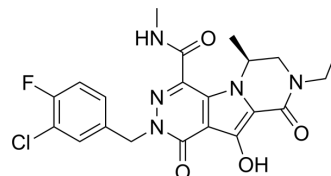


## MK-2048

<b>Cat. No.:</b>	HY-13305		
<b>CAS No.:</b>	869901-69-9		
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>21</sub> ClFN <sub>5</sub> O <sub>4</sub>		
<b>Molecular Weight:</b>	461.87		
<b>Target:</b>	HIV; HIV Integrase		
<b>Pathway:</b>	Anti-infection; Metabolic Enzyme/Protease		
<b>Storage:</b>	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



## BIOLOGICAL ACTIVITY

### Description

MK-2048 is a potent inhibitor of integrase and INR263K with IC<sub>50</sub> of 2.6 nM and 1.5 nM, respectively. IC<sub>50</sub> 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.

## REFERENCES

- [1]. L. Van Wesenbeeck, E. Rondelez, M. Feyaerts, et al. Cross-Resistance Profile Determination of Two Second-Generation HIV-1 Integrase Inhibitors Using a Panel of Recombinant Viruses Derived from Raltegravir-Treated Clinical Isolates. *Antimicrob. Agents Chemother.* 2011, 55 (1): 321-325
- [2]. Muhammad Esa Seegulam, Lee Ratner. Integrase Inhibitors Effective against Human T-Cell Leukemia Virus Type 1. *Antimicrob. Agents Chemother.* 2011, 55 (5): 2011-2017
- [3]. Tamara Bar-Magen<sup>1</sup>, Richard D. Sloan<sup>1</sup>, Daniel A. Donahue<sup>1</sup>, et al. Identification of Novel Mutations Responsible for Resistance to MK-2048, a Second-Generation HIV-1 Integrase Inhibitor. *J. Virol.* 2010, 84(18): 9210-9216
- [4]. Laith Q Al-Mawsawi, Rasha I Al-Safi, Nouri Neamati. Anti-infectives Clinical progress of HIV-1 integrase inhibitors. 2008, 13(2): Pages 213-225
- [5]. Al-Mawsawi LQ, Al-Safi RI, Neamati N. Anti-infectives: clinical progress of HIV-1 integrase inhibitors. *Expert Opin Emerg Drugs.* 2008 Jun;13(2):213-25.

---

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA