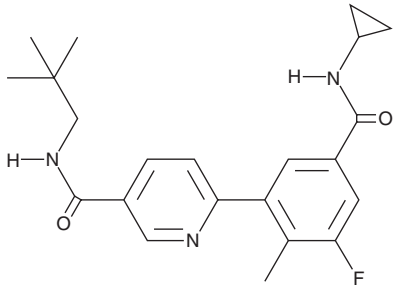
PRODUCT INFORMATION

GW 856553X

Item No. 13614

CAS Registry No.:	585543-15-3
Formal Name:	6-[5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-N-(2,2-dimethylpropyl)-3-pyridinecarboxamide
Synonyms:	GSK-AHAB, Losmapimod, SB 856553
MF:	$C_{22}H_{26}FN_3O_2$
FW:	383.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 233 nm
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.

Laboratory Procedures

GW 856553X is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 856553X in the solvent of choice. GW 856553X is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of GW 856553X in these solvents is approximately 30 mg/ml.

GW 856553X is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 856553X should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GW 856553X has a solubility of approximately 0.01 mg/ml in a 1:50 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GW 856553X is a dual inhibitor of p38α and p38β MAPK (K_is = 0.0079 and 0.025 µM, respectively, in cell-free assays).[1] It is selective for p38α and p38β MAPK over p38γ and p38δ MAPK when used at a concentration of 10 µM. GW 856553X inhibits LPS-induced TNF-α production in isolated rat and human peripheral blood mononuclear cells (PBMCs; IC_{50}s = 0.6 and 0.13 µM, respectively). It decreases disease severity in a mouse model of collagen-induced arthritis when administered at doses ranging from 0.8 to 20 mg/kg.[2] GW 856553X (1.2 and 12 mg/kg) improves survival, normalizes blood pressure, and reduces increases in plasma levels of HDL, LDL, and triglycerides in spontaneously hypertensive stroke-prone rats fed a high-salt high-fat diet.[1]

References

1. Willette, R.N., Eybye, M.E., Olzinski, A.R., *et al.* Differential effects of p38 mitogen-activated protein kinase and cyclooxygenase 2 inhibitors in a model of cardiovascular disease. *J. Pharmacol. Exp. Ther.* **330(3)**, 964-970 (2009).
2. Triantaphyllopoulos, K., Madden, L., Rioja, I., *et al. In vitro* target validation and *in vivo* efficacy of p38 MAP kinase inhibition in established chronic collagen-induced arthritis model: A pre-clinical study. *Clin. Exp. Rheumatol.* **28(2)**, 176-185 (2010).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM