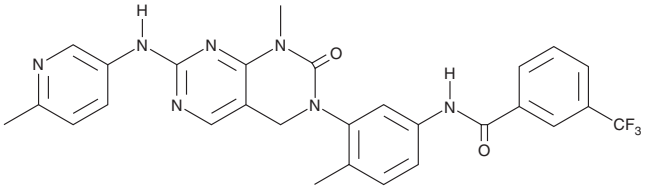
PRODUCT INFORMATION

GNF-7

Item No. 19918

CAS Registry No.:	839706-07-9
Formal Name:	N-[3-[1,4-dihydro-1-methyl-7-[(6-methyl-3-pyridinyl)amino]-2-oxopyrimido[4,5-d]pyrimidin-3(2H)-yl]-4-methylphenyl]-3-(trifluoromethyl)-benzamide
MF:	$C_{28}H_{24}F_3N_7O_2$
FW:	547.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 277 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

GNF-7 is supplied as a crystalline solid. A stock solution may be made by dissolving the GNF-7 in the solvent of choice, which should be purged with an inert gas. GNF-7 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GNF-7 in these solvents is approximately 0.1, 2, and 10 mg/ml, respectively.

GNF-7 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GNF-7 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GNF-7 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

GNF-7 is a multi-kinase inhibitor (IC_{50}s = 25, 8, 61, 122, 136, and 133 nM for ACK1, GCK, Bcr-Abl^{T315I}, Bcr-Abl^{E255V}, Bcr-Abl^{G250E}, and c-Abl, respectively).[1,2] It also inhibits several additional kinases in a panel by greater than 50% when used at a concentration of 10 µM.[2] GNF-7 inhibits the growth of Ba/F3 cells transformed with wild-type Bcr-Abl, Bcr-Abl^{T315I}, Bcr-Abl^{E255V}, and Bcr-Abl^{G250E} (IC_{50}s = <5 nM), as well as COLO 205 and SW625 cancer cells (IC_{50}s = 1 and 5 nM, respectively) *in vitro*. *In vivo*, GNF-7 (10 and 20 mg/kg) reduces tumor growth in a Bcr-Abl^{T315I} Ba/F3 mouse xenograft model. It also reduces tumor volume in an OCI-AML3 mouse xenograft model when administered at a dose of 8 mg/kg.[1]

References

1. Cho, H., Shin, I., Ju, E., *et al.* First SAR study for overriding NRAS mutant driven acute myeloid leukemia. *J. Med. Chem.* **61(18)**, 8353-8373 (2018).
2. Choi, H.G., Ren, P., Adrian, F., *et al.* A type-II kinase inhibitor capable of inhibiting the T315I "gatekeeper" mutant of Bcr-Abl. *J. Med. Chem.* **53(15)**, 5439-5448 (2010).

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