

FRAX597

Catalog No: tcsc1977

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

1286739-19-2

Formula:

C₂₉H₂₈CIN₇OS

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 μ M. 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 μ M 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 μ M and 2 μ M, 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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!

Copyright 2021 Taiclone Biotech Corp.