Product Datasheet

G-5555

Catalog No. **BP-02392** · CAS **1648863-90-4** · Form **free base** · Physical state **solid** · Color **White to light yellow** · Version **1.0** · Revision **2026-09-14**

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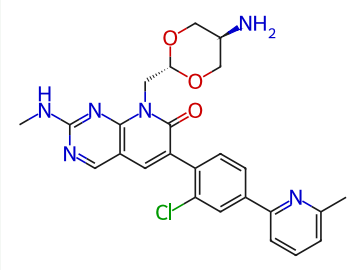
Target	Research area
PAK	**Cancer**

Molecular formula	**$C_{25}H_{25}ClN_6O_3$**
Molecular weight	**492.9570**

STRUCTURE



DESCRIPTION

G-5555 is a potent p21-activated kinase 1 (PAK1) inhibitor with Kis of 3.7 nM and 11 nM for PAK1 and PAK2, respectively.

BIOLOGICAL ACTIVITY

In Vitro

G-5555 is a potent PAK1 inhibitor with a Ki of 3.7 nM. G-5555 shows excellent kinase selectivity and inhibits only eight out of the 235 kinases tested other than PAK1 with inhibition >70%: PAK2, PAK3, KHS1, Lck, MST3, MST4, SIK2, and YSK1. The IC50s of G-5555 against SIK2, PAK2, KHS1, MST4, YSK1, MST3 and Lck are 9, 11, 10, 20, 34, 43, 52 nM, respectively. In general, G-5555 demonstrates high selectivity for the group I PAKs. There is negligible activity for G-5555 against the hERG channel with IC50 more than 10 μM in a patch clamp assay[1]. G-5555 potently inhibits PAK2, with a Ki of 11 nM. In an array of 23 breast cancer cell lines, G-5555 has significantly greater growth inhibitory activity in cell lines that are PAK-amplified compared to non-amplified lines.

In Vivo

G-5555 exhibits low blood clearance and an acceptable half-life. Good oral exposure (AUC = 30 μM h) and high oral bioavailability (F = 80%) are achieved[1]. In an H292 non-small cell lunger cancer (NSCLC) xenograft study in mice, G-5555 inhibits phosphorylation of the PAK1/2 downstream substrate mitogen-activated protein kinase 1 (MEK1) S298 and, when administered at an oral dose of 25 mg/kg b.i.d., imparts 60% tumor growth inhibition in this model and a PAK1 amplified breast cancer xenograft model, MDAMB-175.

SOLUBILITY

Soluble in DMSO

In vitro	DMSO : 25 mg/mL

REFERENCES

[1]. Ndubaku CO, et al. Design of Selective PAK1 Inhibitor G-5555: Improving Properties by Employing an Unorthodox Low-pK a Polar Moiety. ACS Med Chem Lett. 2015 Oct 31;6(12):1241-6.

[2]. Rudolph J, et al. Chemically Diverse Group I p21-Activated Kinase (PAK) Inhibitors Impart Acute Cardiovascular Toxicity with a Narrow Therapeutic Window. J Med Chem. 2016 Jun 9;59(11):5520-41.

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