PRODUCT INFORMATION

AM251
Item No. 71670

CAS Registry No.: 183232-66-8
Formal Name: 1-(2,4-dichlorophenyl)-5-(4-iodophenyl)-4-methyl-N-1-piperidinyl-1H-pyrazole-3-carboxamide
MF: C_{22}H_{21}Cl_{2}IN_{4}O
FW: 555.2
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥1 year

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

Laboratory Procedures

AM251 is supplied as a crystalline solid. A stock solution may be made by dissolving the AM251 in the solvent of choice. AM251 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of AM251 in these solvents is approximately 14, 25, and 10 mg/ml, respectively.

AM251 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AM251 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AM251 has a solubility of approximately 0.5 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AM251 binds to cannabinoid (CB) receptors with K\textsubscript{i} values of 7.5 and 2,290 nM for CB\textsubscript{1} and CB\textsubscript{2} from rat forebrain and mouse spleen, respectively.\textsuperscript{1} It acts as an antagonist at CB\textsubscript{1} and an inverse agonist at CB\textsubscript{2} receptors.\textsuperscript{1,2} It also acts as an agonist at GPR55 (EC\textsubscript{50} = 39 nM) and potentiates GABA\textsubscript{A} receptor currents (EC\textsubscript{50} = 0.4 µM).\textsuperscript{3,4} AM251 prevents TGF-β1-induced epithelial-to-mesenchymal transition (EMT) in HK-2 renal tubule epithelial cells through mechanisms independent of CB receptors or GPR55.\textsuperscript{5} It inhibits SMAD2/3 and p38 MAPK activation and the expression of EMT-related transcription factors. It has additional anticancer activities, including halting the cell cycle at the G\textsubscript{2}/M phase and inducing apoptosis in A375 human melanoma cells.\textsuperscript{6} In mice, AM251 reduces antidepressant-like behavior in the tail suspension and forced swim tests and decreases hyperphagia induced by fasting.\textsuperscript{7}

References