bio-techne
TOCRIS

Print Date: Oct 20th 2023

Certificate of Analysis

www.tocris.com

Product Name: **Ivacaftor** **Catalog No.: 7887** **Batch No.: 1**

CAS Number: 873054-44-5

IUPAC Name: *N*-[2,4-Bis(1,1-dimethylethyl)-5-hydroxyphenyl]-1,4-dihydro-4-oxo-3-quinolinecarboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{24}H_{28}N_2O_3.¾H_2O$

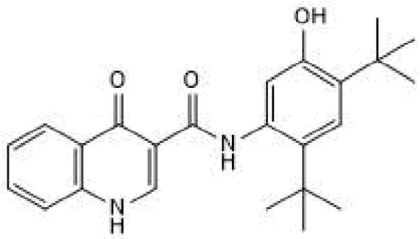
Batch Molecular Weight: 406.01

Physical Appearance: White solid

Solubility: ethanol to 5 mM
DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.5% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	71	7.32	6.9
Found	70.08	7.32	6.84

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Description:

Ivacaftor is a potent and selective potentiator of Cystic Fibrosis Transmembrane Conductance Regulator (CFTR) that targets F508del-CFTR and G551D-CFTR (EC_{50} values are 25 nM and 100 nM, respectively); its potentiation activity depends on the level of CFTR phosphorylation. Ivacaftor reversibly binds to wild type (WT-CFTR) and G551D-CFTR mutants, increasing the open probability via an ATP-independent mechanism, and enhances cAMP/PKA-signaling mediated gating activity for both WT-CFTR and G551D-CFTR mutants. In cultured human CF bronchial epithelia with G551D/F508del mutations, Ivacaftor increases Forskolin (Cat. No. 1099)-induced transepithelia... Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

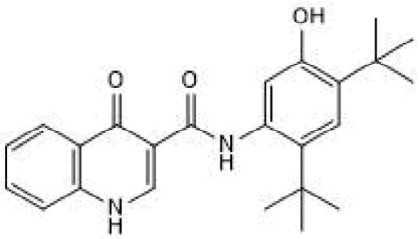
Batch Molecular Formula: $C_{24}H_{28}N_2O_3.¾H_2O$

Batch Molecular Weight: 406.01

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

ethanol to 5 mM
DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Levring *et al* (2023) CFTR function, pathology and pharmacology at single-molecule resolution. Nature ***616*** 606. PMID: 36949202.

Erfinanda *et al* (2022) Loss of endothelial CFTR drives barrier failure and edema formation in lung infection and can be targeted by CFTR potentiation. Sci.Transl.Med. ***14*** eabg8577. PMID: 36475904.

Nguyen *et al* (2021) Modulation of cAMP metabolism for CFTR potentiation in human airway epithelial cells. Sci.Rep. ***11*** 904. PMID: 33441643.