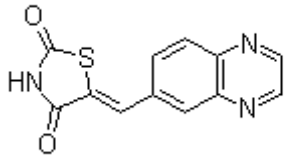
Product Introduction

AS-605240

AS-605240 selectively inhibits **PI3Kγ** with **IC50** of 8 nM, over 30-fold and 7.5-fold more selective for PI3Kγ than PI3Kδ/β and PI3Kα, respectively.

Technical Data:

Molecular Weight (MW):	257.27	
Formula:	$C_{12}H_7N_3O_2S$	
Solubility (25 ℃) * <1 mg/ml means slightly soluble or insoluble:	DMSO 0.4 mg/mL	
	Water <1mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃ Powder	
	6 months-80℃ in DMSO	
CAS No.:	648450-29-7	

Biological Activity

AS-605240 is an ATP-competitive PI3Kγ inhibitor, with Ki values of 7.8 nM. AS-605240 is isoform-selective, for AS-605240 also inhibits PI3Kα, β, and δ, with IC50 of 60, 270, and 300 nM, respectively. AS-605240 inhibits C5a-mediated PKB phosphorylation with IC50 of 90 nM. In bone marrow-derived monocytes (BMDMs), AS-605240 (1 μM) blocks MCP-1- or CSF-1-induced PKB phosphorylation. [1] At SC-CA1 synapses in mice, AS-605240 (100 nM) eliminates NMDAR LTD, without affecting mGluR LTD, depotentiation, and LTP. [2]

Note：Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

In RANTES-induced mouse model of peritonitis, AS-605240 reduces neutrophil chemotaxis with ED50 of 9.1 mg/kg. In a αCII-induced arthritis, AS-605240 (50 mg/kg) protects against αCII-IA symptom. In a mouse model of collagen-induced arthritis, AS-605240 (50 mg/kg) also suppresses joint inflammation and damage. [1] In an obesity-induced diabetes model (ob/ob mice), AS-605240 (10 mg/kg) lowers blood glucose levels, significantly improves both insulin sensitivity and glucose tolerance without affecting body weight. AS-605240 (30 mg/kg) displays more profound effects with slightly less weight gain. Moreover, AS-605240 reduces the abundance of ATMs and the circulating levels of MCP-1. [3]

The most potent member of a new class of PI3Kγ-selective inhibitors.

References

[1] Camps M, et al. Nat Med, 2005, 11(9), 936-943.

[2] Kim JI, et al. Nat Neurosci, 2011, 14(11), 1447-1454.

[3] Kobayashi N, et al. Proc Natl Acad Sci U S A, 2011, 108(14), 5753-5758.

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