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**Product Data Sheet**

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Product Name: AS2521780

Cat. No.: GC31959

**Chemical Properties**

Cas. No. 1214726-89-2

SMILES CSC1=C(CNC2=NC=C(C#N)C(NC[C@@]3(C[C@@H](C4)C5NC[C@H]6CC[C@H](O)CC6)C[C@H]4C[C@H]5C3)=N2)C=CC=N1Formula C<sub>30</sub>H<sub>41</sub>N<sub>7</sub>OS M.Wt 547.76

Solubility Soluble in DMSO 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 **Protocol****Cell experiment:**

Human peripheral T cells are incubated with AS2521780 and anti-human CD28 (0.5 µg/mL). After 48 h incubation, cell proliferation is detected using a CellTiter-Glo Luminescent Cell Viability Assay[1].

**Animal experiment:**

AS2521780 or vehicle is orally administered twice daily from Day 1 to 24. On Day 25, the left hind paw of each rat is dissected above the ankle joint and fixed in 10% neutral buffered formalin. After decalcification, the paws are embedded in paraffin, sectioned longitudinally and stained with hematoxylin and eosin[1].

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

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### References:

[1]. Fukahori H, et al. Effect of AS2521780, a novel PKC $\theta$  selective inhibitor, on T cell-mediated immunity. Eur J Pharmacol. 2014 Dec 15;745:217-22.

### Background

AS2521780 is a novel PKC $\theta$  selective inhibitor with an IC<sub>50</sub> of 0.48 nM.

AS2521780 exerts potent inhibition of recombinant human PKC $\theta$  enzyme activity (IC<sub>50</sub>=0.48 nM), which is more than 30-fold higher than that of other PKC isoforms. Further, AS2521780 exerts little or no inhibition on other protein kinases. AS2521780 suppresses CD3/CD28-induced Interleukin-2 (IL-2) gene transcription in Jurkat T cells and proliferation of human primary T cells. AS2521780 also suppresses concanavalin A-induced cytokine production by rat splenocytes and monkey peripheral blood mononuclear cells with similar potency[1].

AS2521780 significantly reduces paw swelling in a dose-dependent manner in a rat model of adjuvant-induced arthritis[1].

[1]. Fukahori H, et al. Effect of AS2521780, a novel PKC $\theta$  selective inhibitor, on T cell-mediated immunity. Eur J Pharmacol. 2014 Dec 15;745:217-22.

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