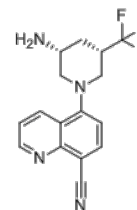


Product Name : M5049
Cat. No. : PC-72049
CAS No. : 2101938-42-3
Molecular Formula : C₁₆H₁₅F₃N₄
Molecular Weight : 320.319
Target : Toll-like Receptor (TLR)
Solubility :



Biological Activity

M5049 (Enpatoran, M-5049) is a novel potent, selective **TLR7/8** inhibitor with IC₅₀ of 11.1/24.1 nM in HEK NF-κB-luciferase reporter cells assay, respectively.

M5049 (Enpatoran) is potent against TLR7 and TLR8 in PBMCs (IL-6 IC₅₀=68.3 and 620 nM) as well as whole blood (IL-6 IC₅₀=2.2 nM).

M5049 (Enpatoran) showed good selectivity and were found to be inactive against TLR3, TLR4 and TLR9 in vitro and in vivo, as well as against a panel of 389 kinases as off-target profiling and at 1 mM M5049 only inhibited the activity of one kinase more than 50% (CAMKK2).

M5049 (Enpatoran) blocks multiple TLR7/8 RNA ligands. Treatment of BXS-B-Yaa mice with M5049 (Enpatoran) led to an improvement in survival and reduction in kidney disease as evidenced by lower proteinuria.

References

Vlach J, et al. *J Pharmacol Exp Ther.* 2021 Mar;376(3):397-409.

Port A, et al. *Pharmacol Res Perspect.* 2021 Oct;9(5):e00842.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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