novel selective agonist for sphingosine 1-phosphate receptors 1 and 5, in preclinical models of multiple sclerosis. *Clin. Exp. Immunol.* **171**(1), 54-62 (2013).

#### WARNING

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

#### SAFETY 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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