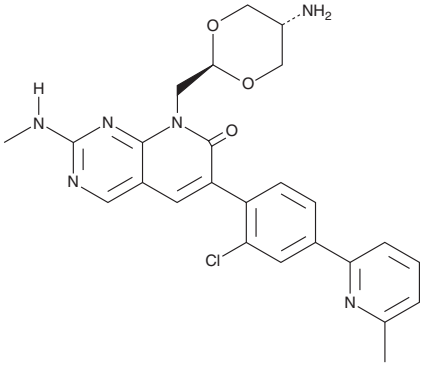
PRODUCT INFORMATION

G-5555

Item No. 21469



CAS Registry No.:	1648863-90-4
Formal Name:	8-[(*trans*-5-amino-1,3-dioxan-2-yl)methyl]-6-[2-chloro-4-(6-methyl-2-pyridinyl) phenyl]-2-(methylamino)-pyrido[2,3-d] pyrimidin-7(8H)-one
MF:	$C_{25}H_{25}ClN_6O_3$
FW:	493.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 304, 353 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

G-5555 is supplied as a crystalline solid. A stock solution may be made by dissolving the G-5555 in the solvent of choice, which should be purged with an inert gas. G-5555 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of G-5555 in these solvents is approximately 25 mg/ml.

G-5555 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, G-5555 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. G-5555 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

G-5555 is an inhibitor of p21-activated kinase 1 (PAK1; K_i = 3.7 nM), a non-receptor serine/threonine kinase involved in tumorigenesis.[1] It is selective for PAK1 over the majority of targets in a panel of 235 kinases but does inhibit PAK2, PAK3, KHS1, LCK, MST3, MST4, SIK2, and YSK1 by greater than 70% with IC_{50} values ranging from 9 to 52 nM. G-5555 inhibits phosphorylation of MEK, a downstream target of PAK1, in EBC1 cells (IC_{50} = 69 nM). G-5555 (10, 20, and 30 mg/kg) dose-dependently reduces phosphorylation of MEK in tumors from an H292 non-small cell lung cancer (NSCLC) mouse xenograft model. G-5555 inhibits hERG channels less than 50% at a concentration of 10 µM, indicating the potential for a low risk of QT interval prolongation and potentially fatal arrhythmias.[2]

References

1. Ndubaku, C.O., Crawford, J.J., Drobnick, J., *et al*. Design of selective PAK1 inhibitor G-5555: Improving properties by employing an unorthodox low-pKa polar moiety. *ACS Med. Chem. Lett.* **6(12)**, 1241-1246 (2015).
2. Braga, R.C., Alves, V.M., Silva, M.F., *et al*. Tuning HERG out: Antitarget QSAR models for drug development. *Curr. Top. Med. Chem.* **14(11)**, 1399-1415 (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.

WARRANTY AND LIMITATION OF REMEDY
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 12/13/2022

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM