Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

MK-2048

Catalog No: tcsc1034

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
869901-69-9

Formula:
$C_{21}H_{21}ClFN_5O_4$

Pathway:
Metabolic Enzyme/Protease;Anti-infection

Target:
HIV Integrase;HIV

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
461.87

Product Description

MK-2048 is a potent inhibitor of integrase and INR263K with IC50 of 2.6 nM and 1.5 nM, respectively.

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IC50 Value: 2.6 nM for HIV Integrase

Target: HIV Integrase

MK-2048 is a second generation integrase inhibitor, intended to be used against HIV infection. MK-2048 inhibits subtype B and subtype C integrase activities. MK-2048 inhibits R263K mutants slightly more effectively than G118R mutants. MK-2048 inhibits S217H intasome and, by contrast, MK-2048 remains fully active against the N224H intasome. MK-2048 displays substantially lower dissociation rates compared with raltegravir, another integrase inhibitor. MK-2048 is active against viruses resistant to RAL and EVG. MK-2048 exposure leads to the selection of G118R as a possible novel resistance mutation after 19 weeks. MK-2048, with continued pressure, subsequently leads to an additional substitution, at position E138K, after 29 weeks, within the IN gene. Although the G118R mutation alone confers only slight resistance to MK-2048 but not to RAL or EVG, its presence arouses a dramatic reduction in viral replication capacity compared to wild-type NL4-3. E138K both partially restores viral replication capacity and also contributes to increased levels of resistance against MK-2048.