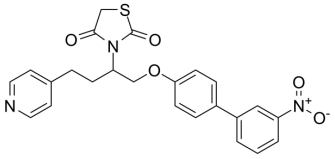
AZ 11645373

Cat. No.:	HY-108670
CAS No.:	227088-94-0
Molecular Formula:	$C_{24}H_{21}N_3O_5S$
Molecular Weight:	463.51
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AZ11645373 is a highly selective and potent antagonist at human but not rat P2X7 receptors．AZ11645373 inhibits ATP-evoked IL-1β release from lipopolysaccharide-activated THP-1 cells , with an IC_{50} value of 90 nM[1].
In Vitro	AZ11645373 produces a concentration-dependent inhibition of BzATP-mediated calcium transients, with complete inhibition observed at concentrations between 100 and 300 nM according to concentration-inhibition curves[1]. AZ11645373 inhibits ATP- or BzATP-evoked YO-PRO1 fluorescence in HEK cells stably expressing hP2X7R, but not in cells expressing rP2X7R, with an K_B value not significantly different from those obtained in experiments measuring membrane currents or calcium mobilization[1]. AZ11645373 (0.01, 0.1, 1 μM; 30 min) has no significant effect on basal levels of IL-1β in culture medium of LPS-treated cells, but produces a concentration-dependent inhibition of ATP-mediated IL-1β release with a calculated K_B value of 92 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Stokes L, et al. Characterization of a selective and potent antagonist of human P2X(7) receptors, AZ11645373. Br J Pharmacol. 2006 Dec;149(7):880-7.

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