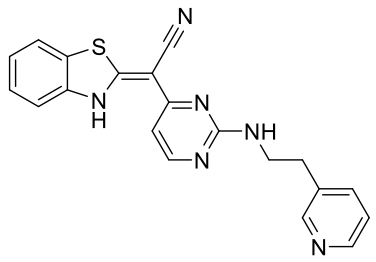
Product data sheet

MedKoo Cat#: 406237 Name: AS601245 CAS#: 345987-15-7 Chemical Formula: $C_{20}H_{16}N_6S$ Exact Mass: 372.11572 Molecular Weight: 372.45	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

AS601245 is a potent and selective JNK inhibitor. AS601245 decrease cell adhesion and migration through modulation of specific gene expression in human colon cancer cells. AS601245 and clofibrate have a synergistic effect in inducing cell responses and in affecting the cene expression profile in CaCo-2 colon cancer cells. AS601245 reduces axon/dendrite damage and cognitive deficits after global cerebral ischaemia in gerbils. AS601245 shows promising neuroprotective properties.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	6.0	16.11
DMF	2.0	5.37

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.68 mL	13.42 mL	26.85 mL
5 mM	0.54 mL	2.68 mL	5.37 mL
10 mM	0.27 mL	1.34 mL	2.68 mL
50 mM	0.05 mL	0.27 mL	0.54 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Cerbone A, Toaldo C, Pizzimenti S, Pettazzoni P, Dianzani C, Minelli R, Ciamporcero E, Roma G, Dianzani MU, Canaparo R, Ferretti C, Barrera G. AS601245, an Anti-Inflammatory JNK Inhibitor, and Clofibrate Have a Synergistic Effect in Inducing Cell Responses and in Affecting the Gene Expression Profile in CaCo-2 Colon Cancer Cells. PPAR Res. 2012;2012:269751. doi: 10.1155/2012/269751. Epub 2012 Feb 29. PMID: 22619672; PMCID: PMC3349252.
2. Wolschendorf F, Bosque A, Shishido T, Duverger A, Jones J, Planelles V, Kutsch O. Kinase control prevents HIV-1 reactivation in spite of high levels of induced NF-κB activity. J Virol. 2012 Apr;86(8):4548-58. doi: 10.1128/JVI.06726-11. Epub 2012 Feb 15. PMID: 22345467; PMCID: PMC3318643.

In vivo study

1. Wang LW, Tu YF, Huang CC, Ho CJ. JNK signaling is the shared pathway linking neuroinflammation, blood-brain barrier disruption, and oligodendroglial apoptosis in the white matter injury of the immature brain. J Neuroinflammation. 2012 Jul 17;9:175. doi: 10.1186/1742-2094-9-175. PMID: 22805152; PMCID: PMC3414763.

2. Carboni S, Boschert U, Gaillard P, Gotteland JP, Gillon JY, Vitte PA. AS601245, a c-Jun NH2-terminal kinase (JNK) inhibitor, reduces axon/dendrite damage and cognitive deficits after global cerebral ischaemia in gerbils. Br J Pharmacol. 2008 Jan;153(1):157-63. doi: 10.1038/sj.bjp.0707574. Epub 2007 Nov 19. PMID: 18026128; PMCID: PMC2199388.

7. Bioactivity

Biological target:

AS601245 is an ATP competitive JNK (c-Jun NH2-terminal protein kinase) inhibitor with IC50s of 150, 220, and 70 nM for three JNK human isoforms (hJNK1, hJNK2, and hJNK3), respectively.

In vitro activity

Since the reduction of proliferation can be accompanied by the modulation of specific genes, this study determined the expression of proliferating cell nuclear antigen (PCNA), cyclin D1 and p21, in CaCo-2 cells. Moreover, this study found that AS601245 at the concentration of 0.1 μM was able to inhibit Jun phosphorylation in CaCo-2 cells. In Figure 2(a), the analysis of P-Jun expression revealed that the amount of P-Jun protein was reduced in cells treated with 0.1 μM AS601245. A similar result was observed in cells treated with AS601245 plus clofibrate.

Reference: PPAR Res. 2012; 2012: 269751. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3349252/

In vivo activity

In vitro kinase assay in the LPS + HI mouse group confirmed that AS601245 (40 mg/kg) treatment significantly reduced JNK activity compared to vehicle treatment at 6 and 24 h post-insult (Figure 6A). In the LPS + HI group, AS601245 treatment significantly decreased the numbers of ED1-positive activated microglia, TNF-α immunoreactivities, BBB damage and cleaved caspase 3-positive cells in the white matter 24 h post-insult compared to vehicle treatment (Figure 6B). Further immunofluorescent staining showed that AS601245 markedly decreased the p-JNK (+) cells attached to or located around the microvessels (Figure 7A), and also greatly attenuated cleaved caspase 3 expression in vascular endothelial cells (Figure 7B) and oligodendroglial progenitor cells (Figure 7C). Compared to vehicle, AS601245 treatment on P2 at a dosage of 40 mg/kg but not 20 mg/kg in the LPS + HI group significantly preserved MBP expression (Figure 8A) and markedly attenuated astrogliosis by downregulating GFAP immunoreactivities (Figure 8B) in the white matter on P11.

Reference: J Neuroinflammation. 2012 Jul 17;9:175. https://pubmed.ncbi.nlm.nih.gov/22805152/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.