Product Datasheet

Technical Data

Formula	$C_{19}H_{17}F_2N_3O_5$		
Molecular Weight	405.35	**CAS No.**	1051375-10-0
Solubility (25°C)*	In vitro	DMSO	8 mg/mL (19.73 mM)
		Water	Insoluble
		Ethanol	Insoluble

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Concentration \ Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.4670 mL	12.3350 mL	24.6700 mL
5 mM	0.4934 mL	2.4670 mL	4.9340 mL
10 mM	0.2467 mL	1.2335 mL	2.4670 mL
50 mM	-	-	-

Biological Activity

Description	Cabotegravir (GSK744, GSK1265744, S/GSK1265744) is a long-acting **HIV integrase** inhibitor against a broad range of HIV subtypes, and inhibits the HIV-1 integrase catalyzed strand transfer reaction with **IC50** of 3.0 nM. Phase 2.
Targets	HIV integrase [1]
In vitro	Cabotegravir (GSK1265744) inhibits HIV replication with EC50 of 0.22 nM and 0.34-1.3 nM against HIV-1 Ba-L and NL432, respectively. It produces cytotoxicity with CC50 of 6.4, 5.0, 9.2, and 13 μM in proliferating IM-9, U-937, MT-4, and Molt-4 cell lines, respectively. [1]
In vivo	Cabotegravir (GSK1265744) (50 mg/kg) treatment protects rhesus macaques against three high-dose SHIV challenges. [2]

Protocol (from reference)

Kinase Assay:[1]

- **In vitro strand transfer assay**

  The inhibitory concentrations of Cabotegravir (GSK1265744) are measured in a strand transfer assay using recombinant HIV IN. A complex of integrase and biotinylated donor DNA–streptavidin-coated SPA beads is formed by incubating 2 μM purified recombinant integrase with 0.66 μM biotinylated donor DNA–4 mg/mL streptavidin-coated SPA beads in 25 mM sodium MOPS pH 7.2, 23 mM NaCl, and 10 mM $MgCl_2$ for 5 minutes at 37°C. These beads are spun down and preincubated with diluted INSTIs for 60 minutes at 37°C. Next, [^{3}H]-labeled target DNA substrate is added to give a final concentration of 7 nM substrate, and the strand transfer reaction is incubated at 37°C for 25 to 45 minutes, which allowed for a linear increase in strand transfer of donor DNA to radiolabeled target DNA. The signal is read using a Wallac MicroBeta scintillation plate reader.

Cell Assay:[1]

- **Cell lines**

  Human leukemic and lymphomic cell lines (IM-9, U-937, MT-4, and Molt-4)
- **Concentrations**

  ~20 μM
- **Incubation Time**

  --
- **Method**

  In vitro growth inhibition (cytotoxicity) studies are conducted with Cabotegravir (GSK1265744) in proliferating human leukemic and lymphomic cell lines (IM-9, U-937, MT-4, and Molt-4) as well as stimulated and unstimulated human PBMCs. As a surrogate of cell growth, ATP levels are quantified using CellTiter-Glo luciferase reagent.

Animal Study:[2]

- **Animal Models**

  Rhesus macaques
- **Dosages**

  50 mg/kg
- **Administration**

  --

References

https://pubmed.ncbi.nlm.nih.gov/25367908/ https://pubmed.ncbi.nlm.nih.gov/25589630/

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

EU Headquarters
Gajeva ulica 28, HR-10000 Zagreb, Croatia

US Headquarters
12222 Merit Dr STE 130 Dallas, Texas, 75251

UK Headquarters
121 ABILITY HOUSE, BROOKER ROAD, EN9 1JH, ESSEX

Orders: Sales@capsidbio.com
Enquiries: info@capsidbio.com
www.capsidbio.com