

**Product Name:** FRAX 486

**Catalog No.:** 5190

**Batch No.:** 2

CAS Number: 1232030-35-1

IUPAC Name: 6-(2,4-Dichlorophenyl)-8-ethyl-2-[[3-fluoro-4-(1-piperazinyl)phenyl]amino]pyrido[2,3-*d*]pyrimidin-7(8*H*)-one

## 1. PHYSICAL AND CHEMICAL PROPERTIES

**Batch Molecular Formula:** C<sub>25</sub>H<sub>23</sub>Cl<sub>2</sub>FN<sub>6</sub>O·½H<sub>2</sub>O

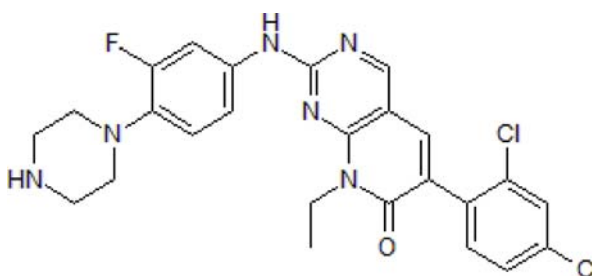
**Batch Molecular Weight:** 522.4

**Physical Appearance:** Yellow solid

**Solubility:** DMSO to 20 mM

**Storage:** Store at -20°C

**Batch Molecular Structure:**



## 2. ANALYTICAL DATA

**HPLC:** Shows 99.2% purity

**<sup>1</sup>H NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Microanalysis:**

	Carbon	Hydrogen	Nitrogen
Theoretical	57.48	4.63	16.09
Found	57.41	4.44	15.85

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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**Description:**

FRAX 486 is a potent p21-activated kinase (PAK) inhibitor (IC<sub>50</sub> values are 14, 33, 39 and 575 nM for PAK1, PAK2, PAK3 and PAK4 respectively). Blocks and reverses the DISC1 knockdown-induced reduction in dendritic spine size in cortical neurons. Attenuates dendritic spine elimination and enhances spine generation in DISC1 knockdown mice. Ameliorates autism-like behavioral symptoms in fragile X mental retardation 1 (Fmr1) knockout mice. Brain penetrant and orally bioavailable.

**Physical and Chemical Properties:**

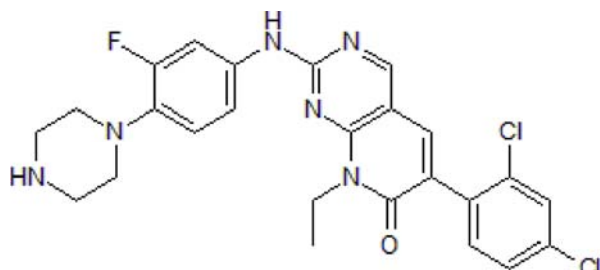
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Batch Molecular Weight: 522.4

Physical Appearance: Yellow solid

**Minimum Purity:** ≥98%

**Batch Molecular Structure:**



**Storage:** Store at -20°C

**Solubility & Usage Info:**

DMSO to 20 mM

**Stability and Solubility Advice:**

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

**SOLIDS:** Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

**SOLUTIONS:** We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

**References:**

**Wang et al** (2016) P21-activated kinase inhibitors FRAX486 and IPA3: inhibition of prostate stromal cell growth and effects on smooth muscle contraction in the human prostate. *PLoS One* **11** e0153312. PMID: 27071060.

**Dolan et al** (2013) 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** 5671. PMID: 23509247.

**Hayashi-Takagi et al** (2013) PAKs inhibitors ameliorate schizophrenia-associated dendritic spine deterioration in vitro and in vivo during late adolescence. *Proc.Natl.Acad.Sci.U.S.A.* **111** 6461. PMID: 24706880.

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