

FRAX597

Catalog No: tcsc1977



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1286739-19-2

Formula:

$C_{29}H_{28}ClN_7OS$

Pathway:

Cytoskeleton;Cell Cycle/DNA Damage

Target:

PAK;PAK

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

558.1

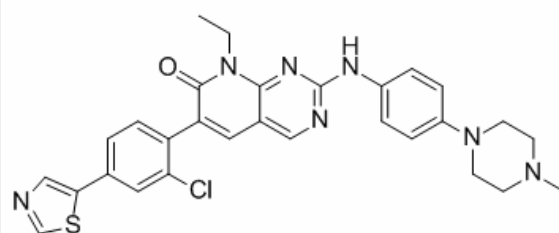
Product Description

FRAX597 is a potent group I p21-activated Kinases (**PAKs**) inhibitor with **IC₅₀** of 8, 13 and 19 nM for **PAK1**, **2** and **3**.

IC₅₀ & Target: IC₅₀: 8 nM (PAK1), 13 nM (PAK2), 19 nM (PAK3), >10 μM (PAK4)^[1]

In Vitro: FRAX597 is determined to be a potent, ATP-competitive inhibitor of group I PAKs (PAK 1-3), with biochemical IC₅₀ values as follows: PAK1 IC₅₀=8 nM, PAK2 IC₅₀=13 nM, PAK3 IC₅₀=19 nM. The IC₅₀ toward PAK4, a member of group II PAKs is >10 μM. At a concentration of 100 nM FRAX597 displays a significant (>80% inhibition) inhibitory capacity toward YES1 (87%), RET (82%), CSF1R (91%), TEK (87%), PAK1 (82%), and PAK2 (93%). When measured using the Kinase Glo Assay in the presence of 20 nM protein and 1 μM ATP, FRAX597 displayed an IC₅₀ value of 48 nM against wild type PAK1, while IC₅₀ values against the V342F and V342Y PAK1 mutants are higher than 3 μM and 2 μM, respectively^[1].

In Vivo: Analysis of the flux reading for the animals in the two cohorts demonstrates a significantly slower tumor growth rate in FRAX597-treated mice compared with control mice. After 14 days of treatment the animals are sacrificed and the tumors excised and weighed. FRAX597-treated cohort shows significantly lower average tumor weight compared with the control cohort (0.55 g versus 1.87 g, p=0.0001)^[1].



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