

Product Name: FRAX 486

Catalog No.: 5190

Batch No.: 1

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: C₂₅H₂₃Cl₂FN₆O.½H₂O

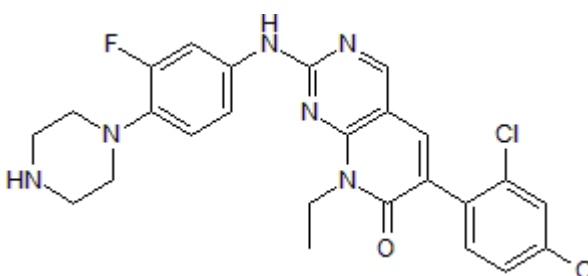
Batch Molecular Weight: 522.4

Physical Appearance: Yellow solid

Solubility: DMSO to 20 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.4% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	57.48	4.63	16.09
Found	57.19	4.4	15.93

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Description:

Potent p21-activated kinase (PAK) inhibitor (IC₅₀ 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:

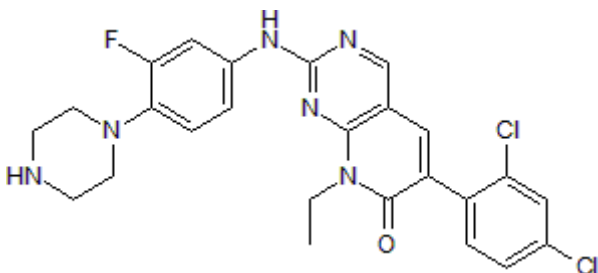
Batch Molecular Formula: C₂₅H₂₃Cl₂FN₆O.½H₂O

Batch Molecular Weight: 522.4

Physical Appearance: Yellow solid

Minimum Purity: >98%

Batch Molecular Structure:



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.

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.

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