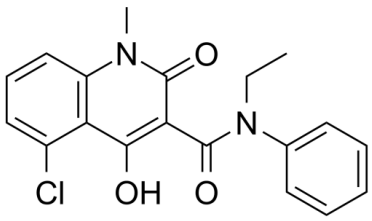
# Data Sheet

| | |
|---|---|
| **Product Name:** | Laquinimod |
| **Cat. No.:** | CS-0839 |
| **CAS No.:** | 248281-84-7 |
| **Molecular Formula:** | C19H17ClN2O3 |
| **Molecular Weight:** | 356.80 |
| **Target:** | Apoptosis; NF-κB |
| **Pathway:** | Apoptosis; NF-κB |
| **Solubility:** | DMSO : 100 mg/mL (280.27 mM; Need ultrasonic) |




## BIOLOGICAL ACTIVITY:

Laquinimod is a potent immunomodulator which prevents neurodegeneration and inflammation in the central nervous system. IC50 & Target: NF-κB[3] **In Vitro:** Laquinimod reverses EAE and inhibits pathogenic T cell immune responses. Laquinimod reverses RR-EAE and inhibits inflammatory T cell responses via a direct effect on myeloid APC. Laquinimod alters myeloid APC subsets and inhibits Th1 and Th17 polarization of myelin-specific T cells. Laquinimod-induced type II (M2) monocytes reverse established EAE[1]. Laquinimod modulates the phenotype of B cells of healthy donors. Laquinimod modulates expression of markers related to regulatory capacity in B cells of RRMS patients. Laquinimod reduces IFNγ cytokine expression in $CD4^+$ T cells[2]. **In Vivo:** Laquinimod treatment inhibits donor myelin-specific T cells from transferring EAE to naive recipient mice. In vivo laquinimod treatment alters subpopulations of myeloid antigen presenting cells (APC) that include a decrease in $CD11c^+CD11b^+CD4^+$ dendritic cells (DC) and an elevation of $CD11b^{hi}Gr1^{hi}$ monocytes[1].

## PROTOCOL (Extracted from published papers and Only for reference)

**Cell Assay:** [1]Purified $CD11b^+$ cells from laquinimod- or vehicle-treated mice are cultured with naive $CD4^+$ cells isolated from laquinimod- or vehicle-treated 2D2 mice and antigen (MOG p35-55, 20 μg/mL). Cells are cultured in 96-well microtitre plates at a concentration of $0.25\times10^6$ cells/mL. Culture medium consisted of RPMI 1640 supplemented with L-glutamine (2 mM), sodium pyruvate (1 mM), penicillin (100 U/mL), streptomycin (0.1 mg/mL), 2-mercaptoethanol ($5\times10^{-5}$ M) and 10% (v/v) fetal bovine serum. Cells are incubated for 48 h and pulsed for 18 h with 1 μCi per well of [$^3$H]-thymidine before harvesting. **Animal Administration:** Laquinimod is dissolved in purified water.[1]Seven to 10-week-old female C57BL/6, DBA/1 or SJL/J mice are injected subcutaneously with 50 μg MOG p35-55, 50 μg rMOG or 100 μg PLP p139-151, respectively, in complete Freund's adjuvant. After immunization and 2 days later, mice receive 200 ng (C57BL/6) or 100 ng (SJL/J) pertussis toxin intraperitoneally (i.p.). For adoptive transfer, donor SJL/J mice are immunized as described above and treated daily with laquinimod or vehicle. 10 days later, cells from draining lymph nodes and spleen are isolated, re-stimulated for 48 h (20 μg/mL PLP p139-151), and injected i.p. into naive SJL/J recipients ($10^7$ cells per mouse). Animals are observed daily and clinical scores are assessed as follows: 0, no signs; 1, decreased tail tone; 2, mild monoparesis or paraparesis; 3, severe paraparesis; 4, paraplegia and/or quadraparesis; and 5, moribund or death.

## References:

[1]. Schulze-Topphoff, Ulf., et al. Laquinimod, a quinoline-3-carboxamide, induces type II myeloid cells that modulate central nervous system autoimmunity. PLoS One (2012), 7(3), e33797.

[2]. Toubi E, et al. Laquinimod modulates B cells and their regulatory effects on T cells in Multiple Sclerosis. J Neuroimmunol. 2012 Oct 15;251(1-2):45-54.

[3]. Brück W, et al. Reduced astrocytic NF-κB activation by laquinimod protects from cuprizone-induced demyelination. Acta Neuropathol. 2012 Sep;124(3):411-24.

## CAIndexNames:

3-Quinolinecarboxamide, 5-chloro-N-ethyl-1,2-dihydro-4-hydroxy-1-methyl-2-oxo-N-phenyl-

## SMILES:

O=C(C1=C(O)C2=C(N(C)C1=O)C=CC=C2Cl)N(CC)C3=CC=CC=C3



Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA