# PRODUCT INFORMATION



# CGP 57380

Item No. 13322

CAS Registry No.: 522629-08-9

Formal Name: N<sup>3</sup>-(4-fluorophenyl)-1H-pyrazolo[3,4-d]

pyrimidine-3,4-diamine

Synonym: MNK1 Inhibitor MF:  $C_{11}H_9FN_6$ FW: 244.2 **Purity:** ≥95%

 $\lambda_{max}$ : 204, 235, 260, 303 nm UV/Vis.:

Supplied as: A crystalline solid

Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



CGP 57380 is supplied as a crystalline solid. A stock solution may be made by dissolving the CGP 57380 in the solvent of choice, which should be purged with an inert gas. CGP 57380 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CGP 57380 in ethanol is approximately 0.25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

CGP 57380 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CGP 57380 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CGP 57380 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

CGP 57380 is a selective inhibitor of MAP kinase-interacting kinase 1 (MNK1) in vitro (IC $_{50}$  = 2.2  $\mu$ M), with no inhibitory activity against p38, JNK1, ERK1/2, PKC, or Src-like kinases. It blocks the phosphorylation of eIF4E in response to TNF- $\alpha$ , arsenite, anisomycin, PMA, or fetal calf serum in 293 cells (IC<sub>50</sub> = 3  $\mu$ M).<sup>1</sup> CGP 57380 also dose-dependently inhibits TNF- $\alpha$  production in RAW 264.7 cells that have been co-treated with agonists for Toll-like receptor (TLR)2 (HKLM), TLR4 (LPS), TLR6/2 (FSL), TLR7 (imiquimod), or TLR9 (CpG DNA), presumably by inhibiting MNK1.2

### References

- 1. Knauf, U., Tschopp, C., and Gram, H. Negative regulation of protein translation by mitogen-activated protein kinase-interacting kinases 1 and 2. Mol. Cell. Biol. 21(16), 5500-5511 (2001).
- 2. Rowlett, R.M., Chrestensen, C.A., Nyce, M., et al. MNK kinases regulate multiple TLR pathways and innate proinflammatory cytokines in macrophages. Am. J. Physiol. Gastrointest. Liver Physiol. 294(2), 452-459 (2008).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

## WARRANTY AND LIMITATION OF REMEDY

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