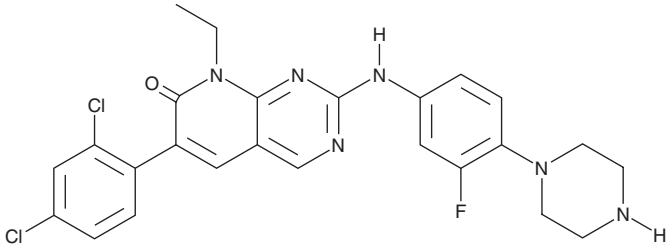
PRODUCT INFORMATION

FRAX486

Item No. 24682

CAS Registry No.:	1232030-35-1
Formal Name:	6-(2,4-dichlorophenyl)-8-ethyl-2-[[3-fluoro-4-(1-piperazinyl)phenyl]amino]-pyrido[2,3-d]pyrimidin-7(8H)-one
MF:	$C_{25}H_{23}Cl_2FN_6O$
FW:	513.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 269, 307, 370 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

FRAX486 is supplied as a crystalline solid. A stock solution may be made by dissolving the FRAX486 in the solvent of choice. FRAX486 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of FRAX486 in these solvents is approximately 2 mg/ml. FRAX486 is also slightly soluble in ethanol.

FRAX486 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, FRAX486 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. FRAX486 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

FRAX486 is an inhibitor of group I p21-activated kinases (PAKs; IC_{50}s = 8.25, 39.5, and 55.3 nM for PAK1, PAK2, and PAK3, respectively).[1] It is selective for group I PAKs over PAK4, a group II PAK (IC_{50} = 779 nM). FRAX486 (20 mg/kg) reverses decreases in the mean density of apical dendritic spines in the temporal cortex in the *Fmr1*$^{-/-}$ mouse model of fragile X syndrome. It completely abolishes audiogenic seizures, hyperactivity, and stereotypical movements in *Fmr1*$^{-/-}$ mice when administered at a dose of 30 mg/kg. FRAX486 prevents adolescent cortical dendritic spine loss and rescues prepulse inhibition deficits in a *Disc1* knockdown mouse model of schizophrenia.[2]

References

1. Dolan, B.M., Duron, S.G., Campbell, D.A., *et al.* Rescue of fragile X syndrome phenotypes in *Fmr1* KO mice by the small-molecule PAK inhibitor FRAX486. *Proc. Natl. Acad. Sci. U.S.A.* **110(14)**, 5671-5676 (2013).
2. Hayashi-Takagi, A., Araki, Y., Nakamura, M., *et al.* PAKs inhibitors ameliorate schizophrenia-associated dendritic spine deterioration in vitro and in vivo during late adolescence. *Proc. Natl. Acad. Sci. U.S.A.* **111(17)**, 6461-6466 (2014).

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