Product Data Sheet

Product Name: AZ 11645373
Cat. No.: GC18052

Chemical Properties

Cas. No.	227088-94-0		
Chemical Name	(R)-3-(1-((3'-nitro-[1,1'-biphenyl]-4-yl)oxy)-4-(pyridin-4-yl)butan-2-yl)thiazolidine-2,4-dione		
SMILES	O=C1N(C(SC1)=O)[C@@H](COC2=CC=C(C3=CC=CC([N+]([O-])=O)=C3)C=C2)CCC4=CC=NC=C4		
Formula	$C_{24}H_{21}N_3O_5S$	M.Wt	463.51
Solubility	<4.64mg/ml in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	AZ 11645373		

Background

AZ11645373 is identified as a highly selective and potent antagonist of human P2X7 receptors but not mouse/rat P2X7 receptors.

Adenosine 5′-triphosphate (ATP)-gated P2X receptors (P2XRs) comprise of seven genes which encode plasma membrane ion channels for calcium cation. These proteins are differentially expressed throughout autonomic, sensory and central neurons as well as in visceral smooth muscle, epithelia and immune cells. P2XRs was also reported to have potential roles in acute and/or chronic pain sensation.

In cellular culture, treatment of AZ11645373 inhibited human P2X7 receptor responses in HEK cells, which dislayed in a non-surmountable manner with K B values ranging from 5 - 20 nM 1. K B values were not altered by removing extracellular calcium and magnesium. In addition, AZ11645373 treatment inhibited ATP-evoked IL-1β release from lipopolysaccharide- activated THP-1 cells with IC50 = 90 nM 1.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Regarding the effect of AZ11645373 administration in vivo, the evidence should be provided by performing the study in human or mice or other animal models.

Reference:

1. Stokes L, Jiang LH, Alcaraz L, et al. Characterization of a selective and potent antagonist of human P2X(7) receptors, AZ11645373. British journal of pharmacology. 2006;149(7):880-887.

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