



# SZABO SCANDIC

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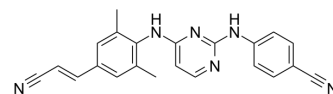
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## Rilpivirine

|                    |                                                                                                   |
|--------------------|---------------------------------------------------------------------------------------------------|
| Cat. No.:          | HY-10574                                                                                          |
| CAS No.:           | 500287-72-9                                                                                       |
| Molecular Formula: | C <sub>22</sub> H <sub>18</sub> N <sub>6</sub>                                                    |
| Molecular Weight:  | 366.42                                                                                            |
| Target:            | HIV; Reverse Transcriptase                                                                        |
| Pathway:           | Anti-infection                                                                                    |
| Storage:           | Powder    -20°C    3 years<br>4°C    2 years<br>In solvent   -80°C    1 year<br>-20°C    6 months |



### SOLVENT & SOLUBILITY

|                                                                               |                                                                                                                                                |                                                       |      |           |            |            |
|-------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------|------|-----------|------------|------------|
| In Vitro                                                                      | DMSO : 50 mg/mL (136.46 mM; Need ultrasonic)                                                                                                   |                                                       |      |           |            |            |
|                                                                               | Preparing Stock Solutions                                                                                                                      | <div><div>Solvent</div><div>Concentration</div></div> | Mass | 1 mg      | 5 mg       | 10 mg      |
|                                                                               |                                                                                                                                                | 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. |                                                                                                                                                |                                                       |      |           |            |            |
| In Vivo                                                                       | 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline<br>Solubility: ≥ 3 mg/mL (8.19 mM); Clear solution         |                                                       |      |           |            |            |
|                                                                               | 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)<br>Solubility: 3 mg/mL (8.19 mM); Suspended solution; Need ultrasonic |                                                       |      |           |            |            |
|                                                                               | 3. Add each solvent one by one: 10% DMSO >> 90% corn oil<br>Solubility: ≥ 3 mg/mL (8.19 mM); Clear solution                                    |                                                       |      |           |            |            |

### BIOLOGICAL ACTIVITY

|                           |                                                                                                                                                                                                                                                                                                                                                                        |
|---------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description               | Rilpivirine (R278474) is a potent and specific diarylpyrimidine (DAPY) non-nucleoside reverse transcriptase inhibitor (NNRTI). Rilpivirine has high antiviral activity against wild-type HIV (EC <sub>50</sub> =0.4 nM) and mutant viruses (EC <sub>50</sub> =0.1-2.0 nM). Rilpivirine has a high genetic barrier to resistance development of HIV <sup>[1][2]</sup> . |
| IC <sub>50</sub> & Target | reverse transcriptase <sup>[1]</sup><br>HIV <sup>[1]</sup>                                                                                                                                                                                                                                                                                                             |

|                 |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
|-----------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>In Vitro</b> | <p>R278474 is active against wild-type HIV-1 (<math>EC_{50}=0.4</math> nM) and all single and double mutants tested (<math>EC_{50}=0.1-2.0</math> nM)<sup>[1]</sup>. R278474 (10-5000 nM; 30 d) does not observe the sign of wild-type HIV-1 breakthrough at 1 <math>\mu</math>M within 30 days<sup>[1]</sup>. R278474 inhibits 81% of clinical isolates (about 1200 recombinant clinical isolates) at a 50% inhibitory concentration (<math>EC_{50}</math>) less than 1 nM, and inhibits 94% at <math>EC_{50}</math> less than 10 nM<sup>[1]</sup>. TMC278 shows subnanomolar <math>EC_{50}</math>s against wild-type HIV-1 group M isolates (0.07-1.01 nM) and nanomolar <math>EC_{50}</math>s against group O isolates (2.88-8.45 nM) in MT4 T-cells<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> |
| <b>In Vivo</b>  | <p>R278474 (10-160 mg/kg; p.o. for 1 month) does not produce abnormal effects in rat, apart from liver weight increase and species-specific thyroid hypertrophy, both at the higher dose levels<sup>[1]</sup>. R278474 (i.v.) exhibits elimination half-life ranges from 4.4 h in rat to 31 h in dog, and exposure (<math>AUC_{inf}</math>) amounts to 3.1 <math>\mu</math>g·h/mL (4 mg/kg) in rat, 8.7 <math>\mu</math>g·h/mL (1.25 mg/kg) in dog, 1.4 <math>\mu</math>g·h/mL (1.25 mg/kg) in monkey, and 44·<math>\mu</math>g h/mL (1.25 mg/kg) in rabbit<sup>[1]</sup>. R278474 (p.o.) exhibits half-life ranges between 2.8 h in rat and 39 h in dog, and oral bioavailability of 32% and 31% in rat and dog<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>                                        |

## CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Br J Cancer. 2023 Jan 30.
- Pharmaceuticals. 2022, 15(10), 1186.
- Sci Rep. 2015 Oct 29;5:15806.
- PLoS One. 2021 Mar 10;16(3):e0248139.

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## REFERENCES

- [1]. Janssen PAJ, et, al. In search of a novel anti-HIV drug: multidisciplinary coordination in the discovery of 4-[[4-[(1E)-2-cyanoethenyl]-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]benzonitrile (R278474, rilpivirine). J Med Chem. 2005 Mar 24;48(6):1
- [2]. Azijn H, et, al. TMC278, a next-generation nonnucleoside reverse transcriptase inhibitor (NNRTI), active against wild-type and NNRTI-resistant HIV-1. Antimicrob Agents Chemother. 2010 Feb;54(2):718-27.

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

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