

IQP-0528

Chemical Properties

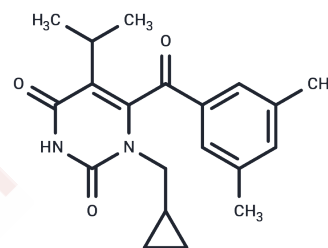
CAS No. : 301297-45-0

Formula: C₂₀H₂₄N₂O₃

Molecular Weight: 340.42

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	IQP-0528 is a potent non-nucleoside reverse transcriptase inhibitor (NNRTI) that has potential for the treatment of HIV infection by blocking viral entry and shows antiviral activity as a microbicidal gel. . IQP-0528 showed inhibition of both HIV-1 and HIV-2, with an EC ₅₀ of 0.2 nM for HIV-1 and 100 nM for HIV-2.
Targets(IC ₅₀)	Antiviral,HIV Protease,Reverse Transcriptase
In vitro	The in vitro safety evaluations showed no significant loss in cell viability or significant inflammatory response after treatment with a 3.0% HEC gel containing 0.25% IQP-0528. In an in vitro HIV-1 entry inhibition assay, the lead formulation showed an 50% effective concentration of 0.14 µg/ml for gel in culture media, which corresponds to 0.001 µM IQP-0528.[5]
In vivo	we report the first-in-human evaluation of such a gel containing 1% IQP-0528, an investigational antiretroviral. Seven HIV-1-negative participants received one 10mL rectal dose of radiolabeled 1% IQP-0528 gel. Median IQP-0528 concentrations in rectal tissue exceeded the in vitro EC ₉₅ against HIV-1 (0.07ng/mg) by 3-5h of dosing and remained above this concentration for at least 24h, despite a 3-log reduction in concentration over this duration of time. Rectal tissue PD assessed by ex vivo HIV challenge-demonstrated significant p24 antigen reduction 3-5h postdose compared with baseline , but not 24-26h postdose. The IQP-0528 gel possesses desirable features for a topical microbicide including local safety with no systemic absorption, delivery of locally high IQP-0528 concentrations, and significant reductions in ex vivo HIV infectivity. [6]

Solubility Information

Solubility	DMSO: 4 mg/mL (11.75 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9375 mL	14.6877 mL	29.3755 mL
5 mM	0.5875 mL	2.9375 mL	5.8751 mL
10 mM	0.2938 mL	1.4688 mL	2.9375 mL
50 mM	0.0588 mL	0.2938 mL	0.5875 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Su JT, et al. A Dose Ranging Pharmacokinetic Evaluation of IQP-0528 Released from Intravaginal Rings in Non-Human Primates. *Pharm Res.* 2017;34(10):2163-2171.

Pereira LE, et al. Pharmacokinetic and Pharmacodynamic Evaluation following Vaginal Application of IQB3002, a Dual-Chamber Microbicide Gel Containing the Nonnucleoside Reverse Transcriptase Inhibitor IQP-0528 in Rhesus Macaques. *Antimicrob Agents Chemother.* 2015;60(3):1393-1400.

Srinivasan P, et al. Safety and Pharmacokinetics of Quick-Dissolving Polymeric Vaginal Films Delivering the Antiretroviral IQP-0528 for Preexposure Prophylaxis. *Antimicrob Agents Chemother.* 2016;60(7):4140-4150.

Dezzutti CS, et al. Safety and efficacy of tenofovir/IQP-0528 combination gels - a dual compartment microbicide for HIV-1 prevention. *Antiviral Res.* 2012;96(2):221-225.

Ham AS, et al. Vaginal film drug delivery of the pyrimidinedione IQP-0528 for the prevention of HIV infection. *Pharm Res.* 2012;29(7):1897-1907.

Mahalingam A, et al. Vaginal microbicide gel for delivery of IQP-0528, a pyrimidinedione analog with a dual mechanism of action against HIV-1. *Antimicrob Agents Chemother.* 2011;55(4):1650-1660.

Al-Khouja A, et al. Examining the Safety, Pharmacokinetics, and Pharmacodynamics of a Rectally Administered IQP-0528 Gel for HIV Pre-Exposure Prophylaxis: A First-In-Human Study. *AIDS Res Hum Retroviruses.* 2021;37(6):444-452.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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