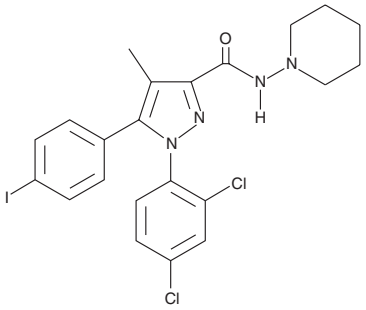
PRODUCT INFORMATION

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: $C_{22}H_{21}Cl_2IN_4O$
FW: 555.2
Purity: ≥98%
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

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 is a cannabinoid 1 (CB_1) receptor 1 antagonist.[1] It binds to CB_1 receptors in rat forebrain membrane preparations (K_i = 7.5 nM) and is selective over CB_2 receptors in mouse spleen preparations (K_i = 2,290 nM) in radioligand binding assays. AM251 inhibits GTPγS binding induced by the CB receptor agonist CP 55,940 in HEK293 cells expressing human CB_1 receptors (EC_{50} = 8 nM).[2] AM251 (10 mg/kg) decreases immobility time in the forced swim test in wild-type but not CB_1 receptor-deficient mice.[3] It reduces fasting-induced food intake and body weight gain in mice when administered at a dose of 30 mg/kg. AM251 also induces GTPγS binding in HEK293 cells expressing the orphan receptor GPR55 (EC_{50} = 39 nM) and potentiates GABA-induced $GABA_A$ receptor currents (EC_{50} = 0.4 µM).[2,4] It prevents TGF-β1-induced epithelial-to-mesenchymal transition (EMT), inhibits SMAD2/3 and p38 MAPK activation, and reduces the expression of EMT-related transcription factors in HK-2 renal tubule epithelial cells.[4] AM251 induces cell cycle arrest at the G_2/M phase and apoptosis in A375 human melanoma cells.[5]

References

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2. Ryberg, E., Larsson, N., Sjögren, S., *et al*. *Br. J. Pharmacol*. **152(7)**, 1092-1101 (2007).
3. Shearman, L.P., Rosko, K.M., Fleischer, R., *et al*. *Behav. Pharmacol*. **14(8)**, 573-582 (2003).
4. Baur, R., Gertsch, J., and Sigel, E. *Br. J. Pharmacol*. **165(8)**, 2479-2484 (2012).
4. Yoshinaga, T., Uwabe, K., Naito, S., *et al*. *PloS One* **11(12)**, e0167848 (2016).
5. Carpi, S., Fogli, S., Romanini, A., *et al*. *Anticancer Drugs* **26(7)**, 754-762 (2015).

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