## A-9758

| Cat. No.:<br>CAS No.: | HY-126252<br>2055271-22-0  |   |
|-----------------------|--|---|
| Molecular Formula:    | C <sub>25</sub> H <sub>23</sub> Cl <sub>2</sub> F <sub>3</sub> N <sub>2</sub> O <sub>3</sub> |   |
| Molecular Weight:     | 527.36   |   |
| Target:               | ROR; Interleukin Related   |   |
| Pathway:              | Metabolic Enzyme/Protease; Immunology/Inflammation   | Ö |
| Storage:              | Please store the product under the recommended conditions in the Certificate of Analysis.    |   |

| BIOLOGICAL ACTIVITY       |  |        |       |  |
|---------------------------|--|--------|-------|--|
| BIOLOGICAL ACTIVITY       |  |        |       |  |
| Description               | A-9758 is a RORγ ligand and a potent, selective RORγt inverse agonist (IC <sub>50</sub> =5 nM), and exhibits robust potency against IL-<br>17A release. A-9758 is effective in suppressing both Th17 differentiation and Th17 effector function. A-9758 significantly<br>attenuates IL-23 driven psoriasiform dermatitis and is effective in blocking skin and joint inflammation <sup>[1]</sup> .   |        |       |  |
| IC <sub>50</sub> & Target | RORγt<br>5 nM (IC <sub>50</sub> )  | IL-17A | IL-23 |  |
| In Vitro                  | A-9758 inhibits human, mouse, dog and rat RORγ transactivation (IC <sub>50</sub> =38 nM, 20 nM, 25 nM and 64 nM, respectively) <sup>[1]</sup> .<br>A-9758 displays a cofactor profile in recruiting co-repressors (NCoR1: EC <sub>50</sub> =60 nM, NCoR2: EC <sub>50</sub> =43 nM) and derecruiting co-<br>activators (NCoA1: IC <sub>50</sub> =110 nM, PGC1α: IC <sub>50</sub> =49 nM) <sup>[1]</sup> .<br>A-9758 inhibits TCR-mediated IL-17A secretion with an IC <sub>50</sub> of 100 and 38 nM for human CD4 <sup>+</sup> T cells and in vitro<br>differentiated mouse Th17 cells, respectively. A-9758 attenuates the differentiation of RORγt expressing Th17 cells and/or<br>their effector function <sup>[1]</sup> .<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |        |       |  |

## REFERENCES

[1]. Gauld S, et al. Inhibition of IL-23 mediated inflammation with a novel small molecule inverse agonist of RORgt. J Pharmacol Exp Ther. 2019 Aug 2. pii: jpet.119.258046.

Caution: Product has not been fully validated for medical applications. For research use only.

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