

PRODUCT INFORMATION

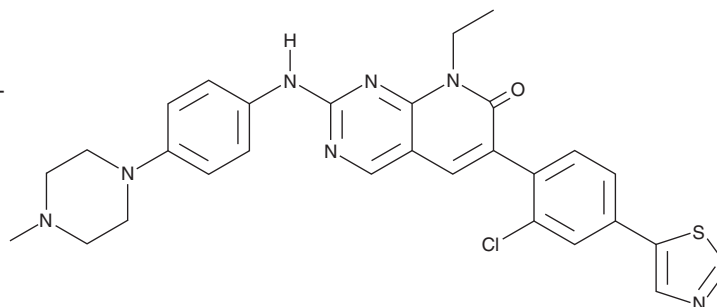


FRAX597

Item No. 22205

CAS Registry No.: 1286739-19-2
Formal Name: 6-[2-chloro-4-(5-thiazolyl)phenyl]-8-ethyl-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-pyrido[2,3-d]pyrimidin-7(8H)-one

MF: C₂₉H₂₈ClN₇O
FW: 558.1
Purity: ≥98%
UV/Vis.: λ_{max}: 216, 272, 374 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

FRAX597 is supplied as a crystalline solid. A stock solution may be made by dissolving the FRAX597 in the solvent of choice, which should be purged with an inert gas. FRAX597 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of FRAX597 in these solvents is approximately 0.1, 11, and 14 mg/ml, respectively.

Description

FRAX597 is an inhibitor of p21-activated kinase (PAK) that is selective for group I PAKs (IC₅₀s = 7.7, 12.8, and 19.3 nM for PAK 1, 2, and 3, respectively) over group II PAKs (IC₅₀ > 10 μM for PAK4 and <25% inhibition for PAK6 and PAK7).¹ At 100 nM, it also inhibits YES1, RET, SCR1R, and TEK. FRAX597 reduces phosphorylation of PAK1 (IC₅₀ = 70 nM), prevents proliferation of transformed Schwann cells by halting the cell cycle in the G₁ phase, and reduces the growth of schwannoma mouse xenografts. It also reduces proliferation and survival in ovarian and pancreatic cancer cells and works synergistically with gemcitabine (Item No. 11690) in pancreatic cancer models *in vitro* and *in vivo*.²⁻⁴

References

1. Licciulli, S., Maksimoska, J., Zhou, C., *et al.* FRAX597, a small molecule inhibitor of the p21-activated kinases, inhibits tumorigenesis of neurofibromatosis type 2 (NF2)-associated Schwannomas. *J. Biol. Chem.* **288(40)**, 29105-29114 (2013).
2. Yeo, D., He, H., Patel, O., *et al.* FRAX597, a PAK1 inhibitor, synergistically reduces pancreatic cancer growth when combined with gemcitabine. *BMC Cancer* **16:24**. (2016).
3. Prudnikova, T.Y., Villmar-Cruz, O., Rawat, S.J., *et al.* Effects of p21-activated kinase 1 inhibition on 11q13-amplified ovarian cancer cells. *Oncogene* **35(17)**, 2178-2185 (2016).
4. Yeo, D., Phillips, P., Baldwin, G.S., *et al.* Inhibition of group 1 p21-activated kinases suppresses pancreatic stellate cell activation and increases survival of mice with pancreatic cancer. *Int. J. Cancer* **140(9)**, 2101-2111 (2017).

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, 11/07/2022

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