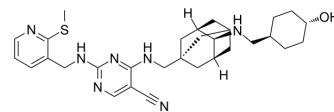


AS2521780

Cat. No.:	HY-12663
CAS No.:	1214726-89-2
Molecular Formula:	C ₃₀ H ₄₁ N ₇ OS
Molecular Weight:	547.76
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AS2521780 is a novel PKC θ selective inhibitor with an IC ₅₀ of 0.48 nM.			
IC₅₀ & Target	PKC θ 0.48 nM (IC ₅₀)	PKC ϵ 18 nM (IC ₅₀)	PKC α 160 nM (IC ₅₀)	PKC δ 160 nM (IC ₅₀)
In Vitro	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			
In Vivo	<p>AS2521780 significantly reduces paw swelling in a dose-dependent manner in a rat model of adjuvant-induced arthritis^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			

PROTOCOL

Cell Assay ^[1]	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[1]	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

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- Cell Cycle. 2021 Feb 22;1-11.

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REFERENCES

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

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

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