

PRODUCT INFORMATION

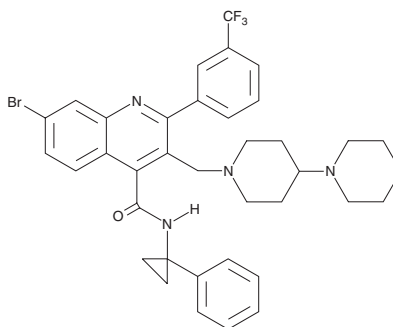


GSK2193874

Item No. 17715

CAS Registry No.: 1336960-13-4
Formal Name: 3-([1,4'-bipiperidin]-1'-ylmethyl)-7-bromo-N-(1-phenylcyclopropyl)-2-[3-(trifluoromethyl)phenyl]-4-quinolinecarboxamide

MF: C₃₇H₃₈BrF₃N₄O
FW: 691.6
Purity: ≥95%
UV/Vis.: λ_{max}: 209, 241, 330 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

GSK2193874 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2193874 in the solvent of choice, which should be purged with an inert gas. GSK2193874 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK2193874 in ethanol and DMF is approximately 15 mg/ml and approximately 14 mg/ml in DMSO.

GSK2193874 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK2193874 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GSK2193874 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2193874 is a potent antagonist of TRPV4 channels, blocking the influx of calcium induced by the TRPV4 agonist GSK634775 with IC₅₀ values of 2, 5, and 40 nM for rat, mouse, and human isoforms, respectively.¹ It is selective for TRPV4 over ~200 other human receptors, channels, and enzymes. GSK2193874 is effective *in vivo*, as it resolves pulmonary edema resulting from myocardial infarction in mice.¹ TRPV4 blockade with GSK2193874 does not alter heart rate or blood pressure in rats, although it attenuates decreases in pulmonary and systemic arterial pressures induced by TRPV4 agonists.^{1,2}

References

1. Thorneloe, K.S., Cheung, M., Bao, W., *et al.* An orally active TRPV4 channel blocker prevents and resolves pulmonary edema induced by heart failure. *Sci. Transl. Med.* **4**(159), (2012).
2. Pankey, E.A., Kassan, M., Choi, S.K., *et al.* Vasodilator responses to acetylcholine are not mediated by the activation of soluble guanylate cyclase or TRPV4 channels in the rat. *Am. J. Physiol. Heart Circ. Physiol.* **306**(11), 1495-1506 (2014).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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