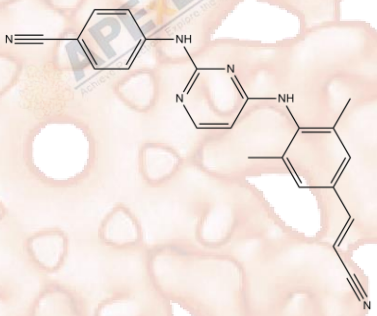
# Rilpivirine



**Cat. No.:** A3765
**CAS No.:** 500287-72-9
**Formula:** C22H18N6
**M.Wt:** 366.42
**Synonyms:** R 278474;TMC 278;R-278474;R278474;TMC278;TMC-278
**Target:** Microbiology & Virology
**Pathway:** HIV
**Storage:** Store at -20°C

## Solvent & Solubility

In Vitro

insoluble in H2O; ⩾12.25 mg/mL in DMSO; ⩾6.58 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

| Mass / Solvent / Concentration | 1mg | 5mg | 10mg |
|---|---|---|---|
| **1 mM** | 2.7291 mL | 13.6455 mL | 27.2911 mL |
| **5 mM** | 0.5458 mL | 2.7291 mL | 5.4582 mL |
| **10 mM** | 0.2729 mL | 1.3646 mL | 2.7291 mL |

Please refer to the solubility information to select the appropriate solvent.

## Biological Activity

| | |
|---|---|
| Shortsummary | Inhibitor of next-generation nonnucleoside reverse transcriptase |
| $IC_{50}$ & Target | |

In Vitro

**Cell Viability Assay**

| | |
|---|---|
| Cell Line: | Caco-2 cell lines |
| Preparation method： | The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months. |

| | | |
|---|---|---|
| | Reacting conditions: | 1 h; 20 μM |
| | Applications: | The ability of rilpivirine to inhibit ABCB1-mediated transport of digoxin was assessed using Caco-2 cell monolayers. Permeation of 1 μM digoxin in the A-to-B direction was significantly increased when it was coincubated with rilpivirine at 1 μM, 3μM, 10μM and 30μM compared with that for the rilpivirine-free controls. Permeation of 1 μM digoxin in the B-to-A direction was significantly decreased when it was coincubated with 10 μM rilpivirine and 30 μM rilpivirine compared with rilpivirine-free control incubations |
| In Vivo | **Animal experiment** | |
| | Animal models: | Six male beagle dogs |
| | Dosage form: | Per dog, two vials each containing 25 mg of TMC278; oral taken |
| | Applications: | In dogs, TMC278 (rilpivirine) was more slowly absorbed from tablets than from the suspended powders for reconstitution. Compared to the tablet, the relative bioavailability obtained with the powders ranged between 69% and 89% for TMC278/PVP-VA 64 1:9 (w/w) and between 85% and 157% for TMC278/PVP-VA 64/Cremophor EL 1:8.5:0.5 (w/w/w). |
| | Other notes: | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal. |

## Product Citations

**See more customer validations on www.apexbt.com.**

## References

[1] Moss D M, Liptrott N J, Curley P, et al. Rilpivirine inhibits drug transporters ABCB1, SLC22A1, and SLC22A2 in vitro[J]. Antimicrobial agents and chemotherapy, 2013, 57(11): 5612-5618.

[2] Van Gyseghem E, Pendela M, Baert L, et al. Powder for reconstitution of the anti-HIV-1 drug TMC278–formulation development, stability and animal studies[J]. European journal of pharmaceutics and biopharmaceutics, 2008, 70(3): 853-860

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

*Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage*

*temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.*

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