Proteins

OATD-02

Cat. No.: HY-155108 CAS No.: 2146132-73-0 Molecular Formula: $C_{12}H_{25}BN_{2}O_{4}$

272.15 Molecular Weight: Target: Arginase

Pathway: Immunology/Inflammation; Metabolic Enzyme/Protease

Please store the product under the recommended conditions in the Certificate of Storage:

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description OATD-02 is an orally active, competitive, reversible, noncovalent dual inhibitor of Arginase1 and 2. OATD-02 is a slow offset inhibitor, blocking intracellular arginases with IC₅₀s of 20 nM (hARG1), 39 nM (hARG2), 39 nM (mARG1), and 28 nM (rARG1), respectively. OATD-02 abolishes tumor immunosuppression induced by both arginases. OATD-02 can be used for melanoma

study^[1].

IC₅₀ & Target 20 nM (hARG1), 39 nM (hARG2), 39 nM (mARG1), 28 nM (rARG1)

In Vitro OATD-02 inhibits mouse ARG in BMDM cells with IC $_{50}$ of 912.9 nM and human ARG2 in transfected CHO-K1 cells with IC $_{50}$ of

171.6 nM, and inhibits hARG1 in human primary hepatocytes at a much higher micromolar concentration ($IC_{50} = 13 \text{ mM}$) [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo OATD-02 (10 mg/kg, oral gavage) generates a strong and a long pharmacodynamic effect in vivo, and has oral bioavailability

of 13%, 30%, and 61% from mouse through rat to dog, respectively^[1].

OATD-02 (5 mg/kg, oral gavage) increases fourfold of the L-arginine concentration in plasma sustained even 1 week after end of a treatment^[1].

OATD-02 (twice per day at 50 mg/kg, oral gavage) inhibits the tumor growth in B16F10 orthotopic xenograft tumor model^[1].

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Animal Model:	B16F10 orthotopic xenograft tumor model $^{[1]}$
Dosage:	Twice per day at 50 mg/kg for 15days
Administration:	Oral gavage
Result:	Inhibited tumor growth (TGI 46%).

REFERENCES

[1]. Borek B, et al. Arginase 1/2 Inhibitor OATD-02: From Discovery to First-in-man Setup in Cancer Immunotherapy. Mol Cancer Ther. 2023;22(7):807-817.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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