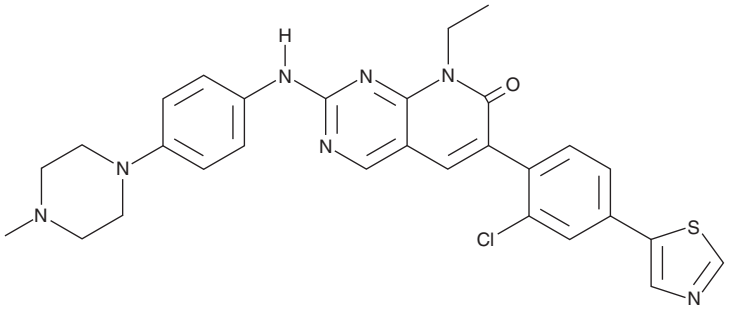
PRODUCT INFORMATION

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:	$C_{29}H_{28}ClN_7OS$
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 (IC_{50}s = 7.7, 12.8, and 19.3 nM for PAK 1, 2, and 3, respectively) over group II PAKs (IC_{50} > 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 (IC_{50} = 70 nM), prevents proliferation of transformed Schwann cells by halting the cell cycle in the G_1 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).

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