

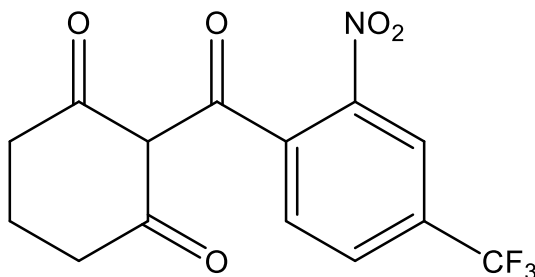
**Catalog # 10-4648**

**Nitisinone**

CAS# 104206-65-7

2-[2-Nitro-4-(trifluoromethyl)benzoyl]cyclohexane-1,3-dione; NTBC

Lot # FBS3006



Nitisinone is an inhibitor of 4-Hydroxyphenylpyruvate dioxygenase (HPPD;  $IC_{50} = 40 \text{ nM}^1$  and  $173 \text{ nM}^2$ ) and is in clinical use for the treatment of hereditary tyrosinemia type 1<sup>3</sup>. CD13<sup>+</sup> cancer stem cells (CSCs) are dependent on aerobic metabolism of tyrosine - Nitisinone inhibition of tyrosine metabolism results in lowered availability of acetyl-CoA and fumarate for use in the citric acid cycle causing these CSCs to enter cell cycle, decreasing self-renewal, and making them more susceptible to chemotherapy.<sup>4</sup> Nitisinone is a potential treatment option for cancers that rely on tyrosine metabolism.

- 1) Ellis *et al.* (1995), *Inhibition of 4-hydroxy-phenylpyruvate dioxygenase by 2-(2-nitro-4-trifluoromethylbenzoyl)-cyclohexane-1,3-dione and 2-(2-chloro-4-methanesulfonylbenzoyl)-cyclohexane-1,3-dione*; *Toxicol. Appl. Pharmacol.*, **133** 12
- 2) Laschi *et al.* (2016), *Inhibition of para-hydroxyphenylpyruvate dioxygenase by analogues of the herbicide nitisinone as a strategy to decrease homogentisic acid levels, the causative agent of alkaptonuria*; *Chem. Med. Chem.*, **11** 674678
- 3) McKiernan (2006), *Nitisinone in the treatment of hereditary tyrosinaemia type 1*; *Drugs*, **66** 743
- 4) Sun *et al.* (2020), *Activation of Tyrosine Metabolism in CD13+ Cancer Stem Cells Drives Relapse in Hepatocellular Carcinoma*; *Cancer Res. Treat.*, **52** 604

**PHYSICAL DATA**

Molecular Weight:	329.23
Molecular Formula:	C <sub>14</sub> H <sub>10</sub> F <sub>3</sub> NO <sub>5</sub>
Purity:	>98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (at least 70 mg/ml); ethanol (10 mg/ml)
Physical Description:	Beige solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 1 month.

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