

Product Name: GSK 2193874

Catalog No.: 5106

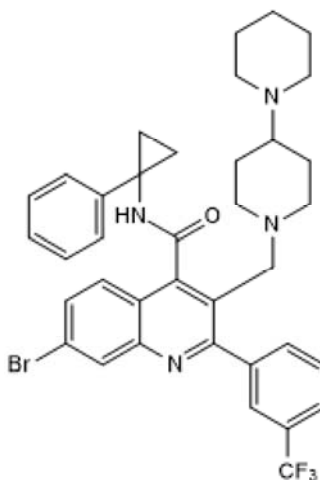
Batch No.: 2

CAS Number: 1336960-13-4

IUPAC Name: 3-([1,4'-Bipiperidin]-1'-ylmethyl)-7-bromo-*N*-(1-phenylcyclopropyl)-2-[3-(trifluoromethyl)phenyl]-4-quinolinecarboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

| | |
|-----------------------------------|---|
| Batch Molecular Formula: | C ₃₇ H ₃₈ BrF ₃ N ₄ O |
| Batch Molecular Weight: | 691.62 |
| Physical Appearance: | Off White solid |
| Solubility: | DMSO to 50 mM with gentle warming |
| Storage: | Store at +4°C |
| Batch Molecular Structure: | |



2. ANALYTICAL DATA

| | |
|---------------------------|---|
| TLC: | R _f = 0.25 (Chloroform:Methanol [9:1]) |
| HPLC: | Shows 98.7% purity |
| ¹H NMR: | Consistent with structure |
| Mass Spectrum: | Consistent with structure |
| Microanalysis: | |
| | Carbon Hydrogen Nitrogen |
| | Theoretical 64.25 5.54 8.1 |
| | Found 64.19 5.6 8.05 |

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Potent and selective TRPV4 antagonist (IC₅₀ values are 2 and 40 nM for rat and human receptors, respectively); inhibits Ca²⁺ influx through TRPV4 channels. Prevents and reverses pulmonary edema after myocardial infarction in vivo models. Selective over a panel of ~200 human receptors, channels and enzymes. Orally active.

Physical and Chemical Properties:

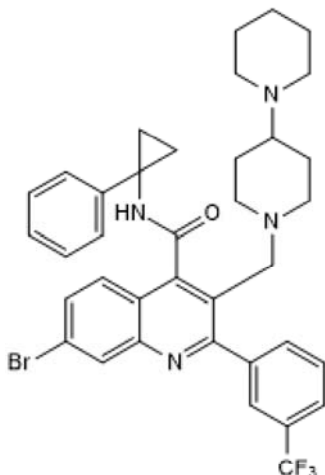
Batch Molecular Formula: C₃₇H₃₈BrF₃N₄O

Batch Molecular Weight: 691.62

Physical Appearance: Off White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 50 mM with gentle warming

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold for research purposes under agreement from GlaxoSmithKline

References:

Cheung et al (2017) Discovery of GSK2193874: an orally active, potent, and selective blocker of transient receptor potential vanilloid 4. *ACS Med.Chem.Lett.* **8** 549. PMID: 28523109.

Thorneloe et al (2012) An orally active TRPV4 channel blocker prevents and resolves pulmonary edema induced by heart failure. *Sci.Transl.Med.* **4** 159. PMID: 23136043.

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