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**Product Data Sheet**

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Product Name: GCN2-IN-7

Cat. No.: GC68284

**Chemical Properties**

Cas. No. 2396465-33-9

Formula C<sub>22</sub>H<sub>23</sub>BrN<sub>8</sub>O<sub>5</sub> M.Wt 527.44

Solubility Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure **Background**IC<sub>50</sub>: 5 nM (GCN2)<sup>[1]</sup>

GCN2-IN-7 (compound 39) is an orally active and selective **general control nonderepressible 2 (GCN2)** inhibitor (**IC<sub>50</sub>**=5 nM). GCN2-IN-7 shows anti-tumor activity in vivo<sup>[1]</sup>.

GCN2-IN-7 (600 nM; 3 d) alleviates myeloid-derived suppressive cells (MDSC)-related T cell suppression and restores T cell proliferation<sup>[1]</sup>.

Cell Proliferation Assay<sup>[1]</sup>Cell Line: CD8<sup>+</sup> T cells from WT and GCN2KO cells

Concentration: 600 nM

Incubation Time: 3 days

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

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**Result:** Relieved MDSC suppression of proliferation of the co-cultured CD8<sup>+</sup> T cells with significant relief at 600 nM.

GCN2-IN-7 (oral gavage; 15 mg/kg; BID; 17 d) shows robust target engagement in vivo at a reasonable dose<sup>[1]</sup>.

GCN2-IN-7 (oral gavage; 50 mg/kg; BID; 17 d) inhibits tumor growth in vivo of the LL2 syngeneic mouse tumor model<sup>[1]</sup>.

**Animal Model:** Balb/c mice injected with RENCA cells<sup>[1]</sup>

**Dosage:** 15 mg/kg

**Administration:** Oral gavage; 15 mg/kg; BID; 17 days

**Result:** Showed robust target engagement of GCN2 in both tumor (average of 84%) and spleen (average of 80%) tissues. Showed an average of 65% reduction of downstream marker Activation Transcription Factor 4 (ATF4) in compound-treated mice compared to the vehicle treatment group.

**Animal Model:** LL2 syngeneic mouse model<sup>[1]</sup>

**Dosage:** 50 mg/kg

**Administration:** Oral gavage; 50 mg/kg; BID; 17 days

**Result:** Showed tumor growth inhibition (56%) after 26 days of dosing compared to the vehicle group.

[1]. Jackson JJ, et al. Potent GCN2 Inhibitor Capable of Reversing MDSC-Driven T Cell Suppression Demonstrates In Vivo Efficacy as a Single Agent and in Combination with Anti-Angiogenesis Therapy. J Med Chem. 2022 Sep 20.

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