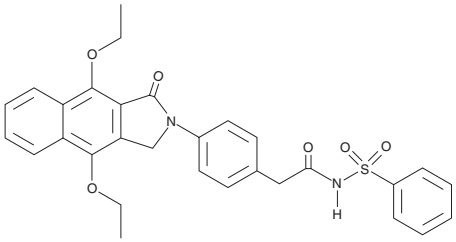
PRODUCT INFORMATION

GW 627368X

Item No. 10009162

CAS Registry No.:	439288-66-1
Formal Name:	4-(4,9-diethoxy-1,3-dihydro-1-oxo-2H-benz[f]isoindol-2-yl)-N-(phenylsulfonyl)-benzeneacetamide
MF:	$C_{30}H_{28}N_2O_6S$
FW:	544.6
Purity:	≥96%
UV/Vis.:	λ_{max}: 217, 229, 248, 295, 353 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 627368X is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 627368X in the solvent of choice, which should be purged with an inert gas. GW 627368X is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of GW 627368X in these solvents is approximately 10 and 30 mg/ml, respectively.

GW 627368X is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 627368X should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GW 627368X has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The effects of prostaglandin E_2 (PGE_2) are transduced by at least four distinct receptors designated EP_1, EP_2, EP_3, and EP_4.[1] GW 627368X is a potent and selective competitive antagonist of the EP_4 receptor with additional human TP receptor affinity. In competition radioligand bioassays, GW 627368X had affinity for human EP_4 and TP receptors with K_i values of 100 nM and 158 nM, respectively.[2] Affinity for all other human prostanoid receptors is >5.0 µM. In human washed platelets, GW 627368X produced 100% inhibition of U-46619 (EC_{100})-induced aggregation at a concentration of 10 µM.

References

1. Coleman, R.A., Smith, W.L., and Narumiya, S. Classification of prostanoid receptors: Properties, distribution, and structure of the receptors and their subtypes. *Pharmacol. Rev.* **46**, 205-229 (1994).
2. Wilson, R.J., Giblin, G.M.P., Roomans, S., *et al.* GW627368X ((N-{2-[4-(4,9-diethoxy-1-oxo-1,3-dihydro-2H-benzo[f]isoindol-2-yl)phenyl]acetyl} benzene sulphonamide): A novel, potent and selective prostanoid EP_4 receptor antagonist. *Br. J. Pharmacol.* **148**, 326-339 (2006).

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