Enzalutamide carboxylic acid

Cat. No.: HY-70002B

CAS No.: 1242137-15-0

Molecular Formula: $C_{20}H_{13}F_4N_3O_3S$

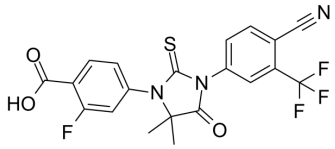
Molecular Weight: 451.39

Target: Drug Metabolite

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (276.92 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2154 mL	11.0769 mL	22.1538 mL
	5 mM	0.4431 mL	2.2154 mL	4.4308 mL
	10 mM	0.2215 mL	1.1077 mL	2.2154 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
   Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Enzalutamide carboxylic acid (MDV3100 carboxylic acid) is an inactive metabolite of Enzalutamide (MDV3100). Enzalutamide is an androgen receptor (AR) antagonist[1].

In Vitro

Enzalutamide is converted into its major metabolites, N-desmethyl enzalutamide and carboxylic acid enzalutamide, by cytochrome P450 (CYP) 3A4/5 and CYP2C8, respectively. N-desmethyl enzalutamide has clinically relevant anti-androgen capacities similar to enzalutamide, whereas carboxylic acid enzalutamide is inactive[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Clin Transl Med. 2022 Apr;12(4):e797.

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REFERENCES

[1]. van Nuland M, et al. Exposure-Response Assessment of Enzalutamide and Its Major Metabolites in a Real-World Cohort of Patients with Metastatic Castration-Resistant Prostate Cancer. Pharmacotherapy. 2019 Dec;39(12):1137-1145.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA