

PRODUCT INFORMATION



GNF-5

Item No. 16254

CAS Registry No.: 778277-15-9
Formal Name: N-(2-hydroxyethyl)-3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]-benzamide

MF: C₂₀H₁₇F₃N₄O₃

FW: 418.4

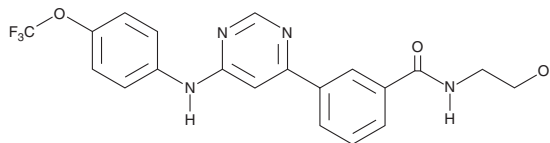
Purity: ≥95%

UV/Vis.: λ_{max}: 268 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥2 years



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

Laboratory Procedures

GNF-5 is supplied as a crystalline solid. A stock solution may be made by dissolving the GNF-5 in the solvent of choice. GNF-5 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of GNF-5 in these solvents is approximately 0.25, 10, and 25 mg/ml, respectively.

GNF-5 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GNF-5 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GNF-5 has a solubility of approximately 0.25 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

GNF-5 is an allosteric inhibitor of Bcr-Abl (IC₅₀ = 0.121 μM) and a derivative of GNF-2 (Item No. 16253).¹ It also inhibits the Bcr-Abl mutants Bcr-Abl^{G250E}, Bcr-Abl^{E255V}, and Bcr-Abl^{M351T} (IC₅₀s = 4.52, 0.38, and 0.93 μM, respectively).² GNF-5 inhibits the growth of Ba/F3 cells (IC₅₀ = 0.145 μM).¹ It reduces viral titers in Vero cells infected with infectious bronchitis virus (IBV), a coronavirus, when used at a concentration of 10 μM via inhibition of IBV surface glycoprotein-induced syncytia formation and virus-cell fusion.³ GNF-5 (75 mg/kg) increases survival in a recalcitrant mutant Bcr-Abl^{T315I} mouse bone marrow transplantation model when administered alone or in combination with nilotinib.²

References

1. Fabbro, D., Manley, P.W., Jahnke, W., *et al.* Inhibitors of the Abl kinase directed at either the ATP- or myristate-binding site. *Biochim. Biophys. Acta* **1804**(3), 454-462 (2010).
2. Zhang, J., Adrián, F.J., Jahnke, W., *et al.* Targeting Bcr-Abl by combining allosteric with ATP-binding-site inhibitors. *Nature* **463**(7280), 501-506 (2010).
3. Sisk, J.M., Frieman, M.B., and Machamer, C.E. Coronavirus S protein-induced fusion is blocked prior to hemifusion by Abl kinase inhibitors. *J. Gen. Virol.* **99**(5), 619-630 (2018).

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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