PRODUCT INFORMATION



GSK180736A

Item No. 26182

CAS Registry No.: 817194-38-0

Formal Name: 4-(4-fluorophenyl)-1,2,3,4-tetrahydro-N-1H-indazol-

5-yl-6-methyl-2-oxo-5-pyrimidinecarboxamide

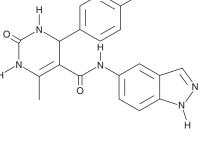
MF: $C_{19}H_{16}FN_5O_2$

FW: 365.4 **Purity:** ≥98% UV/Vis.:

 λ_{max} : 286 nm A crystalline solid Supplied as:

Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

GSK180736A is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK180736A in the solvent of choice. GSK180736A is soluble in organic solvents such as ethanol and DMSO, which should be purged with an inert gas. The solubility of GSK180736A in these solvents is approximately 3 and 70 mg/ml, respectively.

Description

GSK180736A is an inhibitor of Rho-associated kinase 1 (ROCK1; IC_{50} = 14 nM) and G protein-coupled receptor kinase 2 (GRK2; IC_{50} = 0.77 μ M).^{1,2} It is selective for GRK2 over GRK1, GRK5, and PKA (IC₅₀ = >100 μ M for all) and selective for ROCK1 over RSK1 and p20S6K (IC₅₀s = 3,100 and 2,850 nM, respectively).^{1,2} GSK180736A increases maximum contractility in isolated mouse cardiomyocytes when used at a concentration of 1 µM.2

References

- 1. Goodman, K.B., Cui, H., Dowdell, S.E., et al. Development of dihydropyridone indazole amides as selective Rho-kinase inhibitors. J. Med. Chem. 50(1), 6-9 (2007).
- 2. Waldschmidt, H.V., Homan, K.T., Cruz-Rodríguez, O., et al. Structure-based design, synthesis, and biological evaluation of highly selective and potent G protein-coupled receptor kinase 2 inhibitors. J. Med. Chem. 59(8), 3793-3807 (2016).

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

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.

WARRANTY AND LIMITATION OF REMEDY

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