AS2521780

Cat. No.: HY-12663
CAS No.: 1214726-89-2
Molecular Formula: C₃₀H₄₁N₇OS
Molecular Weight: 547.76
Target: PKC
Pathway: Epigenetics; TGF-beta/Smad
Storage: Please store the product under the recommended conditions in the COA.

BIOLOGICAL ACTIVITY

Description
AS2521780 is a novel PKCθ selective inhibitor with an IC₅₀ of 0.48 nM.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>IC₅₀</th>
<th>PKCθ</th>
<th>PKCe</th>
<th>PKCα</th>
<th>PKCδ</th>
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<tbody>
<tr>
<td>0.48 nM (IC₅₀)</td>
<td>18 nM (IC₅₀)</td>
<td>160 nM (IC₅₀)</td>
<td>160 nM (IC₅₀)</td>
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In Vitro
AS2521780 exerts potent inhibition of recombinant human PKCθ enzyme activity (IC₅₀=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]

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

PROTOCOL

Cell Assay[1]
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration[1]
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

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

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