Product Data Sheet

Product Name: MK-2048
Cat. No.: GC15561

Chemical Properties

Cas. No.	869901-69-9		
Chemical Name	(6S)-2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-10-hydroxy-N,6-dimethyl-1,9-dioxo-6,7-dihydropyrazino[5,6]pyrrolo[1,3-b]pyridazine-4-carboxamide		
SMILES	CCN1CC(N2C3=C(C(=C2C1=O)O)C(=O)N(N=C3C(=O)NC)CC4=CC(=C(C=C4)F)Cl)C		
Formula	$C_{21}H_{21}ClFN_5O_4$	M.Wt	461.9
Solubility	≥ 10.55 mg/mL in DMSO, ≥ 3.68 mg/mL in EtOH with ultrasonic and warming	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	MK-2048		

Background

MK-2048 is a second generation inhibitor of HIV-1 integrase with IC50 values of 0.075 μM and 0.08 μM for subtype B and subtype C integrase, respectively.
Integration of viral cDNA into the host genome is one of the definitive features of retrovirus replication. Integrase inhibitors are active against both B and non-B subtypes in therapy. Subtype C variants are responsible for approximately 50% of infections worldwide, mostly in Sub-Saharan Africa and India. After viral entry and reverse transcription, reverse-transcribed double-stranded blunt-ended DNA is incorporated into the host cell genome through two catalytic activities mediated by integrase. MK-2048 could inhibit the strand transfer process catalyzed by integrase.
The inhibition activity of MK-2048 against integrase was evaluated by means of purified recombinant subtype B and C integrase enzymes, which were obtained and amplified from viruses in long-term infected patients. Purified recombinant subtype B and C integrase enzymes were incubated with increasing concentrations of MK-2048 and corresponding templates. MK-2048 possesses inhibition activities for strand transfer against subtype B and C enzymes, whose IC50 values were 0.075 μM and 0.08 μM, respectively. Disintegration was

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inhibited by high concentrations of MK-2048 to a comparable extent with both subtype B and C enzymes.

Inhibition of replication by MK-2048 was also evaluated in cell culture based assays using cord blood mononuclear cells. EC50 for subtype B viruses varies from 0.0003 μM to 0.0148 μM and 0.0007 μM to 0.0033 μM for subtype C viruses.

References:

1.Bar-Magen T, Sloan R D, Faltenbacher V H, et al. Comparative biochemical analysis of HIV-1 subtype B and C integrase enzymes[J]. Retrovirology, 2009, 6(1): 103.

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