Web: www.taiclone.com
Tel: +886-2-2735-9682
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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 (**PAK**s) inhibitor with **IC_{50}** of 8, 13 and 19 nM for **PAK1**, **2** and **3**.

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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 IC_{50} values as follows: PAK1 IC_{50}=8 nM, PAK2 IC_{50}=13 nM, PAK3 IC_{50}=19 nM. The IC_{50} 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 IC_{50} value of 48 nM against wild type PAK1, while IC_{50} 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].