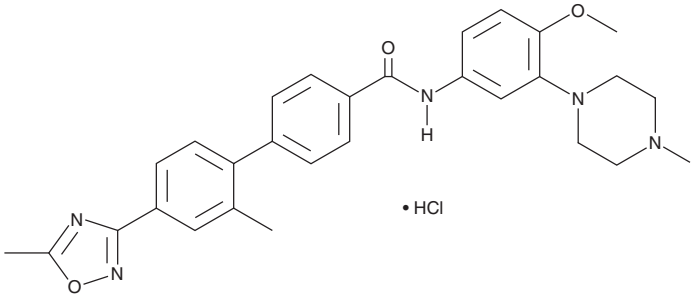
PRODUCT INFORMATION

GR127935 (hydrochloride)

Item No. 29651

CAS Registry No.:	148642-42-6
Formal Name:	N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-2′-methyl-4′-(5-methyl-1,2,4-oxadiazol-3-yl)-[1,1′-biphenyl]-4-carboxamide, monohydrochloride
MF:	$C_{29}H_{31}N_5O_3$ • HCl
FW:	534.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 291 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

GR127935 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the GR127935 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. GR127935 (hydrochloride) is soluble in the organic solvent DMSO at a concentration of approximately 1 mg/ml.

GR127935 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GR127935 (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GR127935 (hydrochloride) has a solubility of approximately 0.25 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

GR127935 is an antagonist of the serotonin (5-HT) receptor subtypes 5-HT_{1B}, 5-$HT_{1D\alpha}$, and 5-$HT_{1D\beta}$ (IC_{50}s = 3.16, 1.26, and 0.13 nM, respectively).[1] It is selective for the 5-HT_{1B} and 5-HT_{1D} receptors over other 5-HT receptors (IC_{50}s = 39.81->10,000 nM in radioligand binding assays). GR127935 increases extracellular 5-HT levels in the guinea pig frontal cortex and prevents increases in 5-HT in rat frontal cortex induced by the selective serotonin reuptake inhibitor paroxetine (Item No. 14998).[2] It enhances memory consolidation in an autoshaping learning task in rats when administered post-training at a dose of 10 mg/kg.[3] It has been used to elucidate the 5-HT receptor subtypes involved in the anti-inflammatory activity of sumatriptan (Item No. 14600) following myocardial ischemia-reperfusion injury and testicular torsion/detorsion.[4,5]

References

1. Skingle, M., Beattie, D.T., Scopes, D.I., *et al. Behav. Brain Res.* **73(1-2)**, 157-161 (1996).
2. Sharp, T., Umbers, V., and Gartside, S.E. *Br. J. Pharmacol.* **121(5)**, 941-946 (1997).
3. Meneses, A., Terrón, J.A., and Hong, E. *Behav. Brain Res.* **89(1-2)**, 217-223 (1997).
4. Sheibani, M., Faghir-Ghanesefat, H., Dehpour, S., *et al. Inflammopharmacology* **27(5)**, 1071-1080 (2019).
5. Dejban, P., Rahimi, N., Takzare, N., *et al. Andrologia* **51(9):e13358** (2019).

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